(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
8 March 2007 (08.03.2007)

PCT

(10) International Publication Number
WO 2007/026959 A2

(51) International Patent Classification:
C07D 409/12 (2006.01) ***A61P 25/00*** (2006.01) ***A61K 31/559*** (2006.01)

(21) International Application Number: PCT/JP2006/317704

(22) International Filing Date: 31 August 2006 (31.08.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2005-251055 31 August 2005 (31.08.2005) JP

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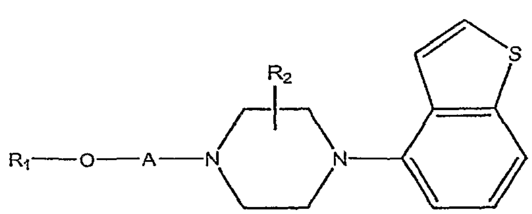
(54) Title: HETEROCYCLIC COMPOUND



(1)

(57) Abstract: A heterocyclic compound or a salt thereof represented by the formula (1): where R^2 represents a hydrogen atom or a lower alkyl group; A represents a lower alkylene group or lower alkenylene group; and R^1 represents an aromatic group or a heterocyclic group. The compound of the present invention has a wide treatment spectrum for mental disorders including central nervous system disorders, no side effects and high safety.

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)***:** AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)***:** ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— *without international search report and to be republished upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

DESCRIPTION

HETEROCYCLIC COMPOUND

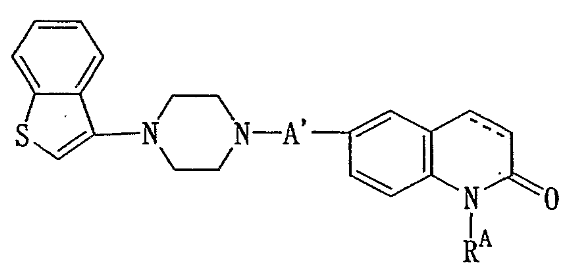
TECHNICAL FIELD

The present invention relates to a novel heterocyclic compound.

BACKGROUND ART

Since causal factor of schizophrenia as well as of bipolar disorder, mood disorders and emotional disorders is heterogeneous, it is desirable that a drug has multiple pharmacological effects so as to develop wide treatment spectrum.

WO2004/026864A1 discloses that a carbostyril derivative represented by the general formula:

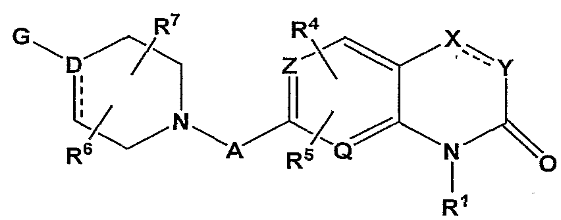


(wherein A' represents $-(CH_2)_mCH_2-$, $-(CH_2)_mO-$, etc.; m represents an integer of 1 to 4; and R^A represents a hydrogen atom, a C_{1-4} alkyl group which may be substituted with 1 to 3 fluorine atoms, etc.) has D_2 receptor antagonist activity and serotonin 2A ($5-HT_{2A}$) receptor antagonist activity and it is effective for

treatment of schizophrenia and other central nervous system disorders).

However, there is no description in WO2004/026864A1 that carbostyril derivatives described in the document have D_2 receptor partial agonist activity, 5-HT_{2A} receptor antagonist activity, α_1 receptor antagonist activity and serotonin uptake inhibitory activity together and have a wide treatment spectrum.

WO 2005/019215 A1 discloses the compounds represented by the following formula:



(wherein A is $-(CH_2)_mCH_2-$, $-(CH_2)_mO-$ or the like; m is an integer of 2 to 5; D is N, C or the like; Z and Q are independently N, C or CH, provided that at least one of Z and Q is N; X and Y are independently C, N or the like, and the bond between X and Y is a single or double bond; R^1 is hydrogen, (C_1-C_3)alkyl group or the like; R^4, R^5, R^6 and R^7 each represents hydrogen, alkyl group or the like; and G represents a group of monocyclic or bicyclic compound), which bind to dopamine D_2 receptors. WO 2005/019215 A1 teaches that some compounds disclosed therein have an activity as

partial agonists of D_2 receptors or an activity as antagonists of D_2 receptors, and may be effective for the treatment of schizophrenia and other central nervous system.

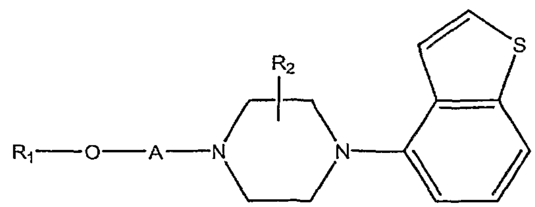
However, WO 2005/019215 A1 does not specifically disclose the compounds of the present invention.

DISCLOSURE OF THE INVENTION

An object of the present invention is to provide an antipsychotic drug which has a wider treatment spectrum, less side effects and excellent tolerability and safety as compared with well-known typical and atypical antipsychotic drugs.

The present inventors have conducted intensive studies on the above-described problem and consequently succeeded in synthesizing a novel compound which has dopamine D_2 receptor partial agonist activity (D_2 receptor partial agonist activity), serotonin 5-HT_{2A} receptor antagonist activity (5-HT_{2A} receptor antagonist activity) and adrenalin α_1 receptor antagonist activity (α_1 receptor antagonist activity) and further has serotonin uptake inhibitory effect (or serotonin reuptake inhibitory effect) together in addition to these effects. The present invention has been completed based on this finding.

There is provided a heterocyclic compound or a salt thereof represented by the formula (1):



(1)

where R^2 represents a hydrogen atom or a lower alkyl group;

A represents a lower alkylene group or a lower alkenylene group; and

R^1 represents a cyclo C3-C8 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (IV) below:

(I) a cyclo C3-C8 alkyl group;

(II) an aromatic group selected from a phenyl group, a naphthyl group, a dihydroindenyl group and a tetrahydronaphthyl group;

(III) a saturated or unsaturated heteromonocyclic group having 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom; and

(IV) a benzene fused heterocyclic group that has 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom and that is selected from the group consisting of (1) a tetrahydroquinoxalinyl group, (2) a tetrahydroquinazolinyl group, (3) a dihydroquinazolinyl group, (4) an indolinyl group, (5) an indolyl group,

(6) an isoindolinyl group, (7) a benzimidazolyl group, (8) a dihydrobenzimidazolyl group, (9) a tetrahydrobenzazepinyl group, (10) a tetrahydrobenzodiazepinyl group, (11) a hexahydrobenzazocinyl group, (12) a dihydrobenzoxazinyl group, (13) a dihydrobenzoxazolyl group, (14) a benzisoxazolyl group, (15) a benzoxadiazolyl group, (16) a tetrahydrobenzoxazepinyl group, (17) a dihydrobenzothiazinyl group, (18) a benzothiazolyl group, (19) a benzoxathiolyl group, (20) a chromenyl group, (21) a dihydrobenzofuryl group, (22) a carbazolyl group, (23) a dibenzofuryl group and (24) a quinoxalinyl group.

wherein at least one group selected from the group consisting of the groups (1) to (66) below may be present as a substituent on the cyclo C3-C8 alkyl group, the aromatic group and the heterocyclic group represented by R^1:

(1) a lower alkyl group,

(2) a lower alkenyl group,

(3) a halogen substituted lower alkyl group,

(4) a lower alkoxy group,

(5) an aryloxy group,

(6) a lower alkylthio group,

(7) a halogen substituted lower alkoxy group,

(8) a hydroxy group,

(9) a protected hydroxy group,

(10) a hydroxy lower alkyl group,

(11) a protected hydroxy lower alkyl group,

(12) a halogen atom,

(13) a cyano group,

(14) an aryl group,

(15) a nitro group,

(16) an amino group,

(17) an amino group having a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkylsulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxy carbonylamino lower alkanoyl group as a substituent,

(18) a lower alkanoyl group,

(19) an arylsulfonyl group that may have a lower alkyl group(s) on the aryl group,

(20) a carboxy group,

(21) a lower alkoxycarbonyl group,

(22) a carboxy lower alkyl group,

(23) a lower alkoxycarbonyl lower alkyl group,

(24) a lower alkanoylamino lower alkanoyl group,

(25) a carboxy lower alkenyl group,

(26) a lower alkoxycarbonyl lower alkenyl group,

(27) a carbamoyl lower alkenyl group that may

have a group(s) selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group as a substituent,

(28) a carbamoyl group that may have a group(s) selected from the group consisting of the groups (i) to (lxxviii) below as a substituent:

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iii) a hydroxy lower alkyl group,

(iv) a lower alkoxy lower alkyl group,

(v) an aryloxy lower alkyl group,

(vi) a halogen substituted lower alkyl group,

(vii) an amino lower alkyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, an aroyl group and a carbamoyl group,

(viii) a cyclo C3-C8 alkyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a hydroxy group, a lower alkoxycarbonyl group and a phenyl lower alkoxy group as a substituent,

(ix) a cyclo C3-C8 alkyl substituted lower alkyl group,

(x) a lower alkenyl group,

(xi) a carbamoyl lower alkyl group that may have a group(s) selected from the group consisting of a lower alkyl group, phenyl group that may have a lower alkyl group(s) and a phenyl group(s) that may have a

lower alkoxy group(s) as a substituent,

(xii) a lower alkoxycarbonyl lower alkyl group,

(xiii) a furyl lower alkyl group (that may have a lower alkyl group(s) as a substituent) on the furyl group,

(xiv) a tetrahydrofuryl lower alkyl group,

(xv) a 1,3-dioxolanyl lower alkyl group,

(xvi) a tetrahydropyranyl lower alkyl group,

(xvii) a pyrrolyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the pyrrolyl group),

(xviii) a lower alkyl group substituted with a dihydropyrazolyl group that may have an oxo group(s),

(xix) a pyrazolyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the pyrazolyl group),

(xx) an imidazolyl lower alkyl group,

(xxi) a pyridyl lower alkyl group,

(xxii) a pyrazinyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the pyrazinyl group),

(xxiii) a pyrrolidinyl lower alkyl group (that may have a group(s) selected from the group consisting of an oxo group(s) and a lower alkyl group as a substituent on the pyrrolidinyl group),

(xxiv) a piperidyl lower alkyl group (that may have a group(s) selected from the group consisting

of a benzoyl group and a lower alkanoyl group as a substituent on the piperidyl group),

(xxv) a piperazinyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the piperazinyl group),

(xxvi) a morpholinyl lower alkyl group,

(xxvii) a thienyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the thienyl group),

(xxviii) a thiazolyl lower alkyl group,

(xxix) a dihydrobenzofuryl lower alkyl group,

(xxx) a benzopyranyl lower alkyl group (that may have an oxo group(s) as a substituent on the benzopyranyl group),

(xxxi) a benzimidazolyl lower alkyl group,

(xxxii) an indolyl lower alkyl group that may have a lower alkoxycarbonyl group(s) on the lower alkyl group),

(xxxiii) an imidazolyl lower alkyl group that has a substituent(s) selected from the group consisting of a carbamoyl group and a lower alkoxycarbonyl group on the lower alkyl group,

(xxxiv) a pyridyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkoxy group and a lower alkylthio lower alkyl group as a substituent,

(xxxv) a pyrrolidinyl group that may have a group(s) selected from the group consisting of a lower

alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and an aroyl group as a substituent,

(xxxvi) a piperidyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and an aroyl group that may have a group(s) selected from the group consisting of a lower alkyl group and a halogen atom as a substituent,

(xxxvii) a tetrahydrofuryl group that may have an oxo group(s),

(xxxviii) a hexahydroazepinyl group that may have an oxo group(s),

(xxxix) a pyrazolyl group that may have a group(s) selected from the group consisting of a lower alkyl group, an aryl group and a furyl group as a substituent,

(xl) a thiazolyl group,

(xli) a thiadiazolyl group that may have a lower alkyl group(s),

(xlii) an isoxazolyl group that may have a lower alkyl group(s),

(xliii) an indazolyl group,

(xliv) an indolyl group,

(xlv) a tetrahydrobenzothiazolyl group,

(xlvi) a tetrahydroquinolyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen atom and an oxo group as a substituent,

(xlvii) a quinolyl group that may have a lower alkyl group(s),

(xlviii) a benzodioxolyl lower alkyl group,

(xlix) an aryl group that may have a group(s) as a substituent, selected from the group consisting of

a halogen atom; a lower alkyl group; a lower alkoxy group; a halogen substituted lower alkyl group; a halogen substituted lower alkoxy group; a lower alkenyl group; an amino group that may have a group(s) selected from the group consisting of a lower alkanoyl group, a lower alkyl sulfonyl group, a lower alkyl group and an aryl group; a sulfamoyl group; a lower alkylthio group; a lower alkanoyl group; a lower alkoxycarbonyl group; a pyrrolyl group; a lower alkynyl group; a cyano group; a nitro group; an aryloxy group; an aryl lower alkoxy group; a hydroxy group; a hydroxy lower alkyl group; a carbamoyl group that may have a group(s) selected from the group consisting of a lower alkyl group and an aryl group; a pyrazolyl group; a pyrrolidinyl group that may have an oxo group(s); an oxazolyl group; an imidazolyl group that may have a lower alkyl group(s); a dihydrofuryl group that may have an oxo group(s); a thiazolidinyl lower alkyl group that may have an oxo group(s); an imidazolyl lower alkanoyl group and a piperidinylcarbonyl group,

(l) a cyano lower alkyl group,

(li) a dihydroquinolyl group that may have a group(s) selected from the group consisting of a lower

alkyl group and an oxo group,

(lii) a halogen substituted lower alkylamino group,

(liii) a lower alkylthio lower alkyl group,

(liv) an amidino group that may have a lower alkyl group(s),

(lv) an amidino lower alkyl group,

(lvi) a lower alkenyloxy lower alkyl group,

(lvii) an arylamino group that may have a substituent(s) selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen substituted lower alkyl group and a halogen substituted lower alkoxy group, on the aryl group,

(lviii) an aryl lower alkenyl group,

(lix) a pyridylamino group that may have a lower alkyl group(s),

(lx) an aryl lower alkyl group (that may have on the aryl group and/or the lower alkyl group a group(s) selected from the group consisting of a halogen atom, a lower alkyl group, a halogen substituted lower alkyl group, a halogen substituted lower alkoxy group, a lower alkoxy group, a carbamoyl group and a lower alkoxycarbonyl group as a substituent),

(lxi) a lower alkynyl group,

(lxii) an aryloxy lower alkyl group (that may have as a substituent on the aryl group a group(s) selected from the group consisting of a lower alkoxy

group; a carbamoyl group that may have a group(s) selected from the group consisting of a lower alkoxy group and a lower alkyl group; and a pyrrolidinyl group that may have an oxo group(s)),

(lxiii) an isoxazolidinyl group that may have an oxo group(s),

(lxiv) a dihydroindenyl group,

(lxv) an aryl lower alkoxy lower alkyl group,

(lxvi) a tetrahydropyranyl group,

(lxvii) an azetidinyl group that may have a group(s) selected from the group consisting of a lower alkanoyl group and an aroyl group,

(lxviii) an azetidinyl lower alkyl group that may have a group(s) selected from the group consisting of a lower alkanoyl group and aroyl group,

(lxix) a tetrazolyl group,

(lxx) an indolinyl group that may have an oxo group(s),

(lxxi) a triazolyl group that may have a group(s) selected from the group consisting of a lower alkyl group and a lower alkylthio group,

(lxxii) an imidazolyl group that may have a carbamoyl group(s),

(lxxiii) an oxazolyl group that may have a lower alkyl group(s),

(lxxiv) an isothiazolyl group that may have a lower alkyl group(s),

(lxxv) a benzimidazolyl group,

(lxxvi) a dihydrobenzothiazolyl group that may have an oxo group(s),

(lxxvii) a thienyl group that may have a lower alkoxycarbonyl group(s), and

(lxxviii) an oxazolyl lower alkyl group that may have a lower alkyl group(s)

(29) an amino lower alkyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, an aryl group, an aryl lower alkyl group, an aroyl group and an amino substituted alkyl group (that may have a lower alkyl group(s) as a substituent on the amino group) on the amino group,

(30) a lower alkyl group substituted with a carbamoyl group that may have a group(s) selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(31) a thiocarbamoyl group that may have a lower alkyl group(s),

(32) a sulfamoyl group,

(33) an oxazolidinyl group that may have an oxo group(s),

(34) an imidazolidinyl group that may have a substituent(s) selected from the group consisting of an oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have an oxo group(s),

(36) an imidazolyl group,

(37) a triazolyl group,

(38) an isoxazolyl group,

(39) a piperidyl group that may have a substituent(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, an arylsulfonyl group, an oxo group, a hydroxy group, and an amino group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkanoylamino lower alkanoyl group,

(40) a piperidylcarbonyl group that may have a substituent(s) selected from the group consisting of a lower alkyl group, a hydroxy group, a hydroxy lower alkyl group, a lower alkanoyl group, a carboxy lower alkyl group, a lower alkyl carbamoyl lower alkyl group, a carbamoyl group, a lower alkoxy group, a carboxy group, a lower alkoxycarbonyl group, an amino group (on which 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and an aroyl group may be present), a piperidyl group (on which a group(s) selected from the group consisting of a lower alkanoyl group, a lower alkoxycarbonyl group and an aroyl group may be present), piperazinyl group (on which a lower alkyl group(s) may be present as a substituent), a 1,4-dioxa-8-azaspiro[4.5]decyl group, a morpholinyl group, a hexahydro-1,4-diazepinyl group (on which a lower

alkyl group(s) may be present as a substituent), a pyridyl group, a pyridyloxy group, a pyridyl lower alkoxy group, a tetrahydroquinolyl group (on which an oxo group(s) may be present), a benzodioxolyl group, an aryl lower alkoxy group (that may have a group(s) selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkoxy group on the aryl group), an aryl group (on which a group(s) selected from the group consisting of a halogen atom, a lower alkoxy group, a hydroxy group may be present), an aryloxy group (that may have on the aryl group a group(s) selected from the group consisting of a cyano group, a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), an aryl lower alkyl group (that may have on the aryl group a group(s) selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), and an aroyl group (that may have on the aryl group a group(s) selected from the group consisting of a halogen atom and a lower alkoxy group),

(41) a pyrrolidinylcarbonyl group that may have a group as a substituent, selected from the group consisting of a hydroxy lower alkyl group, a carbamoyl group, a hydroxy group, an amino group (that may have on the amino group a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl

group and an aroyl group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a piperidyl lower alkyl group, a piperazinyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the piperazinyl group), an amino lower alkyl group (that may have a lower alkyl group(s) as a substituent on the amino group), an aryloxy group (that may have a halogen substituted lower alkoxy group(s) on the aryl group), an aryloxy lower alkyl group (that may have a halogen substituted lower alkoxy group(s) on the aryl group) and a tetrahydroquinolyl group (on which an oxo group(s) may be present),

(42) a piperazinylcarbonyl group that may have a group(s) as a substituent, selected from the group consisting of a lower alkyl group, a cyclo C3-C8 alkyl group, a lower alkanoyl group, a hydroxy lower alkyl group, a lower alkoxy lower alkyl group, a lower alkoxycarbonyl group, an amino lower alkyl group (that may have a lower alkyl group(s) as a substituent on the amino group), a piperidyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the piperidyl group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a 1,3-dioxolanyl lower alkyl group, a tetrahydrofuryl lower alkyl group, a pyridyl lower alkyl group (that may have a phenyl group(s) as a substituent on the lower alkyl group), an imidazolyl lower alkyl group, a furyl lower alkyl group, a pyrrolidinylcarbonyl lower alkyl group, a

piperidyl group that may have a lower alkyl group(s) as a substituent, pyridyl group (that may have on the pyridyl group a group(s) selected from the group consisting of a lower alkyl group, a cyano group and a halogen substituted lower alkyl group as a substituent), a thieno[2,3-b]pyridyl group, an aryl group (on which a group(s) selected from the group consisting of a halogen atom and a lower alkyl group may be present), an aroyl group, a furyl carbonyl group, an aryl lower alkoxycarbonyl group and an oxo group,

(43) a hexahydroazepinylcarbonyl group,

(44) a hexahydro-1,4-diazepinylcarbonyl group that may have a substituent(s) selected from the group consisting of a lower alkyl group and a pyridyl group,

(45) a dihydropyrrolylcarbonyl group that may have a lower alkyl group(s),

(46) a thiomorpholinylcarbonyl group,

(47) a morpholinylcarbonyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a piperidyl lower alkyl group and an aryl group,

(48) a thiazolidinyl carbonyl group that may have an aryl group(s) that may have a group(s) selected from the group consisting of a lower alkoxy group and a cyano group,

(49) an azabicyclo[3.2.2]nonylcarbonyl group,

(50) an 8-azabicyclo[3.2.1]octylcarbonyl

group that may have a halogen substituted or unsubstituted aryloxy group(s),

(51) an indolinylcarbonyl group,

(52) a tetrahydroquinolylcarbonyl group,

(53) a tetrahydropyrido[3.4-b]indolylcarbonyl group,

(54) a morpholinyl lower alkyl group,

(55) a piperazinyl lower alkyl group that may have a lower alkyl group(s) on the piperazinyl group,

(56) a morpholinylcarbonyl lower alkyl group,

(57) a piperazinylcarbonyl lower alkyl group that may have a lower alkyl group(s) on the piperazinyl group,

(58) an oxo group,

(59) an amino lower alkoxy group (that may have a lower alkyl group(s) on the amino group),

(60) a lower alkoxy lower alkoxy group,

(61) a piperazinyl group that may have a group(s) selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxycarbonyl group,

(62) a morpholinyl group,

(63) a 1,3,8-triazaspiro[4.5]decanylcarbonyl group that may have a group(s) selected from the group consisting of an oxo group and an aryl group,

(64) a tetrahydropyridylcarbonyl group that may have a pyridyl group(s),

(65) an imidazolidinylcarbonyl group that may

have a thioxo group(s), and

(66) a 1,4-dioxa-8-azaspiro[4.5]decanyl group.

The present invention provides a compound represented by the general formula (1), wherein

R^1 represents a cyclo C5-C6 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (IV) below:

(I) a cyclo C5-C6 alkyl group;

(II) an aromatic group selected from a phenyl group, naphthyl group, dihydroindenyl group and tetrahydronaphthyl group;

(III) a saturated or unsaturated heteromonocyclic group that has 1 to 2 hetero atoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom, and that is selected from the group consisting of a pyrrolidinyl group, piperidyl group, pyrazolyl group, pyridyl group, pyrimidinyl group, pyrazinyl group, isoxazolyl group, thiazolyl group, pyranyl group, and thienyl group; and

(IV) a benzene fused heterocyclic group that has 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom and that is selected from the group consisting of (1) a tetrahydroquinoxalinyl group, (2) a tetrahydroquinazolinyl group, (3) a dihydroquinazolinyl group, (4) an indolinyl group, (5) an indolyl group, (6) an isoindolinyl group, (7) a benzimidazolinyl

group, (8) a dihydrobenzimidazolyl group, (9) a tetrahydrobenzazepinyl group, (10) a tetrahydrobenzodiazepinyl group, (11) a hexahydrobenzazocinyl group, (12) a dihydrobenzoxazinyl group, (13) a dihydrobenzoxazolyl group, (14) a benzisoxazolyl group, (15) a benzoxadiazolyl group, (16) a tetrahydrobenzoxazepinyl group, (17) a dihydrobenzothiazinyl group, (18) a benzothiazolyl group, (19) a benzoxathiolyl group, (20) a chromenyl group, (21) a dihydrobenzofuryl group, (22) a carbazolyl group, (23) a dibenzofuryl group, and (24) a quinoxalinyl group wherein, on the aromatic group and the heterocyclic group represented by R^1, 1 to 5 groups selected from the group consisting of the groups (1) to (66) below may be present as a substituent(s):

(1) a lower alkyl group,
(2) a lower alkenyl group,
(3) a halogen substituted lower alkyl group,
(4) a lower alkoxy group,
(5) a phenoxy group,
(6) a lower alkylthio group,
(7) a halogen substituted lower alkoxy group,
(8) a hydroxy group,
(9) a phenyl lower alkoxy group,
(10) a hydroxy lower alkyl group,
(11) a lower alkoxy lower alkyl group,
(12) a halogen atom,
(13) a cyano group,

(14) a phenyl group,

(15) a nitro group,

(16) an amino group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkylsulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxycarbonylamino lower alkanoyl group as a substituent(s),

(18) a lower alkanoyl group,

(19) a phenylsulfonyl group that may have a single lower alkyl group on the phenyl group,

(20) a carboxy group,

(21) a lower alkoxycarbonyl group,

(22) a carboxy lower alkyl group,

(23) a lower alkoxycarbonyl lower alkyl group,

(24) a lower alkanoylamino lower alkanoyl group,

(25) a carboxy lower alkenyl group,

(26) a lower alkoxycarbonyl lower alkenyl group,

(27) a carbamoyl lower alkenyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a lower alkyl group substituted with 1 to 3 halogen atoms as a

substituent(s),

(28) a carbamoyl group that may have 1 to 2 groups selected from the group consisting of the groups (i) to (lxxviii) below as a substituent(s):

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iii) a hydroxy lower alkyl group,

(iv) a lower alkoxy lower alkyl group,

(v) an phenoxy lower alkyl group,

(vi) a halogen substituted lower alkyl group,

(vii) an amino lower alkyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a benzoyl group and a carbamoyl group,

(viii) a cyclo C3-C8 alkyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a hydroxy group, a lower alkoxycarbonyl group and a phenyl lower alkoxy group as a substituent(s),

(ix) a cyclo C3-C8 alkyl substituted lower alkyl group,

(x) a lower alkenyl group,

(xi) a lower alkyl group having 1 to 2 carbamoyl groups that may have 1 to 2 groups as a substituent(s) selected from the group consisting of a lower alkyl group, a phenyl group that may have a single lower alkyl group and a phenyl group that may have a single lower alkoxy group,

(xii) a lower alkyl group having 1 to 2 lower alkoxy carbonyl groups,

(xiii) a furyl lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the furyl group),

(xiv) a tetrahydrofuryl lower alkyl group,

(xv) a 1,3-dioxolanyl lower alkyl group,

(xvi) a tetrahydropyranyl lower alkyl group,

(xvii) a pyrrolyl lower alkyl group (that may have 1 to 2 lower alkyl groups on the pyrrolyl group as a substituent(s)),

(xviii) a lower alkyl group substituted with a dihydropyrazolyl group that may have a single oxo group,

(xix) a pyrazolyl lower alkyl group (that may have 1 to 3 lower alkyl groups as a substituent(s) on the pyrazolyl group),

(xx) an imidazolyl lower alkyl group,

(xxi) a pyridyl lower alkyl group,

(xxii) a pyrazinyl lower alkyl group (that may have 1 to 3 (preferably 1) lower alkyl groups as a substituent(s) on the pyrazinyl group),

(xxiii) a pyrrolidinyl lower alkyl group (that may have 1 to 2 groups selected from the group consisting of an oxo group and a lower alkyl group as a substituent(s) on the pyrrolidinyl group),

(xxiv) a piperidyl lower alkyl group (that may have 1 to 3 groups selected from the group

consisting of a benzoyl group and a lower alkanoyl group as a substituent(s) on the piperidyl group),

(xxv) a piperazinyl lower alkyl group (that may have 1 to 3 lower alkyl groups as a substituent(s) on the piperazinyl group),

(xxvi) a morpholinyl lower alkyl group,

(xxvii) a thienyl lower alkyl group (that may have 1 to 3 lower alkyl groups as a substituent(s) on the thienyl group),

(xxviii) a thiazolyl lower alkyl group,

(xxix) a dihydrobenzofuryl lower alkyl group,

(xxx) a benzopyranyl lower alkyl group (that may have a single oxo group as a substituent on the benzopyranyl group),

(xxxi) a benzimidazolyl lower alkyl group,

(xxxii) an indolyl lower alkyl group that may have 1 to 3 lower alkoxycarbonyl groups on the lower alkyl group),

(xxxiii) an imidazolyl lower alkyl group that has 1 to 3 substituents selected from the group consisting of a carbamoyl group and a lower alkoxycarbonyl group, on the lower alkyl group,

(xxxiv) a pyridyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a lower alkoxy group and a lower alkylthio lower alkyl group as a substituent(s),

(xxxv) a pyrrolidinyl group that may have 1 to 3 groups selected from the group consisting of a

lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and a benzoyl group as a substituent(s),

(xxxvi) a piperidyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and a benzoyl group (that may have 1 to 3 groups selected from the group consisting of a lower alkyl group and a halogen atom as a substituent(s) on the phenyl group),

(xxxvii) a tetrahydrofuryl group that may have a single oxo group

(xxxviii) a hexahydroazepinyl group that may have a single oxo group,

(xxxix) a pyrazolyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a phenyl group and a furyl group as a substituent(s),

(xl) a thiazolyl group,

(xli) a thiadiazolyl group that may have 1 to 3 lower alkyl groups,

(xlii) an isoxazolyl group that may have 1 to 3 lower alkyl groups,

(xliii) an indazolyl group,

(xliv) an indolyl group,

(xlv) a tetrahydrobenzothiazolyl group,

(xlvi) a tetrahydroquinolyl group that may have 1 to 3 groups selected from the group consisting

of a lower alkyl group, a lower alkoxy group, a halogen atom and an oxo group as a substituent(s),

(xlvii) a quinolyl group that may have 1 to 3 lower alkyl groups,

(xlviii) a benzodioxolyl lower alkyl group,

(xlix) a phenyl group or naphthyl group that may have 1 to 3 groups as a substituent(s), selected from the group consisting of

a halogen atom; a lower alkyl group; a lower alkoxy group; a halogen substituted lower alkyl group; a halogen substituted lower alkoxy group; a lower alkenyl group; an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkanoyl group, a lower alkyl sulfonyl group, a lower alkyl group and an aryl group; a sulfamoyl group; a lower alkylthio group; a lower alkanoyl group; a lower alkoxycarbonyl group; pyrrolyl group; a lower alkynyl group; a cyano group; a nitro group; a phenyloxy group; a phenyl lower alkoxy group; a hydroxy group; a hydroxy lower alkyl group; a carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a phenyl group; a pyrazolyl group; a pyrrolidinyl group that may have a single oxo group; oxazolyl group; an imidazolyl group that may have 1 to 3 lower alkyl groups; a dihydrofuryl group that may have a single oxo group; thiazolidinyl lower alkyl group that may have two oxo groups; imidazolyl lower alkanoyl group and piperidinylcarbonyl group,

(l) a cyano lower alkyl group,

(li) a dihydroquinolyl group that may have 1 to 3 group(s) selected from the group consisting of a lower alkyl group and oxo group,

(lii) a halogen substituted lower alkylamino group,

(liii) a lower alkylthio lower alkyl group,

(liv) an amidino group that may have a lower alkyl group,

(lv) an amidino lower alkyl group,

(lvi) a lower alkenyloxy lower alkyl group,

(lvii) a phenylamino group that may have 1 to 3 substituents selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen substituted lower alkyl group and a halogen substituted lower alkoxy group on the phenyl group,

(lviii) a phenyl lower alkenyl group,

(lix) a pyridylamino group that may have 1 to 3 lower alkyl groups,

(lx) a phenyl lower alkyl group (that may have as a substituent(s) on the phenyl group and/or the lower alkyl group 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkyl group, a halogen substituted lower alkyl group, a halogen substituted lower alkoxy group, a lower alkoxy group, carbamoyl group and a lower alkoxycarbonyl group),

(lxi) a lower alkynyl group,

(lxii) a phenyloxy lower alkyl group (that may have 1 to 3 groups selected from the group consisting of a lower alkoxy group, N-lower alkoxy-N-lower alkylcarbamoyl group and oxopyrrolidinyl group as a substituent(s) on the phenyl group),

(lxiii) an isoxazolidinyl group that may have a single oxo group,

(lxiv) a dihydroindenyl group,

(lxv) a phenyl lower alkoxy lower alkyl group,

(lxvi) a tetrahydropyranyl group,

(lxvii) an azetidinyl group that may have 1 to 3 groups selected from the group consisting of a lower alkanoyl group and benzoyl group,

(lxviii) an azetidinyl lower alkyl group that may have 1 to 3 groups selected from the group consisting of a lower alkanoyl group and benzoyl group,

(lxix) a tetrazolyl group,

(lxx) an indolinyl group that may have a single oxo group,

(lxxi) a triazolyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group and a lower alkylthio group,

(lxxii) an imidazolyl group that may have 1 to 3 carbamoyl groups,

(lxxiii) an oxazolyl group that may have 1 to 3 lower alkyl groups,

(lxxiv) an isothiazolyl group that may have 1

to 3 lower alkyl groups,

(lxxv) a benzimidazolyl group,

(lxxvi) a dihydrobenzothiazolyl group that may have a single oxo group,

(lxxvii) a thienyl group that may have 1 to 3 lower alkoxycarbonyl groups, and

(lxxviii) an oxazolyl lower alkyl group that may have 1 to 3 lower alkyl groups,

(29) an amino lower alkyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, a phenyl group, a phenyl lower alkyl group, a benzoyl group and an amino substituted alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the amino group), on the amino group,

(30) a lower alkyl group substituted with a single carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(31) a thiocarbamoyl group that may have 1 to 2 lower alkyl groups,

(32) a sulfamoyl group,

(33) an oxazolidinyl group that may have a single oxo group,

(34) an imidazolidinyl group that may have 1 to 2 substituents selected from the group consisting of an oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have a single oxo group,

(36) an imidazolyl group,

(37) a triazolyl group,

(38) an isoxazolyl group,

(39) a piperidyl group that may have 1 to 3 substituents selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkylphenylsulfonyl group, an oxo group, a hydroxy group, and an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkanoylamino lower alkanoyl group,

(40) a piperidylcarbonyl group that may have 1 to 3 substituent(s) selected from the group consisting of a lower alkyl group, a hydroxy group, a hydroxy lower alkyl group, a lower alkanoyl group, a carboxy lower alkyl group, a lower alkyl carbamoyl lower alkyl group, a carbamoyl group, a lower alkoxy group, a carboxy group, a lower alkoxycarbonyl group, an amino group (on which 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a benzoyl group may be present), a piperidyl group (on which 1 to 3 groups selected from the group consisting of a lower alkanoyl group, a lower alkoxycarbonyl group and a benzoyl group may be present), a piperazinyl group (on which 1 to 3 lower alkyl groups may be

present as a substituent(s)), a 1,4-dioxa-8-azaspiro[4.5]decyl group, a morpholinyl group, a hexahydro-1,4-diazepynyl group (on which a single lower alkyl group may be present as a substituent), a pyridyl group, a pyridyloxy group, a pyridyl lower alkoxy group, a tetrahydroquinolyl group (on which a single oxo group may be present), a benzodioxolyl group, a phenyl lower alkoxy group (that may have on the phenyl group 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkoxy group), a phenyl group (on which 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkoxy group and a hydroxy group may be present), phenyloxy group (that may have on the phenyl group 1 to 3 groups selected from the group consisting of a cyano group, a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), a phenyl lower alkyl group (on the phenyl group, 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group may be present), and a benzoyl group (that may have 1 to 3 groups selected from the group consisting of a halogen atom and a lower alkoxy group on the phenyl group),

(41) a pyrrolidinylcarbonyl group that may have 1 to 3 groups as a substituent(s) selected from the group consisting of a hydroxy lower alkyl group,

carbamoyl group, a hydroxy group, an amino group (that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group and a bemzoyl group on the amino group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a piperidyl lower alkyl group, a piperazinyl lower alkyl group (that may have a single lower alkyl group as a substituent on the piperazinyl group), an amino lower alkyl group (that may have 1 to 2 lower alkyl groups may be present as a substituent on the amino group), phenyloxy group (that may have 1 to 3 halogen substituted lower alkoxy groups on the phenyl group), a phenyloxy lower alkyl group (that may have 1 to 3 halogen substituted lower alkoxy groups on the phenyl group) and a tetrahydroquinolyl group (on which an oxo group may be present),

(42) a piperazinylcarbonyl group that may have 1 to 3 groups as a substituent(s) selected from the group consisting of a lower alkyl group, a cyclo C3-C8 alkyl group, a lower alkanoyl group, a hydroxy lower alkyl group, a lower alkoxy lower alkyl group, a lower alkoxycarbonyl group, an amino lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the amino group), a piperidyl lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the piperidyl group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a 1,3-dioxoranyl lower alkyl group, a tetrahydrofuryl

lower alkyl group, a pyridyl lower alkyl group (that may have 1 to 2 phenyl groups as a substituent(s) on the lower alkyl group), an imidazolyl lower alkyl group, a furyl lower alkyl group, a pyrrolidinylcarbonyl lower alkyl group, a piperidyl group that may have 1 to 2 lower alkyl groups as a substituent(s)), a pyridyl group (that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a cyano group and a halogen substituted lower alkyl group as a substituent(s) on the pyridyl group), a thieno[2,3-b]pyridyl group, a phenyl group (on which 1 to 3 groups selected from the group consisting of a halogen atom and a lower alkyl group may be present), a benzoyl group, a furyl carbonyl group, a phenyl lower alkoxycarbonyl group and an oxo group,

(43) a hexahydroazepinylcarbonyl group,

(44) a hexahydro-1,4-diazepinylcarbonyl group that may have 1 to 3 substituents selected from the group consisting of a lower alkyl group and a pyridyl group,

(45) a dihydropyrrolylcarbonyl group that may have 1 to 3 lower alkyl groups,

(46) a thiomorpholinylcarbonyl group,

(47) a morpholinylcarbonyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a piperidyl lower alkyl group and a phenyl group,

(48) a thiazolidinyl carbonyl group that may have 1 to 3 phenyl groups that may have 1 to 3 groups selected from the group consisting of a lower alkoxy group and a cyano group,

(49) an azabicyclo[3.2.2]nonylcarbonyl group,

(50) an 8-azabicyclo[3.2.1]octylcarbonyl group that may have 1 to 3 halogen substituted or unsubstituted phenyloxy groups,

(51) an indolinylcarbonyl group,

(52) a tetrahydroquinolylcarbonyl group,

(53) a tetrahydropyrido[3.4-b]indolylcarbonyl group,

(54) a morpholinyl lower alkyl group,

(55) a piperazinyl lower alkyl group that may have 1 to 3 lower alkyl groups on the piperazinyl group,

(56) a morpholinylcarbonyl lower alkyl group,

(57) a piperazinylcarbonyl lower alkyl group that may have 1 to 3 lower alkyl groups on the piperazinyl group,

(58) an oxo group,

(59) an amino lower alkoxy group (that may have 1 to 2 lower alkyl groups on the amino group),

(60) a lower alkoxy lower alkoxy group,

(61) a piperazinyl group that may have 1 to 3 groups selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxycarbonyl group,

(62) a morpholinyl group,

(63) a 1,3,8-triazaspiro[4.5]decanylcarbonyl group that may have 1 to 3 groups selected from the group consisting of an oxo group and a phenyl group,

(64) a tetrahydropyridylcarbonyl group that may have 1 to 3 pyridyl groups,

(65) an imidazolidinylcarbonyl group that may have a single thioxo group, and

(66) a 1,4-dioxa-8-azaspiro[4.5]decanyl group.

The present invention provides a compound represented by the general formula (1), wherein A is a lower alkylene group.

The present invention provides a compound represented by the general formula (1), wherein R^1 represents a cyclo C5-C6 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (III) shown below:

(I) a cyclo C5-C6 alkyl group;

(II) a phenyl group; and

(III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from the group consisting of a pyrrolidinyl group, a piperidyl group, a pyrazolyl group, a pyridyl group, pyrimidinyl group and a thiazolyl group, and

on the cyclo C5-C6 alkyl group, the aromatic group and the heterocyclic group represented by R^1, 1 to 5 groups selected from the group consisting of (1) to

(66) defined in claim 2 may be present as a substituent(s).

The present invention provides a compound represented by the general formula (1), wherein R^1 represents (I) a cyclo C5-C6 alkyl group, and, on the cyclo C5-C6 alkyl group represented by R^1, 1 to 5 groups selected from the group consisting of (1) to (66) defined in claim 2 may be present as a substituent(s).

The present invention provides a compound represented by the general formula (1), wherein R^1 represents (II) a phenyl group, and, on aromatic group represented by R^1, 1 to 5 groups selected from the group consisting of (1) to (66) defined in claim 2 may be present as a substituent(s).

The present invention provides a compound represented by the general formula (1), wherein R^1 represents (III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a pyrrolidinyl group, a piperidyl group, pyrazolyl group, a pyridyl group, a pyrimidinyl group and a thiazolyl group, and, on heterocyclic group represented by R^1, 1 to 5 groups selected from the group consisting of (1) to (66) defined in claim 2 may be present as a substituent(s).

The present invention provides a compound represented by the general formula (1), wherein R^1 represents a cyclo C5-C6 alkyl group, an aromatic group or a heterocyclic group selected from the group

consisting of (I) to (III) shown below:

(I) a cyclo C5-C6 alkyl group;

(II) a phenyl group; and

(III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a pyrrolidinyl group, a piperidyl group, a pyrazolyl group, a pyridyl group, a pyrimidinyl group and a thiazolyl group, and

on the cyclo C5-C6 alkyl group, aromatic group and heterocyclic group represented by R^1, 1 to 5 groups selected from the group consisting of (1), (4), (10), (17), (18), (21), (28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) shown below may be present as a substituent(s):

(1) a lower alkyl group,

(4) a lower alkoxy group,

(10) a hydroxy lower alkyl group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkylsulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxycarbonylamino lower alkanoyl group, as a substituent(s),

(18) a lower alkanoyl group,

(21) a lower alkoxycarbonyl group,

(28) a carbamoyl group that may have 1 to 2

groups selected from the group consisting of the groups (i), (ii), (iv), (xii) and (xxi) below as a substituent(s):

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iv) a lower alkoxy lower alkyl group,

(xii) a lower alkyl group having 1 to 2 lower alkoxy carbonyl groups,

(xxi) a pyridyl lower alkyl group,

(29) an amino lower alkyl group that may have, on the amino group, 1 to 2 groups selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, a phenyl group, a phenyl lower alkyl group, a benzoyl group and an amino substituted lower alkyl group (which may have 1 to 2 lower alkyl groups may be present as a substituent(s) on the amino group);

(30) a lower alkyl group substituted with a single carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(33) an oxazolidinyl group that may have a single oxo group,

(34) an imidazolidinyl group that may have 1 to 2 substituents selected from the group consisting of an oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have a

single oxo group,

(36) an imidazolyl group,

(39) a piperidyl group that may have 1 to 3 substituents selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkyl phenylsulfonyl group, an oxo group, hydroxy group, and an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkanoylamino lower alkanoyl group,

(61) a piperazinyl group that may have 1 to 3 groups selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxycarbonyl group, and

(62) a morpholinyl group.

The present invention provides a compound represented by the general formula (1), wherein R^1 represents (I) a cyclohexyl group, and, on the cyclo C5-C6 alkyl group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4), (10), (17), (18), (21), (28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) defined in claim 8 may be present as a substituent(s).

The present invention provides a compound represented by the general formula (1), wherein R^1 represents (II) a phenyl group, and, on the aromatic group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4), (10), (17), (18) (21),

(28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) defined in claim 8 may be present as a substituent(s).

The present invention provides a compound represented by the general formula (1), wherein R^1 represents (II) a phenyl group, and, on the aromatic group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4), (10), (17), (18), (28), (33), (35), (39) and (61) shown below may be present as a substituent(s).

(1) a lower alkyl group,

(4) a lower alkoxy group,

(10) a hydroxy lower alkyl group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, a amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxy carbonylamino lower alkanoyl group, as a substituent(s),

(18) a lower alkanoyl group,

(28) a carbamoyl group having a single lower alkoxy lower alkyl group,

(33) an oxazolidinyl group that may have a single oxo group,

(35) a pyrrolidinyl group that may have a single oxo group,

(39) a piperidyl group, and

(61) a piperazinyl group that may have 1 to 2

groups selected from the group consisting of an oxo group, a lower alkanoyl group and a lower alkoxycarbonyl group.

The compound according to claim 11, wherein R^1 is a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single amino group having 1 or 2 lower alkyl groups on the amino group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single carbamoyl group having a single lower alkyl group, which has two lower alkoxy groups on the lower alkyl group;

a phenyl group having, on the phenyl group, a single hydroxy lower alkyl group, a single lower alkoxy group and a single oxazolidinyl group having a single oxo group on the oxazolidinyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single pyrrolidinyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single piperidyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single piperazyl group having a single lower alkanoyl group on the piperazyl group;

a phenyl group having, on the phenyl group, a

single lower alkyl group, a single lower alkoxy group and a single piperazyl group having a single lower alkanoyl group and a single oxo group on the piperazyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single piperazyl group having a single lower alkoxycarbonyl group and a single oxo group on the piperazyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single N-[(N-lower alkoxy-carbonylamino)lower alkanoyl]amino group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single N-(amino lower alkanoyl)amino group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single N-[(N-lower alkanoyl amino)lower alkanoyl]amino group;

a phenyl group having, on the phenyl group, a single lower alkoxy group, a single lower alkanoyl group and a single piperazyl group having a single lower alkoxycarbonyl group on the piperazyl group; or

a phenyl group having, on the phenyl group, a single lower alkoxy group, a single hydroxy lower alkyl group and a single piperazyl group having a single lower alkoxycarbonyl group on the piperazyl group.

The present invention provides a compound represented by the general formula (1), wherein R^1 represents a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a piperidyl group, pyrazolyl group and thiazolyl group, and, on the heterocyclic group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4), (10), (17), (18), (21), (28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) defined in claim 8 may be present as a substituent(s).

The present invention provides a compound represented by the general formula (1), wherein R^1 represents (III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a piperidyl group, pyrazolyl group and thiazolyl group, and, on the heterocyclic group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (17) and (28) shown below may be present as a substituent(s).

(1) a lower alkyl group;

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group and a lower alkanoyl group, as a substituent(s); and

(28) a carbamoyl group that may have 1 to 2 lower alkyl groups.

The present invention provides a compound represented by the general formula (1), wherein R^1

represents

a pyrazolyl group having a single lower alkyl group and a single lower alkanoyl amino group;

a pyrazolyl group having a single lower alkyl group and a single N,N-di-lower alkyl amino group;

a piperidyl group having a single N,N-di-lower alkyl carbamoyl group; or

a thiazolyl group having a single N,N-di-lower alkyl carbamoyl group.

The present invention provides a pharmaceutical composition comprising a heterocyclic compound of the general formula (1) or a salt thereof according to the present invention, as an active ingredient and a pharmaceutically acceptable carrier.

The present invention provides a pharmaceutical composition according to the present invention can be used as a pharmaceutical composition for treating or preventing central nervous system disorders.

The present invention provides a pharmaceutical composition according to the present invention can be used as a pharmaceutical composition for treating or preventing central nervous system disorders selected from the group consisting of schizophrenia; refractory, intractable or chronic schizophrenia; emotional disturbance; psychotic disorder; mood disorder; bipolar I type disorder; bipolar II type disorder; depression; endogenous;

depression; major depression; melancholy and refractory depression; dysthymic disorder; cyclothymic disorder; panic attack; panic disorder; agoraphobia; social phobia; obsessive-compulsive disorder; post-traumatic stress disorder; generalized anxiety disorder; acute stress disorder; hysteria; somatization disorder; conversion disorder; pain disorder; hypochondriasis; factitious disorder; dissociative disorder; sexual dysfunction; sexual desire disorder; sexual arousal disorder; erectile dysfunction; anorexia nervosa; bulimia nervosa; sleep disorder; adjustment disorder; alcohol abuse; alcohol intoxication; drug addiction; stimulant intoxication; narcotism; anhedonia; iatrogenic anhedonia; anhedonia of a psychic or mental cause; anhedonia associated with depression; anhedonia associated with schizophrenia; delirium; cognitive impairment; cognitive impairment associated with Alzheimer's disease, Parkinson's disease and other neurodegenerative diseases; cognitive impairment caused by Alzheimer's disease; Parkinson's disease and associated neurodegenerative diseases; cognitive impairment of schizophrenia; cognitive impairment caused by refractory, intractable or chronic schizophrenia; vomiting; motion sickness; obesity; migraine; pain (ache); mental retardation; autism disorder (autism); Tourette's disorder; tic disorder; attention-deficit/hyperactivity disorder; conduct disorder; and Down's syndrome.

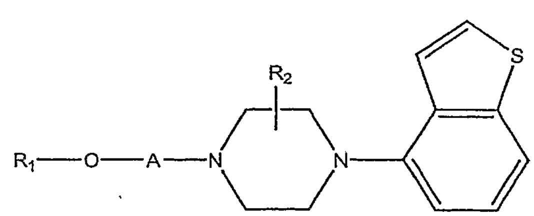
The present invention provides a process for producing a pharmaceutical composition comprising mixing a heterocyclic compound represented by the formula (1) or a salt thereof with a pharmaceutically acceptable carrier.

The present invention provides use of a heterocyclic compound represented by the formula (1) or a salt thereof as a drug.

Specifically provided is of a heterocyclic compound represented by the formula (1) or a salt thereof, as a dopamine D_2 receptor partial agonist and/or serotonin 5-HT_{2A} receptor antagonist and/or an adrenaline α_1 receptor antagonist and/or a serotonin uptake inhibitor (or a serotonin reuptake inhibitor).

The present invention provides a method for treating or preventing a central nervous system disorder comprising administering a heterocyclic compound of the formula (1) or a salt thereof to human or animal.

The present invention provides a process for producing a heterocyclic compound represented by the formula (1):



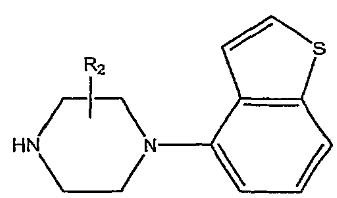
(1)

[wherein R_1, R_2 and A are the same as defined in claim

1] or a salt thereof, characterized by comprising a reaction of a compound represented by the formula:

$$R_1—O—A—X_1$$

[wherein R_1 and A are the same as defined above, and X_1 represents a halogen atom or a group which causes a substitution reaction the same as in a halogen atom] or a salt thereof with a compound represented by the formula:



[wherein R_2 is the same as defined above] or a salt thereof.

BEST MODE FOR CARRYING OUT THE INVENTION

Specific examples of each of the groups shown in the general formula (1) are as follows.

Specific examples of each of the groups shown in the general formula are as follows.

Examples of the lower alkyl group include a linear or branched alkyl group having 1 to 6 carbon atoms. Specific examples thereof include a methyl group, ethyl group, n-propyl group, isopropyl group, n-butyl group, isobutyl group, tert-butyl group, sec-

butyl group, n-pentyl group, 1-ethylpropyl group, isopentyl group, neo-pentyl group, n-hexyl group, 1,2,2-trimethylpropyl group, 3,3-dimethylbutyl group, 2-ethylbutyl group, isohexyl group, and 3-methylpentyl group.

Examples of the lower alkylene group include a linear or branched alkylene group having 1 to 6 carbon atoms. Specific examples thereof include a methylene group, ethylene group, trimethylene group, 2-methyltrimethylene group, 2,2-dimethylethylene group, 2,2-dimethyltrimethylene group, 1-methyltrimethylene group, methylmethylene group, ethylmethylene group, tetramethylene group, pentamethylene group, and hexamethylene group.

Examples of the lower alkenylene group include a linear or branched alkenylene group having 1 to 3 double bonds and 2 to 6 carbon atoms. Specific examples thereof include a vinylene group, 1-propenylene group, 1-methyl-1-propenylene group, 2-methyl-1-propenylene group, 2-propenylene group, 2-butenylene group, 1-butenylene group, 3-butenylene group, 2-pentenylene group, 1-pentenylene group, 3-pentenylene group, 4-pentenylene group, 1,3-butadienylene group, 1,3-pentadienylene group, 2-penten-4-ynylene group, 2-hexenylene group, 1-hexenylene group, 5-hexenylene group, 3-hexenylene group, 4-hexenylene group, 3,3-dimethyl-1-propenylene group, 2-ethyl-1-propenylene group, 1,3,5-

hexatrienylene group, 1,3-hexadienylene group, and 1,4-hexadienylene group.

Examples of the lower alkenyl group include a linear or branched alkenyl group having 1 to 3 double bonds and 2 to 6 carbon atoms, including both a trans and cis-configurations. Specific examples thereof include a vinyl group, 1-propenyl group, 2-propenyl group, 1-methyl-1-propenyl group, 2-methyl-1-propenyl group, 2-methyl-2-propenyl group, 2-propenyl group, 2-butenyl group, 1-butenyl group, 3-butenyl group, 2-pentenyl group, 1-pentenyl group, 3-pentenyl group, 4-pentenyl group, 1,3-butadienyl group, 1,3-pentadienyl group, 2-penten-4-yl group, 2-hexenyl group, 1-hexenyl group, 5-hexenyl group, 3-hexenyl group, 4-hexenyl group, 3,3-dimethyl-1-propenyl group, 2-ethyl-1-propenyl group, 1,3,5-hexatrienyl group, 1,3-hexadienyl group, and 1,4-hexadienyl group.

Examples of the halogen atom include a fluorine atom, chlorine atom, bromine atom and iodine atom.

Examples of the halogen substituted lower alkyl group include a lower alkyl group as illustrated above substituted with 1 to 7, more preferably, 1 to 3 halogen atoms. Specific examples thereof include a fluoromethyl group, difluoromethyl group, trifluoromethyl group, chloromethyl group, dichloromethyl group, trichloromethyl group, bromomethyl group, dibromomethyl group,

dichlorofluoromethyl group, 2,2-difluoroethyl group, 2,2,2-trifluoroethyl group, pentafluoroethyl group, 2-fluoroethyl group, 2-chloroethyl group, 3,3,3-trifluoropropyl group, heptafluoropropyl group, 2,2,3,3,3-pentafluoropropyl group, heptafluoroisopropyl group, 3-chloropropyl group, 2-chloropropyl group, 3-bromopropyl group, 4,4,4-trifluorobutyl group, 4,4,4,3,3-pentafluorobutyl group, 4-chlorobutyl group, 4-bromobutyl group, 2-chlorobutyl group, 5,5,5-trifluoropentyl group, 5-chloropentyl group, 6,6,6-trifluorohexyl group, 6-chlorohexyl group, and perfluorohexyl group.

Examples of the lower alkoxy group include a linear or branched alkoxy group having 1 to 6 carbon atoms. Specific examples thereof include a methoxy group, ethoxy group, n-propoxy group, isopropoxy group, n-butoxy group, isobutoxy group, tert-butoxy group, sec-butoxy group, n-pentyloxy group, isopentyloxy group, neopentyloxy group, n-hexyloxy group, isohexyloxy group, and 3-methylpentyloxy group.

Examples of the aryl group include a phenyl group, substituted phenyl group, biphenyl group, substituted biphenyl group, naphthyl group, and substituted naphthyl group. Examples of the substituent for an aryl group include a lower alkyl group as illustrated above (preferably a linear or branched lower alkyl group having 1 to 6 carbon atoms), a halogen atom as illustrated above, and an amino

group. On the aryl group, 1 to 7, preferably 1 to 5, more preferably, 1 to 2 substituents of at least one type of these may be present. Specific examples of the aryl group may include a phenyl group, (2-, 3-, or 4-)biphenyl group, (1- or 2-)naphthyl group, (2-, 3-, or 4-)methylphenyl group, (2-, 3-, or 4-)ethylphenyl group, (2-, 3-, or 4-)n-propylphenyl group, (2-, 3-, or 4-)n-butylphenyl group, (2-, 3-, or 4-)n-pentylphenyl group, (2-, 3-, or 4-)n-hexylphenyl group, (2-, 3-, or 4-)isobutylphenyl group, (2-, 3-, or 4-)tert-butylphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-4-biphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-4-biphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-4-biphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-4-biphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-

pentyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-4-biphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-4-biphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-4-biphenyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-2-biphenyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-3-biphenyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-4-biphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-,

or 8-)isobutyl-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-2-naphthyl group, (2-, 3-, or 4-)chlorophenyl group, (2-, 3-, or 4-)fluorophenyl group, (2-, 3-, or 4-)bromophenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-2-naphthyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-2-naphthyl group, (2-, 3-, or 4-)aminophenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-1-naphthyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-2-naphthyl group, 2,3-dimethylphenyl group, 3,4-dimethylphenyl group, 2,4-dimethylphenyl group, 2,5-dimethylphenyl group, 2,6-dimethylphenyl group, 2,4,6-trimethylphenyl group, 3,4,5-trimethylphenyl group, 2,3,4,5-tetraethylphenyl group, pentamethylphenyl group, 2,4-dimethyl-1-naphthyl group, 2,3-dimethyl-1-naphthyl group, 3,4-dimethyl-1-naphthyl group, 3,5,7-triethylnaphthyl group, 3,4,5,7-tetramethyl-1-naphthyl group, 2,3,4,5,7-pentamethyl-1-naphthyl group, 2,3,4,5,6,7-hexaethyl-1-naphthyl group, heptamethyl-1-naphthyl group, 2,3-diaminophenyl group, 2,4,6-triaminophenyl group, and 2-methyl-5-chloro-1-naphthyl group.

Examples of the aryloxy group include a phenyloxy group, substituted phenyloxy group,

biphenyloxy group, substituted biphenyloxy group, naphthyloxy group, and substituted naphthyloxy group. Examples of the substituent for an aryloxy group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms), a halogen atom as illustrated above, and an amino group. On the aryl group, 1 to 7, preferably 1 to 5, more preferably, 1 to 2 substituents of at least one type of these may be present. Specific examples of the aryloxy groups include a phenyloxy group, (2-, 3-, or 4-)biphenyloxy group, (1- or 2-)naphthyloxy group, (2-, 3-, or 4-)methylphenyloxy group, (2-, 3-, or 4-)ethylphenyloxy group, (2-, 3-, or 4-)n-propylphenyloxy group, (2-, 3-, or 4-)n-butylphenyloxy group, (2-, 3-, or 4-)n-pentylphenyloxy group, (2-, 3-, or 4-)n-hexylphenyloxy group, (2-, 3-, or 4-)isobutylphenyloxy group, (2-, 3-, or 4-)tert-butylphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-3-biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-4-biphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-3-biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-4-biphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-3-

biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-4-biphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-3-biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-4-biphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-3-biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-4-biphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-3-biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-4-biphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-3-biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-4-biphenyloxy group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-2-biphenyloxy group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-3-biphenyloxy group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-4-biphenyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-1-naphthyloxy

group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-2-naphthyloxy group, (2-, 3-, or 4-)chlorophenyloxy group, (2-, 3-, or 4-)fluorophenyloxy group, (2-, 3-, or 4-)bromophenyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-2-naphthyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-2-naphthyloxy group, (2-, 3-, or 4-)aminophenyloxy group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-1-naphthyloxy group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-2-naphthyloxy group, 2,3-dimethylphenyloxy group, 3,4-dimethylphenyloxy group, 2,4-dimethylphenyloxy group, 2,5-dimethylphenyloxy group, 2,6-dimethylphenyloxy group, 2,4,6-trimethylphenyloxy

group, 3,4,5-trimethylphenyloxy group, 2,3,4,5-tetraethylphenyloxy group, pentamethylphenyloxy group, 2,4-dimethyl-1-naphthyloxy group, 2,3-dimethyl-1-naphthyloxy group, 3,4-dimethyl-1-naphthyloxy group, 3,5,7-triethyl-1-naphthyloxy group, 3,4,5,7-tetramethyl-1-naphthyloxy group, 2,3,4,5,7-pentamethyl-1-naphthyloxy group, 2,3,4,5,6,7-hexaethyl-1-naphthyloxy group, heptamethyl-1-naphthyloxy group, 2,3-diaminophenyloxy group, 2,4,6-triaminophenyloxy group, and 2-methyl-5-chloro-1-naphthyloxy group.

Examples of the lower alkylthio group include a linear or branched alkylthio group having 1 to 6 carbon atoms. Specific examples thereof include a methylthio group, ethylthio group, n-propylthio group, isopropylthio group, n-butylthio group, tert-butylthio group, n-pentylthio group, and n-hexylthio group.

Examples of the halogen-substituted lower alkoxy group include a lower alkoxy group as illustrated above substituted with 1 to 7, preferably, 1 to 3 halogen atoms. Specific examples thereof include a fluoromethoxy group, difluoromethoxy group, trifluoromethoxy group, chloromethoxy group, dichloromethoxy group, trichloromethoxy group, bromomethoxy group, dibromomethoxy group, dichlorofluoromethoxy group, 2,2,2-trifluoroethoxy group, pentafluoroethoxy group, 2-chloroethoxy group, 3,3,3-trifluoropropoxy group, heptafluoropropoxy group, heptafluoroisopropoxy group, 3-chloropropoxy group, 2-

chloropropoxy group, 3-bromopropoxy group, 4,4,4-trifluorobutoxy group, 4,4,4,3,3-pentafluorobutoxy group, 4-chlorobutoxy group, 4-bromobutoxy group, 2-chlorobutoxy group, 5,5,5-trifluoropentoxy group, 5-chloropentoxy group, 6,6,6-trifluorohexyloxy group, and 6-chlorohexyloxy group.

Examples of the protecting group of a hydroxy group include a linear or branched alkyl group having 1 to 6 carbon atoms, a lower alkanoyl group (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms), and a phenyl lower alkyl group whose lower alkyl moiety is a linear or branched alkyl group having 1 to 6 carbon atoms.

Examples of the hydroxy group protected include a methoxy group, ethoxy group, n-propoxy group, isopropoxy group, n-butoxy group, isobutoxy group, tert-butoxy group, sec-butoxy group, n-pentyloxy group, isopentyloxy group, neopentyloxy group, n-hexyloxy group, isohexyloxy group, 3-methylpentyloxy group, lower alkanoyloxy group and phenyl lower alkoxy group. Specific examples include a formyloxy group, acetyloxy group, propionyloxy group, butyryloxy group, isobutyryloxy group, pentanoyloxy group, tert-butylcarbonyloxy group, hexanoyloxy group, benzyloxy group, 2-phenylethoxy group, 1-phenylethoxy group, 3-phenylpropoxy group, 4-phenylbutoxy group, 5-phenylpentyloxy group, 6-phenylhexyloxy group, 1,1-dimethyl-2-phenylethoxy group, and 2-methyl-3-

phenylpropoxy group.

Examples of the hydroxy lower alkyl group include a lower alkyl group as illustrated above having 1 to 5, preferably 1 to 3 hydroxy groups (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a hydroxymethyl group, 2-hydroxyethyl group, 1-hydroxyethyl group, 3-hydroxypropyl group, 2,3-dihydroxypropyl group, 4-hydroxybutyl group, 3,4-dihydroxybutyl group, 1,1-dimethyl-2-hydroxyethyl group, 5-hydroxypentyl group, 6-hydroxyhexyl group, 3,3-dimethyl-3-hydroxypropyl group, 2-methyl-3-hydroxypropyl group, 2,3,4-trihydroxybutyl group, and perhydroxyhexyl group.

Example of a protecting group of a hydroxy lower alkyl group include a linear or branched alkyl group having 1 to 6 carbon atoms, a lower alkanoyl group (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms), and a phenyl lower alkyl group whose lower alkyl moiety is a linear or branched alkyl group having 1 to 6 carbon atoms.

Examples of the hydroxy lower alkyl group protected include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 5, preferably 1 to 3 protected hydroxy groups as illustrated above (preferably a lower alkoxy group, lower alkanoyloxy group or phenyl lower alkoxy group). Specific examples

thereof include a methoxymethyl group, 2-methoxyethyl group, 2-ethoxyethyl group, 2-n-propoxyethyl group, 2-isopropoxyethyl group, 2-n-butoxyethyl group, 2-isobutoxyethyl group, 2-tert-butoxyethyl group, 2-sec-butoxyethyl group, 2-n-pentyloxyethyl group, 2-isopentyloxyethyl group, 2-neopentyloxyethyl group, 2-n-hexyloxyethyl group, 2-isohexyloxyethyl group, 2-(3-methylpentyloxy)ethyl group, 2-formyloxyethyl group, 2-acetyloxyethyl group, 2-propionyloxyethyl group, 2-butyryloxyethyl group, 2-isobutyryloxyethyl group, 2-pentanoyloxyethyl group, 2-tert-butylcarbonyloxyethyl group, 2-hexanoyloxyethyl group, 2-benzyloxyethyl group, 2-(2-phenylethoxy)ethyl group, 2-(1-phenylethoxy)ethyl group, 2-(3-phenylpropoxy)ethyl group, 2-(4-phenylbutoxy)ethyl group, 2-(5-phenylpentyloxy)ethyl group, 2-(6-phenylhexyloxy)ethyl group, 2-(1,1-dimethyl-2-phenylethoxy)ethyl group, 2-(2-methyl-3-phenylpropoxy)ethyl group, 3-ethoxypropyl group, 2,3-diethoxypropyl group, 4-ethoxybutyl group, 3,4-diethoxybutyl group, 1,1-dimethyl-2-ethoxyethyl group, 5-ethoxypentyl group, 6-ethoxyhexyl group, 3,3-dimethyl-3-ethoxypropyl group, 2-methyl-3-ethoxypropyl group, and 2,3,4-triethoxybutyl group.

Examples of the lower alkanoyl group include a linear or branched alkanoyl group having 1 to 6 carbon atoms. Specific examples thereof include a formyl group, acetyl group, propionyl group, butyryl group, isobutyryl group, pentanoyl group, tert-

butylcarbonyl group, and hexanoyl group.

Examples of the lower alkoxycarbonyl group include a linear or branched alkoxycarbonyl group whose lower alkoxy moiety is one as illustrated above, and preferably having 1 to 6 carbon atoms. Specific examples thereof include a methoxycarbonyl group, ethoxycarbonyl group, n-propoxycarbonyl group, isopropoxycarbonyl group, n-butoxycarbonyl group, isobutoxy carbonyl group, tert-butoxycarbonyl group, sec-butoxycarbonyl group, n-pentyloxycarbonyl group, neopentyloxy group, n-hexyloxycarbonyl group, isohexyloxycarbonyl group, and 3-methylpentyloxycarbonyl group.

Examples of the lower alkylsulfonyl group include a linear or branched alkylsulfonyl group whose lower alkyl moiety is one as illustrated above, and preferably having 1 to 6 carbon atoms. Specific examples thereof include a methylsulfonyl group, ethylsulfonyl group, n-propylsulfonyl group, isopropylsulfonyl group, n-butylsulfonyl group, isobutylsulfonyl group, tert-butylsulfonyl group, sec-butylsulfonyl group, n-pentylsulfonyl group, isopentylsulfonyl group, neopentylsulfonyl group, n-hexylsulfonyl group, isohexylsulfonyl group, and 3-methylpentylsulfonyl group.

Examples of the lower alkylcarbamoyl group include a carbamoyl group having 1 to 2 lower alkyl groups as illustrated above (preferably a linear or

branched alkyl group having 1 to 6 carbon atoms) as a substituent(s). Specific examples thereof include a N-methylcarbamoyl group, N,N-dimethylcarbamoyl group, N-ethylcarbamoyl group, N,N-diethylcarbamoyl group, N-n-propylcarbamoyl group, N-n-butylcarbamoyl group, N-n-pentylcarbamoyl group, N-n-hexylcarbamoyl group, N-isobutylcarbamoyl group, N-tert-butylcarbamoyl group, and N,N-di-n-propylcarbamoyl group.

Examples of the aminoalkanoyl group include a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms) having 1 to 3 (preferably 1) amino groups. Specific examples thereof include an aminoacetyl group, 3-aminopropionyl group, 4-aminobutyryl group, 3,4-diaminobutyryl group, 3,3-dimethyl-3-aminopropionyl group, 4-aminobutyryl group and 5-aminovaleryl group.

Examples of the lower alkanoyl amino lower alkanoyl group include a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms) whose lower alkanoyl moiety has 1 to 3 (preferably 1) lower alkanoylamino groups as illustrated above. Specific examples thereof include an N-formylaminoacetyl group, N-acetylaminoacetyl group, N-propionylaminoacetyl group, 3-(N-acetylamino)propionyl group, 4-(N-acetylamino)butyryl group, 3,4-di(N-acetylamino)butyryl group, 3,3-dimethyl-3-(N-propinylamino)propionyl group, 4-(N-formylamino)butyryl group, and 5-(N-

acetylamino)valeryl group.

Examples of the lower alkoxy carbonylamino lower alkanoyl group include a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms) whose lower alkoxycarbonyl moiety has 1 to 3 (preferably 1) lower alkoxy carbonylamino groups as illustrated above. Specific examples thereof include an N-methoxycarbonylaminoacetyl group, N-ethoxycarbonylaminoacetyl group, N-tert-butoxycarbonylaminoacetyl group, 3-(N-methoxycarbonylamino)propionyl group, 4-(N-acetylamino)butyryl group, 3,4-di(N-acetylamino)butyryl group, 3,3-dimethyl-3-(N-propinylamino)propionyl group, 4-(N-formylamino)butyryl group and 5-(N-acetylamino)valeryl group. Examples of the amino group having, as a substituent, a group selected from the group consisting of a lower alkyl group, lower alkanoyl group, lower alkoxycarbonyl group, lower alkylsulfonyl group, carbamoyl group, lower alkylcarbamoyl group, amino lower alkanoyl group, lower alkanoylamino lower alkanoyl group, and lower alkoxycarbonylamino lower alkanoyl group include an amino group having, as a substituent, 1 to 2 groups selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms);

a lower alkoxycarbonyl group as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms);

a lower alkylsulfonyl group as illustrated above (preferably a linear or branched alkylsulfonyl group having 1 to 6 carbon atoms);

a carbamoyl group;

a lower alkylcarbamoyl group as illustrated above (preferably a carbamoyl group having, as a substituent, 1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms)); an amino lower alkanoyl group as illustrated above; a lower alkanoylamino lower alkanoyl group as illustrated above; and a lower alkoxycarbonylamino lower alkanoyl group as illustrated above. Specific examples thereof include an amino group, N-methylamino group, N,N-dimethylamino group, N-ethylamino group, N-n-propylamino group, N-isopropylamino group, N-formylamino group, N-acetylamino group, N-tert-butoxycarbonylamino group, N-methoxycarbonylamino group, N-methylsulfonylamino group, N-ethylsulfonylamino group, N-methyl-N-acetylamino group, N-methyl-N-methoxycarbonylamino group, N-[N,N-dimethylcarbamoyl]amino group, N-carbamoylamino group, N-[N-methylcarbamoyl]amino group,

N-[N,N-diethylcarbamoyl]amino group, N-[aminoacetyl]amino group, N-[[N-formylamino]acetyl]amino group, N-[[N-acetylamino]acetyl]amino group, N-[[N-methoxycarbonylamino]acetyl]amino group, and N-[[N-tert-butoxycarbonylamino]acetyl]amino group.

Examples of the arylsulfonyl group that may have a lower alkyl group on an aryl group include an arylsulfonyl group whose aryl moiety is phenyl, biphenyl, naphthyl or the like and on which 1 to 7, preferably 1 to 5, more preferably, 1 to 2 linear or branched alkyl groups having 1 to 6 carbon atoms. Specific examples of the arylsulfonyl group that may have a lower alkyl group on an aryl group include a phenylsulfonyl group, (2-, 3-, or 4-)biphenylsulfonyl group, (1- or 2-)naphthylsulfonyl group, (2-, 3-, or 4-)methylphenylsulfonyl group, (2-, 3-, or 4-)ethylphenylsulfonyl group, (2-, 3-, or 4-)n-propylphenylsulfonyl group, (2-, 3-, or 4-)n-butylphenylsulfonyl group, (2-, 3-, or 4-)n-pentylphenylsulfonyl group, (2-, 3-, or 4-)n-hexylphenylsulfonyl group, (2-, 3-, or 4-)isobutylphenylsulfonyl group, (2-, 3-, or 4-)tert-butylphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-4-biphenylsulfonyl group, (3-, 4-,

5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-2-

biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-4-biphenylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-2-naphthylsulfonyl group, 2,3-dimethylphenylsulfonyl group, 3,4-dimethylphenylsulfonyl group, 2,4-dimethylphenylsulfonyl group, 2,5-dimethylphenylsulfonyl group, 2,6-dimethylphenylsulfonyl group, 2,4,6-

trimethylphenylsulfonyl group, 3,4,5-trimethylphenylsulfonyl group, 2,3,4,5-tetraethylphenylsulfonyl group, pentamethylphenylsulfonyl group, 2,4-dimethyl-1-naphthylsulfonyl group, 2,3-dimethyl-1-naphthylsulfonyl group, 3,4-dimethyl-1-naphthylsulfonyl group, 3,5,7-triethyl-1-naphthylsulfonyl group, 3,4,5,7-tetramethyl-1-naphthylsulfonyl group, 2,3,4,5,7-pentamethyl-1-naphthylsulfonyl group, 2,3,4,5,6,7-hexaethyl-1-naphthylsulfonyl group, and heptamethyl-1-naphthylsulfonyl group.

Examples of a carboxyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3 (preferably 1) carboxyl groups. Specific examples thereof include carboxymethyl group, 2-carboxyethyl group, 1-carboxyethyl group, 1-carboxy-1-methylethyl group, 3-carboxypropyl group, 2,3-dicarboxypropyl group, 4-carboxybutyl group, 3,4-dicarboxybutyl group, 1,1-dimethyl-2-carboxyethyl group, 5-carboxypentyl group, 6-carboxyhexyl group, 3,3-dimethyl-3-carboxypropyl group, 2-methyl-3-carboxypropyl group, and 2,3,4-tricarboxybutyl group.

Examples of a lower alkoxycarbonyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3 (preferably 1

to 2) lower alkoxycarbonyl groups as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms). Specific examples thereof include a methoxycarbonylmethyl group, ethoxycarbonylmethyl group, 1-methoxycarbonylethyl group, 2-methoxycarbonylethyl group, 2-ethoxycarbonylethyl group, 1-ethoxycarbonylethyl group, 3-methoxycarbonylpropyl group, 3-ethoxycarbonylpropyl group, 4-ethoxycarbonylbutyl group, 5-isopropoxycarbonylpentyl group, 6-n-propoxycarbonylhexyl group, 1,1-dimethyl-2-n-butoxycarbonylethyl group, 1-methyl-1-methoxycarbonylethyl group, 2-methyl-1-methoxycarbonylpropyl group, 2-methyl-3-tert-butoxycarbonylpropyl group, 3-methyl-1-methoxycarbonylbutyl group, diethoxycarbonylmethyl group, 1,2-diethoxycarbonylethyl group, 2-n-pentyloxycarbonylethyl group, and n-hexyloxycarbonylmethyl group.

Examples of the carbamoyl lower alkyl group that may have a group, as a substituent, selected from the group consisting of a lower alkyl group, a phenyl group that may have a lower alkyl group and a phenyl group that may have a lower alkoxy group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3 (preferably 1 to 2) carbamoyl groups. The carbamoyl moiety may have 1 to 2 groups

selected from the group consisting of a phenyl group that may have 1 to 3 (preferably 1) lower alkyl groups as illustrated above (preferably linear or branched alkyl groups having 1 to 6 carbon atoms) and a phenyl group that may have 1 to 3 (preferably 1) lower alkoxy groups as illustrated above (preferably linear or branched alkoxy groups having 1 to 6 carbon atoms). Specific examples of the carbamoyl lower alkyl group include a carbamoylmethyl group, dicarbamoylmethyl group, 2-carbamoylethyl group, 1-carbamoylethyl group, 1-carbamoyl-2-methylpropyl group, 3-carbamoylpropyl group, 4-carbamoylbutyl group, 5-carbamoylpentyl group, 6-carbamoylhexyl group, 1,1-dimethyl-2-carbamoylethyl group, 2-methyl-3-carbamoylpropyl group, N-methylcarbamoylmethyl group, N,N-dimethylcarbamoylmethyl group, N-methyl-N-ethylcarbamoylmethyl group, N-methylcarbamoylmethyl group, 2-(N-methylcarbamoyl)ethyl group, 2-(N-ethylcarbamoyl)ethyl group, N-phenylcarbamoylmethyl group, N-(2-methoxyphenyl)carbamoylmethyl group, and N-(4-methylphenyl)carbamoylmethyl group.

Examples of the carboxyl lower alkenyl group include a lower alkenyl group as illustrated above having 1 to 3, preferably 1, carboxyl groups and including both trans and cis configurations (preferably a linear or branched alkenyl group having 1 to 3 double bonds and 2 to 6 carbon atoms). Specific examples thereof include a 2-carboxyethenyl group, 3-carboxy-2-

propenyl group, 4-carboxy-2-butenyl group, 4-carboxy-3-butenyl group, 4-carboxy-1,3-butadienyl group, 5-carboxy-1,3,5-hexatrienyl group, 5-carboxy-2,4-hexadienyl group, 5-carboxy-3-pentenyl group, and 3-carboxy-1-propenyl group.

Examples of the lower alkoxycarbonyl lower alkenyl group include a lower alkenyl group as illustrated above (preferably a linear or branched alkenyl group having 1 to 3 double bonds and 2 to 6 carbon atoms) having 1 to 3 lower alkoxycarbonyl groups as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms) and including both trans and cis configurations. Specific example of the lower alkoxycarbonyl lower alkenyl group include a 2-methoxycarbonylethenyl group, 2-ethoxycarbonylethenyl group, 1-ethoxycarbonylethenyl group, 3-methoxycarbonyl-2-propenyl group, 3-ethoxycarbonyl-2-propenyl group, 4-ethoxycarbonyl-2-butenyl group, 4-ethoxycarbonyl-1,3-buthadienyl group, 5-isopropoxycarbonyl-3-pentenyl group, 6-n-propoxycarbonyl-1,3,5-hexatrienyl group, 1,1-dimethyl-2-n-butoxycarbonylethenyl group, 2-methyl-3-tert-butoxycarbonyl-2-propenyl group, and 2-n-pentyloxycarbonylethenyl group.

Examples of the carbamoyl lower alkenyl group include a lower alkenyl group as illustrated above (preferably a linear or branched alkenyl group having 2 to 6 carbon atoms and 1 to 3 double bonds) having 1 to

3, preferably 1, carbamoyl groups. Specific examples thereof include a 2-carbamoylethenyl group, 3-carbamoyl-2-propenyl group, 4-carbamoyl-2-butenyl group, 4-carbamoyl-3-butenyl group, 4-carbamoyl-1,3-butadienyl group, 5-carbamoyl-1,3,5-hexatrienyl group, 5-carbamoyl-2,4-hexadienyl group, 5-carbamoyl-3-pentenyl group, and 3-carbamoyl-1-propenyl group.

Examples of the carbamoyl lower alkenyl group that may have, as a substituent, a group selected from the group consisting of a lower alkyl group and a halogen-substituted lower alkyl group include a lower alkenyl group as illustrated above (preferably a linear or branched alkenyl group having 1 to 3 double bonds and 2 to 6 carbon atoms) having 1 to 3, preferably 1 carbamoyl group that may have, on the carbamoyl group, 1 to 2 substituents selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms); and

a halogen-substituted lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms having 1 to 7, preferably 1 to 3 substituents of halogen atoms). Specific examples thereof include a 2-carbamoylethenyl group, 2-(N-methylcarbamoyl)ethenyl group, 2-(N-ethylcarbamoyl)ethenyl group, 2-(N,N-dimethylcarbamoyl)ethenyl group, and 2-[N-(2,2,2-

trifluoroethyl)carbamoyl]ethenyl group.

Examples of the lower alkoxy lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3, preferably 1, lower alkoxy groups as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms). Specific examples thereof include a methoxymethyl group, 2-methoxyethyl group, 1-ethoxyethyl group, 2-ethoxyethyl group, 2-isobutoxyethyl group, 2,2-dimethoxyethyl group, 2-methoxy-1-methylethyl group, 2-methoxy-1-ethylethyl group, 3-methoxypropyl group, 3-ethoxypropyl group, 2-isopropoxyethyl group, 3-isopropoxypropyl group, 3-n-butoxypropyl group, 4-n-propoxybutyl group, 1-methyl-3-isobutoxy propyl group, 1,1-dimethyl-2-n-pentyloxyethyl group, 5-n-hexyloxypentyl group, 6-methoxyhexyl group, 1-ethoxyisopropyl group, and 2-methyl-3-methoxypropyl group.

Examples of the aryloxy lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3, preferably 1 aryloxy groups whose aryl moiety is phenyl, biphenyl, naphthyl or the like. Examples of a substituent for an aryl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms), a halogen atom as illustrated

above, and an amino group. One to seven substituents of at least one type of these may be present on an aryl ring. Specific examples of the aryloxy lower alkyl include a phenoxymethyl group, 2-phenoxyethyl group, 2-[(1- or 2-)naphthyloxy]ethyl group, 2-[(2-, 3-, or 4-)methylphenoxy]ethyl group, 2-[(2-, 3-, or 4-)ethylphenoxy]ethyl group, 2-[(2-, 3-, or 4-)n-propylphenoxy]ethyl group, 2-[(2-, 3-, or 4-)n-butylphenoxy]ethyl group, 2-[(2-, 3-, or 4-)n-pentylphenoxy]ethyl group, 2-[(2-, 3-, or 4-)n-hexylphenoxy]ethyl group, 2-[(2-, 3-, or 4-)isobutylphenoxy]ethyl group, 2-[(2-, 3-, or 4-)tert-butylphenoxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-2-naphthyloxy)ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-2-naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-2-naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-2-naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-2-naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-2-

naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-2-naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-2-naphthyloxy]ethyl group, 2-[(2-, 3-, or 4-)chlorophenoxy]ethyl group, 2-[(2-, 3-, or 4-)fluorophenoxy]ethyl group, 2-[(2-, 3-, or 4-)bromophenoxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-2-naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-2-naphthyloxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-2-naphthyloxy]ethyl group, 2-[(2-, 3-, or 4-)aminophenoxy]ethyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-1-naphthyloxy]ethyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-2-naphthyloxy]ethyl group, 2-(2,3-dimethylphenoxy)ethyl group, 2-(3,4-dimethylphenoxy)ethyl group, 2-(2,4-dimethylphenoxy)ethyl group, 2-(2,5-dimethylphenoxy)ethyl group, 2-(2,6-dimethylphenoxy)ethyl group, 2-(2,4,6-trimethylphenoxy)ethyl group, 2-(3,4,5-trimethylphenoxy)ethyl group, 2-(2,3,4,5-tetraethylphenoxy)ethyl group, 2-

(pentamethylphenoxy)ethyl group, 2-(2,4-dimethyl-1-naphthyloxy)ethyl group, 2-(2,3-dimethyl-1-naphthyloxy)ethyl group, 2-(3,4-dimethyl-1-naphthyloxy)ethyl group, 2-(3,5,7-triethyl-1-naphthyloxy)ethyl group, 2-(3,4,5,7-tetramethyl-1-naphthyloxy)ethyl group, 2-(2,3,4,5,7-pentamethyl-1-naphthyloxy)ethyl group, 2-(2,3,4,5,6,7-hexaethyl-1-naphthyloxy)ethyl group, 2-(heptamethyl-1-naphthyloxy)ethyl group, 2-(2,3-diaminophenoxy)ethyl group, 2-(2,4,6-triaminophenoxy)ethyl group, 2-(2-methyl-5-chloro-1-naphthyl)ethyl group, 3-phenoxypropyl group, 2,3-diphenoxypropyl group, 4-phenoxybutyl group, 3,4-diphenoxybutyl group, 1,1-dimethyl-2-phenoxyethyl group, 5-phenoxypentyl group, 6-phenoxyhexyl group, 3,3-dimethyl-3-phenoxypropyl group, 2-methyl-3-phenoxypropyl group, and 2,3,4-triphenoxybutyl group, 3-[(1- or 2-)naphthyloxy]propyl group, 2,3-di[(1- or 2-)naphthyloxy]propyl group, 4-[(1- or 2-)naphthyloxy]butyl group, 3,4-di[(1- or 2-)naphthyloxy]butyl group, 1,1-dimethyl-2-[(1- or 2-)naphthyloxy]ethyl group, 5-[(1- or 2-)naphthyloxy]pentyl group, 6-[(1- or 2-)naphthyloxy]hexyl group, 3,3-dimethyl-3-[(1- or 2-)naphthyloxy]propyl group, 2-methyl-3-[(1- or 2-)naphthyloxy]propyl group, and 2,3,4-tri[(1- or 2-)naphthyloxy]butyl group.

Examples of the amino lower alkyl group that may have a group selected from the group consisting of

a lower alkyl group, lower alkanoyl group, aroyl group and carbamoyl group include

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 5 (preferably 1) amino groups that may have 1 to 2 groups selected from the group consisting of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms), lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms), aroyl group as illustrated above (preferably benzoyl group) as illustrated above and carbamoyl group. Specific examples of the amino lower alkyl group include an aminomethyl group, 2-aminoethyl group, 1-aminoethyl group, 3-aminopropyl group, 4-aminobutyl group, 5-aminopentyl group, 6-aminohexyl group, 1,1-dimethyl-2-aminoethyl group, 2-methyl-3-aminopropyl group, N,N-dimethylaminomethyl group, N-methyl-N-ethylaminomethyl group, N-methylaminomethyl group, 2-(N-methylamino)ethyl group, 1-methyl-2-(N,N-dimethylamino)ethyl group, 1-methyl-2-(N,N-diethylamino)ethyl group, 2-(N,N-dimethylamino)ethyl group, 2-(N,N-diethylamino)ethyl group, 2-(N,N-diisopropylamino)ethyl group, 3-(N,N-dimethylamino)propyl group, 3-(N,N-diethylamino)propyl group, 2-(N-acetylamino)ethyl group, 2-(N-methyl-N-acetylamino)ethyl group, 2-(N-methyl-N-n-butyrylamino)ethyl group, 2-(N-methyl-N-

benzoylamino)ethyl group, and 2-(N-carbamoylamino)ethyl group.

Examples of the cyclo C3-C8 alkyl group include a cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, and cyclooctyl group.

Examples of the cyclo C3-C8 alkyl group that may have a group, as a substituent, selected from the group consisting of a lower alkyl group, hydroxy group, lower alkoxy carbonyl group and phenyl lower alkoxy group include a cyclo C3-C8 alkyl group that may have 1 to 3 (preferably 1) groups, as a substituent(s), selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a hydroxy group;

a lower alkoxy carbonyl group as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms); and

a lower alkoxy group (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms) having 1 to 3 (preferably 1) phenyl groups. Specific examples thereof include a cyclopropyl group, cyclobutyl group, cyclopentyl group, cyclohexyl group, cycloheptyl group, cyclooctyl group, 1-methylcyclopropyl group, 1-methylcyclopentyl group, 1-methylcyclohexyl group, 2-methylcyclohexyl group, 4-

hydroxycyclohexyl group, 4-methoxycarbonylcyclohexyl group, 2-benzyloxypentyl group, and 2-benzyloxyhexyl group.

Example of the cyclo C3-C8 alkyl substituted lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3, preferably 1 cyclo C3-C8 alkyl group as illustrated above. Specific examples thereof include a cyclopropylmethyl group, cyclohexylmethyl group, 2-cyclopropylethyl group, 1-cyclobutylethyl group, cyclopentylmethyl group, 3-cyclopentylpropyl group, 4-cyclohexylbutyl group, 5-cycloheptylpentyl group, 6-cyclooctylhexyl group, 1,1-dimethyl-2-cyclohexylethyl group, and 2-methyl-3-cyclopropylpropyl group.

Examples of the furyl lower alkyl group (that may have a substituent of a lower alkyl group on the furyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) furyl groups on which 1 to 3 (preferably 1 to 2) lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present as a substituent. Specific examples thereof include a [(2- or 3-)furyl]methyl group, 2-[(2- or 3-)furyl]ethyl group, 1-[(2- or 3-)furyl]ethyl group, 3-[(2- or 3-)furyl]propyl group, 4-[(2- or 3-)furyl]butyl group, 5-[(2- or

3-)furyl]pentyl group, 6-[(2- or 3-)furyl]hexyl group, 1,1-dimethyl-2-[(2- or 3-)furyl]ethyl group, 2-methyl-3-[(2- or 3-)furyl]propyl group, [5-ethyl-(2-, 3-, or 4-)furyl]methyl group, [5-methyl-(2-, 3-, or 4-)furyl]methyl group, [2-n-propyl-(3-, 4-, or 5-)furyl]methyl group, [3-tert-butyl-(2-, 4-, or 5-)furyl]methyl group, [4-n-pentyl-(2-, 3-, or 5-)furyl]methyl group, [2-n-hexyl-(3-, 4-, or 5-)furyl]methyl group, [2,5-dimethyl-(3- or 4-)furyl]methyl group, [2,5-diethyl-(3- or 4-)furyl]methyl group, and [2,4,5-triethyl-3-furyl]methyl group.

Examples of the tetrahydrofuryl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) tetrahydrofuryl groups. Specific examples thereof include a (2- or 3-)(2,3,4,5-tetrahydrofuryl)methyl group, 2-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]ethyl group, 1-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]ethyl group, 3-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]propyl group, 2,3-di[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]propyl group, 4-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]butyl group, 3,4-di[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]butyl group, 1,1-dimethyl-2-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]ethyl group, 5-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]pentyl group, 6-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]hexyl group, 3,3-

dimethyl-3-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]propyl group, 2-methyl-3-[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]propyl group, and 2,3,4-tri[(2- or 3-)(2,3,4,5-tetrahydrofuryl)]butyl group.

Examples of a 1,3-dioxolanyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) 1,3-dioxolanyl groups. Specific examples thereof include a [(2- or 4-)1,3-dioxolanyl]methyl group, 2-[(2- or 4-)1,3-dioxolanyl]ethyl group, 1-[(2- or 4-)1,3-dioxolanyl]ethyl group, 3-[(2- or 4-)1,3-dioxolanyl]propyl group, 4-[(2- or 4-)1,3-dioxolanyl]butyl group, 1,1-dimethyl-2-[(2- or 4-)1,3-dioxolanyl]ethyl group, 5-[(2- or 4-)1,3-dioxolanyl]pentyl group, 6-[(2- or 4-)1,3-dioxolanyl]hexyl group, 1-[(2- or 4-)1,3-dioxolanyl]isopropyl group, and 2-methyl-3-[(1-, 2-, or 4-)imidazolyl]propyl group.

Examples of the tetrahydropyranyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) tetrahydropyranyl groups. Specific examples thereof include a [(2-, 3-, or 4-)tetrahydropyranyl]methyl group, 2-[(2-, 3-, or 4-)tetrahydropyranyl]ethyl group, 1-[(2-, 3-, or 4-)tetrahydropyranyl]ethyl group, 3-[(2-, 3-, or 4-)tetrahydropyranyl]propyl group, 4-[(2-,

3-, or 4-)tetrahydropyranyl]butyl group, 1,1-dimethyl-2-[(2-, 3-, or 4-)tetrahydropyranyl]ethyl group, 5-[(2-, 3-, or 4-)tetrahydropyranyl]pentyl group, 6-[(2-, 3-, or 4-)tetrahydropyranyl]hexyl group, 1-[(2-, 3-, or 4-)tetrahydropyranyl]isopropyl group, and 2-methyl-3-[(2-, 3-, or 4-)tetrahydropyranyl]propyl group.

Examples of the pyrrolyl lower alkyl group (that may have a substituent of a lower alkyl group on the pyrrolyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) pyrrolyl groups on which 1 to 3 (preferably 1 to 2) lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present as a substituent(s). Specific examples thereof include a [(1-, 2-, or 3-)pyrrolyl]methyl group, 2-[(1-, 2-, or 3-)pyrrolyl]ethyl group, 1-[(1-, 2-, or 3-)pyrrolyl]ethyl group, 3-[(1-, 2-, or 3-)pyrrolyl]propyl group, 4-[(1-, 2-, or 3-)pyrrolyl]butyl group, 1,1-dimethyl-2-[(1-, 2-, or 3-)pyrrolyl]ethyl group, 5-[(1-, 2-, or 3-)pyrrolyl]pentyl group, 6-[(1-, 2-, or 3-)pyrrolyl]hexyl group, 1-[(1-, 2-, or 3-)pyrrolyl]isopropyl group, 2-methyl-3-[(1-, 2-, or 3-)pyrrolyl]propyl group, [1-methyl-(2- or 3-)pyrrolyl]methyl group, [1-ethyl-(2- or 3-)pyrrolyl]methyl group, [1-n-propyl-(2- or

3-)pyrrolyl]methyl group, [1-n-butyl-(2- or 3-)pyrrolyl]methyl group, [1-n-pentyl-(2- or 3-)pyrrolyl]methyl group, [1-n-hexyl-(2- or 3-)pyrrolyl]methyl group, 2-[5-methyl-(1-, 2-, 3-, or 4-)pyrrolyl]ethyl group, 1-[1-ethyl-(2- or 3-)pyrrolyl]ethyl group, 3-[1-ethyl-(2- or 3-)pyrrolyl]propyl group, 4-[1-n-propyl-(2- or 3-)pyrrolyl]butyl group, 5-[1-n-butyl-(2- or 3-)pyrrolyl]pentyl group, 6-[1-n-pentyl-(2- or 3-)pyrrolyl]hexyl group, [1,5-dimethyl-(2-, 3-, or 4-)pyrrolyl]methyl group, [1,3,5-trimethyl-2-pyrrolyl]methyl group, and [1,2,4-trimethyl-3-pyrrolyl]methyl group.

Examples of the lower alkyl group substituted with a dihydropyrazolyl group that may have an oxo group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having a 2,3-dihydropyrazolyl group or 4,5-dihydropyrazolyl group as a dihydropyrazolyl group, on which an oxo group may be present. Specific examples thereof include a 3-(2,3- or 4,5-)dihydropyrazolylmethyl group, 2-[4-(2,3- or 4,5-)dihydropyrazolyl]ethyl group, 1-[5-(2,3- or 4,5-)dihydropyrazolyl]ethyl group, 3-[3-(2,3- or 4,5-)dihydropyrazolyl]propyl group, 4-[4-(2,3- or 4,5-)dihydropyrazolyl]butyl group, 5-[1-(2,3- or 4,5-)dihydropyrazolyl]pentyl group, 6-[5-(2,3- or 4,5-)dihydropyrazolyl]hexyl group, 2-methyl-3-[1-(2,3-

or 4,5-)dihydropyrazolyl]propyl group, 1,1-dimethyl-2-[3-(2,3- or 4,5-)dihydropyrazolyl]ethyl group, 5-oxo-4-(4,5-dihydropyrazolyl)methyl group, 2-[5-oxo-4-(4,5-dihydropyrazolyl)]ethyl group, and 3-[5-oxo-4-(4,5-dihydropyrazolyl)]propyl group.

Examples of the pyrazolyl lower alkyl group (that may have a substituent of a lower alkyl group on the pyrazolyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) pyrazolyl groups, on which 1 to 3 (preferably 1 to 2) lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present as a substituent(s). Specific examples thereof include a 3-pyrazolylmethyl group, 2-(4-pyrazolyl)ethyl group, 2-(1-pyrazolyl)ethyl group, 1-(5-pyrazolyl)ethyl group, 3-(3-pyrazolyl)propyl group, 4-(4-pyrazolyl)butyl group, 5-(1-pyrazolyl)pentyl group, 6-(5-pyrazolyl)hexyl group, 2-methyl-3-(1-pyrazolyl)propyl group, 1,1-dimethyl-2-(3-pyrazolyl)ethyl group, 1-methyl-3-pyrazolylmethyl group, 1-ethyl-3-pyrazolylmethyl group, 1-n-propyl-3-pyrazolylmethyl group, 1-n-butyl-3-pyrazolylmethyl group, 1-n-pentyl-3-pyrazolylmethyl group, 1-methyl-4-pyrazolylmethyl group, 5-methyl-3-pyrazolylmethyl group, 1-ethyl-4-pyrazolylmethyl group, 1-n-propyl-4-pyrazolylmethyl group, 1-n-butyl-4-pyrazolylmethyl group, 1-n-hexyl-4-

pyrazolylmethyl group, 3-methyl-1-pyrazolylmethyl group, 3-ethyl-1-pyrazolylmethyl group, 3-n-propyl-1-pyrazolylmethyl group, 3-n-butyl-1-pyrazolylmethyl group, 1,5-dimethyl-3-pyrazolylmethyl group, 3,5-dimethyl-4-pyrazolylmethyl group, 3,4-dimethyl-1-pyrazolylmethyl group, 1,3-dimethyl-5-pyrazolylmethyl group, 3,4-diethyl-1-pyrazolylmethyl group, 3,4-di-n-propyl-1-pyrazolylmethyl group, 3,4-di-n-butyl-1-pyrazolylmethyl group, 1,3,5-trimethyl-4-pyrazolylmethyl group, 3,4,5-trimethyl-1-pyrazolylmethyl group, 3,4,5-triethyl-1-pyrazolylmethyl group, 3,4,5-tri-n-propyl-1-pyrazolylmethyl group, 3,4,5-tri-n-butyl-1-pyrazolylmethyl group, 1-methyl-5-pyrazolylmethyl group, 1-ethyl-5-pyrazolylmethyl group, 1-n-propyl-5-pyrazolylmethyl group, 1-n-butyl-5-pyrazolylmethyl group, 2-(3-pyrazolyl)ethyl group, 3-(3-pyrazolyl)propyl group, 4-(3-pyrazolyl)butyl group, 5-(3-pyrazolyl)pentyl group, 6-(3-pyrazolyl)hexyl group, 2-(1-(4-chlorophenyl)-3-pyrazolyl)ethyl group, 3-(1-methyl-3-pyrazolyl)propyl group, 3-(3-methyl-4-pyrazolyl)propyl group, 3-(5-methyl-4-pyrazolyl)propyl group, 3-(1,5-dimethyl-3-pyrazolyl)propyl group, 3-(1-ethyl-3-pyrazolyl)propyl group, 3-(1-n-propyl-3-pyrazolyl)propyl group, 3-(1-n-butyl-3-pyrazolyl)propyl group, 4-(1-methyl-3-pyrazolyl)butyl group, 4-(1-ethyl-3-pyrazolyl)butyl group, 4-(1-n-propyl-3-pyrazolyl)butyl group, 4-(1-n-butyl-3-pyrazolyl)butyl group, 5-(1-methyl-3-pyrazolyl)pentyl group, 5-(1-

ethyl-3-pyrazolyl)pentyl group, 5-(1-n-propyl-3-pyrazolyl)pentyl group, 5-(1-n-butyl-3-pyrazolyl)pentyl group, 6-(1-methyl-3-pyrazolyl)hexyl group, 6-(1-ethyl-3-pyrazolyl)hexyl group, 6-(1-n-propyl-3-pyrazolyl)hexyl group, and 6-[1-(3-butyl)-3-pyrazolyl]hexyl group.

Examples of the imidazolyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) imidazolyl groups. Specific examples thereof include a [(1-, 2-, 4- or 5-)imidazolyl]methyl group, 2-[(1-, 2-, 4- or 5-)imidazolyl]ethyl group, 1-[(1-, 2-, 4- or 5-)imidazolyl]ethyl group, 3-[(1-, 2-, 4- or 5-)imidazolyl]propyl group, 4-[(1-, 2-, 4- or 5-)imidazolyl]butyl group, 1,1-dimethyl-2-[(1-, 2-, 4- or 5-)imidazolyl]ethyl group, 5-[(1-, 2-, 4- or 5-)imidazolyl]pentyl group, 6-[(1-, 2-, 4- or 5-)imidazolyl]hexyl group, 1-[(1-, 2-, 4- or 5-)imidazolyl]isopropyl group, and 2-methyl-3-[(1-, 2-, 4- or 5-)imidazolyl]propyl group.

Examples of the pyridyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) pyridyl groups. Specific examples thereof include a (2-, 3- or 4-)pyridylmethyl group, 2-[(2-, 3- or 4-)pyridyl]methyl group, 1-[(2-, 3- or 4-)pyridyl]ethyl group, 3-[(2-, 3-

or 4-)pyridyl]propyl group, 4-[(2-, 3- or 4-)pyridyl]butyl group, 1,1-dimethyl-2-[(2-, 3- or 4-)pyridyl]ethyl group, 5-[(2-, 3- or 4-)pyridyl]pentyl group, 6-[(2-, 3- or 4-)pyridyl]hexyl group, 1-[(2-, 3- or 4-)pyridyl]isopropyl group, 2-methyl-3-[(2-, 3- or 4-)pyridyl]propyl group.

Examples of the pyrazinyl lower alkyl group (a lower alkyl group may be present as a substituent on the pyrazinyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) pyrazinyl groups on which 1 to 3 (preferably 1) lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present as a substituent(s). Specific examples thereof include a 2-pyrazinylmethyl group, 2-(2-pyrazinyl)ethyl group, 1-(2-pyrazinyl)ethyl group, 3-(2-pyrazinyl)propyl group, 4-(2-pyrazinyl)butyl group, 5-(2-pyrazinyl)pentyl group, 6-(2-pyrazinyl)hexyl group, 3-methyl-3-(2-pyrazinyl)propyl group, 1,1-dimethyl-2-(2-pyrazinyl)ethyl group, 3-methyl-2-pyrazinylmethyl group, 3-ethyl-2-pyrazinylmethyl group, 3-n-propyl-2-pyrazinylmethyl group, 3-n-butyl-2-pyrazinylmethyl group, 3-n-pentyl-2-pyrazinylmethyl group, 5-methyl-2-pyrazinylmethyl group, 5-ethyl-2-pyrazinylmethyl group, 5-n-propyl-2-pyrazinylmethyl group, 5-n-butyl-2-pyrazinylmethyl group, 6-methyl-2-pyrazinylmethyl

group, 6-ethyl-2-pyrazinylmethyl group, 6-n-propyl-2-pyrazinylmethyl group, 6-n-butyl-2-pyrazinylmethyl group, 3,5-dimethyl-2-pyrazinylmethyl group, 3,5-diethyl-2-pyrazinylmethyl group, 3,5-di-n-propyl-2-pyrazinylmethyl group, 3,5-di-n-butyl-2-pyrazinylmethyl group, 2-(5-methyl-2-pyrazinyl)ethyl group, 2-(5-ethyl-2-pyrazinyl)ethyl group, 2-(5-n-propyl-2-pyrazinyl)ethyl group, 2-(5-n-butyl-2-pyrazinyl)ethyl group, 3-(5-methyl-2-pyrazinyl)propyl group, 3-(5-ethyl-2-pyrazinyl)propyl group, 3-(5-n-propyl-2-pyrazinyl)propyl group, 3-(5-n-butyl-2-pyrazinyl)propyl group, 4-(5-methyl-2-pyrazinyl)butyl group, 4-(5-ethyl-2-pyrazinyl)butyl group, 4-(5-n-propyl-2-pyrazinyl)butyl group, 4-(5-n-butyl-2-pyrazinyl)butyl group, 5-(5-methyl-2-pyrazinyl)pentyl group, 5-(5-ethyl-2-pyrazinyl)pentyl group, 5-(5-n-propyl-2-pyrazinyl)pentyl group, 5-(5-n-butyl-2-pyrazinyl)pentyl group, 6-(5-methyl-2-pyrazinyl)hexyl group, 6-(5-ethyl-2-pyrazinyl)hexyl group, 6-(5-n-propyl-2-pyrazinyl)hexyl group, and 6-(5-n-butyl-2-pyrazinyl)hexyl group.

Examples of the pyrrolidinyl lower alkyl group (a group selected from the group consisting of an oxo group and a lower alkyl group may be present as a substituent on the pyrrolidinyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) pyrrolidinyl groups, on

which 1 to 3 (preferably 1) groups selected from the group consisting of an oxo group and a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present as a substituent(s). Specific examples thereof include a [(1-, 2-, or 3-)pyrrolidinyl]methyl group, 2-[(1-, 2-, or 3-)pyrrolidinyl]ethyl group, 1-[(1-, 2-, or 3-)pyrrolidinyl]ethyl group, 3-[(1-, 2-, or 3-)pyrrolidinyl]propyl group, 4-[(1-, 2-, or 3-)pyrrolidinyl]butyl group, 5-[(1-, 2-, or 3-)pyrrolidinyl]pentyl group, 6-[(1-, 2-, or 3-)pyrrolidinyl]hexyl group, 1-methyl-2-[(1-, 2-, or 3-)pyrrolidinyl]ethyl group, 1,1-dimethyl-2-[(1-, 2-, or 3-)pyrrolidinyl]ethyl group, 2-methyl-3-[(1-, 2-, or 3-)pyrrolidinyl)propyl group, 1-methyl-(2- or 3-)pyrrolidinylmethyl group, 1-ethyl-(2- or 3-)pyrrolidinylmethyl group, 1-n-propyl-(2- or 3-)pyrrolidinylmethyl group, 1-n-butyl-(2- or 3-)pyrrolidinylmethyl group, 1-n-pentyl-(2- or 3-)pyrrolidinylmethyl group, 1-n-hexyl-(2- or 3-)pyrrolidinylmethyl group, 2-methyl-1-pyrrolidinylmethyl group, 2-ethyl-1-pyrrolidinylmethyl group, 2-n-propyl-1-pyrrolidinylmethyl group, 2-n-butyl-1-pyrrolidinylmethyl group, 2-n-pentyl-1-pyrrolidinylmethyl group, 2-n-hexyl-1-pyrrolidinylmethyl group, 3-methyl-2-pyrrolidinylmethyl group, 3-ethyl-2-pyrrolidinylmethyl group, 3-n-propyl-2-pyrrolidinylmethyl group, 3-n-butyl-2-

pyrrolidinylmethyl group, 1,5-dimethyl-(2- or 3-)pyrrolidinylmethyl group, 1,5-di-ethyl-(2- or 3-)pyrrolidinylmethyl group, 1,5-di-n-propyl-(2- or 3-)pyrrolidinylmethyl group, 1,5-di-n-butyl-(2- or 3-)pyrrolidinylmethyl group, 1,4,5-triethyl-(2- or 3-)pyrrolidinylmethyl group, 1,4,5-tri-n-propyl-(2- or 3-)pyrrolidinylmethyl group, 1,4,5-tri-n-butyl-(2- or 3-)pyrrolidinylmethyl group, 3-[2-oxo-(1-pyrrolidinyl)propyl]group, 3-[5-oxo-(2-, 3-, or 4-)pyrrolidinyl]propyl group, and 3-[1-methyl-5-oxo-(2-, 3-, or 4-)pyrrolidinyl]propyl group.

Examples of the piperidyl lower alkyl group (that may have as a substituent on the piperidyl group, a group selected from the group consisting of a benzoyl group and a lower alkanoyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) piperidyl groups having 1 to 3 (preferably 1) groups, as a substituent(s), selected from the group consisting of a benzoyl group and a lower alkanoyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) on the piperidyl group(s). Specific examples thereof include a (1-, 2-, 3-, or 4-)piperidylmethyl group, 2-[(1-, 2-, 3-, or 4-)piperidyl]ethyl group, 2-[1-benzoyl-(2-, 3-, or 4-)piperidyl]ethyl group, 2-[1-acetyl-(2-, 3-, or 4-)piperidyl]ethyl group, 2-[1-butyryl-(2-, 3-, or 4-)piperidyl]ethyl group, 1-[(1-,

2-, 3-, or 4-)piperidyl]ethyl group, 3-[(1-, 2-, 3-, or 4-)piperidyl]propyl group, 4-[(1-, 2-, 3-, or 4-)piperidyl]butyl group, 1,1-dimethyl-2-[(1-, 2-, 3-, or 4-)piperidyl]ethyl group, 5-[(1-, 2-, 3-, or 4-)piperidyl]pentyl group, 6-[(1-, 2-, 3-, or 4-)piperidyl]hexyl group, 1-[(1-, 2-, 3-, or 4-)piperidyl]isopropyl group, and 2-methyl-3-[(1-, 2-, 3-, or 4-)piperidyl]propyl group.

Examples of the piperazinyl lower alkyl group (that may have a lower alkyl group as a substituent on the piperazinyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) piperazinyl groups, on which 1 to 3 (preferably 1) lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present as a substituent(s). Specific examples thereof include a 1-piperazinylmethyl group, 2-piperazinylmethyl group, 2-(1-piperazinyl)ethyl group, 2-(2-piperazinyl)ethyl group, 1-(1-piperazinyl)ethyl group, 1-(2-piperazinyl)ethyl group, 3-(1-piperazinyl)propyl group, 3-(2-piperazinyl)propyl group, 4-(1-piperazinyl)butyl group, 4-(2-piperazinyl)butyl group, 2-(4-ethyl-2-piperazinyl)ethyl group, 1-(4-n-propyl-2-piperazinyl)ethyl group, 2-(4-n-butyl-2-piperazinyl)ethyl group, 2-(4-n-pentyl-2-piperazinyl)ethyl group, 1-(4-n-hexyl-2-

piperazinyl)ethyl group, 2-(5-methyl-2-piperazinyl)ethyl group, 1-(5-ethyl-2-piperazinyl)ethyl group, 2-(5-n-propyl-2-piperazinyl)ethyl group, 1-(5-n-butyl-2-piperazinyl)ethyl group, 2-(5-n-pentyl-2-piperazinyl)ethyl group, 1-(5-n-hexyl-2-piperazinyl)ethyl group, 2-(6-methyl-2-piperazinyl)ethyl group, 1-(6-ethyl-2-piperazinyl)ethyl group, 2-(6-n-propyl-2-piperazinyl)ethyl group, 1-(6-n-butyl-2-piperazinyl)ethyl group, 2-(6-n-pentyl-2-piperazinyl)ethyl group, 2-(6-n-hexyl-2-piperazinyl)ethyl group, 3-(2-methyl-1-piperazinyl)propyl group, 3-(2-ethyl-1-piperazinyl)propyl group, 3-(2-n-propyl-1-piperazinyl)propyl group, 3-(2-n-butyl-1-piperazinyl)propyl group, 3-(2-n-pentyl-1-piperazinyl)propyl group, 3-(2-n-hexyl-1-piperazinyl)propyl group, 3-(3-methyl-1-piperazinyl)propyl group, 3-(3-ethyl-1-piperazinyl)propyl group, 3-(3-n-propyl-1-piperazinyl)propyl group, 3-(3-n-butyl-1-piperazinyl)propyl group, 3-(3-n-pentyl-1-piperazinyl)propyl group, 3-(3-n-hexyl-1-piperazinyl)propyl group, 3-(4-methyl-1-piperazinyl)propyl group, 3-(4-ethyl-1-piperazinyl)propyl group, 3-(4-n-propyl-1-piperazinyl)propyl group, 3-(4-n-butyl-1-piperazinyl)propyl group, 3-(4-n-pentyl-1-piperazinyl)propyl group, 6-(5-n-butyl-2-

piperazinyl)hexyl group, 6-(5-n-pentyl-2-piperazinyl)hexyl group, 6-(5-n-hexyl-2-piperazinyl)hexyl group, 6-(6-methyl-2-piperazinyl)hexyl group, 6-(6-ethyl-2-piperazinyl)hexyl group, 6-(6-n-propyl-2-piperazinyl)hexyl group, 6-(6-n-butyl-2-piperazinyl)hexyl group, 6-(6-n-pentyl-2-piperazinyl)hexyl group, 6-(6-n-hexyl-2-piperazinyl)hexyl group, 2,3-dimethyl-1-piperazinylmethyl group, 3,3-dimethyl-1-piperazinylmethyl group, and 2-(1,3,4-trimethyl-2-piperazinyl)ethyl group.

Examples of the morpholinyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) morpholinyl groups. Specific examples thereof include a 2-morpholinylmethyl group, 3-morpholinylmethyl group, 4-morpholinylmethyl group, 2-(2-morpholinyl)ethyl group, 2-(3-morpholinyl)ethyl group, 2-(4-morpholinyl)ethyl group, 1-(2-morpholinyl)ethyl group, 1-(3-morpholinyl)ethyl group, 1-(4-morpholinyl)ethyl group, 3-(2-morpholinyl)propyl group, 3-(3-morpholinyl)propyl group, 3-(4-morpholinyl)propyl group, 4-(2-morpholinyl)butyl group, 4-(3-morpholinyl)butyl group, 4-(4-morpholinyl)butyl group, 5-(2-morpholinyl)pentyl group, 5-(3-morpholinyl)pentyl group, 5-(4-morpholinyl)pentyl group, 6-(2-morpholinyl)hexyl group, 6-(3-morpholinyl)hexyl group,

6-(4-morpholinyl)hexyl group, 3-methyl-3-(2-morpholinyl)propyl group, 3-methyl-3-(3-morpholinyl)propyl group, 3-methyl-3-(4-morpholinyl)propyl group, 1,1-dimethyl-2-(2-morpholinyl)ethyl group, 1,1-dimethyl-2-(3-morpholinyl)ethyl group, and 1,1-dimethyl-2-(4-morpholinyl)ethyl group.

Example of a thienyl lower alkyl group (that may have a lower alkyl group as a substituent on the thienyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) thienyl groups, on which 1 to 3 (preferably 1) lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present as a substituent(s). Specific examples thereof include a (2- or 3-)thienylmethyl group, 2-[(2- or 3-)thienyl]ethyl group, 1-[(2- or 3-)thienyl]ethyl group, 3-[(2- or 3-)thienyl]propyl group, 4-[(2- or 3-)thienyl]butyl group, 5-[(2- or 3-)thienyl]pentyl group, 6-[(2- or 3-)thienyl]hexyl group, 1,1-dimethyl-2-[(2- or 3-)thienyl]ethyl group, 2-methyl-3-[(2- or 3-)thienyl]propyl group, 3-methyl-(2-, 4-, or 5-)-thienylmethyl group, [5-methyl-(2, 3- or 4-)thienyl]methyl group, [4-ethyl-(2- or 3-)thienyl]methyl group, [5-n-propyl-(2, 3- or 4-)thienyl]methyl group, [3-n-butyl-(2-, 4-, or 5-)-

thienyl]]]methyl group, [4,5-dimethyl-(2- or 3-)thienyl]methyl group, (3,4,5-trimethyl-2-thienyl)methyl group, 2-[3-methyl-(2-, 4-, or 5-)-thienyl]ethyl group, 1-[4-n-pentyl-(2- or 3-)thienyl]ethyl group, 3-[3-hexyl-2-thienyl]propyl group, 4-[4,5-dimethyl-(2- or 3-)thienyl]butyl group, 5-(2,4,5-trimethyl-3-thienyl)pentyl group, and 6-[5-ethyl-(2-, 3-, or 4-)thienyl]hexyl group.

Examples of the thiazolyl group include a (2-, 4- or 5-) thiazolyl group.

Examples of the thiazolyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) thiazolyl groups. Specific examples thereof include a (2-, 4-, or 5-)thiazolylmethyl group, 2-[(2-, 4-, or 5-)thiazolyl)ethyl group, 1-[(2-, 4-, or 5-)thiazolyl]ethyl group, 3-[(2-, 4-, or 5-)thiazolyl]propyl group, 4-[(2-, 4-, or 5-)thiazolyl]butyl group, 5-[(2-, 4-, or 5-)thiazolyl)]pentyl group, 6-[(2-, 4-, or 5-)thiazolyl)]hexyl group, 1,1-dimethyl-2-[(2-, 4-, or 5-)thiazolyl]ethyl group, and [2-methyl-3-[(2-, 4-, or 5-)thiazolyl]propyl group.

Examples of the dihydrobenzofuryl group include a 2,3-dihydro-(2-, 3-, 4-, 5-, 6- or 7-)benzofuryl group.

Examples of the dihydrobenzofuryl lower alkyl

group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) dihydrobenzofuryl groups. Specific examples thereof include a 2,3-dihydro-4-benzofurylmethyl group, 2-(2,3-dihydro-4-benzofuryl)ethyl group, 3-(2,3-dihydro-4-benzofuryl)propyl group, 4-(2,3-dihydro-4-benzofuryl)butyl group, 5-(2,3-dihydro-4-benzofuryl)pentyl group, 6-(2,3-dihydro-4-benzofuryl)hexyl group, 2,3-dihydro-5-benzofurylmethyl group, 2-(2,3-dihydro-5-benzofuryl)ethyl group, 3-(2,3-dihydro-5-benzofuryl)propyl group, 4-(2,3-dihydro-5-benzofuryl)butyl group, 2,3-dihydro-6-benzofurylmethyl group, 2-(2,3-dihydro-6-benzofuryl)ethyl group, 3-(2,3-dihydro-6-benzofuryl)propyl group, 4-(2,3-dihydro-6-benzofuryl)butyl group, 5-(2,3-dihydro-6-benzofuryl)pentyl group, 2,3-dihydro-7-benzofurylmethyl group, 2,3-dihydro-7-benzofurylethyl group, 3-(2,3-dihydro-7-benzofuryl)propyl group, 4-(2,3-dihydro-7-benzofuryl)butyl group, and 6-(2,3-dihydro-7-benzofuryl)hexyl group.

Examples of the benzopyranyl lower alkyl group (that may have an oxo group as a substituent on the benzopyranyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) benzopyranyl groups on which an oxo group may be present as a substituent. Specific

examples thereof include a (4H-1-benzopyran-2-yl)methyl group, 2-(4H-1-benzopyran-2-yl)ethyl group, 3-(4H-1-benzopyran-2-yl)propyl group, 4-(4H-1-benzopyran-2-yl)butyl group, 5-(4H-1-benzopyran-2-yl)pentyl group, 6-(4H-1-benzopyran-2-yl)hexyl group, (4H-1-benzopyran-3-yl)methyl group, 2-(4H-1-benzopyran-3-yl)ethyl group, 3-(4H-1-benzopyran-3-yl)propyl group, 4-(4H-1-benzopyran-3-yl)butyl group, 5-(4H-1-benzopyran-3-yl)pentyl group, 6-(4H-1-benzopyran-3-yl)hexyl group, (4H-1-benzopyran-4-yl)methyl group, 2-(4H-1-benzopyran-4-yl)ethyl group, 3-(4H-1-benzopyran-4-yl)propyl group, 4-(4H-1-benzopyran-4-yl)butyl group, 5-(4H-1-benzopyran-4-yl)pentyl group, 6-(4H-1-benzopyran-4-yl)hexyl group, (2H-1-benzopyran-2-yl)methyl group, 2-(2H-1-benzopyran-2-yl)ethyl group, 3-(2H-1-benzopyran-2-yl)propyl group, 4-(2H-1-benzopyran-2-yl)butyl group, 5-(2H-1-benzopyran-2-yl)pentyl group, 6-(2H-1-benzopyran-2-yl)hexyl group, (2H-1-benzopyran-3-yl)methyl group, 2-(2H-1-benzopyran-3-yl)ethyl group, 3-(2H-1-benzopyran-3-yl)propyl group, 4-(2H-1-benzopyran-3-yl)butyl group, 5-(2H-1-benzopyran-3-yl)pentyl group, 6-(2H-1-benzopyran-3-yl)hexyl group, (2H-1-benzopyran-4-yl)methyl group, 2-(2H-1-benzopyran-4-yl)ethyl group, 3-(2H-1-benzopyran-4-yl)propyl group, 4-(2H-1-benzopyran-4-yl)butyl group, 5-(2H-1-benzopyran-4-yl)pentyl group, 6-(2H-1-benzopyran-4-yl)hexyl group, (1H-2-benzopyran-1-yl)methyl group, 2-(1H-2-benzopyran-1-yl)ethyl group, 3-(1H-2-benzopyran-

1-yl)propyl group, 4-(1H-2-benzopyran-1-yl)butyl group, 5-(1H-2-benzopyran-1-yl)pentyl group, 6-(1H-2-benzopyran-1-yl)hexyl group, (1H-2-benzopyran-3-yl)methyl group, 2-(1H-2-benzopyran-3-yl)ethyl group, 3-(1H-2-benzopyran-3-yl)propyl group, 4-(1H-2-benzopyran-3-yl)butyl group, 5-(1H-2-benzopyran-3-yl)pentyl group, 6-(1H-2-benzopyran-3-yl)hexyl group, (1H-2-benzopyran-3-yl)methyl group, 2-(1H-2-benzopyran-4-yl)ethyl group, 3-(1H-2-benzopyran-4-yl)propyl group, 4-(1H-2-benzopyran-4-yl)butyl group, 5-(1H-2-benzopyran-4-yl)pentyl group, 6-(1H-2-benzopyran-4-yl)hexyl group, (4-oxo-4H-1-benzopyran-2-yl)methyl group, 2-(4-oxo-4H-1-benzopyran-2-yl)ethyl group, 3-(4-oxo-4H-1-benzopyran-2-yl)propyl group, 4-(4-oxo-4H-1-benzopyran-2-yl)butyl group, 5-(4-oxo-4H-1-benzopyran-2-yl)pentyl group, 6-(4-oxo-4H-1-benzopyran-2-yl)hexyl group, (4-oxo-4H-1-benzopyran-3-yl)methyl group, 2-(4-oxo-4H-1-benzopyran-3-yl)ethyl group, 3-(4-oxo-4H-1-benzopyran-3-yl)propyl group, 4-(4-oxo-4H-1-benzopyran-3-yl)butyl group, 5-(4-oxo-4H-1-benzopyran-3-yl)pentyl group, 6-(4-oxo-4H-1-benzopyran-3-yl)hexyl group, (4-oxo-4H-1-benzopyran-4-yl)methyl group, (2-oxo-2H-1-benzopyran-3-yl)methyl group, 2-(2-oxo-2H-1-benzopyran-3-yl)ethyl group, 3-(2-oxo-2H-1-benzopyran-3-yl)propyl group, 4-(2-oxo-2H-1-benzopyran-3-yl)butyl group, 5-(2-oxo-2H-1-benzopyran-3-yl)pentyl group, 6-(2-oxo-2H-1-benzopyran-3-yl)hexyl group, (2-oxo-2H-1-benzopyran-4-yl)methyl group, 2-(2-oxo-2H-1-benzopyran-4-yl)ethyl

group, 3-(2-oxo-2H-1-benzopyran-4-yl)propyl group, 4-(2-oxo-2H-1-benzopyran-4-yl)butyl group, 5-(2-oxo-2H-1-benzopyran-4-yl)pentyl group, 6-(2-oxo-2H-1-benzopyran-4-yl)hexyl group, (1-oxo-1H-2-benzopyran-3-yl)methyl group, 2-(1-oxo-1H-2-benzopyran-3-yl)ethyl group, 3-(1-oxo-1H-2-benzopyran-3-yl)propyl group, 4-(1-oxo-1H-2-benzopyran-3-yl)butyl group, 5-(1-oxo-1H-2-benzopyran-3-yl)pentyl group, 6-(1-oxo-1H-2-benzopyran-3-yl)hexyl group, (1-oxo-1H-2-benzopyran-4-yl)methyl group, 2-(1-oxo-1H-2-benzopyran-4-yl)ethyl group, 3-(1-oxo-1H-2-benzopyran-4-yl)propyl group, 4-(1-oxo-1H-2-benzopyran-4-yl)butyl group, 5-(1-oxo-1H-2-benzopyran-4-yl)pentyl group, and 6-(1-oxo-1H-2-benzopyran-4-yl)hexyl group.

Examples of the benzimidazolyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) benzimidazolyl groups. Specific examples thereof include a 1-benzimidazolylmethyl group, 2-(1-benzimidazolyl)ethyl group, 3-(1-benzimidazolyl)propyl group, 4-(1-benzimidazolyl)butyl group, 5-(1-benzimidazolyl)pentyl group, 6-(1-benzimidazolyl)hexyl group, 2-benzimidazolylmethyl group, 2-(2-benzimidazolyl)ethyl group, 3-(2-benzimidazolyl)propyl group, 4-(2-benzimidazolyl)butyl group, 5-(2-benzimidazolyl)pentyl group, and 6-(2-benzimidazolyl)hexyl group.

Examples of the indolyl lower alkyl group

that may have a lower alkoxycarbonyl group on the lower alkyl group include a lower alkyl group (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) as illustrated above that may have 1 to 3 (preferably 1) lower alkoxycarbonyl groups as illustrated above (preferably linear or branched alkoxycarbonyl groups having 1 to 6 carbon atoms) that may have 1 to 2 (preferably 1) indolyl groups. Specific examples thereof include an indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylmethyl group, 2-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylethyl group, 3-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylpropyl group, 4-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylbutyl group, 5-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylpentyl group, 6-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylhexyl group, 3-methyl-3-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylpropyl group, 1,1-dimethyl-2-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylethyl group, and 1-methoxycarbonyl-2-indol(-1-, -2-, -3-, -4-, -5-, -6-, or -7-)ylethyl group.

Examples of the imidazolyl lower alkyl group having an substituent selected from the group consisting of a carbamoyl group and a lower alkoxycarbonyl group on the lower alkyl group include an imidazolyl lower alkyl group having a 1 to 3, preferably 1, substituents selected from the group consisting of a carbamoyl group and a lower alkoxycarbonyl group as illustrated above on the alkyl

group whose lower alkyl moiety is the same as that illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms. Specific examples thereof include a carbamoyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, methoxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, ethoxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, n-butoxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, isobutoxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, tert-butoxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, sec-butoxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, n-pentyloxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, neopentyloxy-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, n-hexyloxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, isohexyloxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, 3-methylpentyloxycarbonyl-[(1-, 2-, 4-, or 5-)imidazolyl]methyl group, 1-carbamoyl-2-[(1-, 2-, 4-, or 5-)imidazolyl]ethyl group, 1-methoxycarbonyl-2-[(1-, 2-, 4-, or 5-)imidazolyl]ethyl group, 1,1-dimethoxycarbonyl-2-[(1-, 2-, 4-, or 5-)imidazolyl]ethyl group, 1,1-dicarbamoyl-2-[(1-, 2-, 4-, or 5-)imidazolyl]ethyl group, 2-carbamoyl-1-[(1-, 2-, 4-, or 5-)imidazolyl]ethyl group, 2-methoxycarbonyl-3-[(1-, 2-, 4-, or 5-)imidazolyl]propyl group, 2-carbamoyl-4-[(1-, 2-, 4-, or 5-)imidazolyl]butyl group, 1-methyl-1-

carbamoylmethyl-2-[(1-, 2-, 4-, or 5-)imidazolyl]ethyl group, 2-methoxycarbonyl-5-[(1-, 2-, 4-, or 5-)imidazolyl]pentyl group, 3-carbamoyl-6-[(1-, 2-, 4-, or 5-)imidazolyl]hexyl group, 2-methoxycarbonyl-1-[(1-, 2-, 4-, or 5-)imidazolyl]isopropyl group, and 2-carbamoylmethyl-3-[(1-, 2-, 4-, or 5-)imidazolyl]propyl group.

Examples of the pyridyl group that may have a group selected from the group consisting of a lower alkyl group, lower alkoxy group, and lower alkylthio lower alkyl group, as a substituent include a pyridyl group that may have 1 to 4 (preferably 1) groups, as a substituent(s), which are selected from the group consisting of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms), a lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms), and a lower alkylthio lower alkyl group in which the two lower alkyl moieties each are composed of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a 2-pyridyl group, 3-pyridyl group, 4-pyridyl group, 4-methyl-2-pyridyl group, 5-methyl-2-pyridyl group, 5-ethyl-3-pyridyl group, 2-n-propyl-3-pyridyl group, 4-n-butyl-2-pyridyl group, 4-tert-butyl-2-pyridyl group, 5-n-pentyl-3-pyridyl group, 4-n-hexyl-2-pyridyl group, 4-methoxy-2-pyridyl group, 5-methoxy-2-

pyridyl group, 2-methylthiomethyl-3-pyridyl group, 5-ethylthiomethyl-2-pyridyl group, 4-n-propylthiomethyl-2-pyridyl group, 3-n-butylthiomethyl-2-pyridyl group, 5-n-pentylthiomethyl-3-pyridyl group, 4-n-hexylthiomethyl-3-pyridyl group, 2-(2-methylthioethyl)-3-pyridyl group, 2-(3-methylthiopropyl)-4-pyridyl group, 3-(4-methylthiobutyl)-4-pyridyl group, 3-(5-methylthiopentyl)-2-pyridyl group, 4-(6-methylthiohexyl)-2-pyridyl group, 3,4-dimethyl-2-pyridyl group, 2,4,6-triethyl-3-pyridyl group, 2,3,5,6-tetramethyl-4-pyridyl group, and 2-methyl-3-methylthiomethyl-4-pyridyl group.

Examples of the pyrrolidinyl group that may have a group selected from the group consisting of a lower alkyl group, lower alkoxycarbonyl group, lower alkanoyl group, and aroyl group as a substituent include a pyrrolidinyl group that may have 1 to 3, preferably 1 group, as a substituent(s), which is selected from the group consisting of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms), a lower alkoxycarbonyl group as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms) a lower alkanoyl group as described above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms), and an aroyl group (preferably a benzoyl group). Specific examples thereof include a pyrrolidin-1-yl group,

pyrrolidin-2-yl group, pyrrolidin-3-yl group, 1-methylpyrrolidin-3-yl group, 2-ethylpyrrolidin-3-yl group, 3-n-propylpyrrolidin-3-yl group, 4-n-butylpyrrolidin-3-yl group, 1-tert-butylpyrrolidin-3-yl group, 5-n-pentylpyrrolidin-3-yl group, 1-n-hexylpyrrolidin-2-yl group, 2-methoxycarbonyl-2-yl group, 3-ethoxycarbonylpyrrolidin-2-yl group, 1-tert-butoxycarbonylpyrrolidin-3-yl group, 4-propoxycarbonylpyrrolidin-2-yl group, 5-butoxycarbonylpyrrolidin-2-yl group, 1-pentoxycarbonyl-2-yl group, 2-hexyloxycarbonylpyrrolidin-2-yl group, 1,3-dimethoxycarbonylpyrrolidin-2-yl group, 3,4,5-triethylpyrrolidin-2-yl group, 2,3,4,5-tetramethylpyrrolidin-1-yl group, 2,4-dimethoxycarbonylpyrrolidin-1-yl group, 3,4,5-triethoxycarbonylpyrrolidin-1-yl group, 2-methyl-4-methoxycarbonylpyrrolidin-1-yl group, 1-benzoylpyrrolidin-3-yl group, 1-acetylpyrrolidin-3-yl group, and 1-butyrylpyrrolidin-3-yl group.

Examples of the piperidyl group that may have a group as a substituent selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, and an aroyl group that may have a group selected from the group consisting of a lower alkyl group and a halogen atom include a piperidyl group that may have 1 to 5 (preferably 1 to 4) groups, as a substituent(s), which are selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms);

a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms); and

an aroyl group that may have 1 to 3 groups (preferably 1 group) selected from the group consisting of a lower alkyl group as illustrated above and a halogen atom as illustrated above (preferably a benzoyl group).

Specific examples thereof include a 1-piperidyl group, 2-piperidyl group, 3-piperidyl group, 4-piperidyl group, 1-methyl-4-piperidyl group, 2-ethyl-4-piperidyl group, 3-n-propyl-4-piperidyl group, 4-n-butyl-4-piperidyl group, 1-n-pentyl-4-piperidyl group, 2-n-hexyl-4-piperidyl group, 1-methoxycarbonyl-4-piperidyl group, 1-ethoxycarbonyl-4-piperidyl group, 4-n-propoxycarbonyl-4-piperidyl group, 5-n-butoxycarbonyl-4-piperidyl group, 1-tert-butoxycarbonyl-4-piperidyl group, 1-formyl-4-piperidyl group, 1-acetyl-4-piperidyl group, 1-butyryl-4-piperidyl group, 1-butyryl-3-piperidyl group, 2-propionyl-4-piperidyl group, 3-butyryl-4-piperidyl group, 4-isobutyryl-4-piperidyl group, 1-n-pentanoyl-4-piperidyl group, 2-tert-butylcarbonyl-4-piperidyl group, 3-n-hexanoyl-4-

piperidyl group, 1-benzoyl-4-piperidyl group, 1-benzoyl-3-piperidyl group, 1-(2-, 3-, or 4-chlorobenzoyl)-4-piperidyl group, 1-(2-, 3-, or 4-fluorobenzoyl)-4-piperidyl group, 1-(2-, 3-, or 4-methylbenzoyl)-4-piperidyl group, 2,6-dimethyl-4-piperidyl group, 2,4,6-trimethyl-3-piperidyl group, 2,2,6,6-tetramethyl-4-piperidyl group, and 2,2,4,4,6-pentamethyl-3-piperidyl group.

Examples of the tetrahydrofuryl group that may have an oxo group include a 2-tetrahydrofuryl group, 3-tetrahydrofuryl group, 3-oxo-2-tetrahydrofuryl group, 4-oxo-2-tetrahydrofuryl group, 5-oxo-2-tetrahydrofuryl group, 2-oxo-3-tetrahydrofuryl group, 4-oxo-3-tetrahydrofuryl group, and 5-oxo-4-tetrahydrofuryl group.

Examples of the hexahydroazepinyl group that may have an oxo group include 2-hexahydroazepinyl group, 3-hexahydroazepinyl group, 4-hexahydroazepinyl group, 2-oxo-3-hexahydroazepinyl group, 3-oxo-2-hexahydroazepinyl group, 4-oxo-2-hexahydroazepinyl group, 5-oxo-2-hexahydroazepinyl group, and 6-oxo-2-hexahydroazepinyl group.

Examples of the pyrazolyl group that may have a group selected from the group consisting of a lower alkyl group, aryl group, and furyl group as a substituent include a pyrazolyl group that may have 1 to 3 (preferably 1 to 2) groups, as a substituent(s), which are selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

an aryl group as illustrated above; and

a furyl group. Specific examples thereof include a 1-pyrazolyl group, 3-pyrazolyl group, 4-pyrazolyl group, 1-methyl-5-pyrazolyl group, 1-ethyl-5-pyrazolyl group, 3-n-propyl-5-pyrazolyl group, 4-n-butyl-5-pyrazolyl group, 1-tert-butyl-4-pyrazolyl group, 1-n-pentyl-4-pyrazolyl group, 3-n-hexyl-4-pyrazolyl group, 3-phenyl-5-pyrazolyl group, 1-(2-naphthyl)-3-pyrazolyl group, 4-(2-methylphenyl)-3-pyrazolyl group, 5-(3-ethylphenyl)-3-pyrazolyl group, 1-(4-n-propylphenyl)-4-pyrazolyl group, 3-(2-n-butylphenyl)-4-pyrazolyl group, 5-(3-n-pentylphenyl)-4-pyrazolyl group, 1-(4-n-hexylphenyl)-5-pyrazolyl group, 3-(2-isobutylphenyl)-5-pyrazolyl group, 4-(3-tert-butylphenyl)-5-pyrazolyl group, 3-(2-chlorophenyl)-1-pyrazolyl group, 4-(3-fluorophenyl)-1-pyrazolyl group, 5-(4-bromophenyl)-1-pyrazolyl group, 1-(2-aminophenyl)-3-pyrazolyl group, 4-(2,3-dimethylphenyl)-3-pyrazolyl group, 5-(3,4,5-trimethylphenyl)-3-pyrazolyl group, 1-(2,3-diaminophenyl)-4-pyrazolyl group, 3-(2-furyl)-5-pyrazolyl group, 1,3-dimethyl-5-pyrazolyl group, 1,3,4-triethyl-5-pyrazolyl group, 1,3,5-trimethyl-4-pyrazolyl group, and 1-methyl-3-phenyl-5-pyrazolyl group.

Examples of the thiadiazolyl group include a 1,2,3-thiadiazolyl group, 1,2,4-thiadiazolyl group,

1,2,5-thiadiazolyl group or 1,3,4-thiadiazolyl group.

Examples of the thiadiazolyl group that may have a lower alkyl group include a thiadiazolyl group as illustrated above that may have 1 to 3, preferably 1, lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a 4- or 5-(1, 2, 3-thiadiazolyl) group, 3- or 5-(1, 2, 4-thiadiazolyl) group, 3-(1, 2, 5-thiadiazolyl) group, 2-(1, 3, 4-thiadiazolyl) group, 5-methyl-1,3,4-thiadiazol-2-yl group, 4-ethyl-1,2,3-thiadiazol-5-yl group, 5-n-propyl-1,2,4-thiadiazol-3-yl group, 5-n-butyl-1,3,4-thiadiazol-2-yl group, 4-tert-butyl-1,2,3-thiadiazol-5-yl group, 5-n-pentyl-1,2,4-thiadiazol-3-yl group, and 5-n-hexyl-1,3,4-thiadiazol-2-yl group.

Examples of an isoxazolyl group that may have a lower alkyl group include an isoxazolyl group that may have 1 to 2 lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a 3-isoxazolyl group, 4-isoxazolyl group, 5-isoxazolyl group, 3-methyl-5-isoxazolyl group, 4-ethyl-5-isoxazolyl group, 4-n-propyl-3-isoxazolyl group, 5-methyl-3-isoxazolyl group, 5-n-butyl-3-isoxazolyl group, 3-tert-butyl-4-isoxazolyl group, 5-n-pentyl-4-isoxazolyl group, 3-n-hexyl-5-isoxazolyl group, and 3,4-dimethyl-5-isoxazolyl group.

Examples of the indazolyl group include a (1-

, 3-, 4-, 5-, 6- or 7-)indazolyl group.

Examples of the tetrahydrobenzothiazolyl group include a (2-, 4-, 5-, 6-, or 7-)(4,5,6,7-tetrahydrobenzothiazolyl) group.

Examples of the tetrahydroquinolyl group include a (1-, 2-, 4-, 5-, 6- or -8)(1, 2, 3, 4-tetrahydroquinolyl group.

Example of a tetrahydroquinolyl group that may have a group selected from the group consisting of a lower alkyl group, lower alkoxy group, halogen atom and oxo group as a substituent include a tetrahydroquinolyl group as illustrated above that may have 1 to 3 (preferably 1 to 2) groups, as a substituent(s), which are selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms); a halogen atom; and

an oxo group. Specific examples thereof include a 1-(1,2,3,4-tetrahydroquinolyl) group, 2-(1,2,3,4-tetrahydroquinolyl) group, 3-(1,2,3,4-tetrahydroquinolyl) group, 4-(1,2,3,4-tetrahydroquinolyl) group, 5-(1,2,3,4-tetrahydroquinolyl) group, 6-(1,2,3,4-tetrahydroquinolyl) group, 7-(1,2,3,4-

tetrahydroquinolyl) group, 8-(1,2,3,4-tetrahydroquinolyl) group, 2-methyl-3-(1,2,3,4-tetrahydroquinolyl) group, 3-ethyl-2-(1,2,3,4-tetrahydroquinolyl) group, 4-n-propyl-2-(1,2,3,4-tetrahydroquinolyl) group, 5-n-butyl-3-(1,2,3,4-tetrahydroquinolyl) group, 6-tert-butyl-3-(1,2,3,4-tetrahydroquinolyl) group, 7-n-pentyl-2-(1,2,3,4-tetrahydroquinolyl) group, 8-n-hexyl-2-(1,2,3,4-tetrahydroquinolyl) group, 2-methoxy-4-(1,2,3,4-tetrahydroquinolyl) group, 3-ethoxy-4-(1,2,3,4-tetrahydroquinolyl) group, 4-propoxy-5-(1,2,3,4-tetrahydroquinolyl) group, 5-butoxy-6-(1,2,3,4-tetrahydroquinolyl) group, 6-pentoxy-7-(1,2,3,4-tetrahydroquinolyl) group, 7-hexyloxy-8-(1,2,3,4-tetrahydroquinolyl) group, 4-oxo-3-(1,2,3,4-tetrahydroquinolyl) group, 2-oxo-(1-, 3-, 4-, 5-, 6-, 7-, or 8-)-(1,2,3,4-tetrahydroquinolyl) group, 2-oxo-8-methyl-(3-, 4-, 5-, 6-, or 7-)-(1,2,3,4-tetrahydroquinolyl) group, 2-oxo-8-methoxy-3-(1,2,3,4-tetrahydroquinolyl) group, 2-oxo-5-methoxy-(1-, 3-, 4-, 6-, 7-, or 8-)-(1,2,3,4-tetrahydroquinolyl) group, 2-oxo-8-fluoro-(3-, 4-, 5-, 6-, or 7-)-(1,2,3,4-tetrahydroquinolyl)group, and 2-oxo-6,8-dimethyl-3-(1,2,3,4-tetrahydroquinolyl) group.

Examples of the quinolyl group include a 2-quinolyl group, 3-quinolyl group, 4-quinolyl group, 5-quinolyl group, 6-quinolyl group, 7-quinolyl group, and 8-quinolyl group. Examples of the quinolyl group that

may have a lower alkyl group include a quinolyl group that may have 1 to 2 lower alkyl groups as illustrated above (preferably linear or branched alkyl groups having 1 to 6 carbon atoms). Specific examples thereof include a 2-, 3-, 4-, 5-, 6-, 7- or 8-quinolyl group, 2-methyl-6-quinolyl group, 4-ethyl-5-quinolyl group, 4-n-propyl-3-quinolyl group, 5-methyl-3-quinolyl group, 5-n-butyl-3-quinolyl group, 3-tert-butyl-4-quinolyl group, 5-n-pentyl1-4-quinolyl group, 3-n-hexyl-5-quinolyl group and 3,4-dimethyl-5-quinolyl group.

Examples of the benzodioxolyl lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 2 (preferably 1) benzodioxolyl groups. Specific examples thereof include a 2-, 4- or 5-(1,3-benzodioxolyl)methyl group, 2-(2-, 4- or 5-)(1,3-benzodioxolyl)ethyl group and 3-(2-, 4- or 5-)(1,3-benzodioxolyl) propyl group.

Examples of the aryl group that may have a group selected from the group consisting of a halogen atom; a lower alkyl group; a lower alkoxy group; a halogen substituted lower alkyl group; a halogen substituted lower alkoxy group; a lower alkenyl group; an amino group that may have a group selected from the group consisting of a lower alkylsulfonyl group, lower alkyl group, and aryl group; a sulfamoyl group; a lower alkylthio group; a lower alkanoyl group; a lower alkoxycarbonyl group; a pyrrolyl group; lower alkynyl

group; cyano group, nitro group; aryloxy group; aryl lower alkoxy group; hydroxy group; hydroxy lower alkyl group; carbamoyl group that may have a group selected from the group consisting of a lower alkyl group and an aryl group; pyrazolyl group; pyrrolidinyl group that may have an oxo group; oxazolyl group; imidazolyl group that may have a lower alkyl group; dihydrofuryl group that may have an oxo group; thiazolidinyl lower alkyl group that may have an oxo group; imidazolyl lower alkanoyl group; and piperidinylcarbonyl group include an aryl group as illustrated above that may have 1 to 7, preferably 1 to 5, more preferably, 1 to 2 groups, as a substituent(s), which are selected from the group consisting of

a halogen atom as illustrated above;

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms);

a halogen substituted lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms substituted with 1 to 7 halogen atoms);

a halogen substituted lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms substituted with 1 to 7

halogen atoms);

a lower alkenyl group as illustrated above (preferably a linear or branched alkenyl group having 1 to 3 double bonds and 2 to 6 carbon atoms (including both trans and cis configurations));

an amino group having 1 to 2 lower alkanoyl groups as illustrated above, lower alkyl groups as illustrated above, and aryl groups as illustrated above;

a sulfamoyl group;

a lower alkylthio group whose lower alkyl moiety is a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms);

a lower alkoxycarbonyl group as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms); a pyrrolyl group; an alkynyl group as illustrated below; cyano group; nitro group; aryloxy group whose aryl moiety is as illustrated above; aryl lower alkoxy group whose aryl moiety and lower alkoxy moiety are as illustrated above; hydroxy group; a hydroxy lower alkyl group whose lower alkyl moiety is as illustrated above; a carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group as illustrated above and aryl group as illustrated above; pyrazolyl

group; pyrrolidinyl group that may have 1 to 2 (preferably 1) oxo groups; oxazolyl group; imidazolyl group that may have 1 to 3 (preferably 1 to 2) lower alkyl groups as illustrated above; dihydrofuryl group that may have 1 to 2 (preferably 1) oxo groups; thiazolidinyl group that may have 1 to 2 (preferably 1) oxo groups and having an lower alkyl moiety as illustrated above; imidazolyl lower alkanoyl group whose alkanoyl moiety is as illustrated above and piperidinylcarbonyl group. Specific examples thereof include a phenyl group, 1-naphthyl group, 2- naphthyl group, (2-, 3-, or 4-)biphenyl group, (2-, 3-, or 4-)chlorophenyl group, (2-, 3-, or 4-)fluorophenyl group, (2-, 3-, or 4-)bromophenyl group, (2-, 3-, or 4-)methylphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-1-naphthyl group, (2-, 3-, or 4-)n-propylphenyl group, (2-, 3-, or 4-)n-butylphenyl group, (2-, 3-, or 4-)n-pentylphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-1-naphthyl group, (2-, 3-, or 4-)isobutylphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-1-naphthyl group, (2-, 3-, or 4-)methoxyphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethoxy-1-naphthyl group, (2-, 3-, or 4-)n-propoxyphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)isopropoxy-1-naphthyl group, (2-, 3-, or 4-)n-butoxyphenyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutoxy-2-naphthyl group, (2-, 3-, or 4-)tert-butoxyphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)sec-

butoxy-1-naphthyl group, (2-, 3-, or 4-)n-pentyloxyphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)isopentyloxy-1-naphthyl group, (2-, 3-, or 4-)neopentyloxyphenyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyloxy-2-naphthyl group, (2-, 3-, or 4-)isohexyloxyphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)(3-methylpentyloxy)-1-naphthyl group, (2-, 3-, or 4-)chloromethylphenyl group, (2-, 3-, or 4-)trifluoromethylphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoroethyl-1-naphthyl group, (2-, 3-, or 4-)(3-bromopropyl)phenyl group, (2-, 3-, or 4-)(4-chlorobutyl)phenyl group, (2-, 3-, or 4-)(5-fluoropentyl)phenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)(6-bromohexyl)-1-naphthyl group, (2-, 3-, or 4-)(1,1-dimethyl-2-chloroethyl)phenyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)(2-methyl-3-fluoropropyl)-2-naphthyl group, (2-, 3-, or 4-)chloromethoxyphenyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)(2-fluoroethoxy)-1-naphthyl group, (2-, 3-, or 4-)(3-bromopropoxy)phenyl group, (2-, 3-, or 4-)(4-chlorobutoxy)phenyl group, (2-, 3-, or 4-)(5-fluoropentyloxy)phenyl group, (2-, 3-, or 4-)trifluoromethoxyphenyl group, 4-(6-bromohexyloxy)-1-naphthyl group, (2-, 3-, or 4-)(1,1-dimethyl-2-chloroethoxy)phenyl group, 7-(2-methyl-3-fluoropropoxy)-2-naphthyl group, 2-vinylphenyl group, 2-(1-methylvinyl)phenyl group, 2-(1-propenyl)-1-naphthyl group, (2-, 3-, or 4-)(1-methyl-1-propenyl)phenyl group, 3-(2-methyl-1-propenyl)-1-

naphthyl group, (2-, 3-, or 4-)(1-propenyl)phenyl group, (2-, 3-, or 4-)(2-propenyl)phenyl group, 4-(2-butenyl)-1-naphthyl group, (2-, 3-, or 4-)(1-butenyl)phenyl group, 5-(3-butenyl)-1-naphthyl group, (2-, 3-, or 4-)(2-pentenyl)phenyl group, 6-(1-pentenyl)-1-naphthyl group, (2-, 3-, or 4-)(3-pentenyl)phenyl group, 7-(4-pentenyl)-1-naphthyl group, (2-, 3-, or 4-)(1,3-butadienyl)phenyl group, 8-(1,3-pentadienyl)-1-naphthyl group, (2-, 3-, or 4-)(2-penten-4-ynyl)phenyl group, 1-(2-hexenyl)-2-naphthyl group, 4-(1-hexenyl)phenyl group, a 3-(5-hexenyl)-2-naphthyl group, (2-, 3-, or 4-)(3-hexenyl) group, 4-(4-hexenyl)-2-naphthyl group, (2-, 3-, or 4-)(3,3-dimethyl-1-propenyl)phenyl group, 5-(2-ethyl-1-propenyl)-2-naphthyl group, 4-(1,3,5-hexatrienyl)phenyl group, 6-(1,3-hexadienyl)-2-naphthyl group, (2-, 3-, or 4-)(1,4-hexadienyl)phenyl group, (2-, 3-, or 4-)(N-formylamino)phenyl group, (2-, 3-, or 4-)(N-acetylamino)phenyl group, 7-(N-acetylamino)-2-naphthyl group, (2-, 3-, or 4-)(N-propionylamino)phenyl group, 8-(N-butyrylamino)-2-naphthyl group, (2-, 3-, or 4-)(N-isobutyrylamino)phenyl group, 2-(N-pentanoylamino)-1-naphthyl group, (2-, 3-, or 4-)(N-tert-butylcarbonylamino)phenyl group, 3-(N-hexanoylamino)-1-naphthyl group, (2-, 3-, or 4-)(N,N-diformylamino)phenyl group, 4-(N,N-diacetylamino)-1-naphthyl group, (2-, 3-, or 4-)(N,N-dimethylamino)phenyl group, (2-, 3-, or 4-)(N-

phenylamino)phenyl group, (2-, 3-, or 4-)sulfamoylphenyl group, 5-sulfamoyl-1-naphthyl group, (2-, 3-, or 4-)methylthiophenyl group, 6-ethylthio-1-naphthyl group, (2-, 3-, or 4-)n-propylthiophenyl group, 7-isopropylthio-1-naphthyl group, (2-, 3-, or 4-)n-butylthiophenyl group, 8-tert-butylthio-1-naphthyl group, (2-, 3-, or 4-)n-pentylthiophenyl group, 1-n-hexylthio-2-naphthyl group, (2-, 3-, or 4-)(N-methyl(sulfonylamino)phenyl group, (2-, 3-, or 4-)formylphenyl group, (2-, 3-, or 4-)acetylphenyl group, (2-, 3-, or 4-)butyrylphenyl group, 3-acetyl-2-naphthyl group, (2-, 3-, or 4-)propionylphenyl group, 4-butyryl-2-naphthyl group, (2-, 3-, or 4-)isobutyrylphenyl group, 5-pentanoyl-2-naphthyl group, (2-, 3-, or 4-)cyanophenyl group, (2-, 3-, or 4-)methoxycarbonylphenyl group, (2-, 3-, or 4-)tert-butylcarbonylphenyl group, 6-hexanoyl-2-naphthyl group, (2-, 3-, or 4-)ethoxycarbonylphenyl group, 7-ethoxycarbonyl-2-naphthyl group, (2-, 3-, or 4-)n-propoxycarbonylphenyl group, 8-isopropoxycarbonyl-2-naphthyl group, (2-, 3-, or 4-)n-butoxycarbonylphenyl group, 2-isobutoxycarbonyl-1-naphthyl group, (2-, 3-, or 4-)tert-butoxycarbonylphenyl group, 3-sec-butoxycarbonyl-1-naphthyl group, (2-, 3-, or 4-)n-pentyloxycarbonylphenyl group, 4-neopentyloxy-1-naphthyl group, (2-, 3-, or 4-)n-hexyloxycarbonylphenyl group, 5-isohexyloxycarbonyl-1-naphthyl group, (2-, 3-, or 4-)(3-methylpentyloxycarbonyl)phenyl group, 6-(1-

pyrrolyl)-1-naphthyl group, (2-, 3-, or 4-)(1-pyrrolyl)phenyl group, (2-, 3-, or 4-)ethynylphenyl group, (2-, 3-, or 4-)(N-methylcarbamoyl)phenyl group, (2-, 3-, or 4-)(N-phenylcarbamoyl)phenyl group, (2-, 3-, or 4-)(2-hydroxyethyl)phenyl group, (2-, 3-, or 4-)phenoxyphenyl group, (2-, 3-, or 4-)nitrophenyl group, (2-, 3-, or 4-)benzyloxyphenyl group, (2-, 3-, or 4-)hydroxyphenyl group, (2-, 3-, or 4-)(2-oxo-2,5-dihydrofuran-4-yl)phenyl group, (2-, 3-, or 4-)(1-imidazolylacetyl)phenyl group, (2-, 3-, or 4-)(2,4-dioxothiazolidin-5-ylmethyl)phenyl group, (2-, 3-, or 4-)[(1-, 2-, 3-, or 4-)piperidylcarbonyl]phenyl group, (2-, 3-, or 4-)[(1-, 3-, 4-, or 5-)pyrazolyl]phenyl group, (2-, 3-, or 4-)[2-oxo-(1- or 3-)pyrrolidinyl]phenyl group, (2-, 3-, or 4-)[(2-, 4-, or 5-)oxazolyl]phenyl group, (2-, 3-, or 4-)(2-ethyl-4-methylimidazol-1-yl)phenyl group, (2-, 3-, or 4-)biphenyl group, 2,3-dimethoxyphenyl group, 2,4-dimethoxyphenyl group, 2,5-dimethoxyphenyl group, 2,6-dimethoxyphenyl group, 3,4-dimethoxyphenyl group, 3,5-dimethoxyphenyl group, 2,3-dichlorophenyl group, 2,4-dichlorophenyl group, 3,4-dichlorophenyl group, 2-methoxy-5-chlorophenyl group, 2-methoxy-5-methylphenyl group, 2-methoxy-5-acetylaminophenyl group, 2-vinyl-4-methylphenyl group, 2-vinyl-5-ethylphenyl group, 2,6-disulfamoylphenyl group, 2,4,6-trimethoxyphenyl group, 3,4,5-triethoxyphenyl group, 2-vinyl-3,4,5-triethylphenyl group, pentamethoxyphenyl group, 2-

vinylnaphthyl group, 2,3-dimethoxy-1-naphthyl group, 3,4-diethoxyphenyl group, 2-methoxy-5-methoxycarbonylphenyl group, 3,5-dimethoxycarbonylphenyl group, 3-chloro-4-hydroxyphenyl group, 2-chloro-5-(N-acetylamino)phenyl group, 2-chloro-5-cyanophenyl group, 2-chloro-5-carbamoylphenyl group, 2-methoxy-5-(N-acetylamino)phenyl group, 2-chloro-5-ethoxycarbonylphenyl group, 3,5,7-triethoxy-1-naphthyl group, 3,4,5,7-tetramethyl-1-naphthyl group, 2,3,4,5-tetramethyl-7-(N-pentaacetylamino)-1-naphthyl group, 2,3,4,5,6,7-hexaethoxy-1-naphthyl group, and heptamethoxy-1-naphthyl group.

Examples of the cyano lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having a single cyano group. Specific examples thereof include a cyanomethyl group, 2-cyanoethyl group, 1-cyanoethyl group, 3-cyanopropyl group, 4-cyanobutyl group, 1,1-dimethyl-2-cyanoethyl group, 5-cyanopentyl group, 6-cyanohexyl group, 1-cyanoisopropyl group, and 2-methyl-3-cyanopropyl group.

Examples of the lower alkanoylamino lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3, preferably 1, amino groups which has 1 to 2 lower alkanoyl groups as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms). Specific

examples thereof include a 2-(N-formylamino)ethyl group, 2-(N-acetylamino)ethyl group, 2-(N-propionylamino)ethyl group, 2-(N-butyrylamino)ethyl group, 2-(N-isobutyrylamino)ethyl group, 2-(N-pentanoylamino)ethyl group, 2-(N-tert-butylcarbonylamino)ethyl group, 2-(N-hexanoylamino)ethyl group, N-acetylaminomethyl group, 1-(N-acetylamino)ethyl group, 3-(N-acetylamino)propyl group, 4-(N-acetylamino)butyl group, 5-(N-acetylamino)pentyl group, 6-(N-acetylamino)hexyl group, 1,1-dimethyl-2-(N- acetylamino)ethyl group, 2-methyl-3-(N-acetylamino)propyl group, and 2-(N,N-diacetylamino)ethyl group.

Examples of a halogen substituted lower alkylamino group include an amino group having 1 to 2 (preferably 1) halogen substituted lower alkyl groups as illustrated above (preferably a linear or branched halogen substituted alkyl group having 1 to 6 carbon atoms with 1 to 7 (preferably 1 to 3) halogen atoms). Specific examples thereof include an N-fluoromethylamino group, N-difluoromethylamino group, N-trifluoromethylamino group, N-chloromethylamino group, N-dichloromethylamino group, N-trichloromethylamino group, N-bromomethylaminogroup, N-dibromomethylamino group, N-dichlorofluoromethylamino group, N-2,2,2-trifluoroethylamino group, N-pentafluoroethylamino group, N-2-chloroethylamino group, N-3,3,3-trifluoropropylamino group, N-

heptafluoropropylamino group, N-heptafluoroisopropylamino group, N-3-chloropropylamino group, N-2-chloropropylamino group, N-3-bromopropylamino group, N-4,4,4-trifluorobutylamino group, N-4,4,4,3,3-pentafluorobutylamino group, N-4-chlorobutylamino group, N-4-bromobutylamino group, N-2-chlorobutylamino group, N-5,5,5-trifluoropentylamino group, N-5-chloropentylamino group, N-6,6,6-trifluorohexylamino group, N-6-chlorohexylamino group, N-(1,1-dimethyl-2-chloroethyl)amino group, N-(2-methyl-3-fluoropropyl)amino group, and N,N-di(fluoromethyl)amino group.

Examples of the lower alkylthio lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3 lower alkylthio groups whose alkyl moiety is a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a 2-methylthioethyl group, 2-ethylthioethyl group, 2-n-propylthioethyl group, 2-n-butylthioethyl group, 2-tert-butylthioethyl group, 2-n-pentylthioethyl group, 2-n-hexylthioethyl group, methylthiomethyl group, 1-methylthioethyl group, 3-methylthiopropyl group, 4-methylthiobutyl group, 5-methylthiopentyl group, 6-methylthiohexyl group, 1,1-dimethyl-2-methylthioethyl group, 2-methyl-3-methylthiopropyl group, 2,2-diethylthioethyl group, and

2,2,2-triethylthioethyl group.

Examples of the amidino group that may have a lower alkyl group include an amidino group that may have 1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include an amidino group, N-methylamidino group, N-ethylamidino group, N-n-propylamidino group, N-n-butylamidino group, N-n-pentylamidino group, N-n-hexylamidino group, N-isopropylamidino group, N-tert-butylamidino group, N,N-dimethylamidino group, N,N'-dimethylamidino group, and N-methyl-N'-ethyl-amidino group.

Examples of the amidino lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3 amidino groups. Specific examples thereof include an amidinomethyl group, 2-amidinoethyl group, 3-amidinopropyl group, 4-amidinobutyl group, 5-amidinopropyl group, 6-amidinohexyl group, 1-amidinoethyl group, 1,1-dimethyl-2-amidinoethyl group, 2-methyl-3-amidinopropyl group, 2,2-diamidinoethyl group, and 2,2,2-triamidinoethyl group.

Examples of the lower alkenyloxy group include a lower alkenyloxy group whose lower alkenyl moiety is one as illustrated above (preferably a linear or branched alkenyloxy group having 1 to 3 double bonds and 2 to 6 carbon atoms). Specific examples thereof

include a vinyloxy group, 1-propenyloxy group, 1-methyl-1-propenyloxy group, 2-methyl-1-propenyloxy group, 2-propenyloxy group, 2-butenyloxy group, 1-butenyloxy group, 3-butenyloxy group, 2-pentenyloxy group, 1-pentenyloxy group, 3-pentenyloxy group, 4-pentenyloxy group, 1,3-butadienyloxy group, 1, 3-pentadienyloxy group, 2-penten-4-ynyloxy group, 2-hexenyloxy group, 1-hexenyloxy group, 5-hexenyloxy group, 3-hexenyloxy group, 4-hexenyloxy group, 3,3-dimethyl-1-propenyloxy group, 2-ethyl-1-propenyloxy group, 1,3,5-hexatrienyloxy group, 1,3-hexadienyloxy group, and 1,4-hexadienyloxy group.

Examples of the lower alkenyloxy lower alkyl group include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3 lower alkenyloxy groups whose lower alkenyloxy moiety is a lower alkenyloxy group as illustrated above (preferably a linear or branched alkenyl group having 2 to 6 carbon atoms and 1 to 3 double bonds). Specific examples thereof include a vinyloxymethyl group, 2-vinyloxyethyl group, 2-(1-propenyloxy)ethyl group, 2-(1-methyl-1-propenyloxy)ethyl group, 2-(2-methyl-1-propenyloxy)ethyl group, 2-(2-propenyloxy)ethyl group, 2-(2-butenyloxy)ethyl group, 2-(1-butenyloxy)ethyl group, 2-(3-butenyloxy)ethyl group, 2-(2-pentenyloxy)ethyl group, 2-(1-pentenyloxy)ethyl group, 2-(3-pentenyloxy) ethyl group, 2-(4-pentenyloxy)ethyl

group, 2-(1,3-butadienyloxy)ethyl group, 2-(1,3-pentadienyloxy)ethyl group, 2-(2-penten-4-ynyloxy)ethyl group, 2-(2-hexenyloxy)ethyl group, 2-(1-hexenyloxy)ethyl group, 2-(5-hexenyloxy)ethyl group, 2-(3-hexenyloxy)ethyl group, 2-(4-hexenyloxy)ethyl group, 2-(3,3-dimethyl-1-propenyloxy)ethyl group, 2-(2-ethyl-1-propenyloxy)ethyl group, 2-(1,3,5-hexatrienyloxy)ethyl group, 2-(1,3-hexadienyloxy)ethyl group, 2-(1,4-hexadienyloxy)ethyl group, 3-vinyloxypropyl group, 4-vinyloxybutyl group, 5-vinyloxypropyl group, 6-vinyloxyhexyl group, 1-vinyloxyethyl group, 1,1-dimethyl-2-vinyloxyethyl group, 2-methyl-3-vinyloxypropyl group, 2,2-divinyloxyethyl group, and 2,2,2-trivinyloxyethyl group.

Examples of the arylamino group that may have a substituent selected from the group consisting of a lower alkyl group, lower alkoxy group, halogen substituted lower alkyl group, and halogen substituted lower alkoxy group on the aryl group include
an amino group having 1 to 2 aryl groups as illustrated above that may have 1 to 7, preferably 1 to 5, more preferably 1 to 2 substituents, on the aryl group, which are selected from the group consisting of
a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);
a lower alkoxy group as illustrated above (preferably a

linear or branched alkoxy group having 1 to 6 carbon atoms);

a halogen substituted alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms with 1 to 7, preferably 1 to 3 halogen atoms); and

halogen substituted lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms with 1 to 7, preferably 1 to 3 halogen atoms). Specific examples thereof include an N-phenylamino group, N-2-naphthylamino group, N-(2-methylphenyl)amino group, N-(3-ethyl-1-naphthyl)amino group, N-(4-n-propylphenyl)amino group, N-(2-n-butyl-1-phenyl)amino group, N-(3-n-pentylphenyl)amino group, N-(4-n-hexyl-1-naphthyl)amino group, N-(2-isobutylphenyl)amino group, N-(3-tert-butyl-1-naphthyl)amino group, N-(2-methoxyphenyl)amino group, N-(3-ethoxy-1-naphthyl)amino group, N-(4-n-propoxyphenyl)amino group, N-(3-isopropoxy-1-naphthyl)amino group, N-(n-butoxyphenyl)amino group, N-(1-isobutoxy-2-naphthyl)amino group, N-(tert-butoxyphenyl)amino group, N-(5-sec-butoxy-1-naphthyl)amino group, N-(n-pentyloxyphenyl)amino group, N-(5-isopentyloxy-1-naphthyl)amino group, N-(1-neopentyloxyphenyl)amino group, N-(6-n-hexyloxy-2-naphthyl)amino group, N-(isohexyloxyphenyl)amino group, N-(3-methylpentyloxy-1-naphthyl)amino group, N-(2-trifluoromethylphenyl)amino group, N-(4-

trifluoromethylphenyl)amino group, N-(2-chloromethylphenyl)amino group, N-[3-(2-fluoroethyl)-1-naphthyl]amino group, N-[4-(3-bromopropyl)phenyl]amino group, N-[2-(4-chlorobutyl)-1-phenyl]amino group, N-[3-(5-fluoropentyl)phenyl]amino group, N-[4-(6-bromohexyl)-1-naphthyl]amino group, N-[2-(1,1-dimethyl-2-chloroethyl)phenyl]amino group, N-[7-(2-methyl-3-fluoropropyl)-2-naphthyl]amino group, N-(2-chloromethoxyphenyl)amino group, N-(4-trifluoromethoxyphenyl)amino group, N-(3-(2-fluoroethoxy)-1-naphthyl)amino group, N-[4-(3-bromopropoxy)phenyl]amino group, N-[2-(4-chlorobutoxy)-1-phenyl]amino group, N-[3-(5-fluoropentyloxy)phenyl]amino group, N-[4-(6-bromohexyloxy)-1-naphthyl]amino group, N-[2-(1,1-dimethyl-2-chloroethoxy)phenyl]amino group, N-[7-(2-methyl-3-fluoropropoxy)-2-naphthyl]amino group, N-(2-chloromethoxyphenyl)amino group, N-[3-(2-fluoroethoxy)-1-naphthyl]amino group, N-[4-(3-bromopropoxy)phenyl]amino group, N-[2-(4-chlorobutoxy)-1-phenyl]amino group, N-[3-(5-fluoropentyloxy)phenyl]amino group, N-[4-(6-bromohexyloxy)-1-naphthyl]amino group, N-[2-(1,1-dimethyl-2-chloroethoxy)phenyl]amino group, N-[7-(2-methyl-3-fluoropropoxy)-2-naphthyl]amino group, and N,N-diphenylamino group.

Examples of the aryl lower alkenyl group include a lower alkenyl group as illustrated above

having an aryl group as illustrated above (preferably a linear or branched alkenyl group having 1 to 3 aryl groups and 1 to 6 carbon atoms). Specific examples thereof include a 2-phenylethenyl group, 3-phenyl-2-propenyl group, 3-[(1- or 2-)naphthyl]-2-propenyl group, 4-[(2-, 3-, or 4-)methylphenyl]-2-butenyl group, 4-[(2-, 3-, or 4-)ethylphenyl]-3-butenyl group, 4-[(2-, 3-, or 4-)n-propylphenyl]-1,3-butadienyl group, 5-[(2-, 3-, or 4-)n-butylphenyl]-1,3,5-hexatrienyl group, 5-[(2-, 3-, or 4-)n-pentylphenyl]-2,4-hexadienyl group, 5-[(2-, 3-, or 4-)n-hexylphenyl]-3-pentenyl group, 3-[(2-, 3-, or 4-)isobutylphenyl]-2-propenyl group, 2-[(2-, 3-, or 4-)tert-butylphenyl]phenyl group, 3-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-1-naphthyl]-2-propenyl group, 4-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-2-naphthyl]-2-butenyl group, 4-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-1-naphthyl]-3-butenyl group, 4-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-2-naphthyl]-1,3-butadienyl group, 5-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-1-naphthyl]-1,3,5-hexatrienyl group, 5-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-2-naphthyl]-2,4-hexadienyl group, 5-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-1-naphthyl]-3-pentenyl group, 3-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-2-naphthyl]-2-propenyl group, 2-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-1-naphthyl]ethenyl group, 3-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-2-naphthyl]-2-propenyl group, 4-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-1-naphthyl]-2-butenyl group, 4-[(1-, 3-,

4-, 5-, 6-, 7-, or 8-)n-hexyl-2-naphthyl]-3-butenyl group, 4-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-1-naphthyl]-1,3-butadienyl group, 5-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-2-naphthyl]-1,3,5-hexatrienyl group, 5-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-1-naphthyl]-2,4-hexadienyl group, 5-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-2-naphthyl]-1,3,5-hexatrienyl group, 5-[(2-, 3-, or 4-)chlorophenyl group, (2-, 3-, or 4-)fluorophenyl]-2,4-hexadienyl group, 5-[(2-, 3-, or 4-)bromophenyl]-3-pentenyl group, 3-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-1-naphthyl]-2-propenyl group, 2-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-2-naphthyl]ethenyl group, 3-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-1-naphthyl]-2-propenyl group, 4-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-2-naphthyl]-2-butenyl group, 4-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-1-naphthyl]-3-butenyl group, 4-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-2-naphthyl]-1,3-butadienyl group, 5-[(2-, 3-, or 4-)aminophenyl]-1,3,5-hexatrienyl group, 5-[(2-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-1-naphthyl]-2,4-hexadienyl group, 5-[(1-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-2-naphthyl]-3-pentenyl group, 3-(2,3-dimethylphenyl)-2-propenyl group, 2-(3,4-dimethylphenyl)vinyl group, 3-(2,4-dimethylphenyl)-2-propenyl group, 4-(2,5-dimethylphenyl)-2-butenyl group, 4-(2,6-dimethylphenyl)-3-butenyl group, 4-(2,4,6-trimethylphenyl)-1,3-butadienyl group, 5-(3,4,5-trimethylphenyl)-1,3,5-hexatrienyl group, 5-(2,3,4,5-

tetraethylphenyl)-2,4-hexadienyl group, 5-(pentamethylphenyl)-3-pentenyl group, 3-(2-methylnaphthyl)-2-propenyl group, 2-(2,3-dimethylnaphthyl)ethenyl group, 3-(3,4-dimethylphenyl)-2-propenyl group, 4-(3,5,7-triethylnaphthyl)-2-butenyl group, 4-(3,4,5,7-tetramethylnaphthyl)-3-butenyl group, 4-(2,3,4,5,7-pentamethylnaphthyl)-1,3-butadienyl group, 5-(2,3,4,5,6,7-hexaethylnaphthyl)-1,3,5-hexatrienyl group, 5-(heptamethylnaphthyl)-2,4-hexadienyl group, 5-(2,3-diaminophenyl)-3-pentenyl group, 3-(2,4,6-triaminophenyl)-2-propenyl group, and 2-(2-methyl-5-chloronaphthyl)ethenyl group.

Examples of the pyridylamino group that may have a lower alkyl group include a pyridylamino group that may have 1 to 3, preferably 1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms), on the pyridyl group and/or amino group. Specific examples thereof include an N-(2-, 3-, or 4-)pyridylamino group, N-3-methyl-2-pyridylamino group, N-(4-methyl-2-pyridyl)amino group, N-(5-methyl-2-pyridyl)amino group, N-(6-methyl-2-pyridyl)amino group, N-(2-methyl-3-pyridyl)amino group, N-(4-methyl-3-pyridyl)amino group, N-(5-methyl-3-pyridyl)amino group, N-(6-methyl-3-pyridyl)amino group, N-(2-methyl-4-pyridyl)amino group, N-(3-methyl-4-pyridyl)amino group, N-(3-ethyl-2-pyridyl)amino group, N-(4-n-propyl-2-pyridyl)amino group, N-(5-n-propyl-2-pyridyl)amino

group, N-(2-n-butyl-3-pyridyl)amino group, N-(4-n-pentyl-3-pyridyl)amino group, N-(5-n-hexyl-3-pyridyl)amino group, N-(2-isopropyl-4-pyridyl)amino group, N-(3-tert-butyl-4-pyridyl)amino group, N-(3-methyl-2-pyridyl)-N-methyl-amino group, and N-(2,4-diethyl-3-pyridyl)-N-methyl-amino group.

Examples of the aryl lower alkyl group (that may have a group selected from the group consisting of halogen atom, lower alkyl group, halogen substituted alkyl group, halogen substituted lower alkoxy group, lower alkoxy group, carbamoyl group, and lower alkoxycarbonyl group, as a substituent, on the aryl group and/or the lower alkyl group) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 3 (preferably 1) aryl groups as illustrated above. Note that, on the aryl group and/or the alkyl moiety, there may be 1 to 7, preferably 1 to 5, more preferably, 1 to 2 substituents selected from the group consisting of

a halogen atom as illustrated above;

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a halogen substituted lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms substituted with 1 to 7 halogen atoms);

a lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms substituted with 1 to 7 halogen atoms);

a lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms);

a carbamoyl group; and

a lower alkoxy-carbonyl group as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms). Specific examples of the aryl lower alkyl group (that may have a substituent selected from the group consisting of a halogen atom, lower alkyl group, halogen substituted lower alkyl group, halogen substituted lower alkoxy group, lower alkoxy group, carbamoyl group and lower alkoxycarbonyl group, on the aryl group and/or the lower alkyl group) include a benzyl group, 1-phenylethyl group, 2-phenylethyl group, 1-methyl-1-phenylethyl group, 1,1-dimethyl-2-phenylethyl group, 1,1-dimethyl-3-phenylpropyl group, (2-, 3-, or 4-)fluorobenzyl group, 2-[(2-, 3-, or 4-)fluorophenyl]ethyl group, 1-[(2-, 3-, or 4-)fluorophenyl]ethyl group, 1-[(2-, 3-, or 4-)fluorophenyl]propyl group, 2-[(2,6- or 3,5-)difluorophenyl]ethyl group, 1-(3,5-difluorophenyl)ethyl group, 1-(3,5-difluorophenyl)propyl group, (2-, 3-, or 4-)chlorobenzyl group, 2-[(2-, 3-, or 4-)chlorophenyl]ethyl group, 2-(3,4-

dichlorophenyl)ethyl group, 1-(3-chlorophenyl)butyl group, 1-(4-chlorophenyl)butyl group, (2-, 3-, or 4-)trifluoromethylphenylbenzyl group, 1-[(2-, 3-, or 4-)trifluoromethylphenyl]ethyl group, 1-[(2-, 3-, or 4-)trifluoromethylphenyl]propyl group, (2-, 3-, or 4-)methylbenzyl group, 2-[(2- 3-, or 4-)methylphenyl]ethyl group, (2-, 3-, or 4-)trifluoromethoxybenzyl group, 1-[(2-, 3-, or 4-)trifluoromethylphenyl]ethyl group, (2-, 3-, or 4-)methoxybenzyl group, 2-[(2-, 3-, or 4-)methylphenyl]ethyl group, 1-[(2-, 3-, or 4-)methoxyphenyl]propyl group, (2-, 3-, or 4-)ethoxybenzyl group, (3,4- or 3,5-)dimethoxybenzyl group, (3,4- or 3,5-)di(n-butoxy)benzyl group, 2-[(3,5- or 3,4-)dimethoxyphenyl]ethyl group, 2-(2-ethoxyphenyl)ethyl group, 1-(4-methoxyphenyl)butyl group, 1-phenyl-1-methoxycarbonylmethyl group, 1-carbamoyl-2-phenylethyl group, 1-methoxycarbonyl-2-phenylethyl group, 2-methoxycarbonyl-2-phenylethyl group, 2-phenyl-2-hydroxyethyl group, 2-(4-hydroxyphenyl)-1-methoxycarbonylethyl group, 3-chloro-4-difluoromethoxyphenylmethyl group, and naphthylmethyl group.

Examples of the lower alkynyl group include a linear or branched alkynyl group having 2 to 6 carbon atoms. Specific examples thereof include an ethynyl group, 2-propynyl group, 2-butynyl group, 3-butynyl group, 1-methyl-2-propynyl group, 2-pentynyl group, and

2-hexynyl group.

Examples of the aryloxy lower alkyl group (on the aryl group, a group selected from the group consisting of a lower alkoxy group; a carbamoyl group that may have a group selected from the group consisting of a lower alkoxy group and a lower alkyl group; and a pyrrolidinyl group that may have an oxo group, may be present, include an aryl lower alkyl group (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) whose aryl moiety and lower alkyl group are as illustrated above. On the aryl group herein, 1 to 5 (preferably 1 to 2) groups selected from the group consisting of a lower alkoxy group as illustrated above; a carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkoxy group as illustrated above and a lower alkyl group as illustrated above; and oxo group may be present as a substituent(s). Specific examples thereof include a 2-[(2-, 3- or 4-)methoxyphenoxy]ethyl group, 2-[(2-, 3- or 4-)carbamoylphenoxy]ethyl group, 2-[(2-, 3- or 4-)(N-methyl-N-ethoxycarbamoyl)phenoxy]ethyl group and 2-[(2-, 3- or 4-)(2-oxo-1-pyrolidinyl)phenoxy]ethyl group.

Examples of the isoxazolidinyl group that may have an oxo group include an isoxazolidinyl group that may have 1 to 2 (preferably 1) oxo groups. Specific examples thereof include a 3-oxoisooxazolidin-4- or 5-yl group and 3,5-dioxoisoxazolidin-4-yl group.

Examples of the dihydroindenyl group include a (1-, 2-, 4- or 5-)-1,2-dihydroindenyl group.

Examples of the aryl lower alkoxy lower alkyl group include an aryl lower alkoxy lower alkyl group whose aryl moiety, lower alkoxy moiety and lower alkyl group moiety are as illustrated above. Specific examples thereof include a benzyloxymethyl group, 2-benzyloxyethyl group and 2-benzyloxybutyl group.

Examples of the azetidinyl group that may have a group selected from the group consisting of a lower alkanoyl group and an aroyl group include an azetidinyl group that may have a 1 to 3 (preferably 1) groups selected from a lower alkanoyl group as illustrated above and an aroyl group as illustrated above. Specific examples thereof include a 2- or 3-azetinyl group, 1-acetyl-(2- or 3-)azetidinyl group, 1-butyryl-(2- or 3-)azetidinyl group and 1-benzoyl-(2- or 3-)azetidinyl group.

Examples of the azetidinyl lower alkyl group that may have a group selected from the group consisting of a lower alkanoyl group and an aroyl group include an azetidinyl lower alkyl group that may have 1 to 3 (preferable 1) groups selected from the group consisting of a lower alkanoyl group as illustrated above and an aroyl group as illustrated above and have a lower alkyl moiety as illustrated above. Specific examples thereof include a 2- or 3-azetidinylmethyl group, 2-(2- or 3-azetidinyl)ethyl group, 1-acetyl-(2-

or 3-)azetidinylmethyl group, 1-butyryl-(2- or 3-)azetidinylmethyl group, 1-benzoyl-(2- or 3-)azetidinylmethyl group, 2-[1-acetyl-(2- or 3-)azetidinyl]ethyl group, 2-[1-butyryl-(2- or 3-)azetidinyl]ethyl group and 2-[1-benzoyl-(2- or 3-)azetidinyl]ethyl group.

Examples of the tetrazolyl group include a (1- or 5-)tetrazolyl group.

Examples of the indolinyl group that may have an oxo group include an indolinyl group that may have 1 to 2 (preferably 1) oxo groups. Specific examples thereof include a (1-, 3-, 5-, 6-,7- or 8-)indolinyl group, 2-oxo-(1-, 3-, 5-, 6-, 7- or 8-)indolinyl group and 2,3-dioxo-(1-, 5-, 6-, 7- or 8-)indolinyl group.

Examples of the triazolyl group include a 1,2,4,-trizolyl group and a 1,3,5,-trizolyl group.

Examples of the triazolyl group that may have a group selected from the group consisting of a lower alkyl group and a lower alkylthio group include a triazolyl group as illustrated above that may have 1 to 3 (more preferably 1 to 2) groups selected from the group consisting of a lower alkyl group as illustrated above and a lower alkylthio group as illustrated above. Specific examples thereof include a (1-, 3- or 5-)-1,2,4-triazolyl group, (1-, 2- or 5-)-1,3,5-triazolyl group, 1-methyl-5-methylthio-1,2,4-triazol-3-yl group and 1-methyl-5-methylthio-1,2,3-triazol-2-yl group.

Examples of the imidazolyl group that may

have a carbamoyl group include an imidazolyl group that may have 1 to 2 (preferably 1) carbamoyl groups. Specific examples thereof include a (1-, 2-, 4- or 5-)imidazolyl group and a 4-carbamoyl-(1, 2- or 5-)imidazolyl group.

Examples of the oxazolyl group that may have a lower alkyl group include an oxazolyl group that may have 1 to 2 (preferably 1) lower alkyl groups as illustrated above. Specific examples thereof include a (2-, 3- or 4-)oxazolyl group and a 4-methyl-(2- or 3-)oxazolyl group.

Examples of the isothiazolyl group that may have a lower alkyl group include an isothiazolyl group that may have 1 to 2 (preferably 1) lower alkyl groups as illustrated above. Specific examples thereof include a (3-, 4- or 5-)isothiazolyl group and a (3- or 4-)methyl-2-isothiazolyl group.

Examples of the dihydrobenzothiazolyl group include a (1-,2-,4-, 5-, 6- or 7-)2,3-dihydrobenzothiazolyl group.

Examples of the dihydrobenzothiazolyl group that may have an oxo group include a dihydrobenzothiazolyl group that may have a single oxo group. Specific examples thereof include a (1-, 2-, 5-, 6-, 7- or 8-)2,3-dihydrobenzothiazolyl group and a 2-oxo-(1-, 5-, 6-, 7- or 8-)2,3-dihydrobenzothiazolyl group.

Examples of the thienyl group that may have a

lower alkoxycarbonyl group include a thienyl group that may have 1 to 2 (preferably 1) lower alkoxycarbonyl groups as illustrated above. Specific examples thereof include a (2- or 3-)thienyl group and a 3-methoxycarbonyl-2-thienyl group.

Examples of the oxazolyl lower alkyl group that may have a lower alkyl group include an oxazolyl lower alkyl group as illustrated above, whose alkyl group as illustrated above, having 1 to 3 (more preferably 1 to 2) lower alkyl groups as illustrated above on the oxazole ring. Specific examples thereof include a (2-, 4- or 5-)oxazolylmethyl group, 2-(2-, 4- or 5-)oxazolylmethyl group, [2-methyl-(4- or 5-)oxazolyl]methyl group and (2,5-dimethyl-4-oxazolyl)methyl group.

Examples of the amino lower alkyl group that may have a group, on the amino group, which is selected from the group consisting of a lower alkyl group, halogen substituted lower alkyl group, lower alkoxycarbonyl group, lower alkanoyl group, aryl group, aryl lower alkyl group, aroyl group, and amino substituted alkyl group (on the amino group of the amino substituted alkyl group, a lower alkyl group may be present as a substituent) include a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 5, preferable 1 to 3, more preferably 1, amino groups. Note that, on the amino group, 1 to 2

substituents may be present which are selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a halogen substituted lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms with 1 to 13, preferably 1 to 7, more preferably 1 to 3 halogen atoms);

a lower alkoxy-carbonyl group as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms);

a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms);

an aryl group as illustrated above;

an aryl lower alkyl group as illustrated above;

an aroyl group as illustrated above; and

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) having 1 to 5, preferably 1 to 3, more preferably 1, amino groups (1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present on the amino group, as a substituent(s)). Specific examples of the amino lower alkyl group that may have, on the amino group, a group selected from the group consisting of a lower alkyl group, halogen substituted

lower alkyl group, lower alkoxycarbonyl group, lower alkanoyl group, aryl group, aryl lower alkyl group, aroyl group, and amino substituted alkyl group ((on the amino group of the amino substituted alkyl group, a lower alkyl group may be present as a substituent) include an N-methylaminomethyl group, N-ethylaminomethyl group, N-n-propylaminomethyl group, N,N-dimethylaminomethyl group, N,N-diethylaminomethyl group, N-methyl-N-n-propylaminomethyl group, N-methyl-N-ethylaminomethyl group, N-(2,2,2-trifluoroethyl)aminomethyl group, N-methyl-N-benzylaminomethyl group, N-phenylaminomethyl group, N-methyl-N-phenylaminomethyl group, N-formylaminomethyl group, N-methyl-N-acetylaminomethyl group, N-methyl-N-propionylaminomethyl group, N-(2-(N,N-diethylamino)ethyl)aminomethyl group, N-methyl-N-benzoylaminomethyl group, N-methylaminoethyl group, N-ethylaminoethyl group, N-(2,2,2-trifluoroethyl)aminoethyl group, N,N-dimethylaminoethyl group, N,N-diethylaminoethyl group, N-methyl-N-acetylaminoethyl group, N-methyl-N-benzoylaminoethyl group, N-methyl-N-propionylaminoethyl group, N-methyl-N-benzylaminoethyl group, and N-methyl-N-tert-butoxycarbonylaminoethyl group.

Examples of the lower alkyl group substituted with a carbamoyl group that may have a group selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group include a lower

alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) and substituted with 1 to 3 (preferably 1) carbamoyl groups that may have 1 to 2 groups selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms); and

a halogen substituted lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms and 1 to 13, preferably 1 to 7, more preferably 1 to 3 halogen atoms). Specific examples thereof include a carbamoylmethyl group, 2-carbamoylethyl group, 1-carbamoylethyl group, 3-carbamoylpropyl group, 4-carbamoylbutyl group, 5-carbamoylpentyl group, 6-carbamoylhexyl group, 1,1-dimethyl-2-carbamoylethyl group, 2-methyl-3-carbamoylpropyl group, 1,2-dicarbamoylethyl group, 2,2-dicarbamoylethyl group, 1,2,3-tricarbamoylpropyl group, N-methylcarbamoylmethyl group, N-ethylcarbamoylmethyl group, 2-(N-n-propylcarbamoyl)ethyl group, 3-(N-n-butylcarbamoyl)propyl group, 4-(N-isobutylcarbamoyl)butyl group, 5-(N-tert-butylcarbamoyl)pentyl group, 6-(N-pentylcarbamoyl)hexyl group, N,N-dimethylcarbamoylmethyl group, N,N-diethylcarbamoylmethyl group, 2-(N-2-fluoroethylcarbamoyl)ethyl group, 3-(N-2-chloroethylcarbamoyl)propyl group, 4-(N-2-

bromoethylcarbamoyl)butyl group, 2-(N-2,2-dichloroethylcarbamoyl)ethyl group, N-2,2,2-trifluoroethylcarbamoylmethyl group, and N-heptafluoropropylcarbamoylmethyl group.

Examples of the thiocarbamoyl group that may have a lower alkyl group include a thiocarbamoyl group that may have 1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a thiocarbamoyl group, N-methyl-thiocarbamoyl group, N-ethyl-thiocarbamoyl group, N-n-propyl-thiocarbamoyl group, N-n-butyl-thiocarbamoyl group, N-n-pentyl-thiocarbamoyl group, N-n-hexyl-thiocarbamoyl group, N-isobutyl-thiocarbamoyl group, N-tert-butyl-thiocarbamoyl group, N,N-dimethyl-thiocarbamoyl group, and N-methyl-N-ethyl-thiocarbamoyl group.

Examples of the oxazolidinyl group that may have an oxo group include an oxazolidinyl group that may have 1 to 2 (preferably 1) oxo groups. Specific examples thereof include an oxazolidin-3-yl group, oxazolidin-4-yl group, oxazolidin-5-yl group, 2-oxo-oxazolidin-4-yl group, 2-oxo-oxazolidin-3-yl group, and 2-oxo-oxazolidin-5-yl group.

Examples of the imidazolidinyl group that may have a substituent selected from the group consisting of an oxo group and a lower alkyl group include an imidazolidinyl group that may have 1 to 3, preferably 1 to 2 substituents selected from the group consisting of

oxo group and a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include an imidazolidin-1-yl group, imidazolidin-2-yl group, imidazolidin-4-yl group, 2-oxo-imidazolidin-1-yl group, 4-oxo-imidazolidin-1-yl group, 5-oxo-imidazolidin-1-yl group, 4-oxo-imidazolidin-2-yl group, 2-oxo-imidazolidin-4-yl group, 2-methyl-imidazolidin-1-yl group, 4-ethyl-imidazolidin-1-yl group, 5-n-propyl-imidazolidin-1-yl group, 4-n-butyl-imidazolidin-2-yl group, 2-n-pentyl-imidazolidin-4-yl group, 2-n-hexyl-imidazolidin-1-yl group, 4-isobutyl-imidazolidin-2-yl group, 2-tert-butyl-imidazolidin-4-yl group, 2-oxo-3-methyl-imidazolidin-1-yl group, and 2-oxo-3,4-dimethyl-imidazolidin-1-yl group.

Examples of the pyrrolidinyl group that may have an oxo group include a pyrrolidinyl group that may have 1 to 2 (preferably 1) oxo groups. Specific examples thereof include a (1-, 2- or 3-)pyrrolidinyl group, (2- or 3-)oxo-1-pyrrolidinyl group, (3-, 4- or 5-)oxo-2-pyrrolidinyl group, and (2-, 4- or 5-)oxo-3-pyrrolidinyl group.

Examples of the imidazolyl group include a (1-,2-, 4- or -5)imidazolyl group.

Examples of the isoxazolyl group include a (3-, 4- or 5-)isoxazolyl group.

Examples of the arylsulfonyl group include an arylsulfonyl group whose aryl moiety is phenyl,

biphenyl, substituted biphenyl, substituted phenyl, naphthyl and substituted naphthyl, and which may have, on the aryl moiety, 1 to 7, preferably 1 to 5, more preferably 1 to 2 linear or branched alkyl groups having 1 to 6 carbon atoms. Examples of the substituent such as phenyl, biphenyl and naphthyl include a linear or branched alkyl group having 1 to 6 carbon atoms, a halogen atom, an amino group and the like. One to seven, preferably 1 to 5, more preferably 1 to 2 substituents of at least one type of these may be present on the phenyl, biphenyl, naphthyl ring and the like. Specific Examples of the arylsulfonyl group that may have a lower alkyl group on the aryl group include a phenylsulfonyl group, (2-, 3-, or 4-)biphenylsulfonyl group, (1- or 2-)naphthylsulfonyl group, (2-, 3-, or 4-)methylphenylsulfonyl group, (2-, 3-, or 4-)ethylphenylsulfonyl group, (2-, 3-, or 4-)n-propylphenylsulfonyl group, (2-, 3-, or 4-)n-butylphenylsulfonyl group, (2-, 3-, or 4-)n-pentylphenylsulfonyl group, (2-, 3-, or 4-)n-hexylphenylsulfonyl group, (2-, 3-, or 4-)isobutylphenylsulfonyl group, (2-, 3-, or 4-)tert-butylphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)methyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-2-

biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)ethyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-propyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-butyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-pentyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)n-hexyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)isobutyl-4-biphenylsulfonyl group, (3-, 4-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-2-biphenylsulfonyl group, (2-, 4-, 5-, 6-, 2'-, 3'-, 4'-,

5'-, or 6'-)tert-butyl-3-biphenylsulfonyl group, (2-, 3-, 5-, 6-, 2'-, 3'-, 4'-, 5'-, or 6'-)tert-butyl-4-biphenylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)methyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)ethyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-propyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-butyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-pentyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)n-hexyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)isobutyl-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)tert-butyl-2-naphthylsulfonyl group, (2-, 3-, or 4-)chlorophenylsulfonyl group, (2-, 3-, or 4-)fluorophenylsulfonyl group, (2-, 3-, or 4-)bromophenylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)chloro-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-1-naphthylsulfonyl group,

(1-, 3-, 4-, 5-, 6-, 7-, or 8-)fluoro-2-naphthylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)bromo-2-naphthylsulfonyl group, (2-, 3-, or 4-)aminophenylsulfonyl group, (2-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-1-naphthylsulfonyl group, (1-, 3-, 4-, 5-, 6-, 7-, or 8-)amino-2-naphthylsulfonyl group, 2,3-dimethylphenylsulfonyl group, 3,4-dimethylphenylsulfonyl group, 2,4-dimethylphenylsulfonyl group, 2,5-dimethylphenylsulfonyl group, 2,6-dimethylphenylsulfonyl group, 2,4,6-trimethylphenylsulfonyl group, 3,4,5-trimethylphenylsulfonyl group, 2,3,4,5-tetraethylphenylsulfonyl group, pentamethylphenylsulfonyl group, 2-methylnaphthylsulfonyl group, 2,3-dimethylnaphthylsulfonyl group, 3,4-dimethylphenylsulfonyl group, 3,5,7-triethylnaphthylsulfonyl group, 3,4,5,7-tetramethylnaphthylsulfonyl group, 2,3,4,5,7-pentamethylnaphthylsulfonyl group, 2,3,4,5,6,7-hexaethylnaphthylsulfonyl group, heptamethylnaphthylsulfonyl group, 2,3-diaminophenylsulfonyl group, 2,4,6-triaminophenylsulfonyl group, and 2-methyl-5-chloronaphthylsulfonyl group.

Examples of the piperidyl group that may have

a substituent selected from the group consisting of a lower alkyl group; lower alkanoyl group; arylsulfonyl group; oxo group; hydroxy group and amino group that may have a group selected from the group consisting of a lower alkyl group, lower alkanoyl group, lower alkoxycarbonyl group and lower alkanoylamino lower alkanoyl group include a piperidyl group that may have 1 to 5, preferably 1 to 3, more preferably 1 substituent selected from the group consisting of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms); and

an arylsulfonyl group as illustrated above; an oxo group; a hydroxy group; and an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group as illustrated above, lower alkanoyl group as illustrated above, lower alkoxycarbonyl group as illustrated above and lower alkanoyl amino lower alkanoyl group as illustrated above. Specific examples thereof include a (1-, 2-, 3-, or 4-)piperidyl group, 1-methyl-4-piperidyl group, 2-ethyl-4-piperidyl group, 3-n-propyl-4-piperidyl group, 4-isopropyl-4-piperidyl group, 2-n-butyl-1-piperidyl group, 3-isobutyl-1-piperidyl group, 4-tert-butyl-1-piperidyl group, 1-sec-butyl-2-piperidyl group,

2-n-pentyl-2-piperidyl group, 3-(1-ethylpropyl)-2-piperidyl group, 4-iso-pentyl-2-piperidyl group, 5-neopentyl-2-piperidyl group, 6-n-hexyl-2-piperidyl group, 1-(1,2,2-trimethylpropyl)-3-piperidyl group, 2-(3,3-dimethylbutyl)-3-piperidyl group, 3-(2-ethylbutyl)-3-piperidyl group, 4-isohexyl-3-piperidyl group, 5-(3-methylpentyl group)-3-piperidyl group, 6-formyl-3-piperidyl group, 1-acetyl-4-piperidyl group, 2-propionyl-4-piperidyl group, 3-butyryl-4-piperidyl group, 4-isobutyryl-4-piperidyl group, 2-pentanoyl-1-piperidyl group, 3-tert-butylcarbonyl-1-piperidyl group, 4-hexanoyl-1-piperidyl group, 1-phenylsulfonyl-2-piperidyl group, 2-(2-biphenylsulfonyl)-2-piperidyl group, 3-(1-naphthylsulfonyl)-2-piperidyl group, 1-tosyl-4-piperidyl group, 4-(4-ethylphenylsulfonyl)-2-piperidyl group, 5-(2-n-propylphenylsulfonyl)-2-piperidyl group, 6-(3-n-butylphenylsulfonyl)-2-piperidyl group, 1-(4-n-pentylphenylsulfonyl)-3-piperidyl group, 2-(2-n-hexylphenylsulfonyl)-3-piperidyl group, 3-(3-isobutylphenylsulfonyl)-3-piperidyl group, 4-(4-tert-butylphenylsulfonyl)-3-piperidyl group, 5-(2-chlorophenylsulfonyl)-3-piperidyl group, 6-(4-fluorophenylsulfonyl)-3-piperidyl group, 1-(3-bromophenylsulfonyl)-4-piperidyl group, 2-(2-aminophenylsulfonyl)-4-piperidyl group, 3-(2,3-dimethylphenylsulfonyl)-4-piperidyl group, 4-(3,4,5-trimethylphenylsulfonyl)-4-piperidyl group, 2-(2,3-diaminophenylsulfonyl)-1-piperidyl group, 4-oxo-1-

piperidyl group, 2-oxo-3-piperidyl group, 4-hydroxy-1-piperidyl group, 2-hydroxy-3-piperidyl group, 4-amino-1-piperidyl group, 2-amino-4-piperidyl group, 4-methylamino-1-piperidyl group, 2-methylamino-4-piperidyl group, 4-ethylamino-1-piperidyl group, 2-ethylamino-4-piperidyl group, 2-dimethylamino-4-piperidyl group, 4-diethylamino-1-piperidyl group, 4-formylamino-1-piperidyl group, 4-acetylamino-1-piperidyl group, 4-(N-methyl-N-acetylamino)-1-piperidyl group, 4-(N-methyl-N-methoxycarbonylamino)-1-piperidyl group, 4-(N-methyl-N-tert-butoxycarbonylamino)-1-piperidyl group, 4-[N-methyl-N-(N-acetylamino)acetylamino]-1-piperidyl group.

Examples of the piperidylcarbonyl group that may have a substituent selected from the group consisting of

a lower alkyl group, hydroxy group, hydroxy lower alkyl group, lower alkanoyl group, carboxy lower alkyl group, lower alkyl carbamoyl lower alkyl group, carbamoyl group, lower alkoxy group, carboxy group, lower alkoxycarbonyl group, amino group (on which 1 to 2 groups selected from the group consisting of a lower alkyl group, lower alkanoyl group, lower alkoxycarbonyl group and aroyl group may be present), piperidyl group (on which a group selected from the group consisting of a lower alkanoyl group, lower alkoxycarbonyl group and aroyl group may be present), piperazinyl group (on which a lower alkyl group may be present as a

substituent), 1,4-dioxa-8-azasprio[4.5]decyl group, morpholinyl group, hexahydro-1,4-diazepinyl group (on which a lower alkyl group may be present as a substituent), pyridyl group, pyridyloxy group, pyridyl lower alkoxy group, tetrahydroquinolyl group (on which an oxo group may be present), benzodioxolyl group, aryl lower alkoxy group (that may have on the aryl group a group selected from the group consisting of a halogen atom, lower alkyl group, lower alkoxy group and halogen substituted lower alkoxy group), aryl group(on which a group selected from the group consisting of a halogen atom, lower alkoxy group and hydroxy group may be present), aryloxy group (that may have on the aryl group a group selected from the group consisting of a cyano group, halogen atom, lower alkyl group, lower alkoxy group and halogen substituted lower alkyl group), aryl lower alkyl group (that may have on the aryl group a group selected from the group consisting of a halogen atom, lower alkyl group, lower alkoxy group and halogen substituted lower alkyl group) and aroyl group (that may have on the aryl group a group selected from the group consisting of a halogen atom and a lower alkoxy group) include

a piperidylcarbonyl group that may have 1 to 3 (preferably 1) substituents, on the piperidyl group, selected from the group consisting of

a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1

to 6 carbon atoms);

a hydroxy group;

a hydroxy lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms and having 1 to 3 hydroxy groups);

a lower alkanoyl group as illustrated above;

a carboxy lower alkyl group as illustrated above having a lower alkyl moiety as illustrated above;

a linear or branched alkyl group having 1 to 6 carbon atoms and substituted with a carbamoyl group having 1 to 2 lower alkyl groups as illustrated above (preferably linear or branched alkyl groups having 1 to 6 carbon atoms);

a carbamoyl group;

a lower alkoxy group as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms);

a carboxy group;

a lower alkoxycarbonyl group as illustrated above (preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms),

an amino group (on which 1 to 2 groups selected from the group consisting of a lower alkyl group as illustrated above, a lower alkanoyl group as illustrated above, lower alkoxycarbonyl group as illustrated above and aroyl group as illustrated above may be present);

a piperidyl group (on which 1 to 3 groups (preferably 1) selected from the group consisting of a lower alkanoyl group as illustrated above, lower alkoxycarbonyl group as illustrated above and aroyl group as illustrated above may be present);

a piperazinyl group (on which 1 to 3 lower alkyl groups as illustrated above (preferably linear or branched alkyl groups having 1 to 6 carbon atoms) may be present as a substituent(s));

a 1,4-dioxa-8-azasprio[4.5]decyl group;

a morpholinyl group;

a hexahydro-1,4-diazepinyl group (on which 1 to 3 lower alkyl groups as illustrated above (preferably linear or branched alkyl groups having 1 to 6 carbon atoms) may be present as a substituent(s));

a pyridyl group;

a pyridyloxy group;

a pyridyl lower alkoxy group having a lower alkoxy moiety as illustrated above;

a tetrahydroquinolyl group (on which 1 to 2 (preferably 1) oxo groups may be present);

a benzodioxolyl group (preferably benzo[1.3]dioxolyl group);

an aryl lower alkoxy group having an aryl moiety and lower alkoxy moiety as illustrated above (that may have on the aryl group 1 to 3 (preferably 1 to 2) groups selected from the group consisting of a halogen atom as illustrated above, lower alkyl group as

illustrated above, lower alkoxy group as illustrated above and halogen substituted lower alkoxy group as illustrated above);

an aryl group as illustrated above (that may have on the aryl group 1 to 3 (preferably 1 to 2) groups selected from the group consisting of a halogen atom as illustrated above, lower alkoxy group as illustrated above and hydroxy group);

an aryloxy group having an aryl moiety as illustrated above (that may have on the aryl group 1 to 3 (preferably 1 to 2) groups selected from the group consisting of a cyano group, halogen atom, lower alkyl group as illustrated above, lower alkoxy group as illustrated above and halogen substituted lower alkyl group as illustrated above);

an aryl lower alkyl group having an aryl moiety and lower alkyl moiety as illustrated above (that may have on the aryl group 1 to 3 (preferably 1 to 2) groups selected from the group consisting of a halogen atom, lower alkyl group, lower alkoxy group and halogen substituted lower alkyl group); and

an aroyl group as illustrated above (that may have on the aryl group 1 to 3 (preferably 1 to 2) groups selected from the group consisting of a halogen atom as illustrated above and a lower alkoxy group as illustrated above). Specific examples thereof include a (1-, 2-, 3-, or 4-)piperidylcarbonyl group, (1-, 2-, 3-, or 4-)ethyl-4-piperidylcarbonyl group, (2-, 3-, or

4-)methyl-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methyl-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methyl-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)methyl-4-piperidylcarbonyl group, (2-, 3-, or 4-)hydroxy-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)hydroxy-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)hydroxy-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)hydroxy-4-piperidylcarbonyl group, (2-, 3-, or 4-)hydroxymethyl-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)hydroxymethyl-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)hydroxymethyl-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)hydroxymethyl-4-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)(2-hydroxyethyl)-4-piperidylcarbonyl group, (2-, 3-, or 4-)(N-ethyl-carbamoylmethyl)-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(N-ethyl-carbamoylmethyl)-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(N-ethyl-carbamoylmethyl)-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)N-ethyl-carbamoylmethyl-4-piperidylcarbonyl group, (2-, 3-, or 4-)carbamoyl-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)carbamoyl-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)carbamoyl-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)carbamoyl-4-piperidylcarbonyl group, (2-, 3-, or 4-)carboxy-1-piperidylcarbonyl group, (2-, 3-, or 4-)carboxymethyl-1-piperidylcarbonyl group, (2-, 3-, or 4-)ethoxycarbonyl-1-piperidylcarbonyl group, (2-,

3-, or 4-)methoxy-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methoxy-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methoxy-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)methoxy-4-piperidylcarbonyl group, (2-, 3-, or 4-)methoxycarbonyl-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methoxycarbonyl-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methoxycarbonyl-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)methoxycarbonyl-4-piperidylcarbonyl group, (2-, 3-, or 4-)ethoxycarbonyl-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)ethoxycarbonyl-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)ethoxycarbonyl-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)ethoxycarbonyl-4-piperidylcarbonyl group, (2-, 3-, or 4-)acetylamino-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)acetylamino-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)acetylamino-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)acetylamino-4-piperidylcarbonyl group, (2-, 3-, or 4-)tert-butoxycarbonylamino-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)tert-butoxycarbonylamino-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)tert-butoxycarbonylamino-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)tert-butoxycarbonylamino-4-piperidylcarbonyl group, (2-, 3-, or 4-)butyrylamino-1-piperidylcarbonyl group, (2-, 3-, or 4-)benzoylamino-1-piperidylcarbonyl group, (2-, 3-, or 4-)(N-methyl-N-acetylamino)-1-piperidylcarbonyl

group, (2-, 3-, or 4-)(N-methyl-N-butyrylamino)-1-piperidylcarbonyl group, (2-, 3-, or 4-)(N-methyl-N-tert-butoxycarbonylamino)-1-piperidylcarbonyl group, (2-, 3-, or 4-)(N-methyl-N-benzoylamino)-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(1-, 2-, 3-, or 4-)piperidyl]-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[(1-, 2-, 3-, or 4-)piperidyl)-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[(1-, 2-, 3-, or 4-)piperidyl]-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)[(1-, 2-, 3-, or 4-)piperidyl]-4-piperidylcarbonyl group, (2-, 3-, or 4-)[1-acetyl-(2-, 3-, or 4-)piperidyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[1-butyryl-(2-, 3-, or 4-)piperidyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[1-tert-butoxycarbonyl-(2-, 3-, or 4-)piperidyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[1-benzoyl-(2-, 3-, or 4-)piperidyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)(1-piperazinyl)-1-piperidylcarbonyl group, (2-, 3-, or 4-)[1-(3,4-dimethylpiperazinyl)]-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[1-(3,4-dimethylpiperazinyl)]-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[1-(3,4-dimethylpiperazinyl)]-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)[1-(3,4-dimethylpiperazinyl)]-4-piperidylcarbonyl group, (2-, 3-, or 4-)[1-(4-methylpiperazinyl)]-1-piperidylcarbonyl group, (1-, 3-, or 4-)[1-(4-methylpiperazinyl)]-2-piperidylcarbonyl group, (1-, 2-, or 4-)[1-(4-

methylpiperazinyl)]-3-piperidylcarbonyl group, (1-, 2-, or 3-)[1-(4-methylpiperazinyl)]-4-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)morpholinyl]-1-piperidylcarbonyl group, (1-, 3-, or 4-)[(2-, 3-, or 4-)morpholinyl]-2-piperidylcarbonyl group, (1-, 2-, 4-, 5-, or 6-)[(2-, 3-, or 4-)morpholinyl]-3-piperidylcarbonyl group, (1-, 2-, or 3-)[(2-, 3-, or 4-)morpholinyl]-4-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, 6-, or 7-)(4-methyl-hexahydro-1,4-diazepinyl)-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(4-methyl-hexahydro-1,4-diazepinyl)-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(4-methyl-hexahydro-1,4-diazepinyl)-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)(4-methyl-hexahydro-1,4-diazepinyl)-4-piperidylcarbonyl group, (2-, 3-, or 4-)(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-1-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-2-piperidylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-piperidylcarbonyl group, (1-, 2-, 3-, or 4-)(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-4-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 4-, or 5-)benzo[1.3]dioxolyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[2-oxo-(1-, 3-, 4-, 5-, 6-, 7-, or 8-)-1,2,3,4-tetrahydroquinolyl]-1-piperidylcarbonyl group, 4-[2-oxo-(1-, 3-, 4-, 5-, 6-, 7-, or 8-)-1,2,3,4-tetrahydroquinolyl]-(2- or 3-methyl)-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-,

3-, or 4-)pyridyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)pyridyloxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)pyridylmethoxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)fluorobenzyloxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)chlorobenzyloxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)bromobenzyloxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methylbenzyloxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)trifluoromethoxybenzyloxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)(3,4-dichlorobenzyloxy)-1-piperidylcarbonyl group, (2-, 3-, or 4-)(3,4-dimethoxybenzyloxy)-1-piperidylcarbonyl group, (2-, 3-, or 4-)(3-chloro-4-methoxybenzyloxy)-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)fluorophenoxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)chlorophenoxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)cyanophenoxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methoxyphenoxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methylphenoxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)trifluoromethoxyphenoxy]-1-piperidylcarbonyl group, (2-, 3-, or 4-)phenyl-1-piperidylcarbonyl group, 4-hydroxy-(2-, 3-, or 4-)phenyl-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)chlorophenyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methoxyphenyl]-1-

piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)hydroxyphenoxy]-1-piperidylcarbonyl group, 4-hydroxy-(2-, 3-, or 4-)phenyl-1-piperidylcarbonyl group, 4-ethoxycarbonyl-(2-, 3-, or 4-)phenyl-1-piperidylcarbonyl group, 4-hydroxy-(2-, 3-, or 4-)[(2-, 3-, or 4-)chlorophenyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)benzyl-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)chlorobenzyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methylbenzyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methoxybenzyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)trifluoromethoxybenzyl]-1-piperidylcarbonyl group, 4-hydroxy-(2-, 3-, or 4--)benzyl-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)chlorobenzoyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methoxybenzoyl]-1-piperidylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)fluorobenzoyl]-1-piperidylcarbonyl group, and (2-, 3-, or 4-)[(2-, 3-, or 4-)trifluoromethoxybenzyl]-1-piperidylcarbonyl group.

Examples of the pyrrolidinylcarbonyl group that may have a substituent selected from the group consisting of a hydroxy lower alkyl group, carbamoyl group, hydroxy group, amino group (that may have a group selected from the group consisting of a lower alkyl group, lower alkanoyl group, and aroyl group thereon) morpholinyl lower alkyl group, pyrrolidinyl lower alkyl group, piperidyl lower alkyl group,

piperazinyl lower alkyl group (that may have a lower alkyl group thereon as a substituent), amino lower alkyl group (that may have a lower alkyl group thereon as a substituent) and aryl oxy group (that may have on the aryl group a halogen substituted lower alkoxy group), aryloxy lower alkyl group (on the aryl group, a halogen substituted lower alkoxy group may be present) and a tetrahydroquinolyl group (on which an oxo group may be present) include a pyrrolidinylcarbonyl group that may have 1 to 3 (preferably 1) substituents, on the pyrrolidinyl group, which are selected from the group consisting of

a lower alkyl group as illustrated above having 1 to 3 hydroxy groups (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a carbamoyl group;

a hydroxy group;

an amino group (that may have 1 to 2 groups selected from the group consisting of a lower alkyl group as illustrated above, a lower alkanoyl group as illustrated above, and an aroyl group as illustrated above);

a morpholinyl lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a pyrrolidinyl lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a piperidyl lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;
a piperazinyl lower alkyl group whose lower alkyl moiety is one as illustrated above preferably a linear or branched alkyl group having 1 to 6 carbon atoms (1 to 3 (preferably 1) lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present on the piperazinyl group, as a substituent(s));
an amino lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms (1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present on the amino group, as a substituent(s)), aryloxy group having an aryl moiety as illustrated above (which may have on the aryl group, 1 to 3 (preferably 1) halogen substituted lower alkoxy groups), aryloxy lower alkyl group having an aryl moiety and lower alkyl moiety as illustrated above (which may have on the aryl group, 1 to 3 (preferably 1) halogen substituted lower alkoxy groups) and a tetrahydroquinolyl group (on which a single oxo group may be present). Specific examples thereof include a (1-, 2-, or 3-)pyrrolidinylcarbonyl group, (2- or 3-)hydroxymethyl-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)hydroxymethyl-2-pyrrolidinylcarbonyl

group, (1-, 2-, 3-, 4-, or 5-)hydroxymethyl-3-pyrrolidinylcarbonyl group, (2- or 3-)carbamoyl-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)carbamoyl-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)carbamoyl-3-pyrrolidinylcarbonyl group, (2- or 3-)hydroxy-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)hydroxy-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)hydroxy-3-pyrrolidinylcarbonyl group, (2- or 3-)amino-1-pyrrolidinylcarbonyl group, (2- or 3-)acetamido-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)acetamido-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)acetamido-3-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)butyrylamino-3-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)(N-methyl-N-acetylamino)-3-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)(N-methyl-N-butyrylamino)-3-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)benzoylamino-3-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)(N-methyl-N-benzoylamino)-3-pyrrolidinylcarbonyl group, (2- or 3-)[(2-, 3-, or 4-)morpholinylmethyl]-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)[(2-, 3-, or 4-)morpholinylmethyl]-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)[(2-, 3-, or 4-)morpholinylmethyl]-3-pyrrolidinylcarbonyl group, (2- or 3-)[(1-, 2-, or 3-)pyrrolidinylmethyl]-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)[(1-, 2-, or

3-)pyrrolidinylmethyl]]-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)[(1-, 2-, or 3-)pyrrolidinylmethyl]]-3-pyrrolidinylcarbonyl group, (2- or 3-)[(1-, 2-, 3-, or 4-)piperidylmethyl]]-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)[(1-, 2-, 3-, or 4-)piperidylmethyl]]-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)[(1-, 2-, 3-, or 4-)piperidylmethyl)]-3-pyrrolidinylcarbonyl group, (2- or 3-)(4-methyl-1-piperazinylmethyl)-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)(4-methyl-1-piperazinylmethyl)-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)(4-methyl-1-piperazinylmethyl)-3-pyrrolidinylcarbonyl group, (2- or 3-)N,N-dimethylaminomethyl-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)N,N-dimethylaminomethyl-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)N,N-dimethylaminomethyl-3-pyrrolidinylcarbonyl group, (2- or 3-)N,N-diethylaminomethyl-1-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)N,N-diethylaminomethyl-2-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)N,N-diethylaminomethyl-3-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)(4-trifluoromethoxyphenoxymethyl)-3-pyrrolidinylcarbonyl group, (1-, 2-, 3-, 4-, or 5-)(4-trifluoromethoxyphenoxy)-3-pyrrolidinylcarbonyl group, and (1-, 3-, 4-, 5-, 6-, 7-, or 8-)(2-oxy-1,2,3,4-tetrahydroquinolyl)-3-pyrrolidinylcarbonyl group.

Examples of a piperazinylcarbonyl group that

may have a substituent selected from the group consisting of a lower alkyl group, cyclo C3-C8 alkyl group, lower alkanoyl group, hydroxy lower alkyl group, lower alkoxy lower alkyl group, lower alkoxycarbonyl group, amino lower alkyl group (a lower alkyl group may be present on the amino group, as a substituent), piperidyl lower alkyl group (a lower alkyl group may be present on the piperidyl group, as a substituent), morpholinyl lower alkyl group, pyrrolidinyl lower alkyl group, 1,3-dioxolanyl lower alkyl group, tetrahydrofuryl lower alkyl group, pyridyl lower alkyl group (a phenyl group may be present on the lower alkyl group as a substituent), imidazolyl lower alkyl group, furyl lower alkyl group, pyrrolidinyl carbonyl lower alkyl group, piperidyl group that may have a lower alkyl group as a substituent, pyridyl group (a substituent selected from the group consisting of a lower alkyl group, cyano group, and halogen substituted lower alkyl group may be present on the pyridyl group, as a substituent), thieno[2,3-c]pyridyl group aryl group (on which a group selected from the group consisting of a halogen atom and a lower alkyl group may be present), aroyl group, furyl lower alkyl group, aryl lower alkoxycarbonyl group and oxo group, include a piperazinylcarbonyl group that may have 1 to 3 (preferably 1) substituents, on the piperazinyl group, which are selected from the group consisting of a lower alkyl group as illustrated above (preferably a

linear or branched alkyl group having 1 to 6 carbon atoms);

a cyclo C3-C8 alkyl group as illustrated above;

a lower alkanoyl group as illustrated above (preferably a linear or branched alkanoyl group having 1 to 6 carbon atoms);

a hydroxy lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms with 1 to 3 hydroxy groups);

a lower alkoxy lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms and 1 to 3 lower alkoxy groups as illustrated above (preferably a linear or branched alkoxy group having 1 to 6 carbon atoms));

a lower alkoxycarbonyl group as illustrated above(preferably a linear or branched alkoxycarbonyl group having 1 to 6 carbon atoms);

an amino lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms (1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present on the amino group, as substituent(s));

a piperidyl lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms (1 to 3 lower alkyl groups as illustrated above (preferably a

linear or branched alkyl group having 1 to 6 carbon atoms) may be present on the piperidyl group as a substituent(s));

a morpholinyl lower alkyl group whose alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a pyrrolidinyl lower alkyl group whose alkyl moiety is one as illustrated above preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a 1,3 dioxolanyl lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a tetrahydrofuryl lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a pyridyl lower alkyl group whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms (1 to 3 phenyl groups may be present on the alkyl group, as a substituent(s));

an imidazolyl lower alkyl group, whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a furyl lower alkyl group, whose lower alkyl moiety is one as illustrated above, preferably a linear or branched alkyl group having 1 to 6 carbon atoms;

a pyrrolidinyl carbonyl lower alkyl group, whose lower alkyl moiety is one as illustrated above, preferably a

linear or branched alkyl group having 1 to 6 carbon atoms;

a piperidyl group that may have 1 to 3 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms);

a pyridyl group (1 to 3 groups (preferably 1) selected from the group consisting of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms), cyano group, and halogen substituted lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms substituted with 1 to 7 halogen atoms) may be present on the pyridyl group); a tieno[2,3-c]pyridyl group; aryl group as illustrated above (which may have on the aryl group 1 to 3 (preferably 1) groups selected from the group consisting of a halogen atom and a lower alkyl group), aroyl group as illustrated above, furyl lower alkyl group having a lower alkyl moiety as illustrated above, aryl lower alkoxy carbonyl group having an aryl moiety and lower alkoxy carbonyl moiety as illustrated above and oxo group. Specific examples thereof include a (1- or 2-)piperazinylcarbonyl group, (2-, 3-, or 4-)methyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)ethyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)ethyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)n-propyl-1-piperazinylcarbonyl group, (1-, 2-,

3-, 4-, 5-, or 6-)n-propyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)n-butyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)n-butyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[(1-ethyl-n-propyl)]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[(1-ethyl-n-propyl)]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)isopropyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)isopropyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)tert-butyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)tert-butyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)n-hexyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)n-hexyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)cyclopentyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)cyclopentyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)cycloheptyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)cycloheptyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)acetyl-1-piperazinylcarbonyl group, (2-, 3-, or 4-)butyryl-1-piperazinyl carbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)acetyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(2-hydroxyethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(2-hydroxyethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(2-methoxyethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(2-methoxyethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(3-methoxypropyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or

6-)(3-methoxypropyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(4-methoxybutyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(4-methoxybutyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)ethoxycarbonyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)ethoxycarbonyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)tert-butoxycarbonyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)tert-butoxycarbonyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)methoxycarbonyl-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)methoxycarbonyl-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[3-(N,N-dimethylamino)propyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[3-(N,N-dimethylamino)propyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[2-(N,N-dimethylamino)ethyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(2-(N,N-dimethylamino)ethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(2-(1-piperidyl)ethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(2-(1-piperidyl)ethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[(1-methyl-3-piperidyl)methyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[(1-methyl-3-piperidyl)methyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[(1-methyl-4-piperidyl)methyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[(1-methyl-4-piperidyl)methyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[2-(4-morpholinyl)ethyl]-1-piperazinylcarbonyl group, (1-,

2-, 3-, 4-, 5-, or 6-)[2-(4-morpholinyl)ethyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[2-(1-pyrrolidinyl)ethyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[2-(1-pyrrolidinyl)ethyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[2-(1,3-dioxolanyl)methyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[2-(1,3-dioxolanyl)methyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-){2-[2-(1,3-dioxolanyl)]ethyl}-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-){2-[2-(1,3-dioxolanyl)]ethyl}-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(2-tetrahydrofurylmethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(2-tetrahydrofurylmethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(2-pyridylmethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(2-pyridylmethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(3-pyridylmethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(3-pyridylmethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(4-pyridylmethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(4-pyridylmethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[2-(4-pyridyl)ethyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[2-(4-pyridyl)ethyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[2-(2-pyridyl)ethyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[2-(2-pyridyl)ethyl]-2-

piperazinylcarbonyl group, (2-, 3-, or 4-)[2-phenyl-2-(4-pyridyl)ethyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[2-phenyl-2-(4-pyridyl)ethyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[2-(1-imidazolyl)ethyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[2-(1-imidazolyl)ethyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(3-furylmethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(3-furylmethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(1-pyrrolidinylcarbonylmethyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(1-pyrrolidinylcarbonylmethyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(1-methyl-4-piperidyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(1-methyl-4-piperidyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)pyridyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(2-, 3-, or 4-pyridyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(3-cyano-2-pyridyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(3-cyano-2-pyridyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-){4-methyl-2-pyridyl}-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(4-methyl-2-pyridyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(3-methyl-2-pyridyl)-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)(3-methyl-2-pyridyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)(3-trifluoromethyl-2-pyridyl)-1-piperazinylcarbonyl group,

(1-, 2-, 3-, 4-, 5-, or 6-)(3-trifluoromethyl-2-pyridyl)-2-piperazinylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, 4-, 5-, or 6-)thieno[2,3-c]pyridyl]-1-piperazinylcarbonyl group, (1-, 2-, 3-, 4-, 5-, or 6-)[(2-, 3-, 4-, 5-, or 6-)thieno[2,3-c]pyridyl]-2-piperazinylcarbonyl group, (2-, 3-, or 4-)phenyl-1-piperazinylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)chlorophenyl]-1-piperazinylcarbonyl group, (2-, 3-, or 4-)[(2-, 3-, or 4-)methylphenyl]-1-piperazinylcarbonyl group, 3-oxo-(2- or 4-)phenyl-1-piperazinylcarbonyl group, (2-, 3-, or 4-)benzolyl-1-piperazinylcarbonyl group, (2-, 3-, or 4-)[(2- or 3-)furylcarbonyl]-1-piperazinylcarbonyl group, and (2-, 3-, or 4-)benzyloxycarbonyl-1-piperazinylcarbonyl group.

Example of a hexahydroazepinylcarbonyl group include a (1-, 2-, 3- or 4-)hexahydroazepinylcarbonyl group.

Example of a hexahydro-1,4-diazepinylcarbonyl group that may have a substituent selected from the group consisting of a lower alkyl group and a pyridyl group include a hexahydro-1,4-diazepinylcarbonyl group that may have 1 to 3, preferably 1, substituents selected from the group consisting of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) and a pyridyl group. Specific examples thereof include a (hexahydro-1,4-diazepin-(1-,2-, 5- or 6-)yl)carbonyl

group, (4-methyl-hexahydro-1,4-diazepin-1-yl)carbonyl group, and (4-(4-pyridyl)-methyl-hexahydro-1,4-diazepin-1-yl)carbonyl group.

Example of a dihydropyrrolylcarbonyl group include a 2,3-dihydropyrrolylcarbonyl group and a 2, 5-dihydropyrrolylcarbonyl group.

Examples of the dihydropyrrolylcarbonyl group that may have a lower alkyl group include a dihydropyrrolylcarbonyl group as illustrated above that may have 1 to 4, preferably 1 to 2 lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a (1-, 2- or 3-)(2,5-dihydropyrrolylcarbonyl) group, 2,5-dimethyl-1-(2,5-dihydropyrrolylcarbonyl) group, and 2,5-dimethyl-1-(2,3-dihydropyrrolylcarbonyl) group.

Examples of the thiomorpholinylcarbonyl group include a (2-, 3- or 4-)thiomorpholinylcarbonyl group.

Examples of the morpholinylcarbonyl group that may have a group selected from the group consisting of a lower alkyl group, and piperidyl lower alkyl group, and aryl group include a morpholinylcarbonyl group that may have 1 to 5 groups, more preferably 1 to 2 groups selected from the group consisting of a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) (on which 1 to 3 (preferably 1) piperidyl groups may be present as substituent(s)) an

aryl group as described above. Specific examples thereof include a (2-, 3- or 4-)morpholinylcarbonyl group, 2,6-dimethyl-4-morpholinylcarbonyl group, 2-(1-piperidylmethyl)-4-morpholinylcarbonyl group, and 2-phenyl-4-morpholinylcarbonyl group.

Examples of the thiazolidinylcarbonyl group include a (2-, 3-, 4- or 5-) thiazolidinylcarbonyl group.

Examples of the thiazolidinylcarbonyl group that may have an aryl group that may have a group selected from the group consisting of a lower alkoxy group and a cyano group include a thiazolidinylcarbonyl group that may have 1 to 3 (preferably 1) aryl groups that may have 1 to 3 (preferably 1) groups selected from the group consisting of a lower alkoxy group and a cyano group as illustrated above. Specific examples thereof include a (2-, 3-, 4- or 5-)thiazolidinylcarbonyl group, (2-, 4- or 5-)[(2-, 3- or 4-)methoxyphenyl]-3-thiazolidinylcarbonyl group and (2-, 4- or 5-)[(2-, 3- or 4-)cyanophenyl]-3-thiazolidinylcarbonyl group.

Examples of the azabicyclo[3.2.2]nonylcarbonyl group include a 1-azabicyclo[3.2.2]non-(2-, 3-, 5-, or 6-)ylcarbonyl group, 2-azabicyclo[3.2.2]non-(1-, 2-, 3-, 4-, 5-, 6- or 7-)ylcarbonyl group, 3-azabicyclo[3.2.2]non-(1-, 2-, 3-, or 6-)ylcarbonyl group, and 6-azabicyclo[3.2.2]non-(1-, 2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)ylcarbonyl group.

Examples of the azabicyclo[3.2.1]octylcarbonyl group that may have a halogen substituted or unsubstituted aryloxy group include an azabicyclo[3.2.1]octylcarbonyl group that may have 1 to 2 (preferably 1) halogen substituted aryl groups as illustrated above (preferably an aryl group that may be substituted with 1 to 3, preferably 1 halogen atom), or an azabicyclo[3.2.1]octylcarbonyl group that may have 1 to 2 (preferably 1) unsubstituted aryl groups as illustrated above. Specific examples thereof include a 1-azabicyclo[3.2.1]oct-(2-, 3-, 4-, 5-, 6-, 7-, or 8-)ylcarbonyl group, 2-azabicyclo[3.2.1]oct-(1-, 2-, 3-, 4-, 5-, 6-, 7-, or 8-)ylcarbonyl group, 3-azabicyclo[3.2.1]oct-(1-, 2-, 3-, 6-, or 8-)ylcarbonyl group, 6-azabicyclo[3.2.1]oct-(1-, 2-, 3-, 4-, 5-, 6-, 7-, or 8-)ylcarbonyl group, 8-azabicyclo[3.2.1]oct-(1-, 2-, 3-, 6-, or 8-)ylcarbonyl group, 3-(phenyloxy)-1-azabicyclo[3.2.1]oct-2-ylcarbonyl group, 3-(2-biphenyloxy)-1-azabicyclo[3.2.1]oct-3-ylcarbonyl group, 3-(1-naphthyloxy)-1-azabicyclo[3.2.1]oct-4-ylcarbonyl group, 3-(3-methylphenyloxy)-1-azabicyclo[3.2.1]oct-5-ylcarbonyl group, 3-(4-ethylphenyloxy)-1-azabicyclo[3.2.1]oct-6-ylcarbonyl group, 3-(2-n-propylphenyloxy)-1-azabicyclo[3.2.1]oct-7-ylcarbonyl group, 3-(3-n-butylphenyloxy)-1-azabicyclo[3.2.1]oct-8-ylcarbonyl group, 3-(4-n-pentylphenyloxy)-2-azabicyclo[3.2.1]oct-1-ylcarbonyl group, 3-(2-n-

hexylphenyloxy)-2-azabicyclo[3.2.1]oct-2-ylcarbonyl group, 3-(3-isobutylphenyloxy)-2-azabicyclo[3.2.1]oct-3-ylcarbonyl group, 3-(4-tert-butylphenyloxy)-2-azabicyclo[3.2.1]oct-4-ylcarbonyl group, 3-(2-chlorophenyloxy)-2-azabicyclo[3.2.1]oct-5-ylcarbonyl group, 3-(3-fluorophenyloxy)-8-aza-bicyclo[3.2.1]oct-8-ylcarbonyl group, 3-(3-bromophenyloxy)-2-azabicyclo[3.2.1]oct-6-ylcarbonyl group, 3-(2-aminophenyloxy)-2-azabicyclo[3.2.1]oct-7-ylcarbonyl group, 3-(2,3-dimethylphenyloxy)-2-azabicyclo[3.2.1]oct-8-ylcarbonyl group, 3-(3,4,5-trimethylphenyloxy)-8-azabicyclo[3.2.1]oct-1-ylcarbonyl group, and 3-(2,3-diaminophenyloxy)-8-azabicyclo[3.2.1]oct-2-ylcarbonyl group.

Examples of the indolinylcarbonyl group include a (1-, 2-, 3-, 4-, 5-, 6-, or 7-)indolinylcarbonyl group.

Examples of the tetrahydropyrido[3.4-b]indolylcarbonyl group include a (1-, 2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)(2-, 3-, 4-, 9-tetrahydropyrido[3.4-b]indolylcarbonyl) group.

Examples of the piperazinyl lower alkyl group that may have a lower alkyl group on the piperazinyl group include a piperazinyl lower alkyl group whose lower alkyl moiety is a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) and 1 to 7, preferably 1 to 5, more preferably 1, lower alkyl

groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) may be present on the piperazinyl group. Specific examples thereof include a (1- or 2-)piperazinylmethyl group, 2-[(1- or 2-)piperazinyl]ethyl group, 1-[(1- or 2-)piperazinyl]ethyl group, 3-[(1- or 2-)piperazinyl]propyl group, 4-[(1- or 2-)piperazinyl]butyl group, 5-[(1- or 2-)piperazinyl]pentyl group, 6-[(1- or 2-)piperazinyl]hexyl group, 1,1-dimethyl-2-[(1- or 2-)piperazinyl]ethyl group, 2-methyl-3-[(1- or 2-)piperazinyl]propyl group, 4-methyl-1-piperazinylmethyl group, 2-(4-methyl-2-piperazinyl)ethyl group, 3-(2-ethyl-1-piperazinyl)propyl group, 4-(3-n-propyl-1-piperazinyl)butyl group, 5-(4-n-butyl-1-piperazinyl)pentyl group, 6-(1-n-pentyl-2-piperazinyl)hexyl group, 2-n-hexyl-2-piperazinylmethyl group, 2-(3-isobutyl-2-piperazinyl)ethyl group, and 3-(4-tert-butyl-2-piperazinyl)propyl group.

Examples of the morpholinylcarbonyl lower alkyl group include a morpholinylcarbonyl lower alkyl group whose lower alkyl moiety is a lower alkyl group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms). Specific examples thereof include a 2-morpholinylcarbonylmethyl group, 3-morpholinylcarbonylmethyl group, 4-morpholinylcarbonylmethyl group, 2-(2-

morpholinylcarbonyl)ethyl group, 2-(3-morpholinylcarbonyl)ethyl group, 2-(4-morpholinylcarbonyl)ethyl group, 1-(2-morpholinylcarbonyl)ethyl group, 1-(3-morpholinylcarbonyl)ethyl group, 1-(4-morpholinylcarbonyl)ethyl group, 3-(2-morpholinylcarbonyl)propyl group, 3-(3-morpholinylcarbonyl)propyl group, 3-(4-morpholinylcarbonyl)propyl group, 4-(2-morpholinylcarbonyl)butyl group, 4-(3-morpholinylcarbonyl)butyl group, 4-(4-morpholinylcarbonyl)butyl group, 5-(2-morpholinylcarbonyl)pentyl group, 5-(3-morpholinylcarbonyl)pentyl group, 5-(4-morpholinylcarbonyl)pentyl group, 6-(2-morpholinylcarbonyl)hexyl group, 6-(3-morpholinylcarbonyl)hexyl group, 6-(4-morpholinylcarbonyl)hexyl group, 3-methyl-3-(2-morpholinylcarbonyl)propyl group, 3-methyl-3-(3-morpholinylcarbonyl)propyl group, 3-methyl-3-(4-morpholinylcarbonyl)propyl group, 1,1-dimethyl-2-(2-morpholinylcarbonyl)ethyl group, 1,1-dimethyl-2-(3-morpholinylcarbonyl)ethyl group, and 1,1-dimethyl-2-(4-morpholinylcarbonyl)ethyl group.

Examples of the piperazinylcarbonyl lower alkyl group that may have a lower alkyl group on the piperazinyl group include a piperazinylcarbonyl lower alkyl group whose lower alkyl moiety is a lower alkyl

group as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) and which may have 1 to 7, preferably 1 to 5, more preferably 1, lower alkyl groups as illustrated above (preferably a linear or branched alkyl group having 1 to 6 carbon atoms) on the piperazinyl group. Specific examples thereof include a (1- or 2-)piperazinylcarbonylmethyl group, 2-[(1- or 2-)piperazinylcarbonyl]ethyl group, 1-[(1- or 2-)piperazinylcarbonyl]ethyl group, 3-[(1- or 2-)piperazinylcarbonyl]propyl group, 4-[(1- or 2-)piperazinylcarbonyl]butyl group, 5-[(1- or 2-)piperazinylcarbonyl]pentyl group, 6-[(1- or 2-)piperazinylcarbonyl]hexyl group, 1,1-dimethyl-2-[1- or 2-)piperazinylcarbonyl]ethyl group, 2-methyl-3-[(1- or 2-)piperazinylcarbonyl]propyl group, 4-methyl-1-piperazinylcarbonylmethyl group, 2-(4-methyl-2-piperazinylcarbonyl)ethyl group, 3-(2-ethyl-1-piperazinylcarbonyl)propyl group, 4-(3-n-propyl-1-piperazinylcarbonyl)butyl group, 5-(4-n-butyl-1-piperazinylcarbonyl)pentyl group, 6-(1-n-pentyl-2-piperazinylcarbonyl)hexyl group, 2-n-hexyl-2-piperazinylcarbonylmethyl group, 2-(3-isobutyl-2-piperazinylcarbonyl)ethyl group, and 3-(4-tert-butyl-2-piperazinylcarbonyl)propyl group.

Examples of the amino lower alkoxy group (on the amino group, a lower alkyl group may be present) include a lower alkoxy group as illustrated above

(preferably a linear or branched alkoxy group having 1 to 6 carbon atoms) having 1 to 5 (preferably 1) amino groups that may have 1 to 2 lower alkyl groups as illustrated above. Specific examples thereof include an amino methoxy group, 2-amino ethoxy group, 1-aminoethoxy group, 3-aminopropoxy group, 4-aminobutoxy group, 5-aminopentoxy group, 6-aminohexyloxy group, 1,1-dimethyl-2-aminoethoxy group, N,N-dimethylaminomethoxy group, N-methyl-N-ethylaminomethoxy group, N-methylaminomethoxy group, 2-(N-methylamino)ethoxy group, 2-(N,N-dimethylamino)ethoxy group, 2-(N,N-diethylamino)ethoxy group, 2-(N,N-diisopropylamino)ethoxy group and 3-(N,N-dimethylamino)propoxy group.

Examples of the lower alkoxy lower alkoxy group include a lower alkoxy lower alkoxy group having a lower alkoxy moiety as illustrated above. Specific examples thereof include a methoxymethoxy group, 2-methoxyethoxy group, 1-ethoxyethoxy group, 2-ethoxyethoxy group, 2-isobutoxyethoxy group, 2,2-dimethtoxyethoxy group and 2-methoxy-1-methylethoxy group.

Examples of the piperazinyl group that may have a group selected from the group consisting of an oxo group, lower alkyl group, lower alkanoyl group and lower alkoxy carbonyl group include a piperazinyl group that may have a group 1 to 3 (1 to 2) groups selected from the group consisting of an oxo group, lower alkyl

group as illustrated above, lower alkanoyl group as illustrated above and lower alkoxy carbonyl group as illustrated above. Specific examples thereof include a (1- or 2-)piperazinyl group, (2-, 3- or 4-)methyl-1-piperazinyl group, (1-, 2-, 3-, 4-, 5- or 6-)methyl-2-piperazinyl group, (2-, 3- or 4-)ethyl-1-piperazinyl group, (1-, 2-, 3-, 4-, 5- or 6-)ethyl-2-piperazinyl group, (2-, 3- or 4-)n-propyl-1-piperazinyl group, (1-, 2-, 3-, 4-, 5- or 6-)n-propyl-2-piperazinyl group, (2-, 3- or 4-)formyl-1-piperazinyl group, (2-, 3- or 4-)acetyl-1-piperazinyl group, (2-, 3- or 4-)propionyl-1-piperazinyl group, (1-, 2-, 3-, 4-, 5- or 6-)propionyl-2-piperazinyl group, (2-, 3- or 4-)butyryl-1-piperazinyl group, (1-, 2-, 3-, 4-, 5- or 6-)butyryl-2-piperazinyl group, (2-, 3- or 4-)methoxycarbonyl-1-piperazinyl group, (2-, 3- or 4-)ethoxycarbonyl-1-piperazinyl group, (2-, 3- or 4-)tert-butoxycarbonyl-1-piperazinyl group, (2- or 3-)oxo -1-piperazinyl group, 2-oxo-(3-, 4-,5- or 6-)acetyl-1-piperazinyl group, 2-oxo-(3-, 4-, 5- or 6-)butyryl-1-piperazinyl group, 2-oxo-(3-, 4-, 5- or 6-)methoxycarbonyl-1-piperazinyl group and 2-oxo-(3-, 4-, 5- or 6-)methoxycarbonyl-1-piperazinyl group.

Examples of the 1,3,8-triazaspiro[4.5]decanylcarbonyl group that may have a group selected from the group consisting of an oxo group and an aryl group include a 1,3,8-triazaspiro[4.5]decanylcarbonyl group that may have 1

to 3 (1 to 2) groups selected from the group consisting of an oxo group and an aryl group as illustrated above. Specific examples thereof include a 1,3,8-triazaspiro[4.5]decanyl-(1, 2, 3, 4 or 8-)ylcarbonyl group, 1-phenyl-1,3,8-triazaspiro[4.5]decanyl-8-ylcarbonyl group and 1-phenyl-4-oxo-1,3,8-triazaspiro[4.5]decanyl-8-ylcarbonyl group.

Examples of the tetrahydropyridyl group include a (1-, 2-, 3-, 4-, 5- or 6-)-1,2,3,4-tetrahydropyridyl group and (1-, 2-, 3-, 4-, 5- or 6-)-1,2,3,6-tetrahydropyridyl group.

Examples of the tetrahydropyridylcarbonyl group that may have a pyridyl group include a tetrahydropyridylcarbonyl group as illustrated above that may have 1 to 3 (preferably 1) pyridyl groups. Specific examples thereof include a (2-, 3- or -4)pyridyl-1,2,3,6-tetrahydropyridyl-1-ylcarbonyl group.

Examples of the imidazolidinylcarbonyl group that may have a thioxo group include an imidazolidinylcarbonyl group that may have 1 to 2 (preferably 1) thioxo groups. Specific examples thereof include a 2-thioxo-1-imidazolidinylcarbonyl group.

Examples of the tetrahydronaphthyl group include a (1- or 2-)-1,2,3,4-tetrahydronaphthyl group.

Examples of the saturated or unsaturated heteromonocyclic group having 1 to 4 heteroatoms selected from the group consisting of a nitrogen atom,

oxygen atom and sulfur atom include a heteromonocyclic groups represented by (1) to (9) below.

(1) a saturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 4 (preferably 1 to 2) nitrogen atoms (for example, pyrrolidinyl group, imidazolidinyl group, piperidyl group, hexahydropyrimidinyl group, piperazinyl group, azepanyl group and azocanyl group);

(2) an unsaturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 4 (preferably 1 to 3) nitrogen atoms, for example, a pyrrolyl group, dihydropyrrolyl group such as 1H-2,5-dihydropyrolyl group, imidazolyl group (such as 1H-imidazolyl group), dihydroimidazolyl group (such as 1H-2,3-dihydroimidazolyl group), triazolyl group (such as 4H-1,2,4-trizaolyl group, 1H-1,2,3-trizaolyl group, and 2H-1,2,3-trizaolyl group), dihydrotriazolyl group (such as 1H-4,5-dihydro-1,2,4-triazolyl group), pyrazolyl group , pyridyl group, dihydropyridyl group (such as 1,2-dihydropyridyl group), pyrimidinyl group, dihydropyrimidinyl group (such as 1,6-dihydropyrimidinyl group), pyrazinyl group, dihydropyrazinyl group (such as 1,2-dihydropyrazinyl), pyridazinyl group, and tetrazolyl group (such as 1H-tetrazolyl group and 2H-tetrazolyl group);

(3) an unsaturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 2 (preferably 1) oxygen atoms and 1 to 3 (preferably 1 to

2) nitrogen atoms, for example, an oxazolyl group, isoxazolyl group, oxadiazolyl group (such as 1,2,4-oxadiazolyl group, 1,3,4-oxadiazolyl group and 1,2,5-oxadiazolyl group) and a saturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 2 (preferably 1) oxygen atoms and 1 to 3 (preferably 1 to 2) nitrogen atoms, for example an oxazolidinyl group, isoxazolidinyl group and morpholinyl group;

(4) an unsaturated 3 to 8 (preferably 5) membered heteromonocyclic group having 1 to 2 sulfur atoms and 1 to 3 nitrogen atoms, for example, a thiazolyl group, dihydrothiazolyl group (such as 2,3-dihydrothiazolyl group), isothiazolyl group, thiadiazolyl group (such as, 1,2,3-thiadiazolyl group, 1,2,4-thiadiazolyl group, 1,3,4-thiadiazolyl group, and 1,2,5-thiadiazolyl group) and dihydrothiazinyl group.

(5) a saturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 2 sulfur atoms and 1 to 3 nitrogen atoms, for example, a thiazolidinyl group;

(6) a saturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 2 oxygen atom, for example, a tetrahydrofuryl group and a tetrahydropyranyl group;

(7) an unsaturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 2 oxygen atoms, for example, a pyranyl group (such as 2H-pyranyl group);

(8) a saturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 2 sulfur atoms, for example, a tetrahydrothiofuryl group and a tetrahydrothiopyranyl group; and

(9) an unsaturated 3 to 8 (preferably 5 to 6) membered heteromonocyclic group having 1 to 2 sulfur atoms, for example, a thienyl group and a thiopyranyl group (such as 2H-thiopyranyl).

Of them, mention may be preferably made of a saturated or unsaturated heteromonocyclic group having a 1 to 2 hetero atoms selected from a nitrogen atom, oxygen atom and sulfur atom and selected from the group consisting of a pyrrolidinyl group, piperidyl group, pyrazolyl group, pyridyl group, pyrimidinyl group, pyrazinyl group, isoxazolyl group, thiazolyl group, pyranyl group and thienyl group; and further preferably made of a saturated or unsaturated heteromonocyclic group having a 1 to 2 nitrogen atoms and selected from the group a pyrrolidinyl group, piperidyl group, pyrazolyl group, pyridyl group, pyrimidinyl group and thiazolyl group.

Examples of the tetrahydroquinoxalinyl group include a (1-, 2-, 5- or 6-)-1,2,3,4-tetrahydroquinoxalinyl group and (1-, 2-, 5- or 6-)-5,6,7,8-tetrahydroquinoxalinyl group.

Examples of the tetrahydroquinazolinyl group include a (1-, 2-, 3-, 4-, 5-, 6-, 7- or 8-)-1,2,3,4-tetrahydroquinazolinyl group and (1-, 2-, 3-, 4-, 5-,

6-, 7- or 8-)-5,6,7,8-tetrahydroquinazolinyl group.

Examples of the dihydroquinazolinyl group include a (1-, 2-, 3-, 4-, 5-, 6-, 7- or 8-)-3,4-dihydroquinazolinyl group and (1-, 2-, 3-, 4-, 5-, 6-, 7- or 8-)-1,2-dihydroquinazolinyl group.

Examples of the dihydrobenzimidazolyl group include a (1-, 2-, 4- or 5-)-2,3-dihydro-1H-benzimidazolyl group.

Examples of the tetrahydrobenzazepinyl group include a (1-, 2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)-2,3,4,5-tetrahydro-1H-benzo[b]azepinyl group and (1-, 2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)-2,3,4,5-tetrahydro-1H-benzo[c]azepinyl group.

Examples of the tetrahydrobenzodiazepinyl group include a (1-, 2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)-2,3,4,5-tetrahydro-1H-benzo[b][1.4]diazepinyl group and (1-, 2-, 3-, 4- ,5-, 6-, 7-, 8- or 9-)-2,3,4,5-tetrahydro-1H-benzo[e][1.4]diazepinyl group.

Examples of the hexahydrobenzazocinyl group include a (1-, 2-, 3-, 4-, 5-, 6-, 7-, 8-, 9-, or 10-)-1,2,3,4,5,6-tetrahydrobenzo[b]azocinyl group and (1-, 2-, 3-, 4-, 5-, 6-, 7-, 8-, 9- or 10-)-1,2,3,4,5,6-hexahydrobenzo[c]azocinyl group.

Examples of the dihydrobenzoxazinyl group include a (2-, 3-, 4-, 5-, 6-, 7- or 8-)-3,4-dihydro-2H-benzo[b][1.4]oxazinyl group and (1-, 2-, 4-, 5-, 6-, 7- or 8-)-2,4-dihydro-1H-benzo[d][1.3]oxazinyl group.

Examples of the dihydrobenzoxazolyl group

include a (2-, 3-, 4-, 5-, 6- or 7-)-2,3-dihydrobenzoxazolyl group.

Examples of the benzisoxazolyl group include a (3-, 4-, 5-, 6- or 7-)-benzo[d]-isoxazolyl group and (3-, 4-, 5-, 6- or 7-)-benzo[c]-isoxazolyl group.

Examples of the benzoxadiazolyl group include a (4- or 5-)-benzo[c][1.2.5]oxadiazolyl group.

Examples of the tetrahydrobenzoxazepinyl group include a (2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)-2,3,4,5-tetrahydrobenzo[b][1.4]oxazepinyl group, (1-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)-1,3,4,5-tetrahydrobenzo[e][1.3]oxazepinyl group and (2-, 3-, 4-, 5-, 6-, 7-, 8- or 9-)-2,3,4,5-tetrahydrobenzo[f][1.4]oxazepinyl group.

Examples of the dihydrobenzothiazinyl group include a (2-, 3-, 4-, 5-, 6-, 7- or 8-)-3,4-dihydro-2H-benzo[b][1.4]thiazinyl group and (2-, 3-, 4-, 5-, 6-, 7- or 8-)-3,4-dihydro-2H-benzo[e][1.3]thiazinyl group.

Examples of the benzoxathiolyl group include a (2-, 4-, 5-, 6- or 7-)-benzo[d][1.3]oxathiolyl group, (3-, 4-, 5-, 6- or 7-)-3H-benzo[c][1.2]oxathiolyl group and (3-, 4-, 5-, 6- or 7-)-3H-benzo[d][1.2]oxathiolyl group.

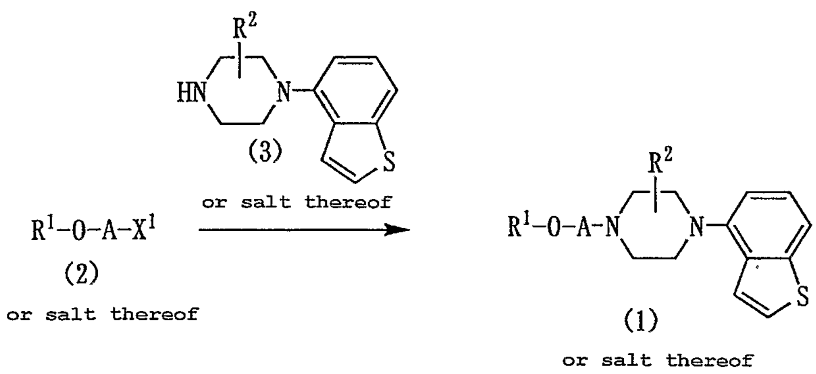
Examples of the dihydrobenzofuryl group include a (2-, 3-, 4-, 5-, 6- or 7-)-2,3-dihydrobenzofuryl group.

A heterocyclic compound (hereinafter referred

to as a compound (1)) represented by the general formula (1) can be produced by various kinds of methods, for example, a method shown in the following reaction formula-1 or reaction formula 2.

[Formula 4]

Reaction formula-1



wherein R^1, R^2 and A are the same as defined above; and X^1 is a halogen atom or a group mediating the same substitution reaction as in a halogen atom.

Examples of the group mediating the same substitution reaction as in a halogen atom include a lower alkanesulfonyloxy group, arylsulfonyloxy group, and aralkylsulfonyloxy group.

A halogen atom represented by X^1 in the general formula (2) is a fluorine atom, chlorine atom, bromine atom and iodine atom.

Specific examples of the lower alkanesulfonyloxy group represented by X^1 include a linear or branched alkanesulfonyloxy group having 1 to 6 carbon atoms such as a methanesulfonyloxy group

ethanesulfonyloxy group, isopropanesulfonyloxy group, n-propanesulfonyloxy group, n-butanesulfonyloxy group, tert-butanesulfonyloxy group, n-pentanesulfonyloxy group, and n-hexanesulfonyloxy group.

Specific examples of the arylsulfonyloxy group represented by X^1 include a phenylsulfonyloxy group and naphthylsulfonyloxy group that may have 1 to 3 substituents selected from the group consisting of a linear or branched alkyl group having 1 to 6 carbon atoms, a linear or branched alkoxy group having 1 to 6 carbon atoms, a nitro group, and a halogen atom, on the phenyl ring. Specific examples of the phenylsulfonyloxy group that may have a substituent include a phenylsulfonyloxy group, 4-methylphenylsulfonyloxy group, 2-methylphenylsulfonyloxy group, 4-nitrophenylsulfonyloxy group, 4-methoxyphenylsulfonyloxy group, 2-nitrophenylsulfonyloxy group, and 3-chlorophenylsulfonyloxy group. Specific examples of the naphthylsulfonyloxy group include α-naphthylsulfonyloxy group and β-naphthylsulfonyloxy group.

Examples of the aralkylsulfonyloxy group represented by X^1 include a linear or branched alkylsulfonyloxy group having 1 to 6 carbon atoms and substituted with a phenyl group; and
a linear or branched alkylsulfonyloxy group having 1 to 6 carbon atoms and substituted with a naphthyl group;

both of which may have 1 to 3 substituents selected from the group consisting of a linear or branched alkyl group having 1 to 6 carbon atoms, a linear or branched alkoxy group having 1 to 6 carbon atoms, a nitro group and a halogen atom, on the phenyl ring. Specific examples of the alkylsulfonyloxy group substituted with a phenyl group as mentioned above include a benzylsulfonyloxy group, 2-phenylethylsulfonyloxy group, 4-phenylbutylsulfonyloxy group, 2-methylbenzylsulfonyloxy group, 4-methoxybenzylsulfonyloxy group, 4-nitrobenzylsulfonyloxy group, and 3-chlorobenzylsulfonyloxy group. Specific examples of the alkylsulfonyloxy group substituted with a naphthyl group include an α-naphthylmethylsulfonyloxy group and β-naphthylmethylsulfonyloxy group.

The compound (1) can be produced by reacting a compound (hereinafter referred to as a compound (2)) represented by the general formula (2) and a compound (hereinafter referred to as a compound (3)) represented by the general formula (3).

This reaction is generally performed in a conventional solvent that may not negatively affect the reaction, such as water; an alcohol based solvent such as methanol, ethanol, isopropanol, n-butanol, trifluoroethanol, and ethylene glycol; a ketone based solvent such as acetone and methylethyl ketone; an ether based solvent such as tetrahydrofuran, dioxane,

diethyl ether, and diglyme; an ester based solvent such as methyl acetate and ethyl acetate; a non-proton polar solvent such as acetonitrile, N,N-dimethylformamide, and dimethylsulfoxide; a halogenated hydrocarbon based solvent such as methylene chloride and ethylene chloride; or other organic solvents. Furthermore, the reaction can be performed in a solution mixture of these conventional solvents. The reaction is generally performed in the presence of an inorganic base such as an alkali metal (e.g., sodium and potassium), an alkaline metal hydrogen carbonate (e.g., lithium hydrogen carbonate, sodium hydrogen carbonate, and potassium hydrogen carbonate), alkali metal hydroxide (e.g., lithium hydroxide, sodium hydroxide, potassium hydroxide, and cesium hydroxide), alkali metal carbonate (e.g., lithium carbonate, sodium carbonate, potassium carbonate, and cesium carbonate), alkali metal lower alkoxide (e.g., sodium methoxide and sodium ethoxide), and a hydride (e.g., sodium hydride and potassium hydride); or in the presence of an organic base such as a trialkylamine (e.g., trimethylamine, triethylamine, N-ethyl diisopropylamine), pyridine, quinoline, piperidine, imidazole, picoline, dimethylaminopyridine, dimethylaniline, N-methylmorpholine, 1,5-diazabicyclo[4.3.0]non-5-ene (DBN), 1,4-diazabicyclo[2.2.2]octane (DABCO), and 1,8-diazabicyclo[5.4.0]undecene-7 (DBU). When these bases take liquid form, they can be used as solvents.

These basic compounds may be used alone or in a mixture of two types or more.

A basic compound may be used in a molar amount, which is generally 0.5 to 10 times, preferably 0.5 to 6 times as large as that of the compound (2).

The reaction mentioned above may be performed, if necessary, with the addition of an alkaline metal iodide serving as an accelerator, such as potassium iodide and sodium iodide.

The ratio of a compound (2) to a compound (3) used in the reaction formula-1 may be at least about 0.5 times mole, preferably about 0.5-5 times by mole.

The reaction temperature is not particularly limited and may be generally performed under cool or heating conditions and preferably performed at a temperature from near room temperature to about 150°C for 1 to 30 hours.

The compound (2) serving as a starting material for a compound according to the present invention include a novel compound and can be produced by various methods, for example, a method represented by the following reaction formula-3.

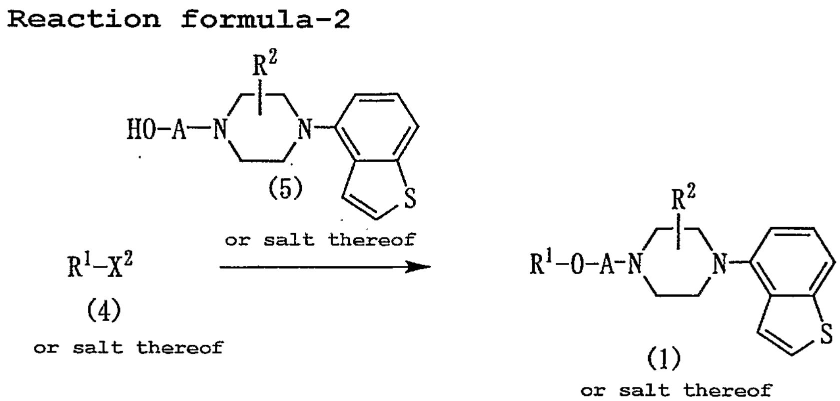
The compound (3) serving as a starting material for a compound according to the present invention is a known compound or a compound that can be easily produced from a known compound.

A salt of a compound (2) in place of the compound (2) and a salt of a compound (3) in place of

the compound (3) may be used. The salts of compounds (2) and (3) include acid-addition salts. These acid addition salts may be prepared by reacting a pharmaceutically acceptable acid with a compound (2) or (3). Examples of the acid used herein include inorganic acids such as sulfuric acid, nitric acid, hydrochloric acid, phosphoric acid, and hydrobromic acid; sulfonic acids such as p-toluene sulfonic acid, methane sulfonic acid, and ethane sulfonic acid; and organic acids such as acetic acid, oxalic acid, maleic acid, fumaric acid, malic acid, tartaric acid, citric acid, succinic acid, and benzoic acid.

Of the compounds (2), a compound having an acidic group can easily produce a salt by reacting with a pharmaceutically acceptable basic compound. Examples of such a basic compound include metal hydroxides such as sodium hydroxide, potassium hydroxide, lithium hydroxide, and calcium hydroxide; alkali metal carbonates or bicarbonates such as sodium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate; and alkali metal alcoholates such as sodium methylate and potassium ethylate.

[Formula 5]



wherein R^1, R^2 and A are the same as defined above; and X^2 is a hydroxy group, halogen atom or a group mediating the same substitution reaction as in a halogen atom.

Examples of the halogen atom represented by X^2 and the group mediating the same substitution reaction as in a halogen atom in connection with the general formula (4) are the same as mentioned above.

The compound (1) can be produced by reacting a compound (hereinafter referred to as a compound (4)) represented by the general formula (4) and a compound (hereinafter referred to as a "compound (5)") represented by the general formula (5).

The reaction can be performed under the similar conditions as in reaction formula-1.

In the case of a compound (4) in which X^2 is a hydroxy group, the reaction can be performed in an appropriate solvent in the presence of an appropriate condensing agent.

This reaction is generally performed in a conventional solvent that may not negatively affect the reaction, such as water; an alcohol based solvent such as methanol, ethanol, isopropanol, n-butanol, trifluoroethanol, and ethylene glycol; a ketone based solvent such as acetone and methylethyl ketone; an ether based solvent such as tetrahydrofuran, dioxane, diethyl ether, and diglyme; an ester based solvent such as methyl acetate and ethyl acetate; a non-proton polar solvent such as acetonitrile, N,N-dimethylformamide, and dimethylsulfoxide; a halogenated hydrocarbon based solvent such as methylene chloride and ethylene chloride; or other organic solvents. Furthermore, as a solvent to be used herein, a solution mixture of these conventional solvents may be mentioned.

As the condensing agent, a mixture of an azocarboxylate such as diethyl azodicarboxylate and a phosphine compound such as triphenylphosphine may be mentioned.

The amount of the condensing agent used herein is generally at least equimolar, preferably equimolar to twice as large as that of a compound (4).

The ratio of a compound (4) to a compound (5) used in the reaction formula-2 may be generally at least equimole preferably about 2 times by mole.

The reaction temperature is not particularly limited and may generally be performed under cool or heating conditions, and preferably performed at a

temperature from 0°C to about 150°C for 1 to 10 hours.

The compound (4) serving as a starting material for a compound according to the present invention is a known compound or a compound that can be easily produced from a known compound.

The compound (5) serving as a starting material for a compound according to the present invention include a novel compound and a compound that can be produced by various methods, for example, a method represented by the following reaction formula-4 or -5.

A salt of a compound (4) in place of the compound (4) and a salt of a compound (5) in place of the compound (5) may be used. As a preferable salt of a compound (4), the same salt as shown in a compound (2) may be mentioned. As a preferable salt of a compound (5), the same salt as shown in a compound (3) may be mentioned.

[Formula 6]

Reaction formula-3

$$\underset{\text{or salt thereof}}{\underset{(6)}{R^1\text{-}OH}} \xrightarrow{X^3\text{-}A\text{-}X^1\ (7)} \underset{\text{or salt thereof}}{\underset{(2)}{R^1\text{-}O\text{-}A\text{-}X^1}}$$

wherein R^1, X^1 and A are the same as defined above; and X^3 is a halogen atom or a group mediating the same substitution reaction as in a halogen atom.

Examples of the halogen atom represented by X^3

and the group mediating the same substitution reaction as in a halogen atom in connection with the general formula (7) are the same as mentioned above.

The compound (2) can be produced by reacting a compound (hereinafter referred to as a compound (6)) represented by the general formula (6) and a compound (hereinafter referred to as a compound (7)) represented by the general formula (7).

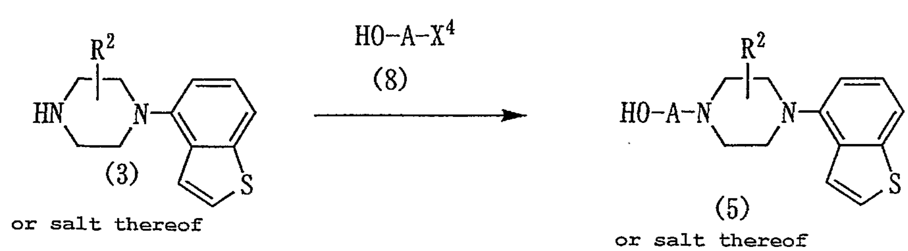
The reaction can be performed under the similar conditions as in reaction formula-1.

The compounds (6) and (7) serving as starting materials for a compound according to the present invention are known compounds or compounds that can be easily produced from known compounds.

In place of a compound (6), a salt of the compound (6) may be used. As a preferable salt of a compound (6), the same salt as shown in a compound (2) may be mentioned.

[Formula 7]

Reaction formula-4



wherein R^2 and A are the same as defined above; and X^4 is a halogen atom or a group mediating the same

substitution reaction as in a halogen atom.

Examples of the halogen atom represented by X^4 and the group mediating the same substitution reaction as in a halogen atom in connection with the general formula (8) are the same as mentioned above.

The compound (5) can be produced by reacting a compound (3) and a compound (hereinafter referred to as a compound (8)) represented by the general formula (8).

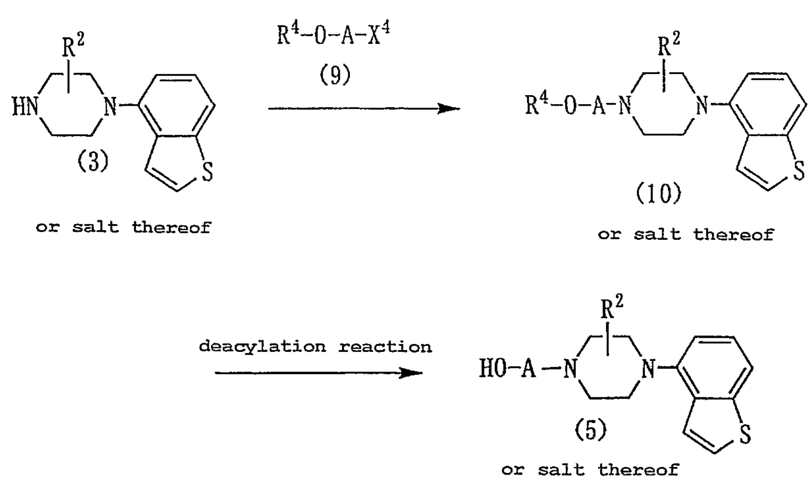
The reaction can be performed under the similar conditions as in reaction formula-1.

The compound (8) serving as a starting material for a compound according to the present invention is a known compound or a compound that can be easily produced from a known compound.

In place of a compound (3), a salt of the compound (3) may be used. As a preferable salt of a compound (3), the same salts as above may be mentioned.

[Formula 8]

Reaction formula-5



wherein R^2 and A are the same as defined above; R^4 is a lower alkanoyl group; and X^4 is a halogen atom or a group mediating the same substitution reaction as in a halogen atom.

Examples of the lower alkanoyl group represented by R^4 in the general formulas (9) and (10) are the same as mentioned above.

Furthermore, examples of the halogen atom represented by X^4 and the group mediating the same substitution reaction as in a halogen atom in connection with the general formula (9) are the same as mentioned above.

A compound (hereinafter referred to as a compound (10)) represented by the general formula (10) can be produced by reacting a compound (3) and a compound (9).

The reaction can be performed under the similar conditions as in reaction formula-1.

The compound (9) serving as a starting material for a compound according to the present invention is a known compound or a compound that can be easily produced from a known compound.

In place of a compound (3), a salt of the compound (3) may be used. As a preferable salt of a compound (3), the same salts as above may be mentioned.

Subsequently, the compound (10) is subjected to a reaction for removing an acyl group to produce a compound (5).

As a preferable method of the reaction, a conventional reaction such as hydrolysis may be mentioned. The hydrolysis reaction may be preferably performed in the presence of a base or an acid including Lewis acid. Examples of the preferable base include inorganic salts such as an alkali metal (e.g., sodium and potassium), an alkaline metal hydrogen carbonate (e.g., lithium hydrogen carbonate, sodium hydrogen carbonate, and potassium hydrogen carbonate), an alkali metal hydroxide (e.g., lithium hydroxide, sodium hydroxide, potassium hydroxide, and cesium hydroxide), an alkali metal carbonate (e.g., lithium carbonate, sodium carbonate, potassium carbonate, and cesium carbonate), an alkali metal lower alkoxide (e.g., sodium methoxide and sodium ethoxide), and hydrides (e.g., sodium hydride and potassium hydride); and organic bases such as a trialkylamine (e.g., trimethylamine, triethylamine, and N-ethyl diisopropylamine), pyridine, quinoline, piperidine, imidazole, picoline, dimethylaminopyridine, dimethylaniline, N-methylmorpholine, DBN, DABCO, and DBU. As a preferable acid, mention can be made of organic acids (such as formic acid, acetic acid, propionic acid, trichloroacetic acid, trifluoroacetic acid) and inorganic acids (such as hydrochloric acid, hydrobromic acid, sulfuric acid, hydrogen chloride, and hydrogen bromide). The removal reaction using a Lewis acid such as a trihaloacetic acid (e.g.,

trichloroacetic acid and trifluoroacetic acid) may be preferably performed in the presence of a cation-trapping agent (e.g., anisole and phenol).

This reaction is generally performed in a conventional solvent that may not negatively affect the reaction, such as water; an alcohol based solvent such as methanol, ethanol, isopropanol, n-butanol, trifluoroethanol, and ethylene glycol; a ketone based solvent such as acetone and methylethyl ketone; an ether based solvent such as tetrahydrofuran, dioxane, diethyl ether, and diglyme; an ester based solvent such as methyl acetate and ethyl acetate; a non-proton polar solvent such as acetonitrile, N,N-dimethylformamide, and dimethylsulfoxide; a halogenated hydrocarbon based solvent such as methylene chloride and ethylene chloride; or other organic solvents. Furthermore, the reaction may be performed in a solution mixture of these conventional solvents. Of them, ethanol is preferable. The reaction temperature is not particularly limited and may generally be performed under cool or heating conditions, and preferably performed at near room temperature to near a boiling point of the solvent to be used for 0.5 to 75 hours.

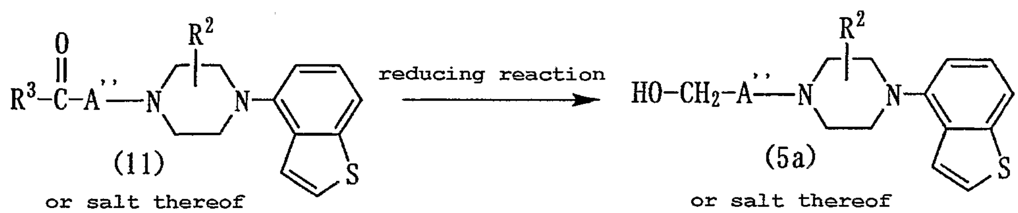
In place of a compound (10), a salt of the compound (10) may be used. As a preferable salt of a compound (10), the same salt as shown in a compound (3) may be mentioned.

Furthermore, a compound (hereinafter referred

to as a compound (5a)) where A of the compound (5) represents $-CH_2A''-$ where A" represents a C1 to C5 alkylene group can be produced by a method represented by the following reaction formula-6.

[Formula 9]

Reaction formula-6



wherein R^2 is the same as defined above; and R^3 is a lower alkoxy group. A" represents a C1 to C5 alkylene group. The lower alkoxy group represented by R^3 in the general formula (11) is the same as defined above.

Examples of the C1 to C5 alkylene group represented by A" in the general formulas (11) and (5a) include a linear or branched alkylene group having 1 to 5 carbon atoms such as methylene, ethylene, methyl methylene, trimethylene, tetramethylene, 1-methyl trimethylene, 2-methyl trimethylene, 3-methyl tetramethylene, pentamethylene, and 2,2-dimethyl trimethylene.

The compound (5a) can be produced by subjecting a compound (hereinafter referred to as a compound (11)) represented by the general formula (11) to a reducing reaction.

The reaction can be performed by the method shown in Reference Example 6 or a similar method thereof. The reaction also can be performed by a conventional method using a reducing agent.

As a preferable reducing agent, mention may be made of a hydride (such as lithium aluminum hydride, sodium borohydride, lithium borohydride, diborane, and sodium cyanoborohydride).

This reaction is generally performed in a conventional solvent that may not negatively affect the reaction, such as an alcohol based solvent such as methanol, ethanol, isopropanol, n-butanol, trifluoroethanol, and ethylene glycol; a ketone based solvent such as acetone and methylethyl ketone; an ether based solvent such as tetrahydrofuran, dioxane, diethyl ether, and diglyme; an ester based solvent such as methyl acetate and ethyl acetate; a non-proton polar solvent such as acetonitrile, N,N-dimethylformamide, and dimethylsulfoxide; a halogenated hydrocarbon based solvent such as methylene chloride and ethylene chloride; or other organic solvents. Furthermore, the reaction may be performed in a solution mixture of these conventional solvents. The reaction temperature is not particularly limited and may generally be performed under cool or heating conditions, and preferably performed at near room temperature to near a boiling point of the solvent to be used for 0.5 to 75 hours.

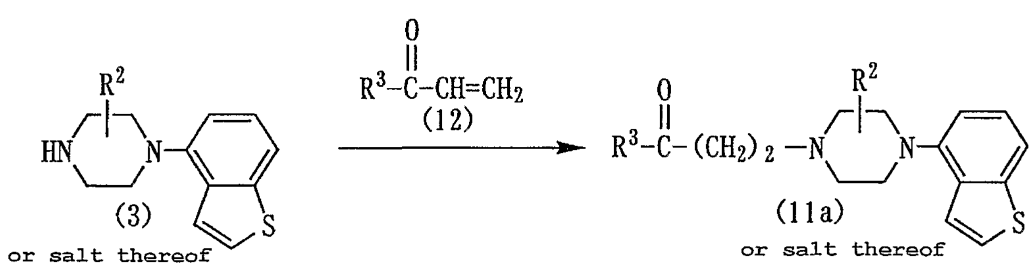
The compound (11) serving as a starting material for a compound according to the present invention is a known compound or a compound that can be easily produced from a known compound.

In place of a compound (11), a salt of the compound (11) may be used. As a preferable salt of a compound (11), the same salt as shown in a compound (2) may be mentioned.

Furthermore, a compound (hereinafter referred to as a compound (11a)) where A" of the compound (11) represents "$-(CH_2)_2-$" can be produced by a method represented by the following reaction formula-7.

[Formula 10]

Reaction formula-7



where R^2 and R^3 are the same as defined above.

The compound (11a) can be produced by reacting a compound (3) and a compound (hereinafter referred to as a compound (12)) represented by the general formula (12).

The reaction can be performed by the method shown in Reference Example 5 or a similar method

thereof. This reaction is generally performed in a conventional solvent that may not negatively affect the reaction, such as water, an alcohol based solvent such as methanol, ethanol, isopropanol, n-butanol, trifluoroethanol, and ethylene glycol; a ketone based solvent such as acetone and methylethyl ketone; an ether based solvent such as tetrahydrofuran, dioxane, diethyl ether, and diglyme; an ester based solvent such as methyl acetate and ethyl acetate; a non-proton polar solvent such as acetonitrile, N,N-dimethylformamide, and dimethylsulfoxide; a halogenated hydrocarbon based solvent such as methylene chloride and ethylene chloride; or other organic solvents. Furthermore, the reaction may be performed in a solution mixture of these conventional solvents. The reaction temperature is not particularly limited and may generally be performed under cool or heating conditions, and preferably performed at near room temperature to near a boiling point of the solvent to be used for 0.5 to 75 hours.

The compound (12) serving as a starting material for a compound according to the present invention is a known compound or a compound that can be easily produced from a known compound.

A salt of a compound (3) in place of the compound (3) and a salt of a compound (12) in place of the compound (12) may be used. As a preferable salt of a compound (3), the same salt as shown above may be

mentioned. As a preferable salt of a compound (12), the same salt as shown in a compound (2) may be mentioned.

The object compound obtained by each of the above reaction formula may form a suitable salt. Such suitable salts include the preferable salts of compound (1) exemplified below.

The preferable salts of compound (1) are pharmacologically acceptable salts and examples include metal salts such as alkali metal salts (for example, sodium salt potassium salt, etc.), alkaline earth metal salts (for example, calcium salt, magnesium salt, etc.), salts of inorganic bases such as ammonium salt, alkaline metal carbonates (for example, lithium carbonate, potassium carbonate, sodium carbonate, cesium carbonate, etc.), alkaline metal hydrogen carbonates (for example, lithium hydrogen carbonate, sodium hydrogen carbonate, potassium bicarbonate, etc.), alkali metal hydroxides (for example, lithium hydroxide, sodium hydroxide, potassium hydroxide, cesium hydroxide, etc.); for example, salts of organic bases such as tri(lower)alkylamine (for example, trimethylamine, triethylamine, N-ethyldiisopropylamine), pyridine, quinoline, piperidine, imidazole, picoline, dimethylaminopyridine, dimethylaniline, N-(lower)alkyl-morpholine (for example, N-methylmorpholine), 1,5-diazabicyclo[4.3.0]nonene-5 (DBN), 1,8-

diazabicyclo[5.4.0]undecene-7 (DBU), 1,4-diazabicyclo[2.2.2] octane (DABCO); salts of inorganic acids such as hydrochloride, hydrobromide, hydroiodide, sulfate, nitrate, phosphate; salts of organic acids such as formate, acetate, propionate, oxalate, malonate, succinate, fumarate, maleate, lactate, malate, citrate, tartrate, carbonate, picrate, methanesulfonate, ethanesulfonate, p-toluenesulfonate, glutamate.

In addition, compounds in the form in which solvate (for example, hydrate, ethanolate, etc.) was added to the starting compounds and object compound shown in each of the reaction formulae are included in each of the general formulas. As a preferable solvate, hydrate can be mentioned.

Each of the object compounds obtained by each of the general formulas can be isolated and purified from the reaction mixture by, for example, subjecting the reaction mixture to isolation operation such as filtration, concentration and extraction after cooling to separate a crude reaction product followed by conventional purification operation such as column chromatography or recrystallization.

The compound represented by the general formula (1) of the present invention naturally encompasses isomers such as geometrical isomer, stereoisomer and enantiomer.

A compound and a salt thereof represented by

the general formula (1) may be used in the form of general pharmaceutical preparation. The preparation may be prepared by use of a diluent or an excipient such as a filler, extending agent, binder, humectant, disintegrator, surfactant, and lubricant. As a pharmaceutical preparation, various forms can be selected depending upon the therapeutic purpose. Typical forms thereof include a tablet, pill, powder, liquid, suspension, emulsion, granule, encapsulate, suppository, and injection (liquid, suspension).

In forming a tablet, a wide variety of types of carriers conventionally known in the art may be used. Examples of the carrier that may be used include an excipient such as lactose, saccharose, sodium chloride, glucose, urea, starch, calcium carbonate, kaolin, crystalline cellulose, and silicate; a binder such as water, ethanol, propanol, simple syrup, glucose solution, starch solution, gelatine solution, carboxymethylcellulose, shellac, methyl cellulose, potassium phosphate, and polyvinylpyrrolidine; a disintegrator such as dried starch, sodium alginate, powdered agar, powdered laminaran, sodium hydrogen carbonate, calcium carbonate, polyoxyethylene sorbitan fatty acid ester, sodium lauryl sulfate, stearic acid monoglyceride, starch, and lactose; a disintegration suppressant such as saccharose, stearin, cocoa butter, and hydrogenated oil; a sorbefacient such as quaternary ammonium base and sodium lauryl sulfate; a humectant

such as glycerin and starch; an adsorbing agent such as starch, lactose, kaolin, bentonite, and colloidal silica; and a lubricant such as refined talc, stearate, powdered boric acid, and polyethylene glycol. Furthermore, if necessary, a tablet may be coated with a general film. Examples of such a coated tablet include a sugar-coated tablet, gelatine encapsulated tablet, enteric-coated tablet, film coated tablet or double-layer tablet, and multi-layer tablet.

In forming a pill, a wide variety of types of carriers conventionally known in the art may be used. Examples of the carrier that may be used include an excipient such as glucose, lactose, starch, cacao butter, hardened vegetable oil, kaolin and talc; a binder such as powdered gum Arabic, powdered tragacanth, gelatine and ethanol; and a disintegrator such as laminaran and agar.

In forming a suppository, a wide variety of types of carriers conventionally known in the art may be used. Examples of the carrier that may be used include polyethylene glycol, cacao butter, higher alcohol, esters of a higher alcohol, gelatine, and semisynthetic glyceride.

A capsule is usually prepared by mixing an active ingredient compound with a carrier as illustrated above in accordance with a conventional method and filling the mixture in a hard gelatine capsule or a soft capsule.

In preparing an injection, a liquid agent, emulsion and suspension are preferably sterilized and isotonic with blood. When they are prepared into an injection, any diluent can be used as long as it is conventionally used as a diluent in the art. Examples of the diluent that may be used include water, ethyl alcohol, macrogol, propylene glycol, ethoxylated isostearyl alcohol, polyoxylated isostearyl alcohol, polyoxyethylene sorbitan fatty acid esters.

Note that, in this case, a pharmaceutical preparation may contain a salt, glucose or glycerin in a sufficient amount to prepare an isotonic solution. Alternatively, a general auxiliary solubilizer, buffer, soothing agent may be added. Furthermore, a pigment, preservative, aroma, flavor, sweetening agent and other medicinal substances may be added to a pharmaceutical preparation, if necessary.

The amount of a compound of the general formula (1) and a salt thereof to be contained in a pharmaceutical preparation according to the present invent is not particularly limited and appropriately selected from the wide range; however generally about 1 to 70 wt%, preferably about 1 to 30 wt% in a preparation composition.

A method of administrating a pharmaceutical preparation according to the present invention is not limited and administered by a method in accordance with the form of a preparation, the age, gender and other

conditions of a patient, and severity of a disease. For example, in the case of a tablet, pill, liquid agent, suspension, emulsion, granule and capsule, it is perorally administrated. In addition, in the case of an injection, it is intravenously administered by itself or by mixing with a general replenisher such as glucose and amino acids, and, if necessary, it is solely administered intramuscularly, intracutaneously, subcutaneously or intraperitoneally. In the case of a suppository, it is administered into the rectum.

The dose of a pharmaceutical preparation according to the present invention is appropriately selected depending upon the dosage regimen (direction for use), age, gender and other conditions of a patient, and severity of a disease, etc.; however, the dose of an active ingredient compound may be generally and preferably set at about 0.1 to 10 mg/weight (kg) per day. It is desirable that an active ingredient compound be contained in the range of about 1 to 200 mg per dosage unit of a preparation.

[Advantages of the Invention]

A compound according to the present invention has a D_2 receptor partial agonist effect, 5-HT_{2A} receptor antagonist effect and serotonin uptake inhibitory effect.

The D_2 receptor partial agonist effect refers to an action which decelerates dopaminergic (DA) neurotransmission when it is enhanced, whereas

accelerates dopaminergic (DA) neurotransmission when it is lowered. In this manner, the D_2 receptor partial agonist acts as a dopamine system stabilizer, which stabilizes DA neurotransmission into a normal state. By virtue of this effect, the compound of the present invention produces an excellent clinical improvement effect on symptoms caused by abnormal DA neurotransmission (acceleration or deceleration) without developing side effects. As the excellent clinical improvement effect, mention may be made of, effects of improving positive and negative symptoms, cognitive impairment and depressive symptom (see Michio Toru, Psychiatry, Vol. 46, page 855-864 (2004); Tetsuro Kikuchi and Hirose Takeshi, Brain Science, vol. 25, page 579-583 (2004); and Harrison, T. S. and Perry, C.M.: Drugs 64: 1715-1736, 2004).

5-HT_{2A} receptor antagonist effect refers to an action which reduces extrapyramidal side effects and develops a superior clinical response and more specifically effectively works for improving negative symptoms, cognitive impairment, depressive symptom, and insomnia (see Jun Ishigooka and Ken Inada: Japanese Journal of Clinical Psychopharmacology, vol. 4, page 1653-1664 (2001); Mitsukuni Murasaki: Japanese Journal of Clinical Psychopharmacology, vol. 1, page 5-22 (1998), and Meltzer, H. Y. et al.: Prog. Neuro-Psychopharmacol. Biol. Psychiatry 27: 1159-1172, 2003).

The serotonin uptake inhibitory effect is,

for example, effective in improving depressive symptoms (see Mitsukuni Murasaki: Japanese Journal of Clinical Psychopharmacology, vol. 1, page 5-22 (1998)).

The compound of the present invention is excellent in all these three effects or significantly excellent in one or two effects of them.

In addition, some of the compounds according to the present invention has an α_1 receptor antagonist effect in addition to the effects mentioned above. The α_1 receptor antagonist effect is effective in improving positive symptoms of schizophrenia (see Svensson, T. H.: Prog. Neuro-Psychopharmacol. Biol. Psychiatry 27: 1145-1158, 2003)

Therefore, a compound of the present invention has a wide treatment spectrum for schizophrenia and other central nervous system disorder and possesses a superior clinical response.

Accordingly, a compound of the present invention is extremely effective for improving various kinds of disorders of the central nervous system such as schizophrenia; refractory, intractable or chronic schizophrenia; emotional disturbance; psychotic disorder; mood disorder; bipolar disorder (for example, bipolar Type-I disorder and bipolar Type-II disorder); depression, endogenous depression, major depression; melancholy and refractory depression; dysthymic disorder; cyclothymic disorder; anxiety disorder (for example, panic attack, panic disorder, agoraphobia,

social phobia, obsessive-compulsive disorder, post-traumatic stress disorder, generalized anxiety disorder, and acute stress disorder); somatoform disorder (for example, hysteria, somatization disorder, conversion disorder, pain disorder, and hypochondriasis), factitious disorder; dissociative disorder; sexual disorder (for example, sexual dysfunction, sexual desire disorder, sexual arousal disorder, and erectile dysfunction); eating disorder (for example, anorexia nervosa and bulimia nervosa); sleep disorder; adjustment disorder; substance-related disorder (for example, alcohol abuse; alcohol intoxication; drug addiction, stimulant intoxication, and narcotism); anhedonia (for example, iatrogenic anhedonia, anhedonia of a psychic or mental cause, anhedonia associated with depression, and anhedonia associated with schizophrenia); delirium; cognitive impairment; cognitive impairment associated with Alzheimer's disease, Parkinson's disease and other neurodegenerative diseases; cognitive impairment caused by Alzheimer's disease; Parkinson's disease and associated neurodegenerative diseases; cognitive impairment of schizophrenia; cognitive impairment caused by refractory, intractable or chronic schizophrenia; vomiting; motion sickness; obesity; migraine; pain (ache); mental retardation; autism disorder (autism); Tourette's disorder; tic disorder; attention-deficit/hyperactivity disorder; conduct

disorder; and Down's syndrome.

Furthermore, a compound of the present invention has few side effects, and excellent in tolerability and safety.

The starting compounds used in each of the above reaction formula may be suitable salt, the object compound obtained by each of the reaction may form a suitable salt. Such suitable salts include the preferable salts of compound (1) exemplified below.

The preferable salts of compound (1) are pharmacologically acceptable salts and examples include metal salts such as alkali metal salts (for example, sodium salt potassium salt, etc.), alkaline earth metal salts (for example, calcium salt, magnesium salt, etc.), salts of inorganic bases such as ammonium salt, alkaline metal carbonates (for example, lithium carbonate, potassium carbonate, sodium carbonate, cesium carbonate, etc.), alkaline metal hydrogen carbonates (for example, lithium hydrogen carbonate, sodium hydrogen carbonate, potassium bicarbonate, etc.), alkali metal hydroxides (for example, lithium hydroxide, sodium hydroxide, potassium hydroxide, cesium hydroxide, etc.); for example, salts of organic bases such as tri(lower)alkylamine (for example, trimethylamine, triethylamine, N-ethyldiisopropylamine), pyridine, quinoline, piperidine, imidazole, picoline, dimethylaminopyridine, dimethylaniline, N-(lower)alkyl-morpholine (for

example, N-methylmorpholine), 1,5-diazabicyclo[4.3.0]nonene-5 (DBN), 1,8-diazabicyclo[5.4.0]undecene-7 (DBU), 1,4-diazabicyclo[2.2.2] octane (DABCO); salts of inorganic acids such as hydrochloride, hydrobromide, hydroiodide, sulfate, nitrate, phosphate; salts of organic acids such as formate, acetate, propionate, oxalate, malonate, succinate, fumarate, maleate, lactate, malate, citrate, tartrate, carbonate, picrate, methanesulfonate, ethanesulfonate, p-toluenesulfonate, glutamate.

In addition, compounds in the form in which solvate (for example, hydrate, ethanolate, etc.) was added to the starting compounds and object compound shown in each of the reaction formulae are included in each of the general formulas. As a preferable solvate, hydrate can be mentioned.

Each of the object compounds obtained by each of the general formulas can be isolated and purified from the reaction mixture by, for example, subjecting the reaction mixture to isolation operation such as filtration, concentration and extraction after cooling to separate a crude reaction product followed by conventional purification operation such as column chromatography or recrystallization.

The compound represented by the general formula (1) of the present invention naturally encompasses isomers such as geometrical isomer,

stereoisomer and enantiomer.

The compound of the general formula (1) and a salt thereof can be used in a common form of pharmaceutical preparation. The pharmaceutical preparation is prepared by using usually used diluent or excipient such as filler, extending agent, binder, humectant, disintegrating agent, surfactant and lubricant. As for this pharmaceutical preparation, various forms can be selected depending on the purpose of treatment, and typical examples include a tablet, pill, powder, solution, suspension, emulsion, granule, capsule, suppository, and injection (solution, suspension).

For shaping in tablet form, various materials conventionally well known as carrier in the art can be widely used. As examples, excipient such as lactose, saccharose, sodium chloride, glucose, urea, starch, calcium carbonate, kaolin, crystalline cellulose, silicate; binder such as water, ethanol, propanol, simple syrup, glucose solution, starch liquid, gelatine solution, carboxymethylcellulose, shellac, methylcellulose, potassium phosphate, polyvinylpyrrolidone; disintegrating agent such as dried starch, sodium alginate, agar powder, laminaran powder, sodium hydrogen carbonate, calcium carbonate, polyoxyethylene sorbitan fatty acid ester, sodium lauryl sulfate, stearic acid monoglyceride, starch, lactose; disintegration preventing agent such as

saccharose, stearin, cacao butter, hydrogenated oil; sorbefacient such as quaternary ammonium base, sodium lauryl sulfate; moisturizing agent such as glycerine, starch; absorbing agent such as starch, lactose, kaolin, bentonite, colloidal silica; lubricant such as purified talc, stearate, borate powder, polyethylene glycol can be used, for example. Furthermore, the tablet may be a tablet provided with conventional coating as required, for example, sugar-coated tablet, gelatine encapsulated tablet, enteric coating tablet, film coated tablet or double tablet, multilayer tablet.

For shaping in pill form, various materials conventionally well known as carrier in the art can be widely used. As examples, excipient such as glucose, lactose, starch, cacao butter, hydrogenated vegetable oil, kaolin, talc; binder such as powdered gum arabic, powdered tragacanth, gelatine, ethanol; disintegrating agent such as laminaran, agar can be used, for example.

For shaping in suppository form, various materials conventionally well known as carrier can be widely used. Examples thereof include polyethylene glycol, cacao butter, higher alcohol, esters of higher alcohol, gelatine, semisynthesized glyceride, for example.

A capsule is usually prepared according to a conventional method by mixing active ingredient compounds with various carrier exemplified above and filling them into a hard gelatin capsule, a soft

capsule or the like.

When prepared as injection liquid, it is preferable that solution, emulsion and suspension are sterilized and isotonic to the blood and for forming in these modes, any of those conventionally used in the art as diluent can be used, and, for example, water, ethyl alcohol, macrogol, propylene glycol, ethoxylated isostearyl alcohol, polyoxylated isostearyl alcohol, polyoxyethylene sorbitan fatty acid ester, etc. can be used.

The pharmaceutical preparation may contain common salt, glucose or glycerine in an amount sufficient to prepare an isotonic solution in this case, and conventional solubilizer, buffer, soothing agent may be also added. Pigment, preservative, aromatic, flavor, sweetening and other pharmaceuticals may be further contained as required.

The amount of a compound of the general formula (1) or a salt thereof to be contained in the pharmaceutical preparation of the present invention is not particularly limited but usually about 1 to 70% by weight in the preparation composition is suitable and preferably about 1 to 30% by weight.

There is not limitation in particular in the way of administration of the pharmaceutical preparation of the present invention and may be administered by a method in accordance with specific form of the preparation, age, sex and the other conditions of a

patient, severity of disease, etc. For example, in the case of tablet, pill, solution, suspension, emulsion, granule and capsule, it is orally administered. In the case of injection, it is intravenously administered alone or in a mixture with conventional replacement fluid such as glucose and amino acids, and if necessary, and the preparation alone may be also administered intramuscularly, intracutaneously, subcutaneously or interperitoneally. It is administered in rectum in the case of suppository.

Applied dose of the pharmaceutical preparation of the present invention is appropriately selected in accordance with dosage regimen, age, sex and the other conditions of a patient, severity of disease, etc., but it is suitable that the amount of the active ingredient compound is usually about 0.1 to 10 mg per 1 kg of body weight per day. In addition, it is desirable that the active ingredient compound is contained in the preparation of a dosage unit form in the range of about 1 to 200 mg.

The compound of the present invention has D_2 receptor partial agonist effect, 5-HT_{2A} receptor antagonist effect and serotonin uptake inhibitory effect (or serotonin uptake inhibitory effect).

The D_2 receptor partial agonist effect suppresses dopaminergic (DA) neurotransmission when it is enhanced, and accelerates the DA neurotransmission when it is lowered and thus has a function to stabilize

the DA neurotransmission to a normal state (dopamine system stabilizer). According to this function, excellent clinically improving effect on the conditions based on the DA abnormal neurotransmission (enhancement and lowering), for example, improving effect on positive and negative symptoms, improving effect on cognitive impairment, improving effect on depressive symptom, etc. are developed without developing side effects (See Michio Toru: Seishin-Igaku (Psychiatry), Vol. 46, pp. 855-864 (2004), Tetsuro Kikuchi and Tsuyoshi Hirose: Nou-no-Kagaku (Brain Science), Vol. 25, pp. 579-583 (2003) and Harrison, T.S. and Perry, C.M.: Drugs 64: 1715-1736, 2004).

5-HT_{2A} receptor antagonist effect reduces extrapyramidal side effects, develops superior clinical effects, and is effective for improvement of negative symptoms, improvement of cognitive impairment, improvement of depression condition, improvement of insomnia, for example (See Jun Ishigooka and Ken Inada: Rinsho-Seishin-Yakuri (Japanese Journal of Clinical Psychopharmacology), Vol. 4, pp. 1653-1664 (2001), Mitsukuni Murasaki: Rinsho-Seishin-Yakuri (Japanese Journal of Clinical Psychopharmacology), Vol. 1, pp. 5-22 (1998), Puller, I.A. et al., Eur. J. Pharmacol., 407:39-46, 2000, and Meltzer, H.Y. et al, Prog. Neuro-Psychopharmacol. Biol. Psychiatry 27: 1159-1172, 2003).

Serotonin uptake inhibitory effect (or serotonin reuptake inhibitory effect) is effective for

improving depressive symptoms, for example (See Mitsukuni Murasaki: Rinsho-Seishin-Yakuri (Japanese Journal of Clinical Psychopharmacology), Vol. 1, pp. 5-22 (1998)).

The compounds of the present invention are excellent in all of these three effects, or remarkably excellent in one or two of these effects.

In addition, some of the compounds of the present invention have α_1 receptor antagonist effect in addition to the above-described effects. The α_1 receptor antagonist effect is effective for improving positive symptoms of schizophrenia (See Svensson, T.H.: Prog. Neuro-Psychopharmacol. Biol. Psychiatry 27: 1145-1158, 2003).

Therefore, the compounds of the present invention have a wide treatment spectrum for and excellent clinical effect on schizophrenia and other central nervous system disorders.

Accordingly, the compounds of the present invention are extremely effective for the treatment or prevention of central nervous system disorders including the group consisting of schizophrenia; refractory, intractable or chronic schizophrenia; emotional disturbance; psychotic disorder; mood disorder; bipolar disorder (for example, bipolar I type disorder and bipolar II type disorder); depression; endogenous depression; major depression; melancholy and refractory depression; dysthymic disorder; cyclothymic

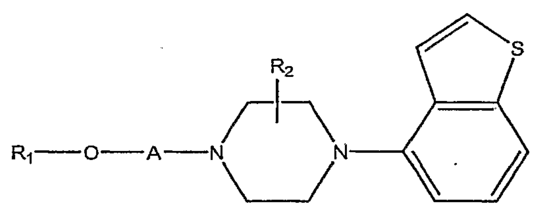
disorder; anxiety disorder (for example, panic attack, panic disorder, agoraphobia, social phobia, obsessive-compulsive disorder, post-traumatic stress disorder, generalized anxiety disorder, acute stress disorder, etc.); somatoform disorder (for example, hysteria, somatization disorder, conversion disorder, pain disorder, hypochondriasis, etc.); factitious disorder; dissociative disorder; sexual disorder (for example, sexual dysfunction, sexual desire disorder, sexual arousal disorder, erectile dysfunction, etc.); eating disorder (for example, anorexia nervosa, bulimia nervosa, etc.); sleep disorder; adjustment disorder; substance-related disorder (for example, alcohol abuse, alcohol intoxication, drug addiction, stimulant intoxication, narcotism, etc.); anhedonia (for example, iatrogenic anhedonia, anhedonia of a psychic or mental cause, anhedonia associated with depression, anhedonia associated with schizophrenia, etc.); delirium; cognitive impairment; cognitive impairment associated with Alzheimer's disease, Parkinson’s disease, and other neurodegenerative diseases; cognitive impairment caused by Alzheimer's disease, Parkinson’s disease and associated neurodegenerative diseases; cognitive impairment of schizophrenia; cognitive impairment caused by refractory, intractable or chronic schizophrenia; vomiting; motion sickness; obesity; migraine; pain (ache); mental retardation; autism disorder (autism); Tourette's disorder; tic disorder;

attention-deficit/hyperactivity disorder; conduct disorder; and Down's syndrome.

Furthermore, the compounds of the present invention have little or no side effects and they are excellent in safety and tolerability.

A preferable example of a desired compound (1) is as follows:

[Formula 1]



(1)

where R^2 represents a hydrogen atom or a lower alkyl group;

A represents a lower alkylene group or a lower alkenylene group (preferably a lower alkylene group); and

R^1 represents a cyclo C3-C8 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (IV) below:

(I) a cyclo C3-C8 alkyl group (more preferably a cyclohexyl group);

(II) an aromatic group selected from a phenyl group, naphthyl group, dihydroindenyl group and tetrahydronaphthyl group (more preferably a phenyl group);

(III) a saturated or unsaturated

heteromonocyclic group having 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom and selected from the group consisting of a pyrrolidinyl group, imidazolidinyl group, piperidyl group, hexahydropyrimidinyl group, piperazinyl group, azepanyl group, azocanyl group, pyrrolyl group, dihydropyrrolyl group, imidazolyl group, dihydroimidazolyl group, triazolyl group, dihydrotriazolyl group, pyrazolyl group, pyridyl, dihydropyridyl group, pyrimidinyl group, dihydropyrimidinyl group, pyrazinyl group, dihydropyrazinyl group, pyridazinyl group, tetrazolyl group, oxazolyl group, isoxazolyl group, oxadiazolyl group, oxazolidinyl group, isoxazolidinyl group, morpholinyl group, thiazolyl group, dihydrothiazolyl group, isothiazolyl group, thiadiazolyl group, dihydrothiazinyl group, thiazolidinyl group, tetrahydrofuryl group, tetrahydropyranyl group, pyranyl group, tetrahydrothiofuryl group, tetrahydrothiopyranyl group, thienyl group and thiopyranyl group (more preferably, a saturated or unsaturated heteromonocyclic group having 1 to 2 hetero atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom and selected from the group consisting of a pyrrolidinyl group, a piperidyl group, a pyrazolyl group, a pyridyl group, a pyrimidinyl group, a pyrazinyl group, an isoxazolyl group, a thiazolyl group, a pyranyl group and a thienyl group; and more

preferably, a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from the group consisting of a pyrrolidinyl group, a piperidyl group, a pyrazolyl group, a pyridyl group, a pyrimidinyl group and a thiazolyl group; and

(IV) a benzene fused heterocyclic group that has 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom and that is selected from the group consisting of (1) a tetrahydroquinoxalinyl group, (2) a tetrahydroquinazolinyl group, (3) a dihydroquinazolinyl group, (4) an indolinyl group, (5) an indolyl group, (6) an isoindolinyl group, (7) a benzimidazolyl group, (8) a dihydrobenzimidazolyl group, (9) a tetrahydrobenzazepinyl group, (10) a tetrahydrobenzodiazepinyl group, (11) a hexahydrobenzazocinyl group, (12) a dihydrobenzoxazinyl group, (13) a dihydrobenzoxazolyl group, (14) a benzisoxazolyl group, (15) a benzoxadiazolyl group, (16) a tetrahydrobenzoxazepinyl group, (17) a dihydrobenzothiazinyl group, (18) a benzothiazolyl group, (19) a benzoxathiolyl group, (20) a chromenyl group, (21) a dihydrobenzofuryl group, (22) a carbazolyl group, (23) a dibenzofuryl group and (24) a quinoxalinyl group

wherein, on the cyclo C3-C8 alkyl group, the aromatic group and the heterocyclic group represented by R^1, 1 to 5 (more preferably 1 to 3) groups selected

from the group consisting of the groups (1) to (66) below may be present as a substituent:

(1) a lower alkyl group,

(2) a lower alkenyl group,

(3) a halogen substituted lower alkyl group,

(4) a lower alkoxy group,

(5) a phenoxy group,

(6) a lower alkylthio group,

(7) a halogen substituted lower alkoxy group,

(8) a hydroxy group,

(9) a phenyl lower alkoxy group,

(10) a hydroxy lower alkyl group,

(11) a lower alkoxy lower alkyl group,

(12) a halogen atom,

(13) a cyano group,

(14) a phenyl aryl group,

(15) a nitro group,

(16) an amino group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkylsulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxycarbonylamino lower alkanoyl group as a substituent(s) (more preferably an N-lower alkylamino group, N,N-di lower alkylamino group, N-lower alkanoylamino group, N-lower alkoxycarbonylamino group,

N-lower alkylsulfonylamino group, N-lower alkyl-N-lower alkanoylamino group, N-lower alkyl-N-lower alkoxycarbonylamino group, N-[carbamoyl]amino group, N-[N-lower alkylcarbamoyl]amino group, N-[N,N-di lower alkylcarbamoyl]amino group, N-[amino lower alkanoyl]amino group, N-[[N-lower alkanoylamino] lower alkanoyl]amino group, or N-[[N-lower alkoxycarbonylamino] lower alkanoyl]amino group),

(18) a lower alkanoyl group,

(19) a phenyl sulfonyl group that may have a lower alkyl group on the phenyl group (more preferably a lower alkylphenylsulfonyl group),

(20) a carboxy group,

(21) a lower alkoxycarbonyl group,

(22) a carboxy lower alkyl group,

(23) a lower alkoxycarbonyl lower alkyl group,

(24) a lower alkanoylamino lower alkanoyl group,

(25) a carboxy lower alkenyl group,

(26) a lower alkoxycarbonyl lower alkenyl group,

(27) a carbamoyl lower alkenyl group that may have as a substituent(s) 1 to 2 groups selected from the group consisting of a lower alkyl group and a lower alkyl group substituted with 1 to 3 halogen atoms (more preferably a carbamoyl lower alkenyl group, an N-lower alkylcarbamoyl lower alkenyl group, an N,N-di lower

alkylcarbamoyl lower alkenyl group or N-[a lower alkyl substituted with 1 to 3 halogen atoms] carbamoyl lower alkenyl),

(28) a carbamoyl group that may have 1 to 2 groups selected from the group consisting of the groups (i) to (lxxviii) below as a substituent(s):

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iii) a hydroxy lower alkyl group,

(iv) a lower alkoxy lower alkyl group,

(v) an phenyloxy lower alkyl group,

(vi) a halogen substituted lower alkyl group,

(vii) an amino lower alkyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a benzoyl group and a carbamoyl group (more preferably an N,N-di lower alkylamino lower alkyl group, an N-lower alkanoylamino lower alkyl group, an N-lower alkyl-N-lower alkanoylamino lower alkyl group, an N-lower alkyl-N-benzoylamino lower alkyl group, or an N-carbamoylamino lower alkyl group)

(viii) a cyclo C3-C8 alkyl group that may have 1 to 3 groups (preferably 1 to 2 groups, and more preferably 1 group) selected from the group consisting of a lower alkyl group, a hydroxy group, a lower alkoxycarbonyl group and a phenyl lower alkoxy group as a substituent,

(ix) a cyclo C3-C8 alkyl substituted lower

alkyl group,

(x) a lower alkenyl group,

(xi) a lower alkyl group having 1 to 2 carbamoyl groups which may have 1 to 2 groups (preferably 1 group) selected from the group consisting of a lower alkyl group, a phenyl group that may have a single lower alkyl group and a phenyl group that may have a single lower alkoxy group as a substituent(s) (more preferably a carbamoyl lower alkyl group, a dicarbamoyl lower alkyl group, an N-lower alkylcarbamoyl lower alkyl group, an N,N-di lower alkylcarbamoyl lower alkyl group, an N-[lower alkylphenyl]carbamoyl lower alkyl group, or an N-[lower alkoxyphenyl]carbamoyl lower alkyl group),

(xii) a lower alkyl group having 1 to 2 lower alkoxycarbonyl groups,

(xiii) a furyl lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the furyl group),

(xiv) a tetrahydrofuryl lower alkyl group,

(xv) a 1,3-dioxolanyl lower alkyl group,

(xvi) a tetrahydropyranyl lower alkyl group,

(xvii) a pyrrolyl lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the pyrrolyl group),

(xviii) a dihydropyrazolyl lower alkyl group that may have a single oxo group,

(xix) a pyrazolyl lower alkyl group (that may

have 1 to 3 lower alkyl groups as a substituent(s) on the pyrazolyl group),

(xx) an imidazolyl lower alkyl group,

(xxi) a pyridyl lower alkyl group,

(xxii) a pyrazinyl lower alkyl group (that may have 1 to 3 (preferably 1) lower alkyl groups as a substituent on the pyrazinyl group),

(xxiii) a pyrrolidinyl lower alkyl group (that may have 1 to 2 groups selected from the group consisting of an oxo group and a lower alkyl group as a substituent(s) on the pyrrolidinyl group),

(xxiv) a piperidyl lower alkyl group (that may have 1 to 3 groups (preferably 1 group) selected from the group consisting of a benzoyl group and a lower alkanoyl group as a substituent(s) on the piperidyl group),

(xxv) a piperazinyl lower alkyl group (that may have 1 to 3 (preferably 1) lower alkyl groups as a substituent(s) on the piperazinyl group),

(xxvi) a morpholinyl lower alkyl group,

(xxvii) a thienyl lower alkyl group (that may have 1 to 3 (preferably 1) lower alkyl group as a substituent(s) on the thienyl group),

(xxviii) a thiazolyl lower alkyl group,

(xxix) a dihydrobenzofuryl lower alkyl group,

(xxx) a benzopyranyl lower alkyl group (that may have a single oxo group as a substituent on the benzopyranyl group),

(xxxi) a benzimidazolyl lower alkyl group,

(xxxii) an indolyl lower alkyl group that may have 1 to 3 (preferably 1) lower alkoxycarbonyl groups on the lower alkyl group),

(xxxiii) an imidazolyl lower alkyl group that has 1 to 3 substituents (preferably 1 substituent) selected from the group consisting of a carbamoyl group and a lower alkoxycarbonyl group on the lower alkyl group,

(xxxiv) a pyridyl group that may have 1 to 3 groups (preferably 1 group) selected from the group consisting of a lower alkyl group, a lower alkoxy group and a lower alkylthio lower alkyl group as a substituent(s),

(xxxv) a pyrrolidinyl group that may have 1 to 3 groups (preferably 1 group) selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and a benzoyl group as a substituent,

(xxxvi) a piperidyl group that may have 1 to 3 groups (preferably 1 group) selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and a benzoyl group that may have 1 to 3 groups (preferably 1 group) selected from the group consisting of a lower alkyl group and a halogen atom on the phenyl group,

(xxxvii) a tetrahydrofuryl group that may have a single oxo group,

(xxxviii) a hexahydroazepinyl group that may have a single oxo group,

(xxxix) a pyrazolyl group that may have 1 to 3 groups (preferably 1 group) selected from the group consisting of a lower alkyl group, a phenyl group and a furyl group as a substituent,

(xl) a thiazolyl group,

(xli) a thiadiazolyl group that may have 1 to 3 (preferably 1) lower alkyl groups,

(xlii) an isoxazolyl group that may have 1 to 3 (preferably 1 to 2) lower alkyl groups,

(xliii) an indazolyl group,

(xliv) an indolyl group,

(xlv) a tetrahydrobenzothiazolyl group,

(xlvi) a tetrahydroquinolyl group that may have 1 to 3 (preferably 1 to 2) groups selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen atom and an oxo group as a substituent,

(xlvii) a quinolyl group that may have 1 to 3 (preferably 1) lower alkyl groups,

(xlviii) a benzodioxolyl lower alkyl group,

(xlix) a phenyl group or naphthyl group that may have 1 to 3 groups as a substituent(s), selected from the group consisting of

a halogen atom; a lower alkyl group; a lower alkoxy group; a halogen substituted lower alkyl group; a halogen substituted lower alkoxy group; a lower

alkenyl group; an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkanoyl group, a lower alkyl sulfonyl group, a lower alkyl group and an aryl group; a sulfamoyl group; a lower alkylthio group; a lower alkanoyl group; a lower alkoxycarbonyl group; a pyrrolyl group; a lower alkynyl group; a cyano group; a nitro group; a phenyloxy group; a phenyl lower alkoxy group; a hydroxy group; a hydroxy lower alkyl group; a carbamoyl group that may have a group selected from the group consisting of a lower alkyl group and a phenyl group; a pyrazolyl group; a pyrrolidinyl group that may have a single oxo group; an oxazolyl group; an imidazolyl group that may have 1 to 3 (preferably 1 to 2) lower alkyl groups; a dihydrofuryl group that may have a single oxo group; a thiazolidinyl lower alkyl group that may have two oxo groups; an imidazolyl lower alkanoyl group and a piperidinylcarbonyl group,

(l) a cyano lower alkyl group,

(li) a dihydroquinolyl group that may have 1 to 3 (more preferably 1 to 2) groups selected from the group consisting of a lower alkyl group and an oxo group,

(lii) a halogen substituted lower alkylamino group,

(liii) a lower alkylthio lower alkyl group,

(liv) an amidino group that may have 1 to 2 lower alkyl groups,

(lv) an amidino lower alkyl group,

(lvi) a lower alkenyloxy lower alkyl group,

(lvii) a phenyl amino group that may have 1 to 3 substituents (more preferably 1 substituent) selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen substituted lower alkyl group and a halogen substituted lower alkoxy group on the phenyl group,

(lviii) a phenyl lower alkenyl group,

(lix) a pyridylamino group that may have 1 to 3 (more preferably 1 to 2) lower alkyl groups (more preferably N-lower alkyl-N-[lower alkylpyridyl]amino group),

(lx) a phenyl lower alkyl group (that may have 1 to 3 groups (more preferably 1 to 2 groups) selected from the group consisting of a halogen atom, a lower alkyl group, a halogen substituted lower alkyl group, a halogen substituted lower alkoxy group, a lower alkoxy group, a carbamoyl group and a lower alkoxycarbonyl group as a substituent on the phenyl group and/or the lower alkyl group),

(lxi) a lower alkynyl group,

(lxii) a phenyloxy lower alkyl group (that may have as a substituent(s) on the phenyl group 1 to 3 groups (preferably 1 group) selected from the group consisting of a lower alkoxy group, an N-lower alkoxy-N-lower alkylcarbamoyl group and an oxopyrrolidinyl group),

(lxiii) an isoxazolidinyl group that may have a single oxo group,

(lxiv) a dihydroindenyl group,

(lxv) a phenyl lower alkoxy lower alkyl group,

(lxvi) a tetrahydropyranyl group,

(lxvii) an azetidinyl group that may have 1 to 3 groups (more preferably 1 group) selected from the group consisting of a lower alkanoyl group and a benzoyl group,

(lxviii) an azetidinyl lower alkyl group that may have 1 to 3 groups (more preferably 1 group) selected from the group consisting of a lower alkanoyl group and a benzoyl group,

(lxix) a tetrazolyl group,

(lxx) an indolinyl group that may have a single oxo group,

(lxxi) a triazolyl group that may have 1 to 3 groups (more preferably 1 to 2 groups) selected from the group consisting of a lower alkyl group and a lower alkylthio group,

(lxxii) an imidazolyl group that may have 1 to 3 (more preferably 1) carbamoyl groups,

(lxxiii) an oxazolyl group that may have 1 to 3 (more preferably 1) lower alkyl groups,

(lxxiv) an isothiazolyl group that may have 1 to 3 (more preferably 1) lower alkyl groups,

(lxxv) a benzimidazolyl group,

(lxxvi) a dihydrobenzothiazolyl group that may have a single oxo group,

(lxxvii) a thienyl group that may have 1 to 3 (more preferably 1) lower alkoxycarbonyl groups, and

(lxxviii) an oxazolyl lower alkyl group that may have 1 to 3 (more preferably 1 to 2) lower alkyl groups

(29) an amino lower alkyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, a phenyl group, a phenyl lower alkyl group, a benzoyl group and an amino substituted alkyl group (that may have 1 to 2 (more preferably 2) lower alkyl groups as a substituent(s) on the amino group) on the amino group,

(30) a lower alkyl group substituted with a single carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(31) a thiocarbamoyl group that may have 1 to 2 (more preferably 1) lower alkyl group,

(32) a sulfamoyl group,

(33) an oxazolidinyl group that may have a single oxo group (more preferably an oxazolidinyl group substituted with a single oxo group),

(34) an imidazolidinyl group that may have 1 to 2 substituents selected from the group consisting of

an oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have a single oxo group,

(36) an imidazolyl group,

(37) a triazolyl group,

(38) an isoxazolyl group,

(39) a piperidyl group that may have 1 to 3 (more preferably 1 to 2, and still more preferably 1) substituents selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkylphenylsulfonyl group, an oxo group, a hydroxy group, and amino group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and lower alkanoylamino lower alkanoyl group (more preferably a piperidyl group that may have 1 to 3 (more preferably 1 to 2, and still more preferably 1) substituents selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkylphenylsulfonyl group, an oxo group, a hydroxy group, an amino group, an N-lower alkylamino group, an N,N-di lower alkylamino group, an N-lower alkanoylamino group, an N-lower alkyl-N-lower alkoxycarbonylamino group, an N-lower alkyl-N-lower alkanoylamino group, and an N-lower alkanoylamino lower alkanoylamino group),

(40) a piperidylcarbonyl group that may have 1 to 3 (more preferably 1 to 2) substituents selected

from the group consisting of a lower alkyl group, a hydroxy group, a hydroxy lower alkyl group, a lower alkanoyl group, a carboxy lower alkyl group, a lower alkyl carbamoyl lower alkyl group, a carbamoyl group, a lower alkoxy group, a carboxy group, a lower alkoxycarbonyl group, an amino group (on which 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a benzoyl group may be present), a piperidyl group (on which 1 to 3 groups (more preferably 1 group) selected from the group consisting of a lower alkanoyl group, a lower alkoxycarbonyl group and a benzoyl group may be present), a piperazinyl group (on which 1 to 3 (more preferably 1 to 2) lower alkyl groups may be present as a substituent), a 1,4-dioxa-8-azaspiro[4.5]decyl group, a morpholinyl group, a hexahydro-1,4-diazepinyl group (on which a single lower alkyl group may be present as a substituent), pyridyl group, pyridyloxy group, pyridyl lower alkoxy group, tetrahydroquinolyl group (on which a single oxo group may be present), benzodioxolyl group, phenyl lower alkoxy group (that may have 1 to 3 groups (more preferably 1 to 2 groups) selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkoxy group on the phenyl group), phenyl group (on which 1 to 3 groups (preferably 1 to 2 groups) selected from the group consisting of a halogen

atom, a lower alkoxy group and a hydroxy group may be present), a phenyloxy group (that may have on the phenyl group 1 to 3 groups (preferably 1 to 2 groups) selected from the group consisting of a cyano group, a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), a phenyl lower alkyl group (that may have on the phenyl group 1 to 3 groups (more preferably 1 to 2 groups) selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), and a benzoyl group (that may have on the phenyl group 1 to 3 groups (more preferably 1 to 2 groups) selected from the group consisting of a halogen atom and a lower alkoxy group),

(41) a pyrrolidinylcarbonyl group that may have 1 to 3 (more preferably 1) groups as a substituent, selected from the group consisting of a hydroxy lower alkyl group, a carbamoyl group, a hydroxy group, an amino group (that may have on the amino group 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group and a benzoyl group), morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a piperidyl lower alkyl group, a piperazinyl lower alkyl group (that may have a single lower alkyl group as a substituent on the piperazinyl group), an amino lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent on the amino group), phenyloxy group (that may have 1 to 3 (more

preferably 1) halogen substituted lower alkoxy groups on the phenyl group), a phenyloxy lower alkyl group (that may have 1 to 3 (more preferably 1) halogen substituted lower alkoxy groups on the phenyl group) and a tetrahydroquinolyl group (on which an oxo group may be present),

(42) a piperazinylcarbonyl group that may have 1 to 3 groups (more preferably 1 to 2 groups), as a substituent, selected from the group consisting of a lower alkyl group, a cyclo C3-C8 alkyl group, a lower alkanoyl group, a hydroxy lower alkyl group, a lower alkoxy lower alkyl group, a lower alkoxycarbonyl group, an amino lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent on the amino group), piperidyl lower alkyl group (that may have 1 to 2 (more preferably 1) lower alkyl groups as a substituent(s) on the piperidyl group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a 1,3-dioxolanyl lower alkyl group, a tetrahydrofuryl lower alkyl group, a pyridyl lower alkyl group (that may have 1 to 2 (more preferably 1) phenyl groups as a substituent(s) on the lower alkyl group), an imidazolyl lower alkyl group, a furyl lower alkyl group, a pyrrolidinylcarbonyl lower alkyl group, a piperidyl group that may have 1 to 2 (more preferably 1) lower alkyl groups as a substituent(s), a pyridyl group (that may have on the pyridyl group 1 to 3 groups (more preferably 1 group) selected from the group consisting of a lower alkyl

group, a cyano group and a halogen substituted lower alkyl group as a substituent), a thieno[2,3-b]pyridyl group, a phenyl group (on which 1 to 3 groups (more preferably 1 group) selected from the group consisting of a halogen atom and a lower alkyl group may be present), a benzoyl group, a furyl carbonyl group, a phenyl lower alkoxycarbonyl group and an oxo group,

(43) a hexahydroazepinylcarbonyl group,

(44) a hexahydro-1,4-diazepinylcarbonyl group that may have 1 to 3 substituents (more preferably 1 substituent) selected from the group consisting of a lower alkyl group and a pyridyl group,

(45) a dihydropyrrolylcarbonyl group that may have 1 to 3 (more preferably 1 to 2) lower alkyl groups,

(46) a thiomorpholinylcarbonyl group,

(47) a morpholinylcarbonyl group that may have 1 to 3 groups (more preferably 1 group) selected from the group consisting of a lower alkyl group, a piperidyl lower alkyl group and a phenyl group,

(48) a thiazolidinyl carbonyl group that may have 1 to 3 (more preferably 1) phenyl groups that may have 1 to 3 groups (more preferably 1 group) selected from the group consisting of a lower alkoxy group and a cyano group,

(49) an azabicyclo[3.2.2]nonylcarbonyl group,

(50) an 8-azabicyclo[3.2.1]octylcarbonyl group that may have 1 to 3 (more preferably 1) halogen

substituted or unsubstituted phenyloxy groups,

(51) an indolinylcarbonyl group,

(52) a tetrahydroquinolylcarbonyl group,

(53) a tetrahydropyrido[3.4-b]indolylcarbonyl group,

(54) a morpholinyl lower alkyl group,

(55) a piperazinyl lower alkyl group that may have 1 to 3 (more preferably 1) lower alkyl groups on the piperazinyl group,

(56) a morpholinylcarbonyl lower alkyl group,

(57) a piperazinylcarbonyl lower alkyl group that may have 1 to 3 (more preferably 1) lower alkyl groups on the piperazinyl group,

(58) an oxo group,

(59) an amino lower alkoxy group (that may have 1 to 2 (more preferably 2) lower alkyl groups on the amino group),

(60) a lower alkoxy lower alkoxy group,

(61) a piperazinyl group that may have 1 to 3 groups (more preferably 1 to 2 groups) selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxycarbonyl group (more preferably, a piperazinyl group substituted with a single oxo group, a piperazinyl group substituted with a single lower alkyl group, a piperazinyl group substituted with a single lower alkanoyl group, a piperazinyl group substituted with a single oxo group and a single lower alkanoyl

group, and a piperazinyl group substituted with a single oxo group and a single lower alkoxy carbonyl group),

(62) a morpholinyl group,

(63) a 1,3,8-triazaspiro[4.5]decanylcarbonyl group that may have 1 to 3 groups (more preferably 1 to 2 groups) selected from the group consisting of an oxo group and a phenyl group,

(64) a tetrahydropyridylcarbonyl group that may have 1 to 3 (more preferably 1) pyridyl groups,

(65) an imidazolidinylcarbonyl group that may have one thioxo group, and

(66) a 1,4-dioxa-8-azaspiro[4.5]decanyl group.

In the general formula (1), R^1 is preferably a cyclohexyl group, phenyl group, pyrrolidinyl group, piperidyl group, pyrazolyl group, pyridyl group, pyrimidinyl group, or thiazolyl group. The ring of each groups is preferably substituted with 1 to 3 groups selected from the group consisting of:

(1) a lower alkyl group,

(4) a lower alkoxy group,

(10) a hydroxy lower alkyl group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxy carbonyl group, a lower alkyl sulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl

group, a lower alkanoylamino lower alkanoyl group and a lower alkoxycarbonylamino lower alkanoyl group as a substituent(s),

(21) a lower alkoxycarbonyl group,

(28) a carbamoyl group that may have 1 to 2 substituents selected from the group consisting of the groups (i), (ii), (iv), (xii) and (xxi) below:

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iv) a lower alkoxy lower alkyl group,

(xii) a lower alkyl group having 1 to 2 lower alkylcarbonyl groups,

(xxi) a pyridyl lower alkyl group,

(29) an amino lower alkyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, a phenyl group, a phenyl lower alkyl group, a benzoyl group and an amino substituted alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the amino group) on the amino group,

(30) a lower alkyl group substituted with a single carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(33) an oxazolidinyl group that may have a single oxo group,

(34) an imidazolidinyl group that may have 1

to 2 substituents selected from the group consisting of an oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have a single oxo group,

(36) an imidazolyl group,

(39) a piperidyl group that may have a single substituent selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkyl phenylsulfonyl group, an oxo group, a hydroxy group, an amino group, an N-lower alkylamino group, an N-N di-lower alkyl amino group, an N-lower alkanoylamino group, an N-lower alkyl-N-lower alkoxycarbonylamino group, an N-lower alkyl-N-lower alkanoylamino group, and an N-lower alkanoylamino lower alkanoylamino group,

(61) a piperazinyl group that may have 1 to 2 groups selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxy carbonyl group, and

(62) a morpholinyl group.

EXAMPLE

Hereinbelow, the present invention will be further made clear with reference to Reference Examples, Examples and Pharmacological Experimental Examples and Preparation Examples.

Reference Example 1

Synthesis of 1-benzo[b]thiophen-4-yl-piperazine

hydrochloride

A mixture consisting of 14.4 g of 4-bromobenzo[b]thiophene, 29.8 g of piperazine anhydride, 9.3 g of sodium t-butoxide, 0.65 g of (R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl (BINAP), 0.63 g of tris (dibenzylideneacetone) dipalladium (0) and 250 ml of toluene was refluxed with heating for one hour under a nitrogen atmosphere. Water was poured to the reaction solution, which was then extracted with ethyl acetate, washed with water and dried over magnesium sulfate. The solvent was evaporated under reduced pressure. The obtained residue was purified by silica gel column chromatography (dichloromethane: methanol: 25% ammonia water = 100:10:1), to obtain 9.5 g of 1-benzo[b]thiophen-4-yl-piperazine in the form of yellow oil.

Then, 3.7 ml of concentrated hydrochloric acid was added to a methanol solution of 9.5 g of 1-benzo[b]thiophen-4-yl-piperazine, and the solvent was evaporated under reduced pressure. Ethyl acetate was added to the obtained residue and precipitated crystals were obtained by filtration. Recrystallization was performed from methanol to obtain 1-benzo[b]thiophen-4-yl-piperazine hydrochloride as colorless needle-like crystals.

Melting point 276-280°C

^{1}H-NMR (DMSO-d_6) δppm: 3.25-3.35 (8H, m), 6.94 (1H, d, J=7.6Hz), 7.30 (1H, dd, J=7.8Hz, J=7.8Hz), 7.51 (1H, d,

J=5.5Hz), 7.68 (1H, d, J=8.1Hz), 7.73 (1H, d, J=5.5Hz), 9.35 (2H, brs).

Reference Example 2

Synthesis of tert-butyl 4-benzo[b]thiophen-4-yl-3-methylpiperazin-1-carboxylate

The titled compound was obtained using tert-butyl 3-methylpiperazin-1-carboxylate and 4-bromobenzo[b]thiophene in the same manner as in Reference Example 1.

^{1}H -NMR ($CDCl_3$) δppm: 1.85-1.95 (3H, m , 1.50 (9H, s , 2.8-2.9 (1H, m), 3.15-3.35 (2H, m), 3.4-3.5 (1H, m), 3.5-3.65 (1H, m), 3.65-3.7 (1H, m), 3.7-3.9 (1H, m), 6.98 (1H, d, J = 7.5Hz), 7.29 (1H, dd, J = 8Hz, J=8Hz), 7.38 (1H, d, J = 5.5Hz), 7.61 (1H, d, J = 8Hz).

Reference Example 3

Synthesis of 1-benzo[b]thiophen-4-yl-2-methylpiperazine dihydrochloride

Trifluoroacetic acid (6 ml) was added to a solution of 1.22 g (3.7 mmol) of tert-butyl 4-benzo[b]thiophen-4-yl-3-methylpiperazin-1-carboxylate in a dichloromethane solution (12 ml) and the mixture was stirred at room temperature for one hour. The reaction mixture was concentrated under reduced pressure, and a 5% aqueous potassium carbonate solution was added to the residue and the resulting mixture was extracted with dichloromethane. The extraction solution with dichloromethane was dried over magnesium sulfate and thereafter concentrated under reduced

pressure. To the residue obtained, concentrated hydrochloric acid (0.6 ml) and methanol (10 ml) were added and the resulting mixture was concentrated under reduced pressure. The obtained residue was subjected to recrystallization from acetonitrile to obtain 1-benzo[b]thiophen-4-yl-2-methylpiperazine dihydrochloride (0.98 g) as light brown powder.

^{1}H -NMR (DMSO-d_6) δppm: 0.92 (3H, d, J = 6.5Hz), 2.8-3.6 (6H, m), 3.6-4.0 (1H, m), 5.3-6.8 (1H, m), 7.20 (1H, br), 7.38 (1H, dd, J = 8Hz, J=8Hz), 7.5-8.0 (3H, m), 9.4-10.1 (2H, m).

Reference Example 4

Synthesis of 1-benzo[b]thiophen-4-yl-3-methylpiperazine dihydrochloride

The titled compound was obtained using 2-methylpiperazine and 4-bromobenzo[b]thiophene in the same manner as in Reference Example 1.

^{1}H-NMR (DMSO-d_6) δppm: 1.34 (3H, d, J = 6.5Hz), 2.85-2.95 (1H, m), 3.05-3.15 (1H, m), 3.2-3.6 (6H, m), 6.97 (1H, d, J = 7.5Hz), 7.31 (1H, dd, J = 8Hz, J = 8Hz), 7.54 (1H, d, J = 5.5Hz), 7.69 (1H, d, J = 8Hz), 7.75 (1H, d, J = 5.5Hz), 9.2-9.3 (1H, m), 9.64 (1H, br).

Reference Example 5

Synthesis of ethyl 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propionate

5.05 g (19.8 mmol) of 1-benzo[b]thiophen-4-yl-piperazine hydrochloride was added to an aqueous solution of sodium hydroxide, and the mixture was

extracted with dichloromethane. The extraction solution was dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was dissolved in 50 ml of ethanol and ethyl acrylate (2.44 ml, 21.8 mmol) was added thereto, and then the reaction mixture was refluxed with heating for 4 hours. The reaction solution was cooled to room temperature and concentrated under reduced pressure. Diisopropyl ether was added to the residue and insoluble matter precipitated was obtained by filtration, washed with diisopropyl ether, and dried to obtain ethyl 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propionate (5.26 g) as white powder.

^{1}H -NMR ($CDCl_3$) δppm: 1.28 (3H, t, J=7.0Hz), 2.50-2.63 (2H, m), 2.67-2.87 (6H, m), 3.11-3.24 (4H, m), 4.17 (2H, q, J=7.0Hz), 6.89 (1H, d, J=7.8Hz), 7.27 (1H, t, J=7.8Hz), 7.37-7.42 (2H, m), 7.55 (1H, d, J=7.8Hz).

Reference Example 6

Synthesis of 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propan-1-ol

Lithium aluminum hydride (1.18 g, 24.8 mmol) was added to a solution of 5.26 g (16.5 mmol) of ethyl 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propionate in a tetrahydrofuran (THF) solution (55 ml) under ice cooling, and the mixture was stirred at room temperature for 4 hours. To the reaction solution, water (1.2 ml), 15 % aqueous sodium hydroxide solution (1.2 ml), and water (3.6 ml) were added in this order

and the mixture was stirred at room temperature. Insoluble matter was removed by filtration, and the filtrate was concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 3:2 → ethyl acetate) and concentrated to dryness under reduced pressure to obtain 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propan-1-ol (0.23 g) as white powder.

^1H -NMR ($CDCl_3$) δppm: 1.75-1.85 (2H, m), 2.74 (2H, t, J=5.8 Hz), 2.75-2.85 (4H, m), 3.15-3.25 (4H, m), 3.85 (2H, t, J=5.3 Hz), 5.19 (1H, brs), 6.88 (1H, d, J=7.6 Hz), 7.27 (1H, dd, J=7.9 Hz, J=7.8 Hz), 7.39 (2H, s), 7.56 (1H, d, J=8.0 Hz).

Reference Example 7

Synthesis of 4-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)butyl acetate

1.0 g (3.9 mmol) of 1-benzo[b]thiophen-4-yl-piperazine hydrochloride was suspended in 20 ml of dimethylformamide (DMF), and potassium carbonate (1.3 g, 9.4 mmol) and 4-bromobutyl acetate (0.7 ml, 4.8 mmol) were added thereto. The reaction mixture was stirred at 80°C for 6 hours, cooled to room temperature, and water was added thereto, and extracted with ethyl acetate. The organic phase was washed with water, dried over sodium sulfate, and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (dichloromethane: methanol = 30:1), and concentrated to dryness under

reduced pressure to obtain 4-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)butyl acetate (0.72 g) as light yellow oil.

^{1}H -NMR ($CDCl_3$) δppm: 1.60-1.73 (4H, m), 2.07 (3H, s), 2.47 (2H, t, J=7.2Hz), 2.60-2.72 (4H, m), 3.17-3.22 (4H, m), 4.11 (2H, t, J=6.3Hz), 6.90 (1H, d, J=7.6Hz), 7.27 (1H, dd, J=7.6Hz, J=8.0Hz), 7.37-7.42 (2H, m), 7.55 (1H, d, J=8.0Hz).

Reference Example 8

Synthesis of 4-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)butan-1-ol

Potassium carbonate (3.87 g, 28 mmol) was added to a solution of 7.76 g (23.3 mmol) of 4-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)butyl acetate in 90% methanol solution (150 ml). The solution mixture was stirred at room temperature for 2 hours. Water was added to the reaction solution, which was then extracted with dichloromethane. The extraction solution was dried over sodium sulfate and concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 2:1 → 1:1), and concentrated under reduced pressure to obtain 4-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)butan-1-ol (6.65 g) as colorless oil.

^{1}H -NMR ($CDCl_3$) δppm: 1.60-1.74 (4H, m), 2.50-2.55 (2H, m), 2.70-2.80 (4H, m), 3.20-3.30 (4H, m), 3.60-3.63 (2H, m), 6.2 (1H, brs), 6.90 (1H, d, J=7.6Hz), 7.27 (1H, dd, J=7.6Hz, J=8.0Hz), 7.39 (1H, s), 7.56 (1H, d,

J=8.0Hz).

Reference Example 9

Synthesis of 1-benzo[b]thiophen-4-yl-4-(3-chloropropyl)piperazine

3.56 g (12.9 mmol) of 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propan-1-ol was suspended in 30 ml of dichloromethane, and carbon tetrachloride (30 ml) and triphenyl phosphine (4.06 g, 15.5 mmol) were added thereto. The mixture was refluxed with heating for 3 hours. The reaction solution was cooled to room temperature, then methanol and dichloromethane were added thereto to homogenize the mixture. Silica gel (30 g) was added to the solution, and the solvent was evaporated under reduced pressure. The obtained residue was loaded on silica gel column (300 g) and extracted with a solvent mixture of n-hexane : ethyl acetate = 2:1. The extraction solution was concentrated under reduced pressure to obtain 1-benzo[b]thiophen-4-yl-4-(3-chloropropyl)piperazine (2.36 g) as colorless oil.

^{1}H -NMR ($CDCl_3$) δppm: 1.95-2.10 (2H, m), 2.60 (2H, t, J=7.2 Hz), 2.65-2.75 (4H, m), 3.15-3.25 (4H, m), 3.65 (2H, t, J=6.6 Hz), 6.89 (1H, dd, J=7.6 Hz, J=0.7 Hz), 7.27 (1H, dd, J=7.9 Hz, J=7.8 Hz), 7.38 (1H, d, J=5.6 Hz), 7.41 (1H, d, J=5.7 Hz), 7.55 (1H, d, J=8.0 Hz).

Reference Example 10

Synthesis of methyl 4-hydroxythiophene-2-carboxylate

Thionyl chloride (1.6 ml) was added dropwise

to a methanol solution (20 ml) of 4-hydroxythiophene-2-carboxylic acid (1.1 g, 7.6 mmol) under ice cooling. The solution mixture was refluxed with heating for 5 hours. The reaction solution was cooled to room temperature, poured into ice water and extracted with ethyl acetate. The extraction solution with ethyl acetate was dried over magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 4:1) and concentrated/dried under reduced pressure to obtain methyl 4-hydroxythiophene-2-carboxylate (0.7 g) as white powder.

^{1}H-NMR ($CDCl_3$) δppm: 3.90 (3H, s), 5.50-6.60 (1H, br), 6.64 (1H, d, J=1.9 Hz), 7.43 (1H, d, J=1.8 Hz).

Reference Example 11

Synthesis of ethyl 6-hydroxypyrimidine-4-carboxylate

The titled compound was obtained using 6-hydroxypyrimidine-4-carboxylic acid in the same manner as in Reference Example 10.

^{1}H-NMR ($CDCl_3$) δppm: 1.29 (3H, t, J=7.0Hz), 4.29 (2H, q, J=7.0Hz), 6.87 (1H, d, J=1.0Hz), 8.27 (1H, d, J=1.0Hz), 10.54 (1H, br).

Reference Example 12

Synthesis of methyl 5-hydroxy-1-methyl-1H-pyrazole-3-carboxylate

A diethyl ether solution (35 ml) of dimethyl acetylenedicarboxylate (5.0 g, 35 mmol) was cooled with a freezing medium (salt & ice). To this solution, a

diethyl ether solution (15 ml) of methyl hydrazine (0.63 ml, 35 mmol) was added dropwise while maintaining the temperature at 0°C or less. After completion of dropwise addition, the solution was stirred at 0°C for one hour. The insoluble matter precipitated was obtained by filtration and washed with diethyl ether. The filter cake was heated to 130°C for 30 minutes and cooled to room temperature. Methanol was added to the cake, which was concentrated under reduced pressure. Ethyl acetate was added to the obtained residue and the residue was concentrated under reduced pressure. Ethyl acetate was added to the residue and the insoluble matter precipitated was obtained by filtration, washed with ethyl acetate, and dried to obtain methyl 5-hydroxy-1-methyl-1H-pyrazole-3-carboxylate (3.26 g) as light yellow powder.

^{1}H-NMR (DMSO-d_6) δppm: 3.58 (3H, s), 3.73 (3H, s), 5.77 (1H, s), 11.41 (1H, br).

Reference Example 13

Synthesis of 6-chloro-N-(2,2,2-trifluoroethyl)nicotine amide

Triethylamine (1.03 ml, 7.4 mmol) and isobutyl chloroformate (0.76 ml, 5.5 mmol) were added to an acetonitrile solution (12 ml) of 6-chloronicotinic acid (0.58 g, 3.6 mmol) under ice cooling and the mixture was stirred at 0°C for 30 minutes. To the solution mixture, 2,2,2-trifluoroethyl amine (0.88 ml, 11.2 mmol) was added and the mixture

was stirred at room temperature for 10 minutes. Water was added to the reaction solution, which was then extracted with ethyl acetate. The extraction solution with ethyl acetate was dried over magnesium sulfate, and concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → 1:1). The purified product was concentrated under reduced pressure and diisopropyl ether and n-hexane were added. The insoluble matter precipitated was obtained by filtration and dried to obtain 6-chloro-N-(2,2,2-trifluoroethyl)nicotine amide (0.58 g) as light yellow powder.

^{1}H-NMR ($CDCl_3$) δppm: 4.15 (2H, dq, J=6.5Hz, 9.0Hz), 6.35 (1H, br), 7.46 (1H, dd, J=0.7Hz, J=8.5Hz), 8.11 (1H, dd, J=2.5Hz, J=8.5Hz), 8.77 (1H, dd, J=0.7Hz, J=2.5Hz).

Reference Example 14

Synthesis of N-(2,2,2-trifluoroethyl)-4-chloropyridine-2-carboxamide

1-hydroxybenzotriazole (0.53 g, 3.5 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (WSC) (0.67 g, 3.5 mmol) and 2,2,2-trifluoroethyl amine (0.51 ml. 6.35 mmol) were added to a dichloromethane solution (5 ml) of 4-chloropyridine-2-carboxylic acid (0.5 g, 3.17 mmol) and the mixture was stirred at room temperature for one hour. Water was added to the reaction solution, which was then extracted with ethyl acetate. The extraction solution

with ethyl acetate was dried over magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 11:1 → 5:1). The purified product was concentrated to dryness under reduced pressure to obtain N-(2,2,2-trifluoroethyl)-4-chloropyridine-2-carboxamide (435 mg) as white powder.
^{1}H-NMR ($CDCl_3$) δppm: 4.13 (2H, dq, J=6.8Hz, 9.0Hz), 7.49 (1H, dd, J=2.1Hz, J=5.3Hz), 8.22 (1H, dd, J=0.4Hz, J=2.1Hz), 8.30 (1H, br), 8.49 (1H, dd, J=0.4Hz, J=5.3Hz).

Reference Example 15

Synthesis of 2-chlorothiazole-4-carboxamide

1-hydroxybenzotriazole (0.56 g, 3.7 mmol), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (WSC) (0.7 g, 3.7 mmol) and ammonia water (28%, 0.5 ml)) were added to a dichloromethane solution (10 ml) of 2-chlorothiazole-4-carboxylic acid (0.5 g, 3.06 mmol) and the mixture was stirred at room temperature for 46 hours. Water was added to the reaction solution, which was then extracted with ethyl acetate. The extraction solution with ethyl acetate was dried over magnesium sulfate and concentrated under reduced pressure. The residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 3:5 → ethyl acetate). The purified product was concentrated to dryness under reduced pressure to obtain 2-chlorothiazole-4-carboxamide (475 mg) as white

powder.

^{1}H-NMR ($CDCl_3$) δppm: 5.70 (1H, br), 7.01 (1H, br), 8.06 (1H, s).

Reference Example 16

Synthesis of N-methyl-2-chlorothiazole-5-carboxamide

The titled compound was obtained using 2-chlorothiazole-5-carboxylic acid in the same manner as in Reference Example 13.

^{1}H-NMR ($CDCl_3$) δppm: 3.00 (3H, d, J=4.9Hz), 5.92 (1H, br), 7.84(1H, br).

Reference Example 17

Synthesis of 6-methoxy-2,2-dimethyl-4H-benzo[1,4]oxazin-3-one

5% palladium carbon (1.5 g) were added to an ethanol solution (250 ml) of ethyl 2-(4-methoxy-2-nitrophenoxy)-2-methylpropionate (14.6 g, 51.6 mmol) to perform catalytic reduction at room temperature. The catalyst was removed by filtration and the filtrate was concentrated under reduced pressure. Water was added to the obtained residue, which was then extracted with ethyl acetate. The extraction solution was dried over magnesium sulfate, and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 9:1). The purified product was concentrated to dryness under reduced pressure to obtain 6-methoxy-2,2-dimethyl-4H-benzo[1,4]oxazin-3-one (7.0 g) as white powder.

^{1}H-NMR ($CDCl_3$) δppm: 1.53 (6H, s), 3.78 (3H, s), 6.40 (1H, d, J=2.8Hz), 6.52 (1H, dd, J=2.8Hz, J=8.8Hz), 6.88 (1H, d, J=8.7Hz), 8.66 (1H, brs).

Reference Example 18

Synthesis of 6-hydroxy-2,2-dimethyl-4H-benzo[1,4]oxazin-3-one

A dichloromethane solution (36 ml) of 2M boron tribromide was added dropwise to a dichloromethane solution of 6-methoxy-2,2-dimethyl-4H-benzo[1,4]oxazin-3-one (5.0 g, 26 mmol) under ice cooling and the mixture was stirred overnight. Water was added to the reaction solution to decompose the reagents excessively present. The reaction solution was washed with water, dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 2:1). The purified product was concentrated to dryness under reduced pressure to obtain 6-hydroxy-2,2-dimethyl-4H-benzo[1,4]oxazin-3-one (4.02 g) as white powder.

^{1}H-NMR (DMSO-d_6) δppm: 1.34 (6H, s), 6.25-6.40 (2H, m), 6.70 (1H, d, J=8.5 Hz), 9.09 (1H, s), 10.41 (1H, brs).

Reference Example 19

Synthesis of 6-hydroxy-2-methyl-4H-benzo[1,4]oxazin-3-one

The titled compound was obtained using 6-methoxy-2-methyl-4H-benzo[1,4]oxazin-3-one in the same

manner as in Reference Example 18.

White powder

^{1}H-NMR (DMSO-d_6) δppm: 1.34 (3H, d, J=6.8 Hz), 4.46 (1H, q, J=6.8 Hz), 6.23-6.27 (1H, m), 6.33 (1H, d, J=2.7 Hz), 6.70 (1H, d, J=8.6 Hz), 9.11 (1H, s), 10.44 (1H, brs).

Reference Example 20

Synthesis of 4-(4-methoxyphenyl)-1-(toluene-4-sulfonyl)piperidine

p-Toluenesulfonyl chloride (4.39 g, 23 mmol) was added to a pyridine solution (30 ml) of 4-(4-methoxyphenyl)piperidine (4.0 g, 21 mmol) and the mixture was stirred at room temperature overnight. Water was added to the solution mixture, which was then extracted with ethyl acetate. The organic phase was washed with hydrochloric acid and water, dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 1:1). The purified product was concentrated to dryness under reduced pressure to obtain 4-(4-methoxyphenyl)-1-(toluene-4-sulfonyl)piperidine (4.8 g) as white powder.

^{1}H-NMR ($CDCl_3$) δppm: 1.60-1.90 (4H, m), 2.30-2.40 (3H, m), 2.46 (3H, s), 3.78 (3H, s), 3.90-3.95 (2H, m), 6.84 (2H, dd, J=1.9, J=6.8 Hz), 7.07 (2H, dd, J=1.9, J=6.8 Hz), 7.35 (2H, d, J=8.2 Hz), 7.68 (2H, d, J=8.2 Hz).

Reference Example 21

Synthesis of 4-(4-hydroxyphenyl)-1-(toluene-4-

sulfonyl)piperidine

The titled compound was obtained using 4-(4-methoxyphenyl)-1-(toluene-4-sulfonyl)piperidine in the same manner as in Reference Example 18.

Brown powder

^{1}H-NMR ($CDCl_3$) δppm: 1.60-1.90 (4H, m), 2.30-2.50 (3H, m), 2.45 (3H, s), 3.90-3.95 (2H, m), 6.67 (1H, brs), 6.80 (2H, dd, J=1.9, J=6.8 Hz), 7.02 (2H, dd, J=1.8, J=6.9 Hz), 7.35 (2H, d, J=8.1 Hz), 7.68 (2H, d, J=8.1 Hz).

Reference Example 22

Synthesis of 4-bromo-2-hydroxymethyl-6-methoxyphenol

Sodium borohydride (0.28 g, 6.9 mmol) was added to a THF solution (30 ml) of 5-bromo-2-hydroxy-3-methoxybenzaldehyde (3.2 g 13.8 mmol) under ice cooling and the mixture was stirred at 0°C for 2 hours. Acetic acid was added to the reaction solution to set pH at 3. 10% hydrochloric acid was added to the reaction mixture, which was then extracted with ethyl acetate. The extracted material was dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → 1:1) and concentrated to dryness under reduced pressure to obtain 4-bromo-2-hydroxymethyl-6-methoxyphenol (3.23 g) as light yellow oil.

^{1}H-NMR ($CDCl_3$) δppm: 3.88 (3H, s), 4.71 (2H, s), 6.94 (1H, d, J=2.0Hz), 7.03 (1H, d, J=2.0Hz).

Reference Example 23

Synthesis of 5-bromo-3-methoxy-2-methoxymethoxybenzaldehyde

Ethyldiisopropylamine (3.01 ml, 17.1 mmol) and methoxymethylchloride (1.5 ml, 15.7 mmol) were added to a dichloromethane solution (30 ml) of 5-bromo-2-hydroxy-3-methoxybenzaldehyde (3.3 g, 14.3 mmol) under ice cooling, and the mixture was stirred at room temperature for 2 hours. The reaction solution was washed with water, dried over magnesium sulfate, and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 3:1 → 11:9). The purified product was concentrated to dryness under reduced pressure to obtain 5-bromo-3-methoxy-2-methoxymethoxybenzaldehyde (4.2 g) as light yellow solid.

^{1}H-NMR ($CDCl_3$) δppm: 3.56 (3H, s), 3.89 (3H, s), 5.21 (2H, s), 7.23 (1H, d, J=2.5Hz), 7.56 (1H, d, J=2.5Hz), 10.39 (1H, s).

Reference Example 24

Synthesis of 3-methoxy-2-methoxymethoxy-5-(2-oxo-oxazolidin-3-yl)benzaldehyde

2-oxazolidinone (0.38 g, 4.36 mmol), dipalladium tris(dibenzylideneacetone) (0.17 g, 0.18 mmol), 9,9-dimethyl-4,5-bis(diphenylphosphino)xanthene (XANTPHOS)(0.32 g, 0.55 mmol) and cesium carbonate (1.66 g, 5.1 mmol) were added to a dioxane solution (20

ml) of 5-bromo-3-methoxy-2-methoxymethoxybenzaldehyde (1.0 g, 3.6 mmol) and the mixture was stirred at 100 °C for 24 hours under an argon atmosphere. The reaction solution was cooled to room temperature and ethyl acetate was added thereto. The mixture was filtrated by cerite. The filtrate was washed with water, dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 4:1 → 1:1). The purified product was concentrated under reduced pressure. Ethyl acetate and diisopropyl ether were added to the residue. The insoluble matter thus purified was obtained by filtration and dried to obtain 3-methoxy-2-methoxymethoxy-5-(2-oxo-oxazolidin-3-yl)benzaldehyde (0.5 g) as white powder.

^{1}H-NMR ($CDCl_3$) δppm: 3.57 (3H, s), 3.93 (3H, s), 4.06-4.12 (2H, m), 4.48-4.54 (2H, m), 5.21 (2H, s), 6.96 (1H, d, J=2.5Hz), 8.18 (1H, d, J=2.5Hz), 10.45(1H, s).

Reference Example 25

Synthesis of 3-(3-methoxy-4-methoxymethoxy-5-methylphenyl)oxazolidin-2-one

3-Methoxy-2-methoxymethoxy-5-(2-oxo-oxazolidin-3-yl)benzaldehyde (0.5 g, 1.79 mmol) was dissolved in a solvent mixture of acetic acid (5 ml) and ethanol (5 ml) and 10% palladium carbon (0.05 g) was added thereto to perform catalytic reduction at 1 atm at 50°C for 4 hours. The reaction mixture was cooled to room temperature and filtrated by cerite.

The filtrate was concentrated under reduced pressure. The residue was dissolved in acetic acid (10 ml) and 10% palladium carbon (0.05 g) was added thereto to perform catalytic reduction at 1 atm at 50°C for 6 hours. The solvent was removed under reduced pressure to obtain 3-(3-methoxy-4-methoxymethoxy-5-methylphenyl)oxazolidin-2-one as a crude product, which was subjected to the next reaction as it was.

^{1}H-NMR ($CDCl_3$) δppm: 2.32 (3H, s), 3.56 (3H, s), 3.85 (3H, s), 3.98-4.06 (2H, m), 4.43-4.50 (2H, m), 5.05 (2H, s), 6.61 (1H, d, J=2.3Hz), 7.36 (1H, d, J=2.3Hz).

Reference Example 26

Synthesis of 3-(4-hydroxy-3-methoxy-5-methylphenyl)oxazolidin-2-one

10% hydrochloric acid (5 ml) was added to a methanol solution (5 ml) of 3-(3-methoxy-4-methoxymethoxy-5-methylphenyl)oxazolidin-2-one (0.48 g, 1.79 mmol) and the mixture was stirred at 50°C for 10 minutes. Water was added to the reaction solution, which was extracted with ethyl acetate. The extracted material was dried over magnesium sulfate, and thereafter concentrated to dryness under reduced pressure to obtain 3-(4-hydroxy-3-methoxy-5-methylphenyl)oxazolidin-2-one (434 mg) as a light yellow powder.

^{1}H-NMR ($CDCl_3$) δppm: 2.26 (3H, s), 3.90 (3H, s), 4.02 (2H, dd, J=7.0Hz, J=8.5Hz), 4.46 (2H, dd, J=7.0Hz, J=8.5Hz), 5.55 (1H, br), 6.56 (1H, d, J=2.5Hz), 7.31

(1H, d, J=2.5Hz).

Reference Example 27

Synthesis of 1-(8-methoxy-2,2-dimethyl-4H-benzo[1,3]dioxin-6-yl)pyrrolidin-2-one

The titled compound was obtained using 6-bromo-8-methoxy-2,2-dimethyl-4H-benzo[1,3]dioxin and 2-pyrrolidone in the same manner as in Reference Example 25.

^{1}H-NMR ($CDCl_3$) δppm: 1.59 (6H, s), 2.09-2.21 (2H, m), 2.60 (2H, t, J=8.3Hz), 3.82 (2H, t, J=7.0Hz), 3.88 (3H, s), 4.83 (2H, s), 6.67 (1H, d, J=2.5Hz), 7.24 (1H, d, J=2.5Hz).

Reference Example 28

Synthesis of 1-(4-hydroxy-3-hydroxymethyl-5-methoxyphenyl)pyrrolidin-2-one

10% hydrochloric acid (4 ml) was added to a THF solution (7 ml) of 1-(8-methoxy-2,2-dimethyl-4H-benzo[1,3]dioxin-6-yl)pyrrolidin-2-one (0.36 g, 1.3 mmol) and the mixture was stirred at room temperature for 17 hours. Water was added to the reaction solution, which was then extracted with dichloromethane. The extracted material was dried over magnesium sulfate, concentrated under reduced pressure and purified by silica gel column chromatography (dichloromethane : methanol: = 300: 1 → 30:1). The purified product was concentrated to dryness under reduced pressure to obtain 1-(4-hydroxy-3-hydroxymethyl-5-methoxyphenyl)pyrrolidin-2-one (0.31 g)

as light brown powder.
^{1}H-NMR ($CDCl_3$) δppm: 2.05-2.28 (3H, m), 2.26 (2H, t, J=7.5Hz), 3.84 (2H, t, J=7.0Hz), 3.91 (3H, s), 4.74 (2H, s), 5.90 (1H, br), 6.78 (1H, d, J=2.5Hz), 7.52 (1H, d, J=2.5Hz).

Reference Example 29

Synthesis of 3-methoxy-2-methoxymethoxy-5-(2-oxopyrrolidin-1-yl)benzaldehyde

The titled compound was obtained using 5-bromo-3-methoxy-2-methoxymethoxybenzaldehyde and 2-pyrrolidone in the same manner as Reference Example 25.
^{1}H-NMR ($CDCl_3$) δppm: 2.11-2.24 (2H, m), 2.63 (2H, t, J=8.3Hz), 3.56 (3H, s), 3.89 (2H, t, J=7.0Hz), 3.92 (3H, s), 5.21 (2H, s), 7.08 (1H, d, J=2.5Hz), 8.28 (1H, d, J=2.5Hz), 10.46 (1H, s).

Reference Example 30

Synthesis of 1-(4-hydroxy-3-methoxy-5-methylphenyl)pyrrolidin-2-one

3-methoxy-2-methoxymethoxy-5-(2-oxopyrrolidin-1-yl)benzaldehyde (0.72 g, 2.56 mmol) was dissolved in a solvent mixture of acetic acid (5 ml) and ethanol (7 ml) and 10% palladium carbon (70 mg) was added thereto to perform catalytic reduction at 50°C for 10 hours. The reaction solution was cooled to room temperature and filtrated by cerite. The filtered cake was concentrated under reduced pressure. The residue thus obtained was dissolved in dichloromethane (15 ml) and trifluoroacetic acid (2.0 ml, 25.6 mmol) and

triethylsilane (2.0 ml, 12.8 mmol) were added thereto under ice cooling. The mixture was stirred at room temperature for 16 hours. The mixture was concentrated under reduced pressure and the residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → ethyl acetate). The purified product was concentrated under reduced pressure to obtain 1-(4-hydroxy-3-methoxy-5-methylphenyl)pyrrolidin-2-one (0.41 g) as light yellow oil.

^{1}H-NMR ($CDCl_3$) δppm: 2.17-2.25 (5H, m), 2.72 (2H, t, J=8.3Hz), 3.88 (2H, t, J=7.0Hz), 3.89 (3H, s), 6.66 (1H, d, J=2.5Hz), 7.15 (1H, d, J=2.5Hz).

Reference Example 31

Synthesis of 3,4-diacetoxy-5-methylbenzaldehyde

Acetic anhydride (1.2 ml, 12 mmol) was added to a pyridine solution (4 ml) of 3,4-dihydroxy-5-methylbenzaldehyde (0.72 g, 4.7 mmol) and the mixture was stirred at 0°C for one hour. 10% hydrochloric acid was added to the reaction solution, which was extracted with ethyl acetate. The organic phase was washed with an aqueous sodium hydrogen carbonate solution, dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → 3:1). The purified product was concentrated under reduced pressure to obtain 3,4-diacetoxy-5-methylbenzaldehyde (0.98 g) as light yellow oil.

^{1}H-NMR ($CDCl_3$) δppm: 2.29 (3H, s), 2.32 (3H, s), 2.35

(3H, s), 7.58 (1H, d, J=1.6Hz), 7.67 (1H, d, J=1.6Hz), 9.93 (1H, s).

Reference Example 32

Synthesis of 7-hydroxy-1,4-dihydrobenzo[d][1,3]oxazin-2-one

The titled compound was obtained using 7-methoxymethoxy-1,4-dihydrobenzo[d][1,3]oxazin-2-one in the same manner as in Reference Example 26.

White powder

^{1}H-NMR (DMSO-d_6) δppm: 5.14 (2H, s), 6.35 (1H, d, J=2.2 Hz), 6.39 (1H, dd, J= 8.1, J=2.2 Hz), 6.97 (1H, d, J=8.1 Hz), 9.98 (1H, br-s).

Reference Example 33

Synthesis of 7-methoxy-3,4-dihydro-1H-quinazolin-2-one

2-aminomethyl-5-methoxyaniline (1.2 g. 7.9 mmol) and carbonyl diimidazole (1.53 g, 9.5 mmol) were added to THF (100 ml) and the mixture was stirred at room temperature overnight. The insoluble matter precipitated was obtained by filtration, washed with dichloromethane and water, dried to obtain 7-methoxy-3,4-dihydro-1H-quinazolin-2-one (1.11 g) as white powder.

^{1}H-NMR (DMSO-d_6) δppm: 3.68 (3H, s), 4.23 (2H, s), 6.35 (1H, d, J=2.5Hz), 6.42 (1H, dd, J=8.3Hz, J=2.5Hz), 6.96 (1H, d, J=8.3Hz), 8.90 (1H, brs).

Reference Example 34

Synthesis of 7-hydroxy-3,4-dihydro-1H-quinazolin-2-one

The titled compound was obtained using 7-

methoxy-3,4-dihydro-1H-quinazolin-2-one in the same manner as in Reference Example 18.

Light brown powder

^{1}H-NMR (DMSO-d_6) δppm: 4.18 (2H, brs), 6.75-6.85 (1H, m), 7.01 (1H, dd, J = 2.0 Hz, J=9.0Hz), 8.07 (1H, d, J = 9.0Hz), 8.87 (1H, brs), 9.48 (1H, brs), 13.21 (1H, brs).

Reference Example 35

Synthesis of methyl 5-(3-chloropropoxy)-1-methyl-1H-pyrazole-3-carboxylate

Cesium carbonate (2.08 g, 6.4 mmol) and 1-bromo-3-chloropropane (1.6 ml) were added to a DMF solution (5 ml) of methyl 5-hydroxy-1-methyl-1H-pyrazole-3-carboxylate (0.83 g, 5.3 mmol) and the mixture was stirred at room temperature for 21 hours. Water was added to the reaction solution, which was then extracted with ethyl acetate. The organic phase was washed with water and dried over magnesium sulfate. The reaction solution was concentrated under reduced pressure. The residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 100:1 → 4:1). The purified product was concentrated to dryness under reduced pressure to obtain methyl 5-(3-chloropropoxy)-1-methyl-1H-pyrazole-3-carboxylate (1.17 g) as white solid.

^{1}H-NMR ($CDCl_3$) δppm: 2.21-2.32(2H, m), 3.72(2H, t, J=6.3Hz), 3.72(2H, s), 3.91(3H, s), 4.24(2H, t, J=5.8Hz), 6.10(1H, s).

Reference Example 36

Synthesis of 7-(3-chloropropoxy)-2H-1,4-benzoxazin-3(4H)-one

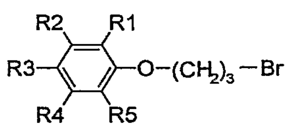
The titled compound was obtained using 7-hydroxy-2H-1,4-benzoxazin-3(4H)-one and 1-bromo-3-chloropropane in the same manner as in Reference Example 35.

Light brown needle-like crystal (ethanol-n-hexane)

Melting point: 119-120°C

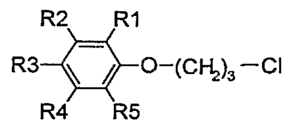
The compounds listed in the following Tables 1 to 12 were produced using appropriate starting substances in the same manners as in Reference Examples 1 to 36.

[Table 1]



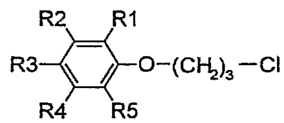
Reference Example	R1	R2	R3	R4	R5	NMR
37	-H	-H	$-CONHC_2H_5$	-H	-H	^{1}H-NMR ($CDCl_3$) δppm : 1.25(3H, t, J=7.5Hz), 2.29-2.39 (2H, m), 3.43-3.54(2H, m), 3.61 (2H, t, J = 6.3Hz), 4.15 (2H, t, J = 5.8Hz), 5.99(1H, br), 6.89-6.95 (2H, m), 7.70-7.75 (2H, m)
38	-H	-H	$-CONHC_3H_7$	-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 0.99(3H, t, J=7.5Hz), 1.57-1.68(2H, m), 2.23-2.36 (2H, m), 3.37-3.45(2H, m), 3.61 (2H, t, J = 6.3Hz), 3.75(2H, t, J=6.3Hz), 4.12-4.18 (2H, m), 6.02(1H, br), 6.71-6.95 (2H, m), 7.71-7.75 (2H, m)

[Table 2]



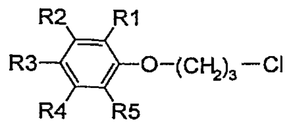
Reference Example	R1	R2	R3	R4	R5	NMR
39	-H	-H	$-NO_2$	-H	-F	[1]H-NMR ($CDCl_3$) δppm: 2.20-2.45 (2H, m), 3.70-3.80 (2H, m), 4.30-4.35 (2H, m), 7.07 (1H, dd, J=8.2, 8.9 Hz), 8.00 (1H, dd, J=2.7, 10.7 Hz), 8.07 (1H, dd, J=0.9, 9.0Hz).
40	-H	-H	$-NH_2$	-H	-H	[1]H-NMR ($CDCl_3$) δppm: 2.14-2.24 (2H, m), 3.26(2H, br), 3.73(2H, t, J=6.3Hz), 4.04(2H, t, J=5.8Hz), 6.61-5.67(2H, m), 6.72-6.78(2H, m)
41	-H	-H	$-NHCO_2CH_3$	-H	-H	[1]H-NMR ($CDCl_3$) δppm: 2.15-2.25 (2H, m), 3.74 (2H, t, J = 6.3Hz), 3.76(3H, s), 4.09 (2H, t, J = 5.8Hz), 6.42(1H, br), 6.85 (2H, dd, J = 2.5, 6.8Hz), 7.21-7.33 (2H, m)
42	-H	-H	$-CH_2CON(C_2H_5)_2$	-H	-H	[1]H-NMR ($CDCl_3$) δppm: 1.07-1.14(6H, m), 2.17-2.30 (2H, m), 3.26-3.42(4H, m), 3.63 (2H, s), 3.74 (2H, t, J = 6.3Hz), 4.09(2H, t, J=5.8Hz), 6.83-6.88 (2H, m), 7.14-7.19 (2H, m)
43	-H	-H	-H	$-NHCO_2CH_3$	-H	[1]H-NMR ($CDCl_3$) δppm: 2.28-2.37 (2H, m), 3.74(2H, t, J=6.5Hz), 3.77 (3H, s), 4.11 (2H, t, J = 6.0Hz), 6.50-6.67 (2H, m), 6.83(1H, dd, J=1.5Hz, 7.8Hz), 7.16-7.22 (2H, m)
44	-H	-H	$-NHSO_2C_2H_5$	-H	-H	[1]H-NMR ($CDCl_3$) δppm: 1.37 (3H, t, J=7.4 Hz), 2.15-2.30 (2H, m), 3.07 (2H, q, J=7.4 Hz), 3.75 (2H, t, J=6.3 Hz), 4.10 (2H, t, J=5.8 Hz), 6.41 (1H, brs), 6.88 (2H, dt, J=8.9, 3.4 Hz), 7.19 (2H, dt, J=8.9, 3.4 Hz).
45	-H	-H	$-NH_2$	-H	$-OCH_3$	[1]H-NMR ($CDCl_3$) δppm: 2.15-2.30 (2H, m), 3.20-3.70 (2H, br), 3.75-3.95 (2H, m), 3.83(3H, s), 4.07 (2H, t, J=3 Hz), 6.24 (1H, dd, J=2.6, 8.4 Hz), 6.33 (1H, d, J=2.7 Hz), 6.77 (1H, d, J=8.4Hz).
46	-H	-H	$-NHCO_2CH_3$	-H	$-OCH_3$	[1]H-NMR ($CDCl_3$) δppm: 2.20-2.30 (2H, m), 3.77 (3H, s), 3.86 (3H, s), 4.13 (2H, t, J=6.0 Hz), 6.55 (1H, brs), 6.73 (1H, dd, J=2.4, 8.6 Hz), 6.84 (1H, d, J=8.6 Hz), 7.20 (1H, brs).
47	-H	-H	$-CONHC_2H_5$	-H	-H	[1]H-NMR ($CDCl_3$) δppm: 1.23 (3H, t, J=7.3 Hz), 2.20-2.30 (2H, m), 3.40-3.50 (2H, m), 3.74 (2H, t, J=6.3 Hz), 4.14 (2H, t, J=5.8 Hz), 6.13 (1H, brs), 6.85-6.95 (2H, m), 7.70-7.75 (2H, m).
48	-H	-H	$-NHCON(CH_3)_2$	-H	-H	[1]H-NMR ($CDCl_3$) δppm: 2.15-2.25 (2H, m), 3.02 (6H, s), 3.74 (2H, t, J=6.4 Hz), 4.08 (2H, t, J=5.9 Hz), 6.20 (1H, brs), 6.84 (2H, dd, J=2.0, 6.8 Hz), 7.26 (2H, dd, J=2.1, 6.8 Hz).
49	-H	-H	$-CO_2C_2H_5$	-H	-Cl	[1]H-NMR ($CDCl_3$) δppm: 1.39(3H, t, J=7.0Hz), 2.27-2.37 (2H, m), 3.81(2H, t, J=6.8Hz), 4.25(2H, t, J=6.3Hz), 4.36(2H, q, J=7.0Hz), 6.96(1H, d, J=8.5Hz), 7.93(1H, dd, J=2.0Hz, 8.5Hz), 8.06(1H, d, J=2.0Hz)

[Table 3]



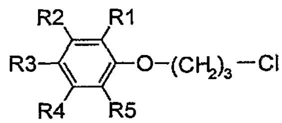
Reference Example	R1	R2	R3	R4	R5	NMR
50	-H	-H	$-CH_2CO_2C_2H_5$	-H	-Cl	^{1}H-NMR ($CDCl_3$) δppm: 1.26(3H, t, J=7.0Hz), 2.23-2.33 (2H, m), 3.52(2H, s), 3.80(2H, t, J=6.3Hz), 4.15(2H, q, J=7.0Hz), 6.90(1H, d, J=8.3Hz), 7.13(1H, dd, J=2.0Hz, 8.3Hz), 7.30(1H, d, J=2.0Hz)
51	-H	-H	$-CH_2CONHCH_3$	-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.19-2.29(2H, m), 2.76(3H, d, J=4.8Hz), 3.52(2H, s), 3.76(2H, t, J=6.3Hz), 4.12(2H, t, J=5.8Hz), 5.35(1H, br), 6.86-6.92(2H, m), 7.13-7.18(2H, m)
52	-H	-H	$-CH_2CH_2NHCH_3$	-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.18-2.27 (2H, m), 2.43(2H, s), 2.72-2.83(4H, m), 3.71(3H, s), 3.75(4H, t, J=6.3Hz), 4.09(2H, t, J=5.8Hz), 6.83-6.86(2H, m), 7.10-7.14(2H, m)
53	-H	-H	$-(CH_2)_2N(CH_3)CO_2C(CH_3)_3$	-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.42(9H,s), 2.17-2.27 (2H, m), 2.67-2.86(5H, m), 3.35-3.41(2H, m), 3.74(2H, t, J=6.3Hz), 4.09(2H, t, J=5.8Hz), 6.83(2H, d, J=8.5Hz), 7.00-7.16(2H, m)
54	-H	-H	$-NH_2$	-H	-F	^{1}H-NMR ($CDCl_3$) δppm: 2.15-2.25 (2H, m), 3.54 (2H, brs), 3.76 (2H, t, J=6.4 Hz), 4.05-4.15 (2H, m), 6.35-6.40 (1H, m), 6.46 (1H, dd, J=0.9, 12.6 Hz), 6.82 (1H, dd, J=8.5, 8.5Hz).
55	-H	-H	$-NHCO_2CH_3$	-H	-F	^{1}H-NMR ($CDCl_3$) δppm: 2.20-2.30 (2H, m), 3.77 (2H, t, J=6.5 Hz), 3.77 (3H, s), 4.10-4.20 (2H, m), 6.57 (1H, brs), 6.85-7.00 (2H, m), 7.25-7.30 (1H, m).
56	-H	-H	$-CH_2CO_2C_2H_5$	-H	-F	^{1}H-NMR ($CDCl_3$) δppm: 1.26(3H, t, J=7.0Hz), 2.21-2.30 (2H, m), 3.5382H, s), 3.77(2H, t, J=6.3Hz), 4.11-4.20(4H, m), 6.89-7.06(3H, m)
57	-H	-H	$-CO_2C_2H_5$	-H	-Br	^{1}H-NMR ($CDCl_3$) δppm: 1.39(3H, t, J=7.0Hz), 2.27-2.37 (2H, m), 3.82(2H, t, J=6.3Hz), 4.24(2H, t, J=5.8Hz), 4.35(2H, q, J=7.0Hz), 6.92(1H, d, J=8.5Hz), 7.98(1H, dd, J=2.0Hz, 8.5Hz), 8.23(1H, d, J=2.0Hz)
58	-H	-H	-CHO	$-OCH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.23-2.34 (2H, m), 3.76(2H, t, J=6.3Hz), 3.91(3H, s), 4.20(2H, t, J=5.8Hz), 6.46(1H, d, J=2.0Hz), 6.56(1H, dd, J=2.0Hz, 8.3Hz), 7.81(1H, d, J=8.3Hz), 10.29(1H, s)
59	-H	-H	$-CO_2C_2H_5$	-H	$-NO_2$	^{1}H-NMR ($CDCl_3$) δppm: 1.41(3H, t, J=7.0Hz), 2.26-2.40(2H, m), 3.81(2H, t, J=6.3Hz), 4.32-4.44(4H, m), 7.15(1H, d, J=8.8Hz), 8.22(1H, dd, J=2.0Hz, 8.8Hz), 8.52(1H, d, J=2.0Hz)

[Table 4]



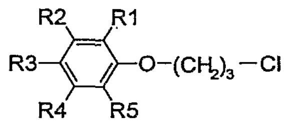
Reference Example	R1	R2	R3	R4	R5	NMR
60	-H	-H	$-CONHC_2H_5$	-H	$-NO_2$	^{1}H-NMR ($CDCl_3$) δppm: 1.26 (3H, t, J=7.3 Hz), 2.25-2.35 (2H, m), 3.45-3.55 (2H, m), 3.80 (2H, t, J=6.1 Hz), 4.30-4.35 (2H, m), 6.34 (1H, brs), 7.15 (1H, d, J=8.8 Hz), 8.04 (1H, dd, J=2.3, 8.8 Hz), 8.25 (1H, d, J=2.3 Hz).
61	-H	-H	$-CONH_2$	$-OCH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm : 2.21-2.35 (2H, m), 3.75(2H, t, J=6.3Hz), 3.95(3H, s), 4.18(2H, t, J=5.8Hz), 5.67(1H, br), 6.51(1H, d, J=2.5Hz), 6.61(1H, dd, J=2.5Hz, 8.8Hz), 7.59 (1H, br), 8.18 (1H, d, J=8.8Hz)
62	-H	-H	$-CONHCH_3$	$-OCH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.20-2.30 (2H, m), 2.99(3H, d, J=5.0Hz), 3.75(2H, t, J=6.3Hz), 3.94(3H, s), 4.17(2H, t, J=6.0Hz), 6.49(1H, d, J=2.5Hz), 6.60(1H, dd, J=2.5Hz, 8.8Hz), 7.70 (1H, br), 8.19 (1H, d, J=8.8Hz)
63	-H	-H	$-CONHC_2H_5$	$-OCH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.23(3H, t, J=7.3Hz), 2.20-2.30 (2H, m), 3.43-3.54(2H, m), 3.75(2H, t, J=6.3Hz), 3.94(3H, s), 4.17(2H, t, J=6.3Hz), 6.49(1H, d, J=2.5Hz), 6.60(1H, dd, J=2.5Hz, 8.8Hz), 7.70 (1H, br), 8.18 (1H, d, J=8.8Hz)
64	-H	-H	$-CONHCH_2CF_3$	$-OCH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.21-2.31 (2H, m), 3.75(2H, t, J=6.3Hz), 3.98(3H, s), 4.07-4.21(4H, m), 6.51(1H, d, J=2.5Hz), 6.62(1H, dd, J=2.5Hz, 8.8Hz), 8.09 (1H, br), 8.18 (1H, d, J=8.8Hz)
65	-H	-H	$-CH=CHCO_2C_2H_5$	-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.33(3H, t, J=7.0Hz), 2.20-2.30(2H, m), 3.75(2H, t, J=6.3Hz), 4.15(2H, t, J=5.8Hz), 4.25(2H, q, J=7.0Hz), 6.31(1H, d, J=16.0Hz), 6.88-6.93(2H, m), 7.44-7.50(2H, m), 7.64(1H, d, J=16.0Hz)
66	-F	-H	-H	$-CO_2C_2H_5$	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.40(3H, t, J=7.0Hz), 2.25-2.34 (2H, m), 3.78(2H, t, J=6.3Hz), 4.25(2H, t, J=5.8Hz), 4.37(2H, q, J=7.0Hz), 7.08-7.15(1H, m), 7.62-7.70(2H, m)
67	-H	-H	$-CO_2H$	$-CH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.21-2.31 (2H, m), 2.64(3H, s), 3.75(2H, t, J=6.3Hz), 4.18(2H, t, J=5.8Hz), 6.77-6.81(2H, m), 8.06(1H, d, J=9.5Hz), 11.00(1H, br)
68	-Cl	-H	-H	$-CO_2C_2H_5$	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.40(3H, t, J=7.0Hz), 2.25-2.37 (2H, m), 3.82(2H, t, J=6.3Hz), 4.25(2H, t, J=5.8Hz), 4.38(2H, q, J=7.0Hz), 7.42(1H, d, J=8.5Hz), 7.58-7.62(2H, m)
69	$-CH_3$	-H	-H	$-CO_2C_2H_5$	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.39(3H, t, J=7.0Hz), 2.24-2.34 (2H, m), 2.26(3H, s), 3.78(2H, t, J=6.3Hz), 4.19(2H, t, J=5.8Hz), 4.37(2H, q, J=7.0Hz), 7.19(1H, d, J=7.8Hz), 7.49(1H, d, J=1.5Hz), 7.57(1H, dd, J=1.5Hz, 7.8Hz)

[Table 5]



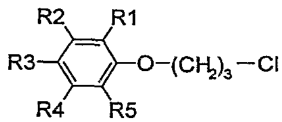
Reference Example	R1	R2	R3	R4	R5	NMR
70	-H	-H	$-CONH_2$	$-CH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.19-2.29 (2H, m), 2.51(3H, s), 3.75(2H, t, J=6.3Hz), 4.14(2H, t, J=6.3Hz), 6.53(2H, br), 6.71(2H, m), 7.45 (1H, d, J=8.3Hz)
71	-H	-H	$-CONHCH_3$	$-CH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.18-2.28 (2H, m), 2.45(3H, s), 2.98(3H, d, J=4.9Hz), 3.74(2H, t, J=6.3Hz), 4.12(2H, t, J=5.8Hz), 5.72(1H, br), 6.68-6.75(2H, m), 7.32 (1H, d, J=8.3Hz)
72	-H	-H	$-CONHC_2H_5$	$-CH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.24(3H, t, J=7.3Hz), 2.19-2.28 (2H, m), 2.45(3H, s), 3.41-3.52(2H, m), 3.74(2H, t, J=6.3Hz), 4.12(2H, t, J=6.0Hz), 5.68(1H, br), 6.68-6.75(2H, m), 7.32 (1H, d, J=8.3Hz)
73	$-CH_3$	-H	$-CO_2C_2H_5$	-H	$-CH_3$	^{1}H-NMR ($CDCl_3$) δppm: 1.38(3H, t, J=7.0Hz), 2.21-2.28 (2H, m), 2.31(6H, s), 3.84(2H, t, J=6.3Hz), 3.93(2H, t, J=5.8Hz), 4.35(2H, t, J=7.0Hz), 7.72(2H, s)
74	-H	$-CO_2C_2H_5$	-H	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 1.39(3H, t, J=7.1Hz), 2.26-2.36 (2H, m), 3.78(2H, t, J=6.3Hz), 3.91(3H, s), 4.22(2H, t, J=5.8Hz), 4.36(2H, q, J=7.1Hz), 6.89(1H, d, J=8.3Hz), 7.58(1H, d, J=2.0Hz), 7.70 (1H, d, J=8.3Hz)
75	$-OCH_3$	-H	$-CO_2C_2H_5$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 1.40(3H, t, J=7.0Hz), 2.13-2.23 (2H, m), 3.85(2H, t, J=6.3Hz), 3.90(6H, s), 4.17(2H, t, J=5.8Hz), 4.38(2H, q, J=7.0Hz), 7.30(2H, s)
76	$-CH_3$	-H	-CHO	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.17-2.29 (2H, m), 2.34(3H, s), 3.83(2H, t, J=6.3Hz), 3.91(3H, s), 4.18(2H, t, J=5.8Hz), 7.31(1H, s), 9.86(1H, s)
77	$-CH_3$	-H	$-CO_2H$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.18-2.28 (2H, m), 2.32(6H, s), 3.83(2H, t, J=6.3Hz), 3.90(3H, s), 4.16(2H, t, J=5.8Hz), 7.50(1H, d, J=2.0Hz), 7.60(1H, d, J=2.0Hz)
78	$-CH_3$	-H	$-CONH_2$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.17-2.27 (2H, m), 2.30(3H, s), 3.83(2H, t, J=6.3Hz), 3.89(3H, s), 4.12(2H, t, J=5.8Hz), 5.24-6.26(2H, br), 7.15(1H, d, J=2.0Hz), 7.32 (1H, d, J=2.0Hz)
79	$-CH_3$	-H	$-CONHCH_3$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.17-2.26 (2H, m), 2.29(3H, s), 3.00(3H, d, J=5.0Hz), 3.83(2H, t, J=6.3Hz), 3.88(3H, s), 4.10(2H, t, J=5.8Hz), 6.06(1H, br), 7.08(1H, d, J=1.9Hz), 7.28 (1H, d, J=1.9Hz)

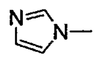
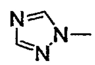
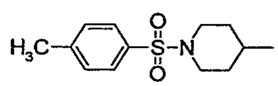
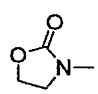
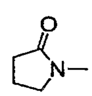
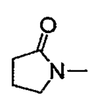
[Table 6]



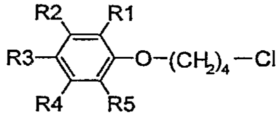
Reference Example	R1	R2	R3	R4	R5	NMR
80	$-CH_3$	-H	$-CONHC_2H_5$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 1.25(3H, t, J=7.3Hz), 2.17-2.26 (2H, m), 2.30(3H, s), 3.43-3.54(2H, m), 3.83(2H, t, J=6.3Hz), 3.89(3H, s), 4.10(2H, t, J=5.8Hz), 6.02(1H, br), 7.07(1H, d, J=2.0Hz), 7.28 (1H, d, J=2.0Hz)
81	$-CH_3$	-H	$-NHCO_2C(CH_3)_3$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 1.51(9H,s), 2.14-2.26 (2H, m), 2.23(3H, s), 3.82(2H, t, J=6.3Hz), 3.83(3H, s), 3.99(2H, t, J=5.8Hz), 6.34(1H, br), 6.59(1H, d, J=2.5Hz), 7.01(1H, d, J=2.5Hz)
82	$-CH_3$	-H	$-NHCO_2CH_3$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.17-2.29 (2H, m), 2.30(3H, s), 3.83(2H, t, J=6.3Hz), 3.89(6H, s), 4.13(2H, t, J=5.8Hz), 7.44(1H, d, J=2.0Hz), 7.51 (1H, d, J=2.0Hz)
83	$-CH_3$	-H	$-CO_2CH_3$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.15-2.30 (2H, m), 2.29 (3H, s), 3.75-3.90 (2H, m), 3.88 (3H, s), 3.89 (3H, s), 4.13 (2H, t, J=5.9 Hz), 7.43 (1H, d, J=1.8 Hz), 7.50 (1H, d, J=1.4Hz).
84	$-CH_3$	-H	$-NH_2$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.14-2.22 (2H, m), 2.19(3H, s), 3.47(2H, br), 3.82(2H, t, J=5.3Hz), 3.95(2H, t, J=4.8Hz), 6.09-6.13(2H, m)
85	$-CH_3$	-H	$-NHCOCH_3$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.11-2.28 (2H, m), 2.15(3H, s), 2.24(3H, s), 3.82(2H, t, J=6.3Hz), 3.83(3H, s), 4.01(2H, t, J=5.8Hz), 6.66(1H, d, J=2.1Hz), 7.02(1H, br), 7.23 (1H, d, J=2.1Hz)
86	$-CH_3$	-H	-CHO	-H	$-OCOCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.17-2.27(2H, m), 2.37(6H, s), 3.79(2H, t, J=5.6Hz), 4.11(2H, t, J=5.8Hz), 7.46(1H, d, J=2.0Hz), 7.62(1H, d, J=2.0Hz), 9.88(1H, s)
87	$-CH_3$	-H	$-CO_2H$	-H	$-OCOCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.16-2.26(2H, m), 2.35(3H, s), 2.36(3H, s), 3.79(2H, t, J=6.3Hz), 4.09(2H, t, J=5.8Hz), 7.67(1H, d, J=2.0Hz), 7.84(1H, d, J=2.0Hz)
88	-OH	-H	$-CONHCH_3$	-H	$-CH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.21-2.35(2H, m), 2.32(3H, s), 2.99(3H, d, J=4.9Hz), 3.85(2H, t, J=6.3Hz), 4.05(2H, t, J=5.8Hz), 5.90(1H, br), 6.02(1H, br), 7.15(1H, d, J=1.8Hz), 7.20(1H, d, J=2.0Hz)
89	$-CH_3$	-H	$-CONHCH_3$	-H	$-OC_2H_5$	^{1}H-NMR ($CDCl_3$) δppm: 1.46(3H, t, J=7.0Hz), 2.17-2.27 (2H, m), 2.28(3H, s), 2.99(3H, d, J=5.0Hz), 3.83(2H, t, J=6.3Hz), 4.06-4.15(4H, m), 6.04(1H, br), 7.07(1H, d, J=1.8Hz), 7.25 (1H, d, J=1.8Hz)
90	-H	-H	$-CO_2H$	$-OCH_3$	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.22-2.32 (2H, m), 3.75(2H, t, J=6.3Hz), 4.05(3H, s), 4.21(2H, t, J=5.8Hz), 6.55(1H, d, J=2.5Hz), 6.66(1H, d, J=8.8Hz), 8.14(1H, d, J=8.8Hz), 10.43(1H, br)

[Table 7]



Reference Example	R1	R2	R3	R4	R5	NMR
91	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.2-2.3 (2H, m), 3.77 (2H, t, J = 6.3Hz), 4.16 (2H, t, J = 5.8Hz), 7.00 (2H, dd, J = 2.2, 6.7Hz), 7.15-7.25 (2H, m), 7.25-7.35 (2H, m), 7.76 (1H, s).
92	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 2.26 (2H, t, J=6.1 Hz), 3.75 (2H, t, J=6.3 Hz), 4.15 (2H, t, J=5.7 Hz), 7.00 (1H, dd, J=2.1, 6.9 Hz), 7.56 (1H, dd, J=2.2, 7.1 Hz), 8.07 (1H, s), 8.45(1H, s).
93	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.70-1.90 (4H, m), 2.10-2.40 (3H, m), 2.45 (3H, s), 3.55-3.75 (2H, m), 3.90-3.95 (2H, m), 4.05-4.15 (2H, m), 6.84 (2H, dd, J=1.9, 6.8 Hz), 7.06 (2H, dd, J=1.8, 6.9 Hz), 7.34 (2H, d, J=8.0 Hz), 7.68 (2H, d, J=8.2 Hz).
94	$-CH_3$	-H		-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.16-2.25 (2H, m), 2.28(3H, s), 3.83(2H, t, J=6.3Hz), 3.86(3H, s), 3.99-4.06(4H, m), 4.46(2H, dd, J=6.3Hz, 8.8Hz), 6.61(1H, d, J=2.5Hz), 7.33 (1H, d, J=2.5Hz)
95	$-OCH_3$	-H		-H	$-CH_2OH$	^{1}H-NMR ($CDCl_3$) δppm: 2.09-2.28 (2H, m), 2.61(2H, t, J=7.8Hz), 3.79-3.87(4H, m), 3.88(3H, s), 4.13(2H, t, J=5.5Hz), 4.71(2H, d, J=5.8Hz), 6.85(1H, d, J=2.5Hz), 7.59 (1H, d, J=2.5Hz)
96	$-CH_3$	-H		-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δppm: 2.05-2.25 (4H, m), 2.27(3H, s), 2.60(2H, t, J=8.3Hz), 3.79-3.89(42H, m), 3.86(3H, s), 6.71(1H, d, J=2.5Hz), 7.37 (1H, d, J=2.5Hz)

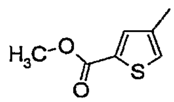
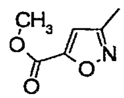
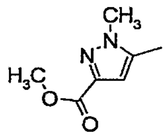
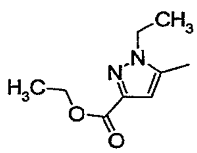
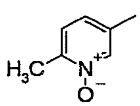
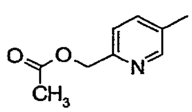
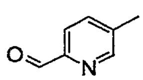
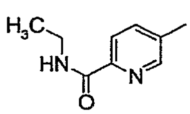
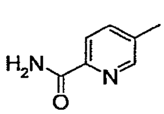
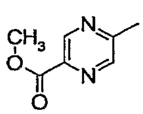
[Table 8]



Reference Example	R1	R2	R3	R4	R5	NMR
97	-H	-H	-H	$-NO_2$	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.93-2.11 (4H, m), 3.59-3.70(2H, m), 4.00-4.13(2H, m), 7.20-7.24(1H, m), 7.43(1H, t, J=8.0Hz), 7.72(1H, t, J-2.3Hz), 7.80-7.84(1H, m)
98	-H	-H	-H	-CN	-H	^{1}H-NMR ($CDCl_3$) δppm: 1.96-2.00 (4H, m), 3.60-3.65 (2H, m), 3.99-4.14(2H, m), 7.10-7.14 (2H, m), 7.22-7.26 (1H, m), 7.34-7.40 (1H, m)

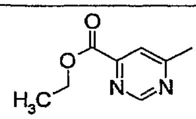
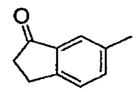
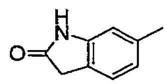
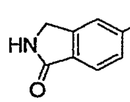
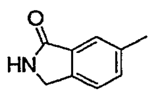
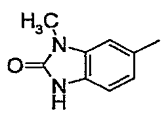
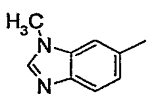
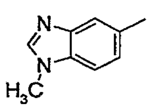
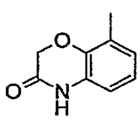
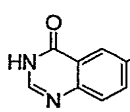
[Table 9]

R1-O-(CH2)3-Cl

Reference Example	R1	NMR
99		[1]H-NMR ($CDCl_3$) δppm: 2.15-2.30 (2H, m), 3.72 (2H, t, J=6.3 Hz), 3.87 (3H, s), 4.05-4.15 (2H, m), 6.55 (1H, d, J=1.8 Hz), 7.42 (1H, d, J=1.8 Hz).
100		[1]H-NMR ($CDCl_3$) δppm: 2.21-2.31(2H, m), 3.70(2H, t, J=6.3Hz), 3.95(3H, s), 4.46(2H, t, J=6.0Hz), 6.54(1H, s)
101		[1]H-NMR ($CDCl_3$) δppm: 2.21-2.32(2H, m), 3.72(2H, t,, J=6.3Hz), 3.72(2H, s), 3.91(3H, s), 4.24(2H, t, J=5.8Hz), 6.10(1H, s)
102		[1]H-NMR ($CDCl_3$) δppm: 1.39(3H, t, J=7.0Hz), 1.39(3H, t, J=7.3Hz), 2.22-2.32(2H, m), 3.71(2H, t,, J=6.3Hz), 4.10(2H, q, J=7.3Hz), 4.24(2H, t, J=5.8Hz), 4.39(2H, q, J=7.0Hz), 6.08(1H, s)
103		[1]H-NMR ($CDCl_3$) δppm: 2.20-2.29(2H, m), 2.46(3H, s), 3.72(2H, t, J=6.3Hz), 4.12(2H, t, J=5.8Hz), 6.84(1H, dd,J=2.5Hz, 8.8Hz), 7.13(1H, d, J=8.8Hz), 8.07(1H, d, J=2.5Hz)
104		[1]H-NMR ($CDCl_3$) δppm: 2.13(3H, s), 2.21-2.31(2H, m), 3.76(2H, t, J=6.3Hz), 4.18(2H, t, J=5.8Hz), 5.17(2H, s), 7.19-7.32(2H, m), 8.30(1H, d, J=2.5Hz)
105		[1]H-NMR ($CDCl_3$) δppm: 2.27-2.36(2H, m), 3.77(2H, t, J=6.0Hz), 4.28(2H, t, J=5.8Hz), 7.33(1H, dd,J=2.5Hz, 8.5Hz), 7.97(1H, dd, J=2.5Hz, 8.5Hz), 8.44(1H, d, J=2.5Hz), 10.00(1H, s)
106		[1]H-NMR ($CDCl_3$) δppm: 1.26(3H, t, J=7.3Hz), 2.24-2.34(2H, m), 3.55(2H, dq, J=6.0Hz, 7.3Hz), 3.77(2H, t, J=6.3Hz), 4.22(2H, t, J=5.8Hz), 7.29(1H, dd, J=2.3Hz, 8.8Hz), 7.83(1H, br), 8.18(1H, d, J=8.8Hz), 8.20(1H, d, J=2.3Hz)
107		[1]H-NMR ($CDCl_3$) δppm: 2.25-2.34(2H, m), 3.77(2H, t,, J=6.3Hz), 4.23(2H, t, J=5.8Hz), 5.48(1H, br), 7.31(1H, dd, J=2.3Hz, 8.8Hz), 7.68(1H, br), 8.16(1H, d, J=8.8Hz), 8.23(1H, d, J=2.3Hz)
108		[1]H-NMR ($CDCl_3$) δppm: 2.24-2.34(2H, m), 3.73(2H, t,, J=6.3Hz), 4.00(3H, s), 4.58(2H, t, J=6.0Hz), 8.28(1H, d, J=1.3Hz), 8.87(1H, d, J=1.3Hz)

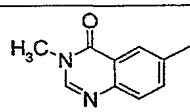
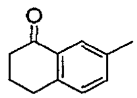
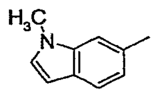
[Table 10]

R1-O-(CH2)3-Cl

Reference Example	R1	NMR
109		^{1}H-NMR (CDCl$_3$) δppm: 1.44(3H, t, J=7.0Hz), 2.22-2.31(2H, m), 3.72(2H, t, J=6.3Hz), 4.48(2H, q, J=7.0Hz), 4.59(2H, t, J=6.0Hz), 7.44(1H, d, J=1.0Hz), 8.90(1H, d, J=1.0Hz)
110		^{1}H-NMR (CDCl$_3$) δppm: 2.20-2.30 (2H, m), 2.70-2.75 (2H, m), 3.07 (2H, t, J=5.8 Hz), 3.74 (2H, t, J=6.4 Hz), 7.15-7.20 (2H, m), 7.37 (1H, d, J=8.2 Hz).
111		^{1}H-NMR (DMSO-d$_6$) δppm : 2.1-2.2 (2H, m), 3.37 (2H, s), 3.78 (2H, t, J = 6.5Hz), 4.04 (2H, t, J = 6Hz), 6.40 (1H, d, J = 2.5Hz), 6.49 (1H, dd, J = 2.5, 8Hz), 7.08 (1H, d, J = 8Hz), 10.33 (1H, bs).
112		^{1}H-NMR (CDCl$_3$) δppm: 2.27 (2H, tt, J=6.1 Hz), 3.76 (2H, t, J=6.3 Hz), 4.19 (2H, t, J=5.7 Hz), 4.41 (2H, s), 6.96 (1H, s), 7.01 (1H, dd, J=2.2, 8.5 Hz), 7.17 (1H, brs), 7.77 (1H, d, J=8.4 Hz).
113		^{1}H-NMR (CDCl$_3$) δppm: 2.27 (2H, t, J=6.1 Hz), 3.76 (2H, t, J=6.3 Hz), 4.19 (2H, t, J=5.7 Hz), 4.40 (2H, s), 6.50-6.60 (1H, br), 7.15 (1H, dd, J=2.3, 8.5 Hz), 7.35-7.40 (2H, m).
114		^{1}H-NMR (CDCl$_3$) δppm: 2.20-2.35 (2H, m), 3.39 (3H, s), 3.75-3.80 (2H, m), 4.05-4.15 (2H, m), 6.55-6.65 (2H, m), 6.98 (1H, d, J=7.5 Hz), 9.92 (1H, brs).
115		^{1}H-NMR (CDCl$_3$) δppm: 2.28 (2H, t, J=6.0 Hz), 3.75-3.80 (5H, m), 4.18 (2H, t, J=5.7 Hz), 6.85 (1H, d, J=2.1 Hz), 6.90-6.95 (1H, m), 7.66 (1H, d, J=8.8 Hz), 7.76 (1H, s).
116		^{1}H-NMR (CDCl$_3$) δppm: 2.20-2.30 (2H, m), 3.78 (2H, t, J=6.9 Hz), 3.82 (3H, s), 4.18 (2H, t, J=5.8 Hz), 6.97 (1H, dd, J=2.3, 8.8 Hz), 7.25-7.30 (2H, m), 7.81 (1H, s).
117		^{1}H-NMR (CDCl$_3$) δppm: 2.20-2.35 (2H, m), 3.77 (2H, t, J=6.2 Hz), 4.19 (2H, t, J=6.0 Hz), 4.66 (2H, s), 6.47 (1H, dd, J=7.9, 1.2 Hz), 6.67 (1H, dd, J=8.3, 1.1 Hz), 6.90 (1H, dd, J=8.2, 8.1 Hz), 8.29 (1H, brs).
118		^{1}H-NMR (CDCl$_3$) δppm: 2.24 (2H, tt, J = 6.2, 6.2 Hz), 3.70(2H, t, J = 6.4 Hz), 3.77 (3H, s), 4.45 (2H, t, J = 6.1 Hz), 6.70 (1H, d, J = 8.9 Hz), 6.98 (1H, dd, J = 8.9, 3.0 Hz), 7.35 (1H, d, J = 3.0 Hz)

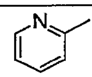
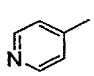
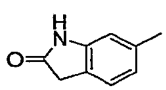
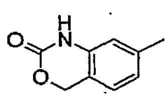
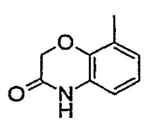
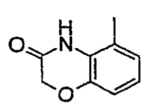
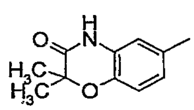
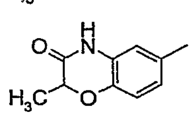
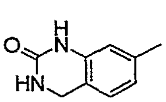
[Table 11]

R1-O-(CH2)3-Cl

Reference Example	R1	NMR
119		^{1}H-NMR ($CDCl_3$) δppm: 2.30 (2H, tt, J = 6.1, 6.1 Hz), 3.60(3H, s), 3.77(2H, t, J = 6.3 Hz), 4.25 (2H, t, J = 5.8 Hz), 7.34 (1H, dd, J = 8.9, 2.9 Hz), 7.65 (1H, d, J = 8.9 Hz), 7.68 (1H, d, J = 2.9 Hz), 7.96 (1H, s)
120		^{1}H-NMR ($CDCl_3$) δppm: 2.12 (2H, tt, J = 6.3, 6.3 Hz), 2.24 (2H, tt, J = 6.1, 6.1 Hz), 2.62(2H, t, J = 6.5 Hz), 2.902(2H, t, J = 6.1 Hz), 3.74(2H, t, J = 6.3 Hz), 4.15 (2H, t, J = 5.8 Hz), 7.05 (1H, dd, J = 8.4, 2.8 Hz), 7.17 (1H, d, J = 8.4 Hz), 7.52 (1H, d, J = 2.8 Hz)
121		^{1}H-NMR ($CDCl_3$) δppm: 2.25 (2H, tt, J = 6.1, 6.1 Hz), 3.76 (3H, s), 3.78(2H, t, J = 6.4 Hz), 4.15 (2H, t, J = 5.8 Hz), 6.39 (1H, t, J = 3.0 Hz), 6.88 (1H, dd, J = 8.8, 2.4 Hz), 7.02 (1H, d, J = 3.0 Hz), 7.10 (1H, d, J = 2.3 Hz), 7.21 (1H, d, J = 8.8 Hz)

[Table 12]

R1-O-(CH2)4-Cl

Reference Example	R1	NMR
122		^{1}H-NMR ($CDCl_3$) δppm: 1.85-2.05 (4H, m), 3.62 (2H, t, J=6.3 Hz), 4.33 (2H, t, J=6.3 Hz), 6.72 (1H, d, J=8.3 Hz), 6.85 (1H, dt, J=0.8, 5.1 Hz), 7.56 (1H, dt, J=2.0, 8.4 Hz), 8.14 (1H, dd, J=5.1, 1.4 Hz).
123		^{1}H-NMR ($CDCl_3$) δppm: 1.95-2.05 (4H, m), 3.62 (2H, t, J=6.2 Hz), 4.05 (2H, t, J=5.8 Hz), 6.80 (2H, dd, J=4.8, 1.6 Hz), 8.43 (2H, dd, J=4.9, 1.5 Hz).
124		^{1}H-NMR (DMSO-d_6) δppm : 1.75-1.9 (4H, m), 3.36 (2H, s), 3.70 (2H, t, J = 6.5Hz), 3.96 (2H, t, J = 6Hz), 6.38 (1H, d, J = 2Hz), 6.48 (1H, dd, J = 2.5, 8Hz), 7.07 (1H, d, J = 8Hz), 10.32 (1H, bs).
125		^{1}H-NMR ($CDCl_3$) δppm: 1.91-2.00 (4H, m), 3.62 (2H, t, J=6.2 Hz), 3.98 (2H, t, J=5.6 Hz), 5.26 (2H, s), 6.36 (1H, d, J=2.3 Hz), 6.57 (1H, dd, J=, 8.4, 2.3 Hz), 7.00 (1H, d, J=8.4 Hz), 8.08 (1H, br-s)
126		^{1}H-NMR ($CDCl_3$) δppm: 1.95-2.04 (4H, m), 3.61-3.65 (2H, m), 4.06-4.09 (2H, m), 4.66 (2H, s), 6.46 (1H, d, J=8.0 Hz), 6.63 (1H, d, J=8.3 Hz), 6.89 (1H, dd, J=8.0, 8.3 Hz), 8.41 (1H, br)
127		^{1}H-NMR ($CDCl_3$) δppm: 1.80-2.00 (4H, m), 3.77 (2H, t, J=6.4 Hz), 4.24 (2H, t, J=5.8 Hz), 4.63(2H, s), 6.55-6.70 (2H, m), 6.90 (1H, dd, J=8.4, 8.4 Hz), 8.00 (1H, brs).
128		^{1}H-NMR ($CDCl_3$) δppm: 1.52 (6H, s), 1.90-2.10 (4H, m), 3.63 (2H, t, J=6.3 Hz), 3.95 (2H, t, J=5.8 Hz), 6.38 (1H, d, J=2.8 Hz), 6.50 (1H, dd, J=2.8, 8.7 Hz), 6.86 (1H, d, J=8.8 Hz), 8.57 (1H, brs).
129		^{1}H-NMR ($CDCl_3$) δppm: 1.56 (3H, d, J=6.8 Hz), 1.85-2.10 (4H, m), 3.61 (2H, t, J=6.2 Hz), 3.94 (2H, t, J=5.8 Hz), 4.59 (1H, q, J=6.8 Hz), 6.38 (1H, d, J=2.8 Hz), 6.49 (1H, dd, J=2.8, 8.7 Hz), 6.88 (1H, d, J=8.7 Hz), 8.60 (1H, brs).
130		^{1}H-NMR (DMSO-d_6) δppm : 1.81-2.10 (4H, m), 3.54-3.70 (2H, m), 3.89-4.03 (2H, m), 4.47 (2H, brs), 5.02 (1H, brs), 6.22 (1H, d, J = 2.4 Hz), 6.49 (1H, dd, J = 8.3, 2.4 Hz), 6.86-7.00 (2H, m).

Example 1

Synthesis of methyl 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxylate

Methyl 5-(3-chloropropoxy)-1-methyl-1H-pyrazole-3-carboxylate (1.17g, 5.0 mmol), 1-benzo[b]thiophen-4-yl piperazine hydrochloride (1.35 g, 5.3 mmol), potassium carbonate (1.74, 12.6 mmol) and sodium iodide (0.75 g, 5.0 mmol) were added to DMF (12 ml), and the mixture was stirred at 80°C for 3 hours. The reaction solution was cooled to room temperature and water was added thereto, and then, extracted with ethyl acetate. The organic phase was washed with water and dried over magnesium sulfate. The reaction solution was concentrated under reduced pressure and the residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 7:3 → dichloromethane : methanol =100:3). The purified product was concentrated under reduced pressure to obtain a light yellow oily substance (1.97 g). The oily substance was allowed to stand still at room temperature to obtain a solid substance, which was washed with diisopropyl ether and dried to obtain methyl 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxylate (1.49 g).

Melting point: 109.0-110.5°C

MS 414 (M^+)

Example 2

Synthesis of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxylic acid

A 6N aqueous sodium hydroxide solution (2 ml) was added to an ethanol solution (10 ml) of methyl 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxylate (1.62 g, 3.9 mmol) and the mixture was stirred at room temperature for 4 days. Then, 6N hydrochloric acid (2 ml) was added to the reaction solution under ice cooling and the solution mixture was stirred. Dichloromethane was added to the reaction solution and the precipitate was obtained by filtration. The filtrate was separated and the organic phase was concentrated under reduced pressure. The filter cake and the residue were combined, washed with water and dried to obtain 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxylic acid (1.53 g) as white powder.

Melting point: 114.5-118.0°C

Example 3

Synthesis of N-methyl-5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)]propoxy]-1-methyl-1H-pyrazole-3-carboxamide hydrochloride

A DMF solution of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxylic acid (0.3 g, 0.75 mmol) was cooled on ice and triethylamine (0.73 ml, 5.2 mmol), methylamine hydrochloride (0.3 g, 4.5 mmol) and

diethylphosphorocyanidate (DEPC)(0.25 ml, 1.4 mmol) were added thereto, and then, the mixture was stirred at room temperature for 24 hours. To the reaction solution, triethylamine (0.73 ml, 5.2 mmol), methylamine hydrochloride (0.3 g, 4.5 mmol) and DEPC (0.25 ml, 1.4 mmol) were added and the mixture was stirred at room temperature for 4 days. Water was added to the reaction solution, which was then extracted with ethyl acetate. The extracted material was washed with water and dried over magnesium sulfate. The solution was concentrated under reduced pressure and the residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → ethyl acetate). The purified product was concentrated under reduced pressure and the residue was dissolved in ethyl acetate and a solution of 4N-hydrochloric acid/ethyl acetate was added thereto. The insoluble matter precipitated was obtained by filtration and dried to obtain N-methyl-5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxamide hydrochloride (0.24 g) as white powder.

Melting point: 228.0-232.5°C (dec)

Example 4

Synthesis of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxamide

The titled compound was obtained using 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazole-3-carboxylic acid and ammonium

chloride in the same manner as in Example 3.

White powder (ethyl acetate-diisopropyl ether)

Melting point: 186.5-188.5°C

Example 5

Synthesis of 4-[3-4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5,N-dimethylbenzamide

The titled compound was obtained using 4-(3-chloropropoxy)-3-methoxy-5,N-dimethylbenzamide and 1-benzo[b]thiophen-4-yl-piperazine hydrochloride in the same manner as in Example 1.

White powder (ethyl acetate-methanol)

Melting point: 141.5-142.5°C

Example 6

Synthesis of N-methyl-2-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]thiazole-4-carboxamide hydrochloride

Sodium hydride (55%, oily, 90 mg, 2.2 mmol) was added to a DMF solution (2 ml) of 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propanol (0.2 g, 0.7 mmol) and N-methyl-2-chlorothiazole-4-carboxamide (0.26 g, 1.45 mmol) under ice cooling and the solution was stirred at 80°C for 1.5 hours. After the reaction solution was cooled to room temperature and water was added thereto, it was extracted with ethyl acetate. The extraction solution with ethyl acetate was washed with water, dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was purified by silica gel column

chromatography (dichloromethane: ethyl acetate = 5:1 → ethyl acetate). After the purified product was concentrated under reduced pressure, the residue was dissolved in ethyl acetate. A solution of 4N-hydrochloric acid/ethyl acetate was added to the solution and the insoluble matter precipitated was obtained by filtration and dried to obtain N-methyl-2-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]thiazole-4-carboxamide hydrochloride (0.24 g) as light yellow powder.

Melting point: 199.5-202.5°C

Example 7

Synthesis of 2-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]thiazole-4-carboxamide

The titled compound was obtained using 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propanol (0.2 g, 0.7 mmol) and 2-chlorothiazole-4-carboxamide in the same manner as in Example 6.

White powder (ethyl acetate-diisopropyl ether)

Melting point: 139.5-140.5°C

Example 8

Synthesis of {4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}-carbamic acid tert-butyl ester

The titled compound was obtained using [4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]-carbamic acid tert-butyl ester and 1-benzo[b]thiophen-4-yl-piperazine hydrochloride in the same manner as in

Example 1.

Light brown oily substance

^{1}H-NMR (CDCl3) δppm : 1.51(9H, s), 1.95-2.10 (2H, m), 2.24(3H, s), 2.66-2.81(6H, m), 3.14-3.31(2H, m), 3.84(3H, s), 3.95(2H, t, J=6.3Hz), 6.36(1H, br), 6.60(1H, d, J=2.5Hz), 6.87-6.92(1H, m), 7.01 (1H, d, J=2.0Hz), 7.24-7.31(1H, m), 7.37-7.44(2H, m), 7.55(1H, d, J=8.0Hz)

MS 511(M+).

Example 9

Synthesis of

4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylaniline

6N-hydrochloric acid (3 ml) was added to a methanol solution (10 ml) of {4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}-carbamic acid tert-butyl ester (2.18 g, 4.3 mmol) and the mixture was stirred at room temperature overnight. After stirred at 60°C for 15 minutes, the mixture was cooled to room temperature and a 6N aqueous sodium hydroxide solution was added thereto to neutralize it. Dichloromethane was added to the reaction mixture, and the substance extracted with dichloromethane was dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (n-hexane : ethyl acetate = 3:2 → ethyl acetate). The purified product was concentrated to dryness under reduced pressure to

obtain 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylaniline (1.26 g) as light yellow solid

Melting point: 155.0-158.0°C

MS 411 (M^+)

Example 10

Synthesis of N-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}formamide hydrochloride

4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylaniline (0.9 g, 2.2 mmol) was added to ethyl formate (10 ml) and refluxed with heating for 33 hours. After the reaction solution was cooled to room temperature, it was concentrated under reduced pressure. The obtained residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → ethyl acetate). The purified product was concentrated under reduced pressure and a solution of 4N-hydrochloric acid/ethyl acetate was added to an ethyl acetate solution of the residue. The insoluble matter precipitated was obtained by filtration to obtain N-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}formamide hydrochloride (0.3 g) as white powder.

Melting point: 247.5-253.0°C (dec)

Example 11

Synthesis of N-methyl-4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylaniline hydrochloride

A 6N aqueous sodium hydrochloride solution was added to N-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl formamide hydrochloride (0.23 g, 0.48 mmol) and the solution mixture was extracted with dichloromethane. The extraction solution with dichloromethane was dried over magnesium sulfate and concentrated under reduced pressure. The obtained residue was dissolved in a tetrahydrofuran (THF) solution (5 ml) and lithium aluminum hydride (30 mg, 0.71 mmol) was added thereto under ice cooling and refluxed with heating for 15 minutes. The reaction solution was cooled on ice, and water (0.03 ml), 15 % aqueous sodium hydroxide solution (0.03 ml), and water (0.09 ml) were added to the reaction mixture in this order and stirred. Insoluble matter was removed by filtration, and the filtrate was concentrated under reduced pressure. The obtained residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → 3:1) and concentrated under reduced pressure. A solution of 4N-hydrochloric acid/ethyl acetate was added to an ethyl acetate solution of the residue, and the insoluble matter precipitated was obtained by filtration to obtain N-methyl-4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylaniline

hydrochloride (63 mg) as white powder.

Melting point: 239.5-244.0°C (dec)

Example 12

Synthesis of 3-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}oxazolidin-2-one hydrochloride

The titled compound was obtained using 3-[4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]oxazolidin-2-one and 1-benzo[b]thiophen-4-yl-piperazine hydrochloride in the same manner as in Example 1.

White powder (ethanol)

Melting point: 247.5-251.0°C (dec)

Example 13

Synthesis of N-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}acetamide

The titled compound was obtained using N-[4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]acetamide and 1-benzo[b]thiophen-4-yl-piperazine hydrochloride in the same manner as in Example 1.

White powder (ethyl acetate-diisopropyl ether)

Melting point: 121.5-122.0°C

Example 14

Synthesis of N-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}-N-methylacetamide hydrochloride

Sodium hydride (55%, oily, 0.06 g, 1.3 mmol) was added to a DMF solution (5 ml) of N-{4-[3-(4-

benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}acetamide (0.45 g, 0.99 mmol) under ice cooling and the mixture was stirred at 0°C for 15 minutes. Methyl iodide (0.07 ml, 1.1 mmol) was added to the reaction solution and the solution was stirred at 0°C for one hour. Further, sodium hydride (55% oily, 0.06 g, 1.3 mmol) and methyl iodide (0.07 ml, 1.1 mmol) were added to the reaction solution and the solution mixture was stirred at 0°C for 2 hours. Water was added to the reaction solution and extraction was performed with ethyl acetate. The extracted material was washed with water, and dried over magnesium sulfate. The reaction solution was concentrated under reduced pressure and the residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → ethyl acetate). After the purified product was concentrated under reduced pressure, a solution of 4N-hydrochloric acid/ethyl acetate was added to an ethyl acetate solution of the residue. The insoluble matter precipitated was obtained by filtration to obtain N-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}-N-methylacetamide hydrochloride (325 mg).

Melting point: 230.0-234.0°C (dec)

Example 15

Synthesis of 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-N,N-dimethyl-3-methoxy-5-methylaniline

hydrochloride

Formalin (37%, 0.29 ml, 3.9 mmol) and sodium cyanoborohydride (0.21 g, 3.1 mmol) were added to a methanol solution (6 ml) of 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylaniline (0.32 g, 0.78 mmol) under ice cooling and the mixture was stirred at 0°C for 15 minutes. To the reaction solution, acetic acid (0.18 ml, 3.1 mmol) was added and the mixture was stirred at room temperature for one hour. An aqueous potassium carbonate solution was added to the reaction solution under ice cooling, and extraction was performed with ethyl acetate. The extracted material was dried over magnesium sulfate. The reaction solution was concentrated under reduced pressure, and the residue was purified by basic silica gel column chromatography (n-hexane :ethyl acetate = 11:1 → 3:1). The purified product was concentrated under reduced pressure. A solution of 4N-hydrochloric acid and ethyl acetate was added to an ethyl acetate solution of the residue and the insoluble matter precipitated was obtained by filtration to obtain 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-N,N-dimethyl-3-methoxy-5-methylaniline hydrochloride (137 mg) as white powder.

Melting point: 234.5-240.5°C (dec)

Example 16

Synthesis of methyl {4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-

methylphenyl}carbamate hydrochloride

The titled compound was obtained using methyl 4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]carbamate and 1-benzo[b]thiophen-4-yl-piperazine hydrochloride in the same manner as in Example 1.

White powder (ethyl acetate)

Melting point: 230.0-235.5°C

Example 17

Synthesis of methyl N-methyl-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}carbamate hydrochloride

The titled compound was obtained using methyl {4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}carbamate hydrochloride and methyl iodide in the same manner as in Example 14.

White powder (ethyl acetate)

Melting point: 228.0-233.5°C

Example 18

Synthesis of 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,4-dihydro-2H-benzo[1,4]oxazine hydrochloride

Lithium aluminum hydride (86 mg, 2.3 mmol) was suspended in THF (20 ml). To this solution, a THF solution (10 ml) of 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,4-dihydro-2H-benzo[1,4]oxazin-3-one (0.8 g, 1.9 mmol) was added dropwise under an argon atmosphere. After completion of dropwise addition, the solution mixture was refluxed

with heating for one hour. Water (0.1 ml), 15 % aqueous sodium hydroxide solution (0.1 ml), and water (0.3 ml) were added to the reaction mixture under ice cooling and stirred. Insoluble matter was removed by cerite filtration, and the filtrate was concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (dichloromethane : methanol = 1:0 → 20:1) and concentrated under reduced pressure. The residue was dissolved in ethyl acetate (10 ml) and a solution (0.34 ml) of 1N-hydrochloric acid/ethanol was added thereto and the mixture was stirred at room temperature for 15 minutes. The insoluble matter precipitated was obtained by filtration, washed with ethyl acetate, and dried to obtain 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,4-dihydro-2H-benzo[1,4]oxazine hydrochloride (0.11 g) as white solid.

Melting point 207.9-208.8°C

Example 19

Synthesis of 7-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,4-dihydro-2H-benzo[1,4]oxazine hydrochloride

The titled compound was obtained using 7-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,4-dihydro-2H-benzo[1,4]oxazin-3-one in the same manner as in Example 18.

Light brown solid (ethyl acetate)

Melting point: 214.0-215.9°C

Example 20

Synthesis of 7-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine hydrochloride

Formalin (37%, 0.22 ml, 2.7 mmol) and MP-cyanoborohydride (2.41 mmol/g, 1.12 g, 2.7 mmol) were added to a methanol solution (15 ml) of 7-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,4-dihydro-2H-benzo[1,4]oxazine (0.30 g, 0.67 mmol) and the mixture was stirred at room temperature overnight. The insoluble matter was removed by filtration and the filtrate was concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (dichloromethane : methanol = 1:0 → 50:1). The purified product was concentrated under reduced pressure and the residue was dissolved in ethyl acetate (15 ml) and a solution (0.64 ml) of 1N-hydrochloric acid/ethanol was added thereto. The mixture was stirred at room temperature for 15 minutes. The insoluble matter precipitated was obtained by filtration, washed with ethyl acetate, and dried to obtain 7-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-4-methyl-3,4-dihydro-2H-benzo[1,4]oxazine hydrochloride (0.23 g) as light brown solid.

Melting point; 248.1-249.6°C

Example 21

Synthesis of 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-

yl)propoxy]-3-methyl-1,2,3,4-tetrahydroquinazolin-4-ol hydrochloride and 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methyl-1,2,3,4-tetrahydroquinazoline hydrochloride

A THF solution (20 ml) of 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methylquinazoline (0.25 g, 0.58 mmol) was cooled on ice. To this solution, a THF solution (5 ml) of lithium aluminum hydride (26 mg, 0.69 mmol) was added dropwise under an argon atmosphere. After completion of dropwise addition, the solution was stirred at room temperature for 20 minutes and refluxed with heating for one hour. Water (0.03 ml), 15 % aqueous sodium hydroxide solution (0.03 ml), and water (0.1 ml) were added to the reaction solution under ice cooling and stirred. Insoluble matter was removed by cerite filtration, and the filtrate was concentrated under reduced pressure. The obtained residue was purified by silica gel column chromatography (dichloromethane : methanol = 1:0 → 25:1). The purified product was concentrated under reduced pressure and the residue was dissolved in ethyl acetate (5 ml). To this, a solution (0.189 ml) of 1N-hydrochloric acid/ethanol was added and the mixture was stirred at room temperature for 15 minutes. The insoluble matter precipitated was obtained by filtration, washed with ethyl acetate, and dried to obtain 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methyl-1,2,3,4-

tetrahydroquinazolin-4-ol hydrochloride (87 mg) as white solid.

MS: 438 (M^+).

An eluting solution of dichloromethane/methanol (10:1) was passed through the column of the silica gel column chromatography. The obtained eluate was concentrated under reduced pressure and then the residue was dissolved in ethyl acetate (5 ml). To this, a solution (0.226 ml) of 1N-hydrochloric acid/ethanol was added and the mixture was stirred at room temperature for 15 minutes. The insoluble matter precipitated was obtained by filtration, washed with ethyl acetate, and dried to obtain 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methyl-1,2,3,4-tetrahydroquinazoline hydrochloride (49 mg) as white solid.

Melting point: 203.1-204.4°C

Example 22

Synthesis of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-2,3-dihydro-1H-indole hydrochloride

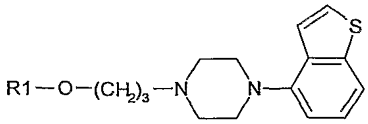
Triethylsilane (1.14 ml, 7.14 mmol) was added to a trifluoroacetic acid solution (5 ml) of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1H-indole (228 mg, 0.71 mmol) and the mixture was stirred at 50°C for 2 hours. The mixture was concentrated under reduced pressure. The residue was dissolved in dichloromethane, neutralized by a saturated aqueous solution of sodium hydrogen carbonate and separated.

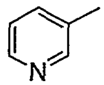
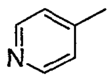
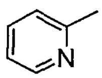
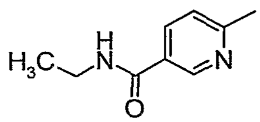
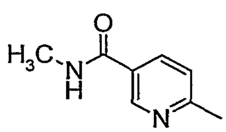
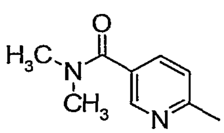
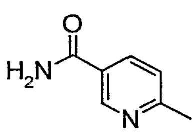
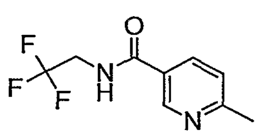
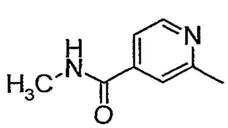
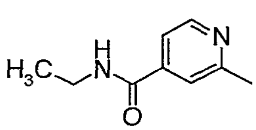
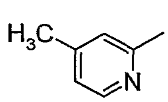
The organic phase was washed with a saturated aqueous solution of sodium hydrogen carbonate, water and a saturated saline solution in this order and concentrated under reduced pressure. The obtained residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → 1:1). The purified product was concentrated under reduced pressure and the residue was added to ethyl acetate (5 ml) and a solution of 1N-hydrochloric acid/ethanol (0.10 ml) was added thereto and the mixture was stirred at room temperature for 15 minutes. The insoluble matter precipitated was obtained by filtration, washed with ethyl acetate, and dried to obtain 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-2,3-dihydro-1H-indole hydrochloride (32 mg) as white solid. Melting point: 222.4-223.9°C

Compounds listed in the following Tables 13 to were produced using appropriate starting substances in the same manners as in Reference Examples 1 to 36 or Examples 1 to 22 and 3094 to 3110.

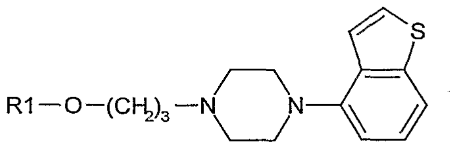
In the following Tables, compounds with the physical properties, such as crystalline form, m.p. (melting point), salt, ^{1}H-NMR and MS (mass spectrum), were prepared actually.

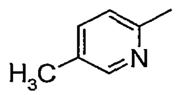
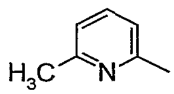
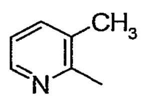
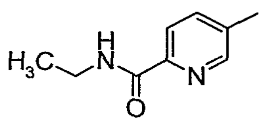
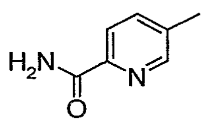
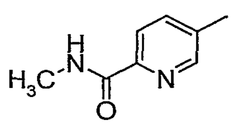
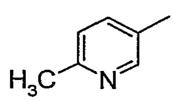
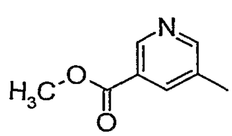
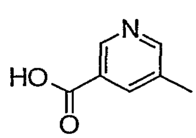
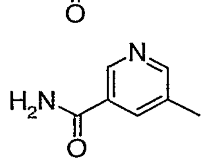
[Table 13]



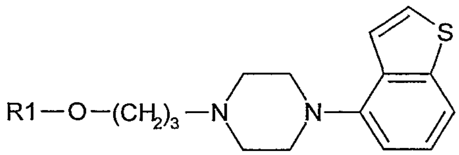
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
23		White solid (Ethanol)	225–228	Trihydrochloride
24		White needle-form crystal (Ethanol/ethyl acetate)	165.0–167.0	Hydrochloride
25		White solid (Ethanol)	204–206	Hydrochloride
26		White powder (Ethyl acetate)	201.5–207.5	Hydrochloride
27		White powder (Ethyl acetate/ isopropyl ether)	132.5–133.5	—
28		White powder (Ethyl acetate)	205.5–208.0	Hydrochloride
29		White powder (2-propanol)	206.5–208.0	—
30		Light yellow powder (Ethyl acetate)	201.5–204.0	Hydrochloride
31		White powder (Ethyl acetate)	155.5–162.0	Hydrochloride
32		White powder (Ethyl acetate)	140.0–141.5	Hydrochloride
33		Light yellow powder (Ethyl acetate)	192–194	dihydrochloride

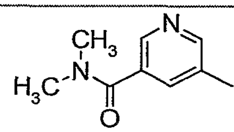
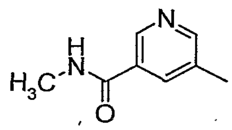
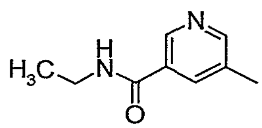
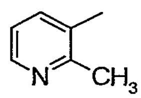
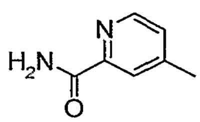
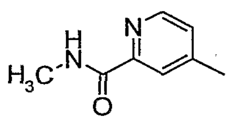
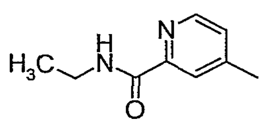
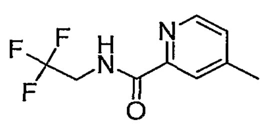
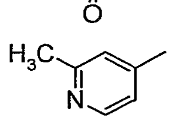
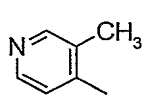
[Table 14]



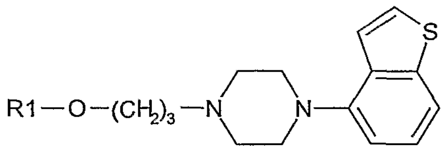
Example	R1	Crystal form (Recrystallization solvent)	Melting Point (°C)	Salt
34		Light yellow powder (Ethanol)	201–203	Dihydrochloride
35		White powder (Ethanol)	201–203	Hydrochloride
36		White powder (Ethanol)	214.0–215.0	Hydrochloride
37		White powder (Ethyl acetate/ isopropyl ether)	131.5–132.0	—
38		White powder (Ethyl acetate)	193.0–194.0	—
39		White powder (Ethyl acetate/ isopropyl ether)	128.0–129.5	—
40		White powder (Ethanol)	234.0–236.0	Hydrochloride
41		Light yellow powder (Ethyl acetate)	224.0–226.0	Dihydrochloride
42		White powder (water)	230.0 (dec)	Hydrochloride
43		White powder (Ethyl acetate/ isopropyl ether)	171.0–174.5	—

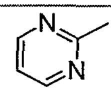
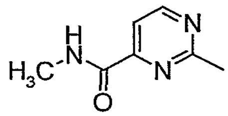
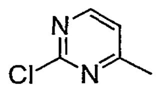
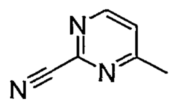
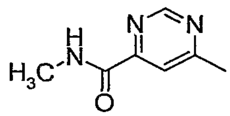
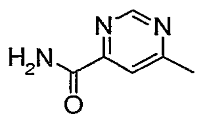
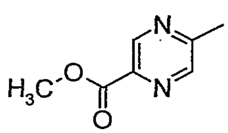
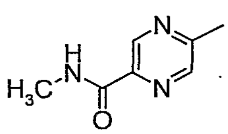
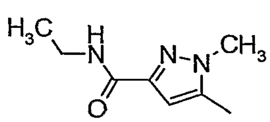
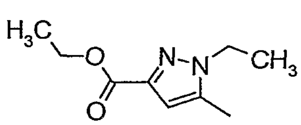
[Table 15]



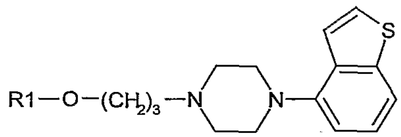
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
44		Light yellow powder (Ethyl acetate)	166.0 (dec)	Dihydrochloride
45		Light yellow powder (Ethyl acetate)	198.5–204.0	Dihydrochloride
46		White powder (Ethyl acetate)	211.5–214.5	Dihydrochloride
47		White powder (Ethanol)	241.0–243.0	Hydrochloride
48		White powder (Ethyl acetate/ isopropyl ether)	150.0–150.5	—
49		White powder (Ethyl acetate)	199.0–200.5	Dihydrochloride
50		White powder (Ethyl acetate)	206.0–208.5	Hydrochloride
51		White powder (Ethyl acetate)	208.0–213.0	Hydrochloride
52		White powder (Ethanol)	157–159	Hydrochloride
53		White powder (Ethanol)	197.0–199.0	Dihydrochloride

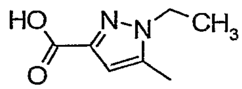
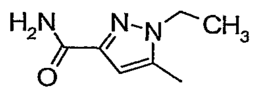
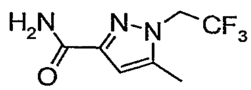
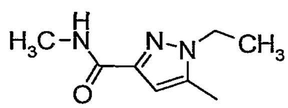
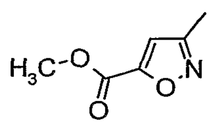
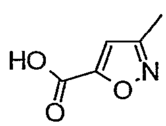
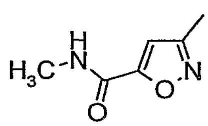
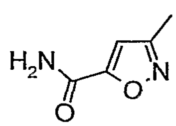
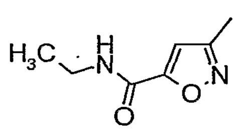
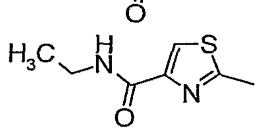
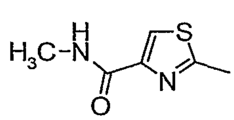
[Table 16]



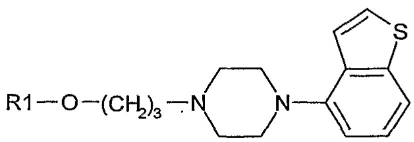
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
54		White powder (Ethanol)	205-207	Hydrochloride
55		White powder (Ethyl acetate)	178.0-182.5	Hydrochloride
56		Light yellow power (ethyl acetate)	191.5-195.5	Hydrochloride
57		Light yellow powder (Ethyl acetate/ isopropyl ether)	112.0-115.5	—
58		White powder (Methanol)	205.0-209.5	Hydrochloride
59		White powder (Ethyl acetate/ isopropyl ether)	149.5-151.0	—
60		Light yellow powder (Ethyl acetate/ isopropyl ether)	114.5-115.5	—
61		White powder (Methanol)	116.5-118.0	—
62		White powder (Ethyl acetate)	210.5-214.5	Hydrochloride
63		Light yellow powder (Ethyl acetate/ isopropyl ether)	109.0-110.0	—

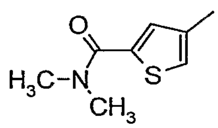
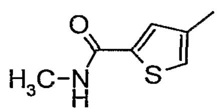
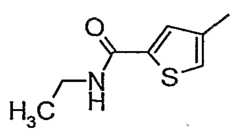
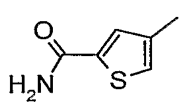
[Table 17]



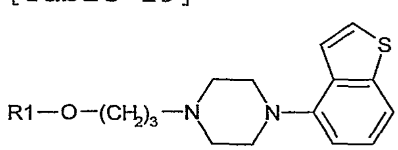
Example	R1	Crystal form (Recrystallization solvent)	Melting point (℃)	Salt
64		White powder (Ethanol/water)	129.0–131.0	—
65		White powder (Ethyl acetate)	247.5 (dec)	Hydrochloride
66		White powder (Ethyl acetate)	231.0–234.0	Hydrochloride
67		White powder (Ethyl acetate)	245.5 (dec)	Hydrochloride
68		White powder (Ethyl acetate)	199.5–201.5	Hydrochloride
69		White powder (Ethanol/water)	252.5–255.0 (dec)	—
70		White powder (Ethyl acetate/ isopropyl ether)	131.5–132.5	—
71		White powder (Ethyl acetate/ isopropyl ether)	167.5–169.0	—
72		White powder (Ethyl acetate)	219.5–222.5 (dec)	Hydrochloride
73		Light yellow powder (Ethyl acetate)	151.0–153.5	Hydrochloride
74		White powder (Ethyl acetate/ isopropyl ether)	138.5–140.0	—

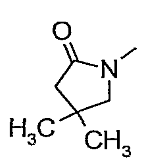
[Table 18]



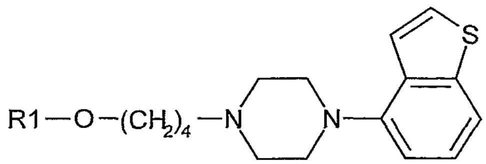
Example	R1	NMR	Salt
75		^{1}H-NMR (DMSO-d_6) δ ppm: 2.10–2.30 (2H, m), 2.80–3.90 (16H, m), 4.09 (2H, t, J=5.9 Hz), 6.88 (1H, d, J=1.5 Hz), 6.96 (1H, d, J=7.6 Hz), 7.17 (1H, d, J=1.4 Hz), 7.31 (1H, dd, J=7.8, 7.8 Hz), 7.48 (1H, d, J=5.6 Hz), 7.70 (1H, d, J=8.1 Hz), 7.76 (1H, d, J=5.6 Hz), 10.68 (1H, brs).	Hydrochloride
76		^{1}H-NMR ($CDCl_3$) δ ppm: 1.95–2.10 (2H, m), 2.62 (2H, t, J=7.0 Hz), 2.65–2.80 (4H, m), 2.98 (3H, d, J=4.9 Hz), 3.15–3.25 (4H, m), 4.05 (2H, t, J=6.3 Hz), 5.94 (1H, brs), 6.43 (1H, d, J=1.8 Hz), 6.90 (1H, dd, J=1.4, 7.6 Hz), 7.15 (1H, d, J=1.7 Hz), 7.20–7.35 (1H, m), 7.35–7.45 (2H, m), 7. 55 (1H, d, J=8.1 Hz).	–
77		^{1}H-NMR ($CDCl_3$) δ ppm: 1.23 (3H, t, J=7.3 Hz), 1.95–2.05 (2H, m), 2.61 (2H, t, J=7.3 Hz), 2.65–2.80 (4H, m), 3.10–3.30 (4H, m), 3.40–3.55 (2H, m), 4.04 (2H, t, J=6.3 Hz), 6.01 (1H, brs), 6.43 (1H, d, J=1.6 Hz), 6.90 (1H, d, J=7.6 Hz), 7.16 (1H, d, J=1.7 Hz), 7.27 (1H, dd, J=7.8, 7.8 Hz), 7.35–7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz).	–
78		^{1}H-NMR ($CDCl_3$) δ ppm: 1.95–2.10 (2H, m), 2.63 (2H, t, J=7.3 Hz), 2.70–2.80 (4H, m), 3.15–3.25 (4H, m), 4.06 (2H, t, J=6.3 Hz), 5.74 (2H, brs), 6.51 (1H, d, J=1.7 Hz), 6.90 (1H, dd, J=0.5, 7.6 Hz), 7.19 (1H, d, J=1.7 Hz), 7.28 (1H, dd, J=7.8, 7.8 Hz), 7. 35–7.45 (2H, m), 7.56 (1H, d, J=8.0 Hz).	–

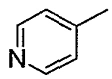
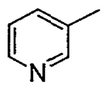
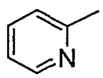
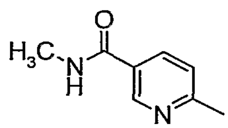
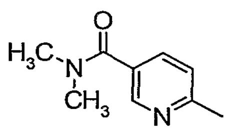
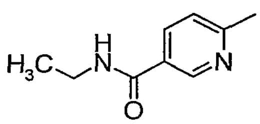
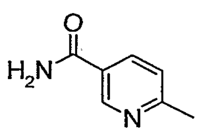
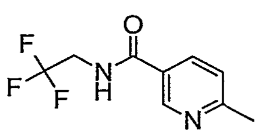
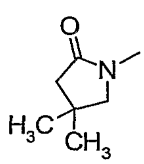
[Table 19]



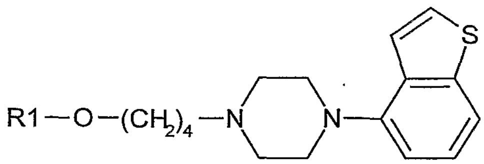
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
79		White powder (Ethyl acetate/ether)	183–186	Hydrochloride

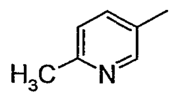
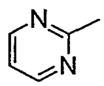
[Table 20]



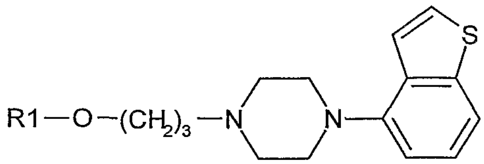
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
80		White powder (Ethanol/ethyl acetate)	183.0–185.0	Dihydrochloride
81		White powder (Ethanol/ethyl acetate)	205.0–207.0	Hydrochloride
82		White powder (Ethanol/ethyl acetate)	197.0–199.0	Hydrochloride
83		White powder (Ethyl acetate)	166.5–168.0	Hydrochloride
84		White powder (Ethyl acetate)	196.0–201.0	Hydrochloride
85		White powder (Ethyl acetate)	175.0–176.0	Hydrochloride
86		White powder (Ethyl acetate/ isopropyl ether)	150.0–154.5	—
87		White powder (Ethyl acetate)	172.0–175.0	Hydrochloride
88		White Powder (Ethyl acetate/ether)	201–205	Hydrochloride

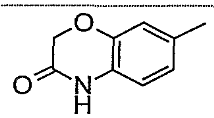
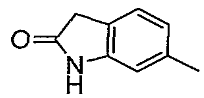
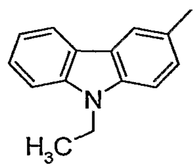
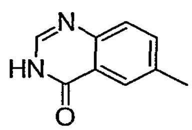
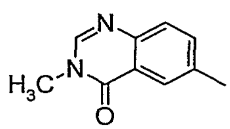
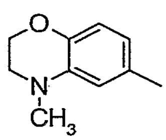
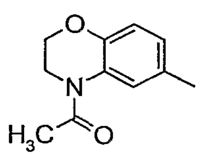
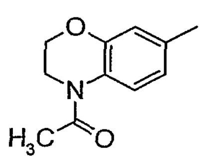
[Table 21]



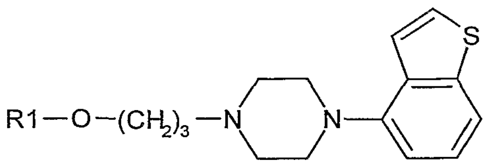
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
89		White powder (Ethanol)	195-197	Hydrochloride
90		White powder (Ethanol)	190-192	Hydrochloride

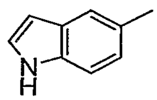
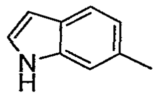
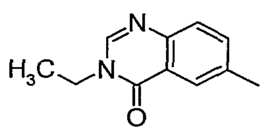
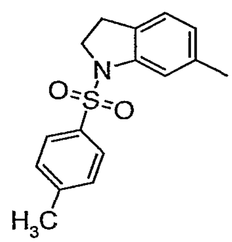
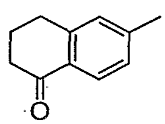
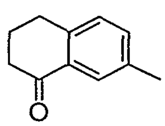
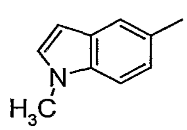
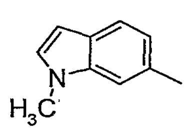
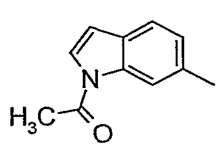
[Table 22]



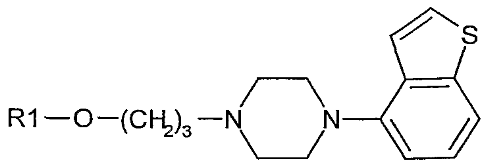
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
91		White powder (Ethyl acetate)	149-150	—
92		Light pink powder (Ethanol)	161-163	—
93		White solid (Ethyl acetate)	226.8-229.0	Hydrochloride
94		White solid (Ethyl acetate)	213.1-218.5	—
95		White solid (Ethyl acetate)	252.9-254.3	Hydrochloride
96		White solid (Ethyl acetate)	238.7-239.9	Hydrochloride
97		White solid (Ethyl acetate)	238.9-240.7	Hydrochloride
98		Light brown solid (Ethyl acetate)	218.4-220.4	Hydrochloride

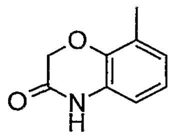
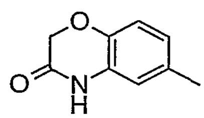
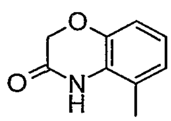
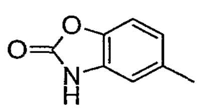
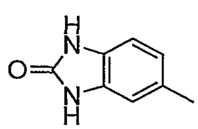
[Table 23]



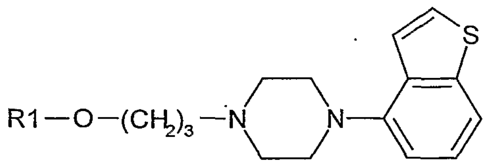
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
99		White solid (Ethyl acetate)	267.0–271.0	Hydrochloride
100		White solid (Ethyl acetate/ hexane)	143.8–145.2	—
101		White solid (Ethyl acetate)	250.6–252.1	Hydrochloride
102		White solid (Ethyl acetate)	233.3–235.2	Hydrochloride
103		White solid (Ethanol/ ethyl acetate)	251.1–253.6	Hydrochloride
104		White solid (Ethyl acetate)	249.8–252.3	Hydrochloride
105		White solid (Ethyl acetate)	255.1–256.6	Hydrochloride
106		White solid (Ethyl acetate)	207.9–208.7	Hydrochloride
107		White solid (Ethyl acetate)	214.5–216.8	Hydrochloride

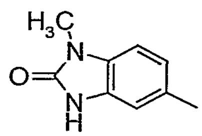
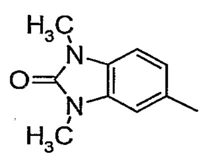
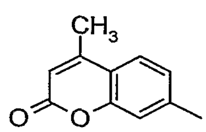
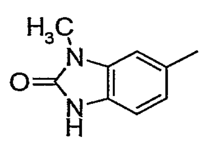
[Table 24]



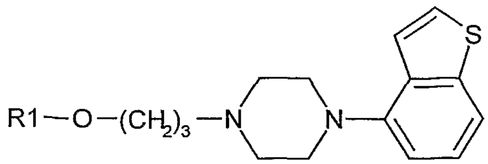
Example	R1	NMR	Salt
108		^{1}H-NMR ($CDCl_3$) δ ppm: 2.04-2.13 (2H, m), 2.65 (2H, t, J=7.2 Hz), 2.73 (4H, br), 3.19 (4H, br), 4.15 (2H, t, J=6.6 Hz), 4.67 (2H, s), 6.42 (1H, dd, J=1.3, 8.0 Hz), 6.69 (1H, dd, J=1.3, 8.3 Hz), 6.87-6.92 (2H, m), 7.25-7.30 (1H, m), 7:35-7.42 (2H, m), 7.55 (1H, d, J=8.0 Hz), 7.84 (1H, br)	—
109		^{1}H-NMR (DMSO-d_6) δ ppm: 1.80-2.00 (2H, m), 2.45-2.55 (2H, m), 2.55-2.65 (4H, br), 3.00-3.10 (4H, br), 3.93 (2H, t, J=6.3 Hz), 4.47 (2H, s), 6.45-6.55 (2H, m), 6.80-6.90 (2H, m), 7.26 (1H, t, J=7.8 Hz), 7.38 (1H, d, J=5.5 Hz), 7.60 (1H, d, J=8.0 Hz), 7.67 (1H,d, J=5.5 Hz), 10.59 (1H, s)	—
110		^{1}H-NMR ($CDCl_3$) δ ppm: 2.06 (2H, quint, J=6.5 Hz), 2.66 (2H, t, J=6.9 Hz), 2.70-2.80 (4H, m), 3.20-3.25 (4H, m), 4.12 (2H, t, J=6.1 Hz), 4.60 (2H, s), 6.55-6.70(2H, m), 6.88 (1H, d, J=8.3 Hz), 6.91 (1H, d, J=8.3 Hz), 7.20-7.30 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz), 8.43 (1H, brs)	—
111		^{1}H-NMR (DMSO-d_6) δ ppm: 1.80-1.90 (2H, m), 2.41 (2H, t, J=6.6 Hz), 2.50-2.55 (4H, m), 2.95-3.00 (4H, m), 3.83 (2H, t, J=6.7 Hz), 6.47 (1H, dd, J=2.4, 8.6 Hz), 6.70 (1H, d, J=2.4 Hz), 6.85 (1H, d, J=7.5 Hz), 7.09 (1H, d, J=8.6 Hz), 7.27 (1H, dd, J=7.9, 7.9 Hz), 7.36 (1H, d, J=5.6 Hz), 7.60 (1H, d, J=8.0 Hz), 7.67 (1H, d, J=5.6 Hz), 9.46 (1H, brs).	—
112		^{1}H-NMR (DMSO-d_6) δ ppm: 1.88 (2H, t, J=6.8 Hz), 2.50-2.55 (2H, m), 2.60 (4H, brs), 3.06 (4H, brs), 3.95 (2H, t, J=6.4 Hz), 6.45-6.55 (2H, m), 6.78 (1H, d, J=9.1 Hz), 6.88 (1H, d, J=7.7 Hz), 7.26 (1H, dd, J=7.8, 7.8 Hz), 7.39 (1H, d, J=5.6 Hz), 7.55-7.70 (2H, m), 10.35 (1H, brs), 10.49 (1H, brs).	—

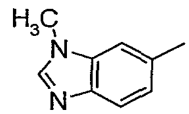
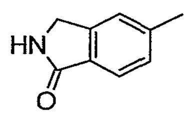
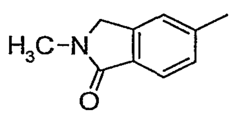
[Table 25]



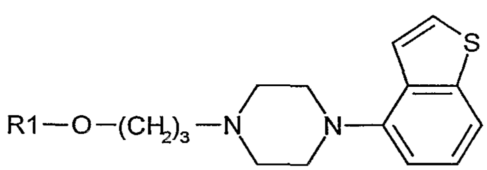
Example	R1	NMR	Salt
113		^{1}H-NMR (DMSO-d_6) δ ppm: 2.20–2.30 (2H, m), 2.45–2.55 (2H, m), 3.00–3.80 (11H, m), 4.06 (2H, t, J=5.9 Hz), 6.60–6.70 (2H, m), 6.90–7.00 (2H, m), 7.33 (1H, dd, J=7.9, 7.9 Hz), 7.50 (1H, d, J=5.5 Hz), 7.71 (1H, d, J=8.0 Hz), 7.78 (1H, d, J=5.5 Hz), 10.67 (1H, brs), 10.81 (1H, brs).	Dihydrochloride
114		^{1}H-NMR ($CDCl_3$) δ ppm: 2.00–2.10 (4H, m), 2.70–2.85 (6H, m), 3.20–3.25 (4H, m), 3.40 (6H, s), 4.097 (2H, t, J=6.3 Hz),6.61 (1H, d, J=2.2 Hz), 6.68 (1H, dd, J=2.3, 8.4 Hz), 6.85 (1H, d, J=8.5 Hz), 6.92 (1H, d, J=7.6 Hz), 7.25–7.35 (1H, m), 7.35–7.45 (2H, m), 7.57 (1H, d, J=8.0 Hz).	—
115		^{1}H-NMR (DMSO-d_6) δ ppm: 2.25–2.35 (2H, m), 2.40 (3H, s), 3.20–3.70 (10H, m), 4.22 (2H, t, J=5.9 Hz), 6.22 (1H, s), 6.95–7.05 (3H, m), 7.31 (1H, dd, J=7.9, 7.9 Hz), 7.49 (1H, d, J=5.5 Hz), 7.65–7.80 (3H, m), 10.93 (1H, brs).	Hydrochloride
116		^{1}H-NMR ($CDCl_3$) δ ppm: 2.00–2.10 (2H, m), 2.60–2.70 (2H, m), 2.75 (4H, brs), 3.21 (4H, brs), 3.39 (3H, s), 4.05–4.15 (2H, m), 6.55–6.70 (2H, m), 6.90 (1H, d, J=7.6 Hz), 6.96 (1H, d, J=8.5 Hz), 7.25–7.30 (1H, m), 7.35–7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz), 9.12 (1H, brs)	—

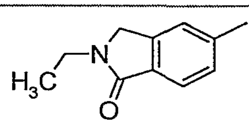
[Table 25-1]



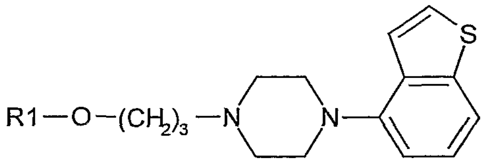
Example	R1	NMR	Salt
117		^{1}H-NMR ($CDCl_3$) δ ppm: 2.10 (2H, t, J=7.3 Hz), 2.70 (2H, t, J=7.4 Hz), 2.77 (4H, brs), 3.22 (4H, brs), 3.80 (3H, s), 4.14 (2H, t, J=6.3 Hz), 6.85–7.00 (3H, m), 7.25–7.35 (1H, m), 7.35–7.45 (2H, m), 7.56 (1H, d, J=8.1 Hz), 7.68 (1H, d, J=8.8 Hz), 7.77 (1H, s).	–
118		^{1}H-NMR ($CDCl_3$) δ ppm: 2.07 (2H, t, J=7.0 Hz), 2.65 (2H, t, J=7.2 Hz), 2.74 (4H, brs), 3.20 (4H, brs), 4.13 (2H, t, J=6.3 Hz), 4.40 (2H, s), 6.38 (1H, brs), 6.90 (1H, d, J=7.6 Hz), 6.97 (1H, s), 7.02 (1H, dd, J=2.1, 8.4 Hz), 7.25–7.30 (1H, m), 7.35–7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz), 7.78 (1H, d, J=8.4 Hz).	–
119		^{1}H-NMR ($CDCl_3$) δ ppm: 2.07 (2H, t, J=7.0 Hz), 2.66 (2H, t, J=5.7 Hz), 2.74 (4H, brs), 3.17 (3H, s), 3.20 (4H, brs), 4.12 (2H, t, J=6.3 Hz), 4.31 (2H, s), 6.90 (1H, d, J=7.6 Hz), 6.90–7.00 (2H, m), 7.25–7.30 (1H, m), 7.39 (1H, d, J=5.5 Hz), 7.41 (1H, d, J=5.5 Hz), 7.55 (1H, d, J=8.1 Hz), 7.74 (1H, d, J=8.4 Hz)	–

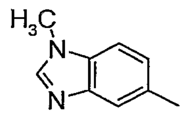
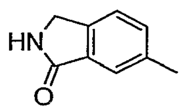
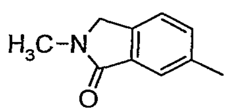
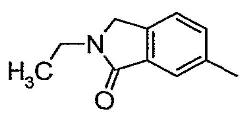
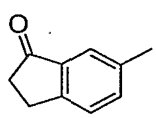
[Table 25-2]



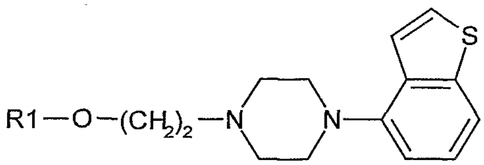
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
120		White powder (Methanol)	242–246	Hydrochloride

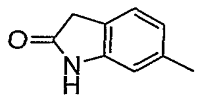
[Table 25-3]



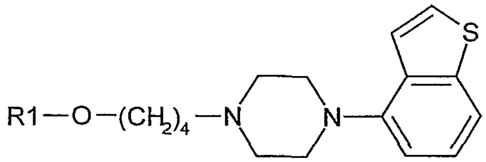
Example	R1	NMR	Salt
121		^{1}H-NMR ($CDCl_3$) δ ppm: 2.08 (2H, t, J=7.3 Hz), 2.69 (2H, t, J=7.4 Hz), 2.76 (4H, brs), 3.21 (4H, brs), 3.82 (3H, s), 4.13 (2H, t, J=6.3 Hz), 6.91 (1H, d, J=6.3 Hz), 6.99 (1H, dd, J=2.3, 8.7 Hz), 7.25-7.35 (3H, m), 7.39 (1H, d, J=5.6 Hz), 7.43 (1H, d, J=5.5 Hz), 7.55 (1H, d, J=8.0 Hz), 7.81 (1H, s).	—
122		^{1}H-NMR ($CDCl_3$) δ ppm: 2.00-2.10 (2H, m), 2.65 (2H, t, J=7.3 Hz), 2.74 (4H, brs), 3.21 (4H, brs), 4.13 (2H, t, J=6.4 Hz), 4.40 (2H, s), 6.84 (1H, brs), 6.91 (1H, d, J=7.5 Hz), 7.16 (1H, dd, J=2.3, 8.3 Hz), 7.25-7.30 (1H, m), 7.35-7.45 (4H, m), 7.55 (1H, d, J=8.0 Hz).	—
123		^{1}H-NMR ($CDCl_3$) δ ppm: 2.06 (2H, t, J=7.2 Hz), 2.65 (2H, t, J=7.3 Hz), 2.74 (4H, brs), 3.20 (7H, brs), 4.12 (2H, t, J=6.4 Hz), 4.31 (2H, s), 6.91 (1H, d, J=7.7 Hz), 7.10 (1H, dd, J=2.4, 8.3 Hz), 7.25-7.35 (2H, m), 7.35 (1H, d, J=2.3 Hz), 7.39 (1H, d, J=5.5 Hz), 7.42 (1H, d, J=5.5 Hz), 7.55 (1H, d, J=8.0 Hz).	—
124		^{1}H-NMR (DMSO-d_6) δ ppm: 1.15 (3H, t, J=7.3 Hz), 2.20-2.30 (2H, m), 3.15-3.30 (2H, m), 3.30-3.40 (4H, m), 3.45-3.70 (6H, m), 4.16 (2H, t, J=5.8 Hz), 4.39 (2H, s), 6.97 (1H, d, J=7.6 Hz), 7.10-7.25 (2H, m), 7.31 (1H, dd, J=7.9, 7.9 Hz), 7.45-7.55 (2H, m), 7.69 (1H, d, J=8.1 Hz), 7.76 (1H, d, J=5.6 Hz), 10.74 (1H, brs).	Hydrochloride
125		^{1}H-NMR (DMSO-d_6) δ ppm: 2.20-2.30 (2H, m), 2.64 (2H, t, J=5.8 Hz), 3.01 (2H, t, J=5.5 Hz), 3.20-3.40 (6H, m), 3.53 (2H, d, J=12.3 Hz), 3.64 (2H, d, J=11.2 Hz), 4.15 (2H, t, J=6.0 Hz), 6.95 (1H, d, J=7.7 Hz), 7.13 (1H, d, J=2.4 Hz), 7.25-7.35 (2H, m), 7.45-7.55 (2H, m), 7.69 (1H, d, J=8.0 Hz), 7.75 (1H, d, J=5.6 Hz), 11.12 (1H, brs).	Hydrochloride

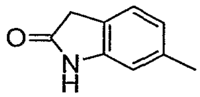
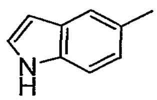
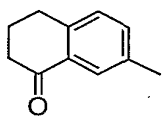
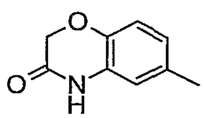
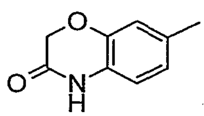
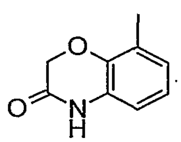
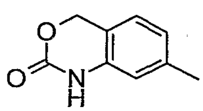
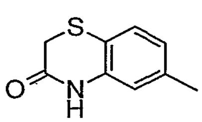
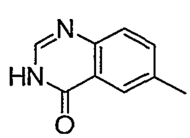
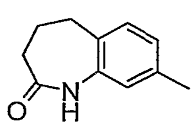
[Table 26]



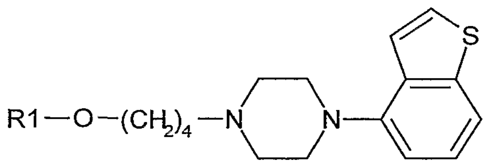
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
126		Red-brown powder (Acetonitrile)	191-193	—

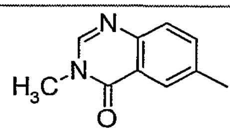
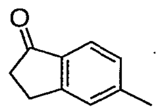
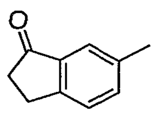
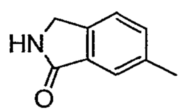
[Table 27]



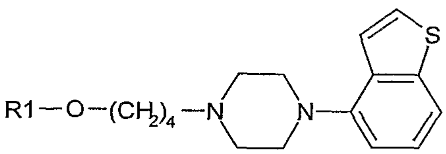
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
127		Red-brown powder (Ethanol)	215-217	Hydrochloride
128		White solid (Ethyl acetate)	209.2-210.9	Hydrochloride
129		White solid (Ethanol/ethyl acetate)	242.0-244.9	Hydrochloride
130		White powder (Ethanol)	211-213	Hydrochloride
131		Light purple powder (Ethyl acetate)	180-182	—
132		Light pink powder (Ethanol)	170.2-171.9	—
133		White powder (Ethanol/ethyl acetate)	253-258 (dec)	Hydrochloride
134		White powder (2-propanol)	213.7-220.6	Hydrochloride
135		White solid (Ethyl acetate)	152.6-155.3	Hydrochloride
136		White powder (Ethanol/ethyl acetate)	226-228	Hydrochloride

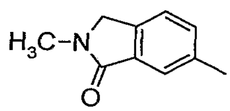
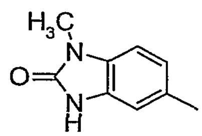
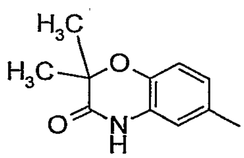
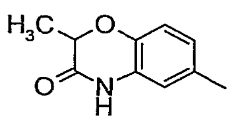
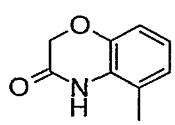
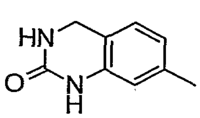
[Table 28]



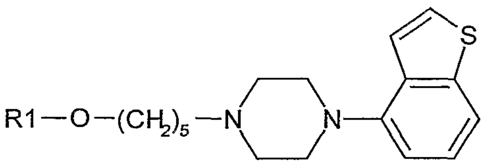
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
137		White solid (Ethyl acetate)	238.8–241.8	Hydrochloride
138		White powder (Ethyl acetate/ether)	198–201	Hydrochloride
139		White powder (Ethyl acetate/ether)	206–209	Hydrochloride
140		White powder (Ethyl acetate/ether)	157–161	—

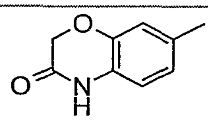
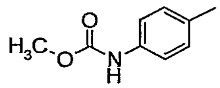
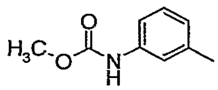
[Table 29]



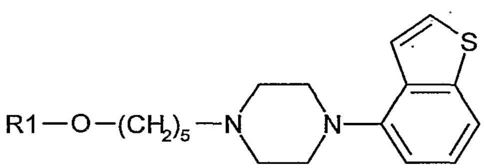
Example	R1	NMR	Salt
141		^{1}H-NMR (DMSO-d_6) δ ppm: 1.75-1.85 (2H, m), 1.90-1.95 (2H, m), 3.05 (3H, s), 3.15-3.35 (6H, m), 3.55-3.65 (4H, m), 4.08 (2H, t, J=6.1 Hz), 4.36 (2H, s), 6.95 (1H, d, J=7.7 Hz), 7.10-7.20 (2H, m), 7.30 (1H, dd, J=7.9, 7.9 Hz), 7.45-7.50 (2H, m), 7.69 (1H, d, J=8.1 Hz), 7.75 (1H, d, J=5.5 Hz), 10.75 (1H, brs).	Dihydrochloride
142		^{1}H-NMR (DMSO-d_6) δ ppm: 1.70-1.80 (2H, m), 1.85-2.00 (2H, m), 3.22 (3H, s), 3.15-3.35 (6H, m), 3.45-3.60 (4H, m), 3.95 (2H, t, J=6.1 Hz), 6.60-6.65 (2H, m), 6.90-7.00 (2H, m), 7.30 (1H, dd, J=7.9, 7.9 Hz), 7.45-7.50 (1H, m), 7.68 (1H, d, J=8.0 Hz), 7.75 (1H, d, J=5.5 Hz), 10.82 (1H, s), 11.31 (1H, brs).	Hydrochloride
143		^{1}H-NMR ($CDCl_3$) δ ppm: 1.52 (6H, s), 1.60-1.90 (4H, m), 2.53 (2H, t, J=7.3 Hz), 2.70-2.80 (4H, m), 3.10-3.30 (4H, m), 3.97 (2H, t, J=6.0 Hz), 6.37 (1H, d, J=2.7 Hz), 6.53 (1H, dd, J=2.7, 8.8 Hz), 6.85-6.95 (2H, m), 7.25-7.35 (2H, m), 7.35-7.45 (2H, m), 7.56 (1H, d, J=8.0 Hz), 8.06 (1H, s)	—
144		^{1}H-NMR (DMSO-d_6) δ ppm: 1.37 (3H,d, J=6.7 Hz), 1.50-1.80 (4H, m), 2.41 (2H, t, J=6.9 Hz), 2.55-2.65 (4H, br), 3.90 (2H, t, J=6.2 Hz), 4.51 (1H, q, J=6.7 Hz), 6.45-6.50 (2H, m), 6.80-6.90 (2H, m), 7.25 (1H, t, J=7.8 Hz), 7.38 (1H, d, J=8.0 Hz), 7.59 (1H, d, J=8.0 Hz), 7.67 (1H,d, J=5.5 Hz), 10.53 (1H, s)	—
145		^{1}H-NMR ($CDCl_3$) δ ppm: 1.65-1.95 (4H, m), 2.53 (2H, t, J=7.3 Hz), 2.70-2.75 (4H, m), 3.15-3.25 (4H, m), 4.08 (2H, t, J=6.3 Hz), 4.61 (2H, s), 6.57 (1H, d, J=8.3 Hz), 6.61 (1H, d, J=8.3 Hz), 6.85-6.95 (2H, m), 7.20-7.35 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.0 Hz), 7.80 (1H, brs)	—
146		^{1}H-NMR ($CDCl_3$) δ ppm: 1.60-1.88 (4H, m), 2.51 (2H, t, J=7.5 Hz), 2.63-2.77 (4H, m), 3.13-3.25 (4H, m), 3.95 (2H, t, J=6.3 Hz), 4.46 (2H, s), 5.28 (1H, brs), 6.25 (1H, d, J=2.4 Hz), 6.50 (1H, dd, J=8.4, 2.4 Hz), 6.90 (1H, d, J=7.7 Hz), 6.92 (1H, d, J=8.4 Hz), 7.27 (1H, dd, J=7.8, 8.0 Hz), 7.38 (1H, d, J=5.5 Hz), 7.41 (1H, d, J=5.5 Hz), 7.51 (1H, brs), 7.54(1H, d, J=8.0 Hz).	—

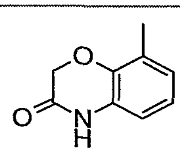
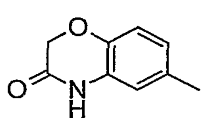
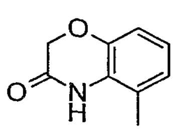
[Table 30]



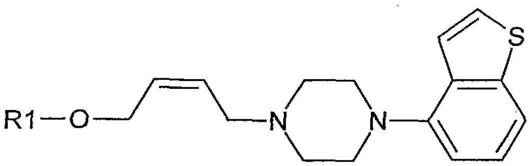
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
147		White powder (Ethyl acetate)	143–144	—
148		Light yellow powder (Ethyl acetate/isopropyl ether)	112.5–114.5	—
149		White powder (Ethyl acetate)	208.0–211.5	Hydrochloride

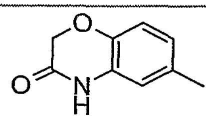
[Table 31]



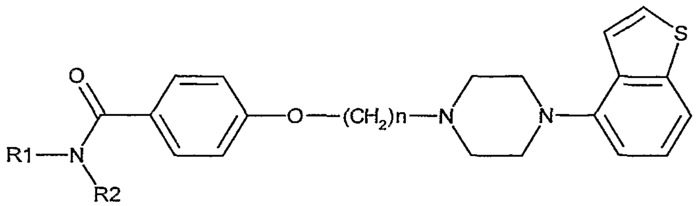
Example	R1	NMR	Salt
150		^{1}H-NMR (DMSO-d_6) δ ppm: 1.40–1.67 (2H, m), 1.73–1.90 (4H, m), 3.13–3.30 (6H, m), 3.52–3.62 (4H, m), 3.96–4.01 (2H, m), 4.54 (2H, s), 6.50 (1H, d, J=7.7 Hz), 6.67 (1H, d, J=7.3 Hz), 6.83–6.88 (1H, m), 6.96 (1H, d, J=7.7 Hz), 7.28–7.34 (1H, m), 7.48 (1H, d, J=5.6 Hz), 7.70 (1H, d, J=8.1 Hz), 7.76 (1H, d, J=5.6 Hz), 10.42 (1H, br), 10.67 (1H, br)	Hydrochloride
151		^{1}H-NMR (DMSO-d_6) δ ppm: 1.40–1.60 (4H, m), 1.60–1.80 (2H, m), 2.35–2.45 (2H, m), 2.55–2.65 (4H, br), 3.90 (2H, t, J=6.4 Hz), 4.49 (2H, s), 6.45–6.55 (2H, m), 6.80–6.95 (2H, m), 7.28 (1H, t, J=7.8 Hz), 7.40 (1H, d, J=5.6 Hz), 7.62 (1H, d, J=8.0 Hz), 7.69 (1H,d, J=5.5 Hz), 10.61 (1H, s)	—
152		^{1}H-NMR ($CDCl_3$) δ ppm: 1.45–1.70 (4H, m), 1.80–1.90 (2H, m), 2.45–2.55 (2H, m), 2.65–2.75 (4H, m), 3.15–3.25 (4H, m), 4.05 (2H, t, J=6.3 Hz), 4.61 (2H, s), 6.50–6.65 (2H, m), 6.85–6.95 (2H, m), 7.20–7.35 (1H, m), 7.35–7.45 (2H, m), 7.55 (1H, d, J=8.0 Hz), 7.80 (1H, brs)	—

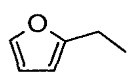
[Table 32]



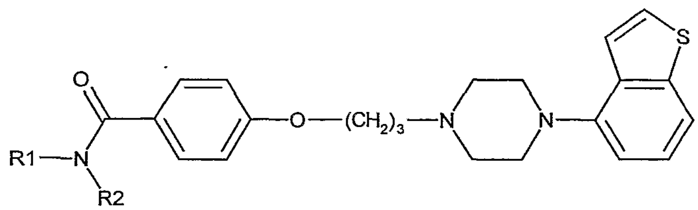
Example	R1	NMR	Salt
153		^{1}H-NMR ($CDCl_3$) δ ppm: 2.73 (4H, m), 3.19–3.20 (6H, m), 4.56 (2H, s), 4.54–4.62 (2H, m), 5.76–5.92 (2H, m), 6.38 (1H, d, J=2.7 Hz), 6.54 (1H, dd, J=8.8, 2.7 Hz), 6.89–6.92 (2H, m), 7.25 (1H, m), 7.39–7.41 (2H, m), 7.53–7.56 (2H, m)	—

[Table 33]



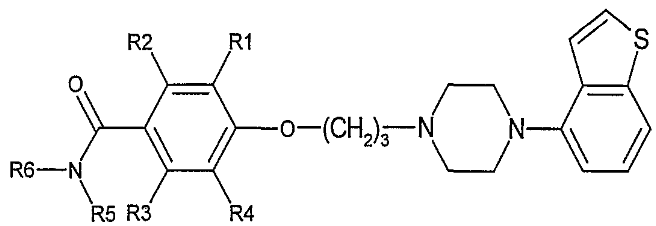
Example	R1	R2	n	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
154	−H	$-C_2H_5$	3	White powder (Ethyl acetate)	218.5−222.0 (dec)	Hydrochloride
155	−H	$-C_3H_7$	3	Light yellow powder (Ethyl acetate/isopropyl ether)	127.0−128.5	—
156	−H	$-CH_3$	3	Light yellow powder (Ethyl acetate/isopropyl ether)	151.0−154.5	—
157	$-CH_3$	$-CH_3$	3	White powder (Ethyl acetate)	206.5−211.5	Hydrochloride
158	$-C_2H_5$	$-C_2H_5$	3	White powder (Ethyl acetate)	205.5−209.0	Hydrochloride
159	−H	$-CH_2CF_3$	3	White powder (Ethyl acetate)	217.0 (dec)	Hydrochloride
160	−H	$-CH_2CH_2N(C_2H_5)_2$	3	White powder (Ethyl acetate)	229.5−232.5	Dihydrochloride
161	−H	$-CH_2CH_2OCH_3$	3	White powder (Ethyl acetate)	218.5−221.0	Hydrochloride
162	−H	−cyclo−C_3H_5	3	White powder (Ethyl acetate/isopropyl ether)	165.5−167.0	—
163	−H	$-CH(CH_3)_2$	3	White powder (Ethyl acetate/isopropyl ether)	131.5−132.5	—
164	−H	−H	3	White powder (Dichloromethane)	186.0−191.0	—
165	−H	$-(CH_2)_5OH$	3	White solid (Ethanol)	202−203	Hydrochloride
166	−H		3	Light brown solid (Ethanol)	215−216	Hydrochloride
167	−H	$-C_2H_5$	4	White powder (Ethyl acetate)	198.0−199.5	Hydrochloride
168	−H	$-CH_2CF_3$	4	White powder (Ethyl acetate)	194.5−196.0	Hydrochloride
169	−H	−H	4	White powder (2−propanol)	150.0−151.5	—
170	−H	$-CH_3$	4	White powder (Ethyl acetate)	154.0−156.0	—
171	$-CH_3$	$-CH_3$	4	White powder (Ethyl acetate)	226.0 (dec)	Hydrochloride

[Table 34]



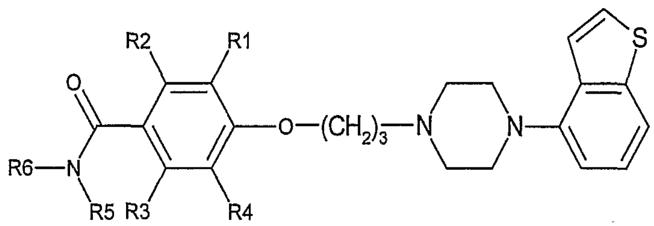
Example	R1	R2	NMR	Salt
172	-H	$-CH_2CH_2OH$	^{1}H-NMR (DMSO-d_6) δ ppm: 2.1-2.2 (2H, m), 3.1-3.8 (14H, m), 4.17 (2H, t, J=5.7 Hz), 4.6-4.8 (1H, br), 6.9-7.1 (3H, m), 7.33 (1H, dd, J=7.9, 8.1 Hz), 7.51 (1H, d, J=5.5 Hz), 7.72 (1H, d, J=8.1 Hz), 7.78 (1H, d, J=5.5 Hz), 7.86 (2H, d, J=8.8 Hz), 8.2-8.3 (1H, br), 10.2-10.4 (1H, br).	Hydrochloride

[Table 35]



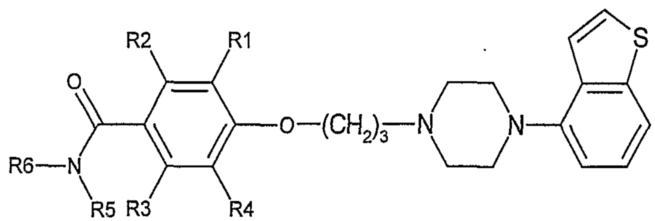
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
173	$-H$	$-H$	$-H$	$-OCH_3$	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	199.0–204.0	Hydrochloride
174	$-OCH_3$	$-H$	$-H$	$-H$	$-C_2H_5$	$-H$	White powder (Ethyl acetate/isopropyl ether)	162.0–163.0	—
175	$-Cl$	$-H$	$-H$	$-H$	$-H$	$-H$	White powder (Ethyl acetate/isopropyl ether)	154.0–155.5	—
176	$-Cl$	$-H$	$-H$	$-H$	$-CH_3$	$-H$	White powder (Ethyl acetate/isopropyl ether)	145.0–148.0	—
177	$-H$	$-H$	$-H$	$-Cl$	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	213.0 (dec)	Hydrochloride
178	$-H$	$-H$	$-H$	$-Cl$	$-C_2H_5$	$-H$	White powder (Ethyl acetate)	211.0 (dec)	Hydrochloride
179	$-Cl$	$-H$	$-H$	$-H$	$-CH_2CF_3$	$-H$	White powder (Ethyl acetate/isopropyl ether)	128.5–131.0	—
180	$-F$	$-H$	$-H$	$-H$	$-H$	$-H$	White powder (Ethyl acetate/isopropyl ether)	153.5–156.0	—

[Table 36]



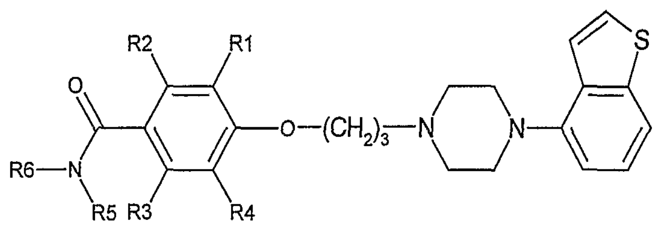
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
181	-H	-H	-H	-F	$-CH_3$	-H	White powder (Ethyl acetate)	232.0 (dec)	Hydrochloride
182	-H	-H	-H	-F	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	198.0-202.0	Hydrochloride
183	-H	-H	-H	-F	$-C_2H_5$	-H	White powder (Ethyl acetate)	210.5-213.0	Hydrochloride
184	-F	-H	-H	-H	$-CH_2CF_3$	-H	Light yellow powder (Ethyl acetate/isopropyl ether)	176.5-179.5	—
185	$-CH_3$	-H	-H	-H	-H	-H	White powder (2-propanol)	178.5-180.0	—
186	$-CH_3$	-H	-H	-H	$-CH_3$	-H	White powder (2-propanol)	156.5-158.0	—
187	-H	-H	-H	$-CH_3$	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	220.0-222.0 (dec)	Hydrochloride
188	$-CH_3$	-H	-H	-H	$-C_2H_5$	-H	White powder (2-propanol)	140.5-143.0	—
189	$-CH_3$	-H	-H	-H	$-CH_2CF_3$	-H	White powder (2-propanol)	154.5-157.0	—
190	$-OCH_3$	-H	-H	-H	-H	-H	White powder (2-propanol)	162.0-163.5	—

[Table 37]



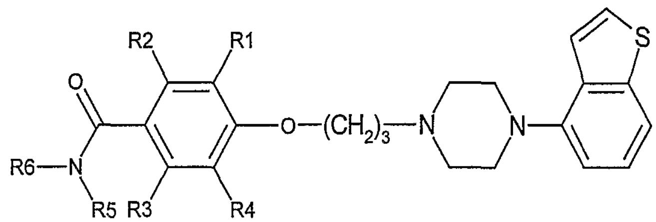
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
191	$-OCH_3$	-H	-H	-H	$-CH_3$	-H	White powder (2-propanol)	160.5-162.0	—
192	$-OCH_3$	-H	-H	-H	$-CH_2CF_3$	-H	Light yellow powder (2-propanol)	144.5-146.0	—
193	-Cl	-H	-H	-H	$-CH_2CH_2OCH_3$	-H	White powder	120-122	—
194	-H	-H	-H	-F	$-CH_2CH_2OCH_3$	-H	White powder (Ethanol/ethyl acetate)	215.0-217.0	Hydrochloride
195	$-CH_3$	-H	-H	-H	$-CH_2CH_2OCH_3$	-H	White powder (Ethanol/hexane)	120.0-121.0	—
196	-H	-H	-H	$-OCH_3$	$-CH_2CH_2OCH_3$	-H	White powder (Ethanol/ethyl acetate)	194-196	Hydrochloride
197	-Br	-H	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	152.5-154.0	—
198	-Br	-H	-H	-H	$-CH_3$	-H	White powder (Ethyl acetate/isopropyl ether)	148.0-150.0	—
199	-H	-H	-H	-Br	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	225.0 (dec)	Hydrochloride
200	-H	-H	-H	-Br	$-C_2H_5$	-H	Light yellow powder (Ethyl acetate)	214.5-220.5 (dec)	Hydrochloride

[Table 38]



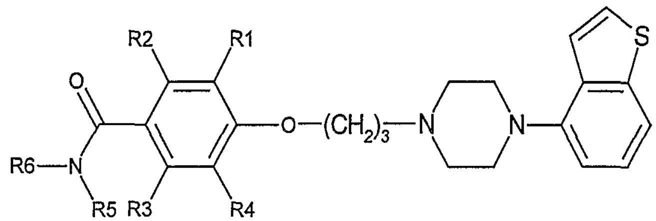
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
201	-H	-H	-H	-Br	$-CH_2CF_3$	-H	White powder (Ethyl acetate/isopropyl ether)	230.0-234.5	Hydrochloride
202	-CN	-H	-H	-H	-H	-H	White powder (Ethyl acetate)	182.0-185.0	—
203	-CN	-H	-H	-H	$-CH_3$	-H	White powder (2-propanol)	177.5-181.5	—
204	-H	-H	-H	-CN	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	213.5-214.0	Hydrochloride
205	-CN	-H	-H	-H	$-C_2H_5$	-H	White powder (2-propanol)	162.5-166.0	—
206	-H	-H	-H	-CN	$-CH_2CF_3$	-H	White powder (Ethyl acetate)	217.0-222.0	Hydrochloride
207	-H	-Cl	-H	-H	-H	-H	White powder (95% 2-propanol)	133.5-135.5	—
208	-H	-Cl	-H	-H	$-CH_3$	-H	White powder (95% 2-propanol)	137.0-139.0	—
209	-H	-H	-Cl	-H	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	236.0 (dec)	Hydrochloride
210	-H	-H	-Cl	-H	$-C_2H_5$	-H	White powder (Ethyl acetate)	223.0-224.0	Hydrochloride

[Table 39]



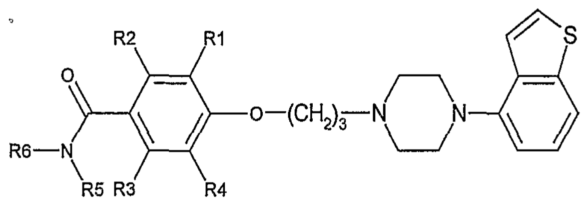
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
211	-H	-H	-Cl	-H	$-CH_2CF_3$	-H	White powder (Ethyl acetate)	210.5-216.0	Hydrochloride
212	-H	-H	$-CF_3$	-H	$-C_2H_5$	-H	White powder (Ethyl acetate)	212.0-219.5	Hydrochloride
213	-H	$-CF_3$	-H	-H	-H	-H	White powder (Dichloromethane/isopropyl ether)	139.5-141.0	Hydrochloride
214	-H	-H	$-CF_3$	-H	$-CH_3$	-H	White powder (Ethyl acetate)	214.0-218.5	Hydrochloride
215	-H	-H	$-CF_3$	-H	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	252.5 (dec)	Hydrochloride
216	-H	-H	$-CF_3$	-H	$-CH_2CF_3$	-H	White powder (Ethyl acetate)	216.0-218.5	Hydrochloride
217	-H	$-OCH_3$	-H	-H	-H	-H	White powder (2-propanol)	173.5-178.5	—

[Table 39-1]



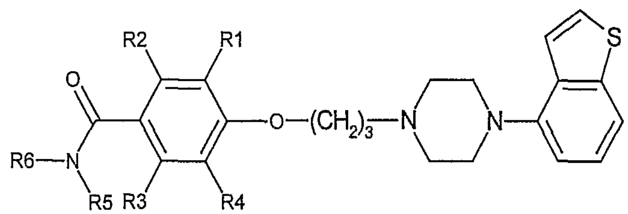
Example	R1	R2	R3	R4	R5	R6	NMR	Salt
218	$-N(CH_3)_2$	-H	-H	-H	$-C_2H_5$	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.20-1.30 (3H, m), 2.10-2.20 (2H, m), 2.69 (2H, t, J=7.3 Hz), 2.70-2.75 (4H, m), 2.85 (6H, s), 3.20-3.25 (4H, m), 3.45-3.55 (2H, m), 4.10-4.20 (2H, m), 6.00 (1H, brs), 6.85-6.95 (2H, m), 7.25-7.30 (3H, m), 7.35-7.45 (2H, m), 7.56 (1H, d, J=8.1 Hz).	—
219	$-NHCOCH_3$	-H	-H	-H	$-C_2H_5$	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.20-1.30 (3H, m), 2.05-2.15 (2H, m), 2.25 (3H, s), 2.65 (2H, t, J=7.1 Hz), 2.70-2.80 (4H, m), 3.20-3.25 (4H, m), 3.40-3.55 (2H, m), 4.21 (2H, t, J=6.4 Hz), 6.22 (1H, brs), 6.91 (1H, d, J=7.7 Hz), 6.98 (1H, d, J=8.6 Hz), 7.25-7.30 (1H, m), 7.35-7.45 (2H, m), 7.56 (1H, d, J=8.0 Hz), 7.71 (1H, d, J=8.5 Hz), 7.82 (1H, brs), 8.70 (1H, s).	—

[Table 40]



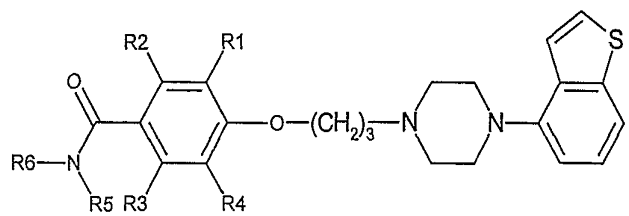
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
220	$-H$	$-H$	$-OCH_3$	$-H$	$-CH_3$	$-H$	White powder (2-propanol)	221.5-223.0	Hydrochloride
221	$-H$	$-H$	$-OCH_3$	$-H$	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	207.5-215.0	Hydrochloride
222	$-H$	$-H$	$-OCH_3$	$-H$	$-C_2H_5$	$-H$	White powder (Ethyl acetate)	197.0-202.0	Hydrochloride
223	$-H$	$-H$	$-OCH_3$	$-H$	$-CH_2CF_3$	$-H$	White powder (Ethyl acetate)	219.0-227.0	Hydrochloride
224	$-NO_2$	$-H$	$-H$	$-H$	$-H$	$-H$	Light yellow powder (Ethyl acetate/isopropyl ether)	157.5-161.0	—
225	$-NO_2$	$-H$	$-H$	$-H$	$-CH_3$	$-H$	Light yellow powder (Ethyl acetate/isopropyl ether)	157.5-161.5	—
226	$-H$	$-H$	$-H$	$-NO_2$	$-CH_2CF_3$	$-H$	Light yellow powder (Ethyl acetate)	217.5-219.5 (dec)	Hydrochloride
227	$-CF_3$	$-H$	$-H$	$-H$	$-H$	$-H$	White powder (95% 2-propanol)	163.5-165.5	—
228	$-NH_2$	$-H$	$-H$	$-H$	$-H$	$-H$	White powder (Ethyl acetate/isopropyl ether)	172.5-173.0	—
229	$-CF_3$	$-H$	$-H$	$-H$	$-CH_3$	$-H$	White powder (95% 2-propanol)	158.5-162.0	—
230	$-CF_3$	$-H$	$-H$	$-H$	$-C_2H_5$	$-H$	White powder (95% 2-propanol)	146.5-148.5	—

[Table 41]



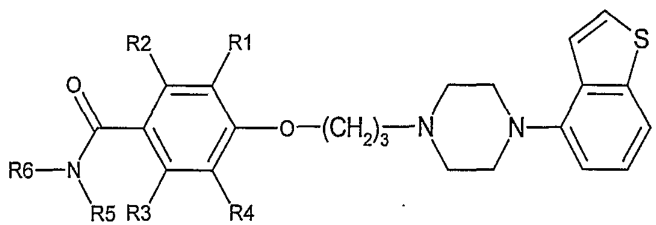
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
231	$-CF_3$	-H	-H	-H	$-CH_2CF_3$	-H	White powder (95% 2-propanol)	144.5-150.0	—
232	$-NH_2$	-H	-H	-H	$-CH_3$	-H	White powder (Ethyl acetate/isopropyl ether)	124.0-125.5	—
233	$-N(CH_3)_2$	-H	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	143.0-145.0	—
234	-H	-H	-H	$-N(CH_3)_2$	$-CH_3$	-H	White powder (Ethyl acetate)	219.0-223.0	Hydrochloride
235	$-NH_2$	-H	-H	-H	$-CH_2CF_3$	-H	White powder (Ethyl acetate/isopropyl ether)	125.0-126.0	—
236	$-N(CH_3)_2$	-H	-H	-H	$-CH_2CF_3$	-H	White powder (Ethyl acetate/isopropyl ether)	147.5-148.5	—
237	-H	$-CH_3$	-H	-H	-H	-H	White powder (95% 2-propanol)	150.5-152.5	—
238	-H	$-CH_3$	-H	-H	$-CH_3$	-H	White powder (95% 2-propanol)	138.0-139.0	—
239	-H	$-CH_3$	-H	-H	$-C_2H_5$	-H	White powder (95% 2-propanol)	137.5-139.0	—
240	$-CH_3$	-H	-H	$-CH_3$	-H	-H	White powder (95% 2-propanol)	167.0-168.0	—

[Table 42]



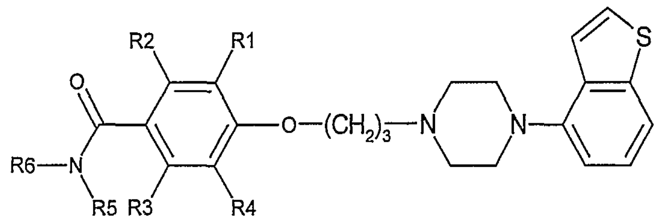
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (℃)	Salt
241	$-CH_3$	-H	-H	$-CH_3$	$-CH_3$	-H	White powder (95% 2-propanol)	152.5-154.5	—
242	$-CH_3$	-H	-H	$-CH_3$	$-C_2H_5$	-H	White powder (95% 2-propanol)	184.0-185.5	—
243	$-OCH_3$	-H	-H	$-OCH_3$	-H	-H	White powder (Ethyl acetate/isopropyl ether)	147.5-148.0	—
244	$-OCH_3$	-H	-H	$-OCH_3$	$-CH_3$	-H	White powder (Ethyl acetate)	233.0-237.5 (dec)	Hydrochloride
245	$-OCH_3$	-H	-H	$-OCH_3$	$-C_2H_5$	-H	White powder (Ethyl acetate/isopropyl ether)	145.5-147.5	—
246	$-OC_2H_5$	-H	-H	$-CH_3$	$-CH_3$	-H	White powder (Ethanol/ethyl acetate)	186.5-188.0	Hydrochloride
247	$-CH_2CH=CH_2$	-H	-H	$-OCH_3$	-H	-H	(Ethyl acetate/isopropyl ether)	126.0-130.0	—
248	$-C_3H_7$	-H	-H	$-OCH_3$	-H	-H	(Ethyl acetate/isopropyl ether)	137.5-140.0	—
249	$-OCH_3$	-H	-H	$-CH_2CH=CH_2$	$-CH_3$	-H	White powder (Ethyl acetate)	180.5-186.0	Hydrochloride
250	$-OCH_3$	-H	-H	$-C_3H_7$	$-CH_3$	-H	White powder (Ethyl acetate)	186.5-192.0	Hydrochloride

[Table 43]



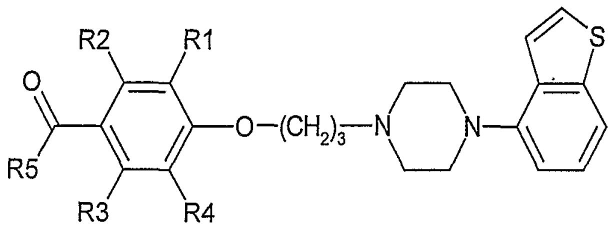
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
251	$-CH_3$	-H	-H	$-OCH_3$	-H	-H	White powder (Ethyl acetate/isopropyl ether)	156.0-157.0	—
252	$-CH_3$	-H	-H	$-OCH_3$	$-CH_3$	-H	White powder (Ethyl acetate/methanol)	141.5-142.5	—
253	$-OCH_3$	-H	-H	$-CH_3$	$-C_2H_5$	-H	White powder (Ethyl acetate)	220.5-224.5	Hydrochloride
254	$-OCH_3$	-H	-H	$-CH_3$	$-CH_3$	$-OCH_3$	White powder (Ethyl acetate)	223.0-227.5	Hydrochloride

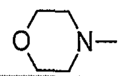
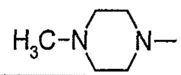
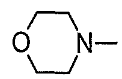
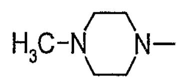
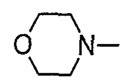
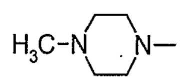
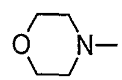
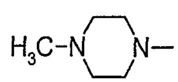
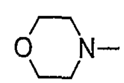
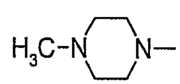
[Table 44]



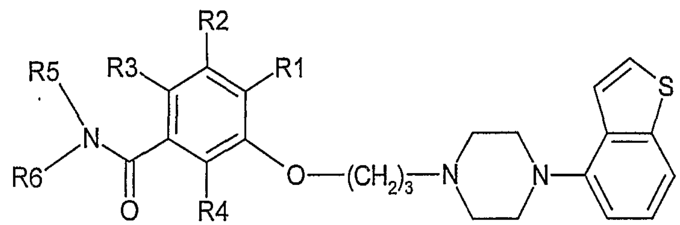
Example	R1	R2	R3	R4	R5	R6	NMR	Salt
255	-H	-H	-H	$-NO_2$	$-C_2H_5$	-H	1H-NMR (CDCl3) δ ppm: 1.28 (3H, t, J=7.3 Hz), 2.05-2.15 (2H, m), 2.68 (2H, t, J=7.0 Hz), 2.73 (4H, brs), 3.19 (4H, brs), 3.45-3.55 (2H, m), 4.29 (2H, t, J=6.2 Hz), 6.14 (1H, brs), 6.90 (1H, d, J=7.6 Hz), 7.18 (1H, d, J=8.8 Hz), 7.25-7.30 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz), 8.04 (1H, dd, J=2.3, 8.8 Hz), 8.23 (1H, d, J=2.2 Hz).	–

[Table 45]



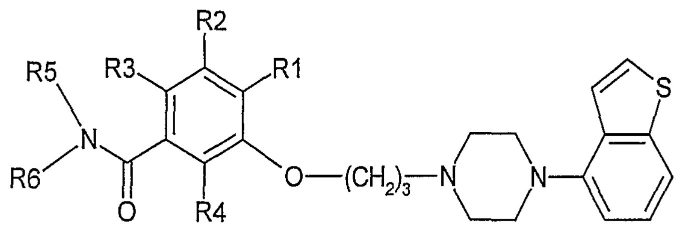
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
256	-H	-H	-H	-H		White powder (Ethyl acetate)	234.5-238.0	Hydrochloride
257	-H	-H	-H	-H		White powder (Ethyl acetate)	244.0 (dec)	Dihydrochloride
258	-H	-H	-H	-Cl		White powder (Ethyl acetate)	218.5-222.0	Hydrochloride
259	-H	-H	-H	-Cl		White powder (Ethyl acetate)	255.0 (dec)	Dihydrochloride
260	-H	-H	-H	-F		White powder (Ethyl acetate)	224.5-227.5 (dec)	Hydrochloride
261	-H	-H	-H	-F		White powder (Ethyl acetate)	255.0 (dec)	Dihydrochloride
262	-H	-H	-H	$-CH_3$		White powder (Ethyl acetate)	236.0 (dec)	Hydrochloride
263	-H	-H	-H	$-CH_3$		White powder (Ethyl acetate)	255.5 (dec)	Dihydrochloride
264	-H	-H	-H	$-OCH_3$		White powder (Ethyl acetate)	226.0-228.0 (dec)	Hydrochloride
265	-H	-H	-H	$-OCH_3$		White powder (Ethyl acetate)	232.0 (dec)	Dihydrochloride

[Table 46]



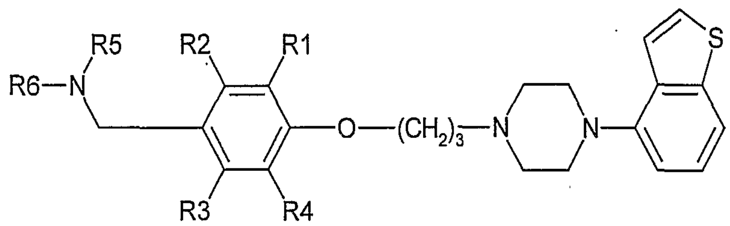
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
266	-H	-H	-H	-H	-H	-H	Light yellow powder (Ethyl acetate/isopropyl ether)	158.0-160.0	—
267	-H	-H	-H	-H	-H	$-CH_3$	Light yellow powder (Ethyl acetate)	183.0-186.0	Hydrochloride
268	-H	-H	-H	-H	$-CH_3$	$-CH_3$	Light yellow powder (Ethyl acetate)	158.0-161.5	Hydrochloride
269	-H	-H	-H	-H	-H	$-C_2H_5$	Light yellow powder (Ethyl acetate)	168.5-173.0	Hydrochloride
270	-H	-H	-H	-H	-H	$-CH_2CF_3$	Light yellow powder (Ethyl acetate/isopropyl ether)	187.5-189.0	Hydrochloride
271	-F	-H	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	156.5-159.0	—
272	-F	-H	-H	-H	-H	$-CH_3$	White powder (Ethyl acetate/isopropyl ether)	214.5-218.0	Hydrochloride
273	-F	-H	-H	-H	-H	$-C_2H_5$	White powder (Ethyl acetate)	211.0-218.0	Hydrochloride
274	-Cl	-H	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	139.0-140.5	—
275	-Cl	-H	-H	-H	-H	$-CH_3$	White powder (Ethyl acetate)	218.5-222.5	Hydrochloride
276	-Cl	-H	-H	-H	-H	$-C_2H_5$	White powder (Ethyl acetate)	247.0 (dec)	Hydrochloride
277	$-CH_3$	-H	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	129.5-130.0	—
278	$-CH_3$	-H	-H	-H	-H	$-CH_3$	White powder (Ethyl acetate/isopropyl ether)	148.5-151.0	—
279	$-CH_3$	-H	-H	-H	-H	$-C_2H_5$	White powder (Ethyl acetate/isopropyl ether)	133.0-134.5	—
280	$-OCH_3$	-H	-H	-H	-H	-H	White powder (Ethyl acetate)	155.5-160.0	—
281	$-OCH_3$	-H	-H	-H	-H	$-CH_3$	White powder (Ethyl acetate)	163.5-165.0	Hydrochloride

[Table 47]



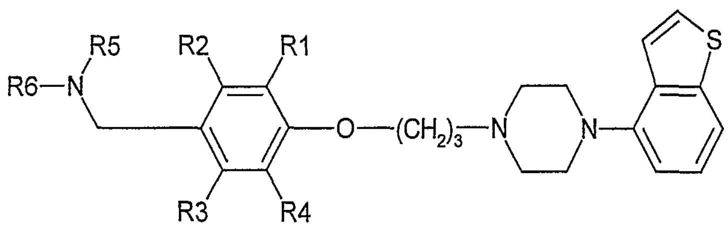
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
282	$-OCH_3$	-H	-H	-H	-H	$-C_2H_5$	White powder (Ethyl acetate)	187.0-188.5	Hydrochloride
283	$-OCH_3$	$-OCH_3$	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	132.0-134.0	—
284	$-OCH_3$	$-OCH_3$	-H	-H	-H	$-CH_3$	White powder (Ethyl acetate)	201.0-206.0	Hydrochloride
285	$-OCH_3$	$-OCH_3$	-H	-H	-H	$-C_2H_5$	White powder (Ethyl acetate/isopropyl ether)	156.0-158.5	—

[Table 48]



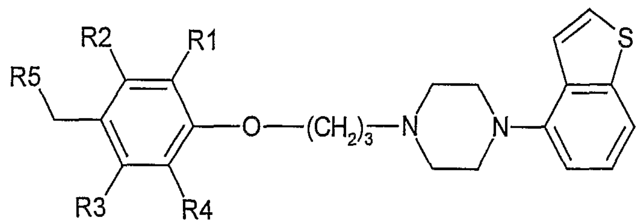
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
286	-H	-H	-H	-H	$-C_2H_5$	-H	Light yellow powder (Ethyl acetate)	228.0-241.0 (dec)	Dihydrochloride
287	-H	-H	-H	-H	$-C_3H_7$	-H	White powder (Ethyl acetate)	232.0-236.0 (dec)	Dihydrochloride
288	-H	-H	-H	-H	$-C_3H_7$	$-CH_3$	White powder (Ethyl acetate)	210.0-222.0 (dec)	Dihydrochloride
289	-H	-H	-H	-H	$-CH_3$	-H	White powder (Ethyl acetate)	235.5 (dec)	Dihydrochloride
290	-H	-H	-H	-H	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	257.5 (dec)	Dihydrochloride
291	-H	-H	-H	-H	$-C_2H_5$	$-C_2H_5$	White powder (Ethyl acetate)	232.0 (dec)	Dihydrochloride
292	-H	-H	-H	-H	$-CH_2CF_3$	-H	White powder (Ethyl acetate)	238.5-240.5 (dec)	Dihydrochloride
293	-H	-H	-H	-H	$-CH_2CH_2N(C_2H_5)_2$	-H	White powder (Ethyl acetate)	209.5 (dec)	Triydrochloride
294	-H	-H	-H	-H	-H	-H	Light yellow powder (Ethyl acetate)	245.5 (dec)	Dihydrochloride
295	-H	-H	-H	-H	-CHO	-H	White powder (Ethyl acetate)	207.5-213.0	Hydrochloride
296	-H	-H	-H	-H	$-COCH_3$	$-CH_3$	White powder (Ethyl acetate)	196.5-201.0	Hydrochloride
297	-H	-H	-H	-H	$-COC_2H_5$	$-CH_3$	White powder (Ethyl acetate)	194.5-198.0	Hydrochloride
298	-H	-H	-H	-H	$-COC_6H_5$	$-CH_3$	White powder (Ethyl acetate)	192.5-195.5	Hydrochloride
299	-H	-H	-H	-H	$-CH_2C_6H_5$	$-CH_3$	White powder (Ethyl acetate)	236.5 (dec)	Dihydrochloride
300	-H	-H	-H	-H	$-C_6H_5$	-H	White powder (Ethyl acetate)	191.0-193.5	Dihydrochloride
301	$-OCH_3$	-H	-H	-H	$-CH_3$	$-CH_3$	White powder (Ethyl acetate/isopropyl ether)	101.0-103.0	—
302	-H	-H	-H	-H	$-C_6H_5$	$-CH_3$	White powder (Ethyl acetate)	207.5-214.5	Triydrochloride
303	-H	-H	-H	-Cl	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	259.0 (dec)	Dihydrochloride
304	-H	-H	-H	-F	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	247.0 (dec)	Dihydrochloride
305	-H	-H	-H	-F	$-CH_3$	-H	White powder (Ethyl acetate)	237.0 (dec)	Dihydrochloride
306	-H	-H	-H	-F	$-CH_3$	$-COCH_3$	White powder (Ethyl acetate)	196.0-199.0	Hydrochloride

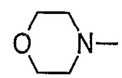
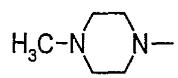
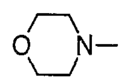
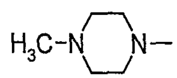
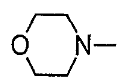
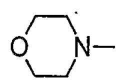
[Table 49]



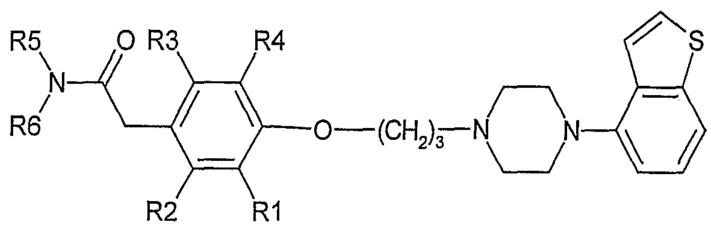
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
307	-H	-H	-H	$-CH_3$	$-CH_3$	$-C_2H_5$	White powder (Ethyl acetate)	256.5 (dec)	Dihydrochloride
308	-H	-H	-H	$-CH_3$	$-CH_3$	-H	White powder (Ethyl acetate)	254.5 (dec)	Dihydrochloride
309	-H	-H	-H	$-CH_3$	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	277.5 (dec)	Dihydrochloride
310	-H	-H	-H	$-CH_3$	$-COCH_3$	$-CH_3$	White powder (Ethyl acetate)	230.0-232.0 (dec)	Hydrochloride
311	$-OCH_3$	-H	-H	-H	$-CH_3$	-H	White powder (Ethyl acetate)	239.5 (dec)	Dihydrochloride
312	-H	-H	-H	$-OCH_3$	$-CH_3$	$-COCH_3$	White powder (Ethyl acetate)	206.0-211.5	Hydrochloride

[Table 50]



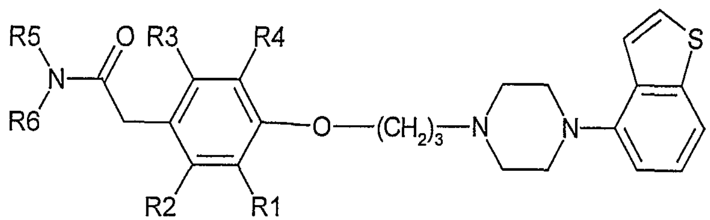
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
313	-H	-H	-H	-H		White powder (Ethyl acetate)	243.5 (dec)	Dihydrochloride
314	-H	-H	-H	-H		White powder (Ethyl acetate)	261.5 (dec)	Dihydrochloride
315	-H	-H	-H	-Cl		White powder (Ethyl acetate)	249.0 (dec)	Dihydrochloride
316	-H	-H	-H	-Cl		White powder (Ethyl acetate)	253.5 (dec)	Triydrochloride
317	-H	-H	-H	-F		White powder (Ethyl acetate)	252.0 (dec)	Dihydrochloride
318	-H	-H	-H	$-CH_3$		White powder (Ethyl acetate)	242.0 (dec)	Dihydrochloride

[Table 51]



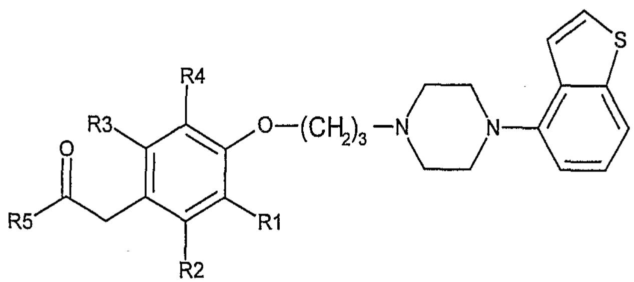
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
319	-H	-H	-H	-H	$-C_2H_5$	$-C_2H_5$	Light yellow powder (Ethyl acetate)	179.0-183.5	Hydrochloride
320	-H	-H	-H	-H	-H	-H	White powder (Ethyl acetate/water)	150.0-154.5	—
321	-H	-H	-H	-H	-H	$-CH_3$	White powder (Ethyl acetate)	198.0-207.0	Hydrochloride
322	-H	-H	-H	-H	$-CH_3$	$-CH_3$	White powder (Ethyl acetate/isopropyl ether)	128.0-129.5	—
323	-H	-H	-H	-H	-H	$-C_2H_5$	White powder (Ethyl acetate/isopropyl ether)	112.5-113.5	—
324	-H	-H	-H	-H	-H	$-CH_2CF_3$	White powder (Ethyl acetate/isopropyl ether)	126.0-127.0	—
325	-Cl	-H	-H	-H	-H	-H	White powder (2-propanol)	161.5-166.0	—
326	-H	-H	-H	-Cl	-H	$-CH_3$	White powder (Ethyl acetate)	194.5-197.0	Hydrochloride
327	-H	-H	-H	-Cl	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	197.5-201.0	Hydrochloride
328	-H	-H	-H	-Cl	-H	$-C_2H_5$	White powder (Ethyl acetate)	227.5 (dec)	Hydrochloride
329	-H	-H	-H	-Cl	-H	$-CH_2CF_3$	(Ethyl acetate)	204.0-206.0	Hydrochloride
330	$-OCH_3$	-H	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	129.0-130.0	—
331	-H	-H	-H	$-OCH_3$	-H	$-CH_3$	White powder (Ethyl acetate)	176.0-178.5	Hydrochloride
332	-H	-H	-H	$-OCH_3$	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	188.5-192.0	Hydrochloride
333	-H	-H	-H	$-OCH_3$	-H	$-C_2H_5$	White powder (Ethyl acetate)	178.0-184.0	Hydrochloride
334	-H	-H	-H	$-OCH_3$	-H	$-CH_2CF_3$	Light yellow powder (Ethyl acetate)	187.5-192.0	Hydrochloride

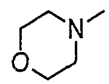
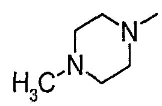
[Table 52]



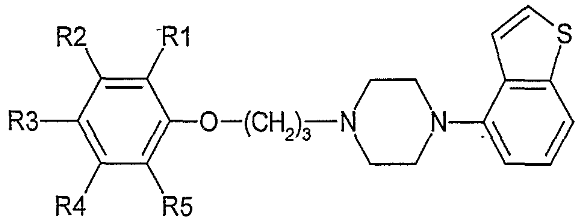
Example	R1	R2	R3	R4	R5	R6	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
335	-F	-H	-H	-H	-H	-H	White powder (2-propanol)	146.5-150.0	—
336	-H	-H	-H	-F	-H	$-CH_3$	White powder (Ethyl acetate)	191.0-193.0	Hydrochloride
337	-H	-H	-H	-F	$-CH_3$	$-CH_3$	White powder (Ethyl acetate)	192.5-197.0	Hydrochloride
338	-H	-H	-H	-F	-H	$-C_2H_5$	White powder (Ethyl acetate)	216.0-220.5	Hydrochloride
339	-H	-H	-H	-F	-H	$-CH_2CF_3$	Light yellow powder (Ethyl acetate)	197.0-202.0	Hydrochloride
340	-H	-H	-H	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	149.5-150.5	—

[Table 53]



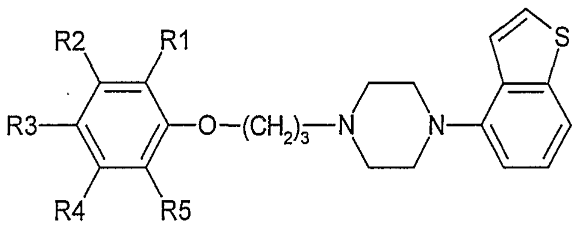
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
341	-H	-H	-H	-H		White powder (Ethyl acetate/isopropyl ether)	130.5~131.5	—
342	-H	-H	-H	-H		White powder (Ethyl acetate)	227.5 (dec)	Dihydrochloride

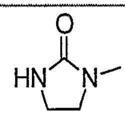
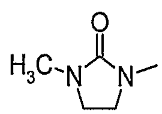
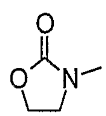
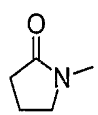
[Table 54]



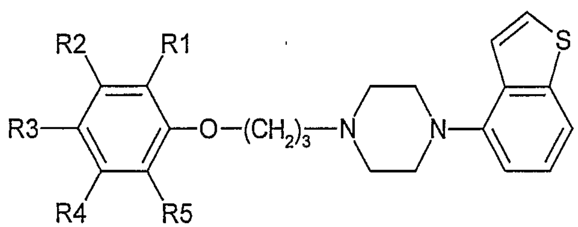
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
343	-H	-H	$-NHCOCH_3$	-H	-H	White powder (Ethanol)	283.0-285.0	Hydrochloride
344	-H	-H	$-NHCO_2CH_3$	-H	-H	Light yellow powder (Ethyl acetate/isopropyl ether)	149.5-150.5	—
345	-H	-H	$-NHSO_2C_2H_5$	-H	-H	Light yellow powder (Ethanol/ethyl acetate)	174-176	Dihydrochloride
346	-H	-H	$-NHC_2H_5$	-H	-H	White powder (Ethyl acetate)	225 (dec)	Hydrochloride
347	-H	-H	$-N(CH_3)CO_2CH_3$	-H	-H	White powder (Ethyl acetate)	196.0-202.0	Hydrochloride
348	-H	-H	$-N(CH_3)COCH_3$	-H	-H	White powder (Ethanol)	246-247	Hydrochloride
349	-H	-H	$-NH_2$	-H	-H	White powder (Ethanol containing water)	266-271 (dec)	Hydrochloride
350	-H	-H	$-NHCH_3$	-H	-H	White powder (Ethanol)	264-266	Dihydrochloride
351	-H	-H	$-N(CH_3)_2$	-H	-H	White powder (Ethanol)	269-270	Dihydrochloride
352	$-CH_3$	-H	$-NH_2$	-H	$-OCH_3$	Light yellow solid (Ethyl acetate)	155.0-158.0	—
353	$-OCH_3$	-H	$-NHCON(CH_3)_2$	-H	$-CH_3$	White powder (Ethyl acetate)	206.0-210.0	Hydrochloride
354	$-OCH_3$	-H	-NHCHO	-H	$-CH_3$	White powder (Ethyl acetate)	247.5-253.0 (dec)	Hydrochloride
355	$-OCH_3$	-H	$-NHCO_2CH_3$	-H	$-CH_3$	White powder (Ethyl acetate)	230.0-235.5	Hydrochloride

[Table 55]



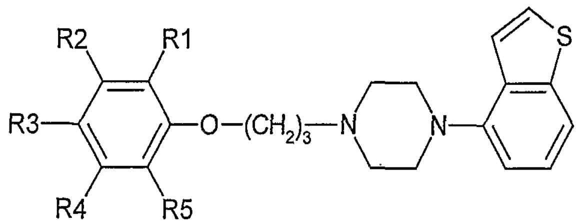
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
356	-H	-H		-H	-H	White powder (Ethyl acetate/2-propanol)	154.5-156.5	—
357	-H	-H		-H	-H	White powder (2-propanol)	141.0-144.5	—
358	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethanol)	247.5-251.0 (dec)	Hydrochloride
359	$-CH_2OH$	-H		-H	$-OCH_3$	White powder (Ethanol)	144.0-145.0	Hydrochloride

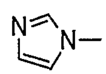
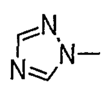
[Table 56]



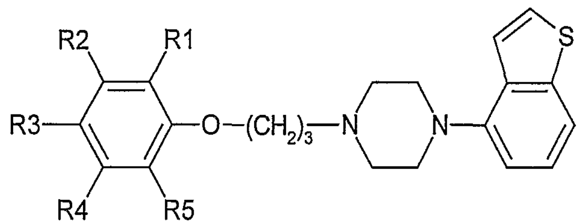
Example	R1	R2	R3	R4	R5	NMR	Salt
360	-H	-H	$-NHCH(CH_3)_2$	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 1.24 (6H, d, J = 6.5Hz), 2.2-2.4 (2H, m), 3.15-3.8 (12H, m), 4.15 (2H, t, J = 6Hz), 6.99 (1H, d, J = 7.5Hz), 7.11 (2H, d, J = 9Hz), 7.33 (1H, dd, J = 8, 8Hz), 7.4-7.55 (3H, m), 7.71 (1H, d, J = 8Hz), 7.78 (1H, d, J = 5.5Hz), 10.87 (3H, br).	Trihydrochloride
361	$-OCH_3$	-H	$-NHCO_2CH_3$	-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 2.00-2.15 (2H, m), 2.60-2.70 (2H, m), 2.73 (4H, brs), 3.20 (4H, brs), 3.77 (3H, s), 3.88 (3H, s), 4.10 (2H, t, J=6.6 Hz), 6.52 (1H, brs), 6.74 (1H, dd, J=2.5, 8.6 Hz), 6.87 (1H, d, J=8.6 Hz), 6.90 (1H, d, J=7.7 Hz), 7.19 (1H, brs), 7.28 (1H, dd, J=7.8, 7.8 Hz), 7.35-7.45 (2H, m), 7.55 (1H, d, J=7.8 Hz).	—
362	-H	-H	$-NHCON(CH_3)_2$	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 2.20-2.30 (2H, m), 2.91 (6H, s), 3.20-3.40 (6H, m), 3.55 (2H, d, J=12.4 Hz), 3.65 (2H, d, J=11.4 Hz), 4.05 (2H, t, J=6.0 Hz), 6.86 (2H, d, J=9.0 Hz), 6.98 (1H, d, J=7.6 Hz), 7.30-7.40 (3H, m), 7.50 (1H, d, J=5.5 Hz), 7.71 (1H, d, J=8.1 Hz), 7.78 (1H, d, J=5.5 Hz), 8.16 (1H, brs), 11.05 (1H, brs).	Dihydrochloride
363	-F	-H	$-NHCO_2CH_3$	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 2.24 (2H, brs), 3.10-3.25 (2H, m), 3.30-3.50 (4H, m), 3.50-3.60 (2H, m), 3.66 (3H, s), 3.65-3.70 (2H, m), 4.13 (2H, t, J=5.9 Hz), 6.98 (1H, d, J=7.6 Hz), 7.10-7.20 (2H, m), 7.32 (1H, dd, J=7.9, 7.9 Hz), 7.40 (1H, d, J=13.3 Hz), 7.50 (1H, d, J=5.5 Hz), 7.71 (1H, d, J=8.1 Hz), 7.77 (1H, d, J=5.5 Hz), 9.69 (1H, brs), 10.56 (1H, brs).	Hydrochloride

[Table 56-1]



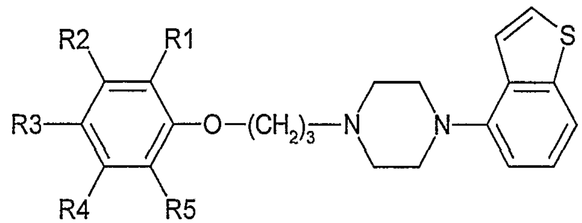
Example	R1	R2	R3	R4	R5	NMR	Salt
364	-H	-H	$-NHCONH_2$	-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.95–2.10 (2H, m), 2.64 (2H, t, J=7.3 Hz), 2.70–2.75 (4H, m), 3.15–3.20 (4H, m), 4.03 (2H, t, J=6.3 Hz), 4.83 (2H, brs), 6.83 (1H, brs), 6.85–6.95 (3H, m), 7.20 (2H, d, J=8.6 Hz), 7.25–7.30 (1H, m), 7.35–7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz).	—
365	-H	-H	$-NHCON(C_2H_5)_2$	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 1.06 (6H, t, J=7.0 Hz), 2.15–2.30 (2H, m), 3.20–3.45 (10H, m), 3.54 (2H, d, J=12 Hz), 3.64 (2H, d, J=12 Hz), 4.03 (2H, t, J=5.9 Hz), 6.84 (2H, d, J=8.9 Hz), 6.97 (1H, d, J=7.7 Hz), 7.25–7.40 (3H, m), 7.49 (1H, d, J=5.6 Hz), 7.70 (1H, d, J=8.1 Hz), 7.76 (1H, d, J=5.6 Hz), 8.01 (1H, s), 10.95 (1H, s).	Dihydrochloride
366	-H	-H		-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 2.05–2.10 (2H, m), 2.67 (2H, t, J=7.3 Hz), 2.76 (4H, brs), 3.22 (4H, brs), 4.11 (2H, t, J=6.3 Hz), 6.91 (1H, d, J=7.6 Hz), 7.01 (2H, d, J=8.9 Hz), 7.20 (2H, d, J=9.6 Hz), 7.25–7.35 (3H, m), 7.35–7.45 (2H, m), 7.56 (1H, d, J=8.0 Hz), 7.77 (1H, s).	—
367	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 2.05–2.15 (2H, m), 2.67 (2H, t, J=7.2 Hz), 2.75 (4H, brs), 3.21 (4H, brs), 4.12 (2H, t, J=6.3 Hz), 6.91 (1H, d, J=7.6 Hz), 7.00–7.05 (2H, m), 7.25–7.30 (1H, m), 7.35–7.45 (2H, m), 7.50–7.60 (3H, m), 8.08 (1H, s), 8.45 (1H, s).	—

[Table 57]



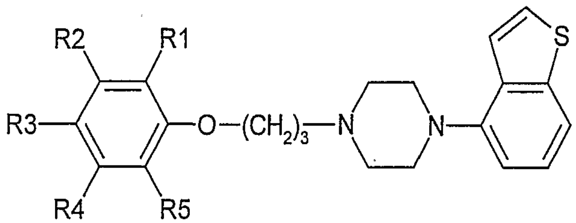
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
368	-H	-H	$-CH_2CH_2N(C_2H_5)_2$	-H	-H	White powder (Ethyl acetate)	224.0-232.0 (dec)	Dihydrochloride
369	-H	-H	-H	$-NHCO_2CH_3$	-H	White powder (Ethyl acetate)	178.0-181.0 (dec)	Hydrochloride
370	-H	-H	-CN	-H	-H	Light yellow powder (Ethyl acetate/isopropyl ether)	105.5-107.0	—
371	-H	-H	$-CO_2H$	-H	-H	White powder (Hydrochloric acid/acetic acid)	263.0 (dec)	Hydrochloride
372	-H	-H	$-CO_2CH_3$	-H	$-OCH_3$	White powder (Ethyl acetate)	242.0 (dec)	Hydrochloride
373	-H	-H	-Br	-H	-H	White powder (Ethyl acetate/isopropyl ether)	119.0-120.0	—
374	$-OCH_3$	-H	$-CO_2H$	-H	-H	White powder (Water)	121.0-124.5	—
375	-Cl	-H	$-CO_2C_2H_5$	-H	-H	Light yellow powder (Ethanol/isopropyl ether)	122.0-123.5	—
376	-H	-H	$-CH_2CO_2CH_3$	-H	-H	White powder (Ethyl acetate)	213.5-221.5 (dec)	Hydrochloride
377	-H	-H	$-CO_2C_2H_5$	-H	-F	White powder (Ethyl acetate)	231.5-233.5	Hydrochloride

[Table 58]



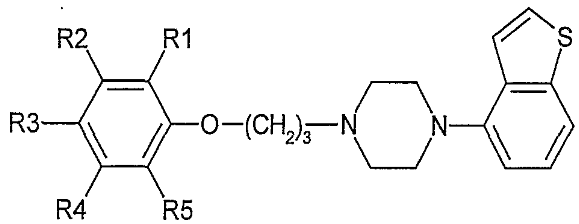
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
378	-H	-H	$-CO_2H$	-H	-Cl	White powder (Hydrochloric acid/acetic acid)	273.0 (dec)	Hydrochloride
379	-H	-H	$-CH_2CO_2H$	-H	-H	White powder (Hydrochloric acid/acetic acid)	217.0-222.0	Hydrochloride
380	-H	-H	$-CO_2H$	-H	-F	White powder (Hydrochloric acid/acetic acid)	267.0 (dec)	Hydrochloride
381	-H	-H	$-CH_2CH_2NHCH_3$	-H	-H	White powder (Ethyl acetate)	258.0 (dec)	Dihydrochloride
382	-H	-H	$-CH_2CH_2N(CH_3)_2$	-H	-H	White powder (Ethyl acetate)	236.5 (dec)	Dihydrochloride
383	-H	-H	$-CH_2CH_2N(CH_3)COCH_3$	-H	-H	White powder (Ethyl acetate)	215.0-217.0	Hydrochloride
384	-H	-H	$-CH_2CH_2N(CH_3)COC_2H_5$	-H	-H	White powder (Ethyl acetate)	211.0-217.0	Hydrochloride
385	-H	-H	$-CH_2CH_2N(CH_3)COC_6H_5$	-H	-H	White powder (Ethyl acetate)	210.5-212.0	Hydrochloride
386	-H	-H	$-CH_2CH_2N(CH_3)CH_2C_6H_5$	-H	-H	White powder (Ethyl acetate)	196.0-202.0 (dec)	Dihydrochloride
387	-H	-H	$-CH_2CH_2NHC_2H_5$	-H	-H	White powder (Ethyl acetate/isopropyl ether)	230.0 (dec)	Dihydrochloride

[Table 59]



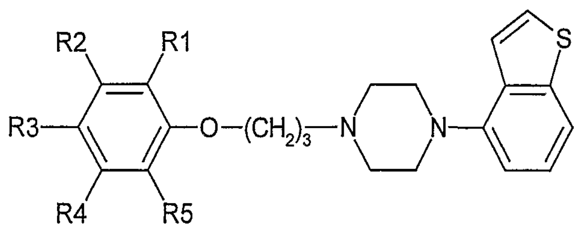
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
388	$-H$	$-H$	$-CH_2CH_2NHCH_2CF_3$	$-H$	$-H$	White powder (Ethyl acetate)	223.0 (dec)	Dihydrochloride
389	$-H$	$-H$	$-CH_2CO_2C_2H_5$	$-H$	$-Cl$	White powder (Ethyl acetate)	225.0~228.5	Hydrochloride
390	$-H$	$-H$	$-CH_2CO_2H$	$-H$	$-Cl$	White powder (Hydrochloric acid/acetic acid)	208.0~209.5	Hydrochloride
391	$-H$	$-H$	$-CH_2CO_2C_2H_5$	$-H$	$-OCH_3$	White powder (Ethyl acetate)	205.5~213.5	Hydrochloride
392	$-CH_3$	$-H$	$-CN$	$-H$	$-H$	Light yellow powder (Ethyl acetate/isopropyl ether)	105.5~106.0	—
393	$-H$	$-H$	$-CH_2CO_2H$	$-H$	$-OCH_3$	White powder (Hydrochloric acid/acetic acid)	198.5~201.0	Hydrochloride
394	$-H$	$-H$	$-SO_2NH_2$	$-H$	$-H$	White powder (Ethanol)	199.0~203.0	—
395	$-H$	$-H$	$-CO_2H$	$-H$	$-CH_3$	White powder (Hydrochloric acid/acetic acid)	280.0 (dec)	Hydrochloride
396	$-H$	$-H$	$-CH_2CO_2C_2H_5$	$-H$	$-F$	White powder (Ethyl acetate)	220.5~224.0	Hydrochloride
397	$-H$	$-H$	$-CH_2CO_2H$	$-H$	$-F$	White powder (Hydrochloric acid/acetic acid)	181.5~184.5	Hydrochloride

[Table 60]



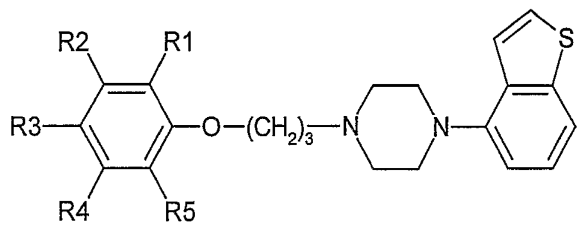
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
398	-H	-H	-CN	$-OCH_3$	-H	White powder (Ethyl acetate)	238.0 (dec)	Hydrochloride
399	-H	-H	$-CO_2C_2H_5$	-H	-Br	White powder (Ethyl acetate)	237.5-242.5 (dec)	Hydrochloride
400	-H	-CN	-H	-H	-H	White powder (Ethyl acetate)	217.5-221.0 (dec)	Hydrochloride
401	-H	-H	$-CO_2H$	-H	-Br	White powder (Hydrochloric acid/acetic acid)	271.0 (dec)	Hydrochloride
402	-H	-H	-H	$-CO_2H$	-H	White powder (Hydrochloric acid/acetic acid)	242.5-244.5	Hydrochloride
403	-H	-H	-H	-H	-CN	White powder (Ethyl acetate)	221.5-226.0	Hydrochloride
404	-CN	-H	$-CO_2C_2H_5$	-H	-H	White powder (Ethyl acetate/isopropyl ether)	128.5-130.0	—
405	-H	-H	$-CO_2H$	-H	-CN	White powder (Dichloromethane/water)	271.0 (dec)	Hydrochloride
406	$-CONHC_2H_5$	-H	-H	-H	-H	White powder (Ethyl acetate)	220.0-227.5	Hydrochloride
407	-H	-H	$-CO_2C_2H_5$	$-CF_3$	-H	White powder (Ethyl acetate)	224.5-232.0	Hydrochloride

[Table 61]



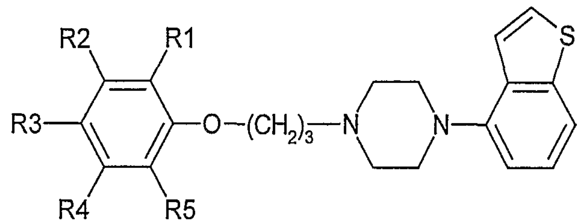
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
408	-H	-H	$-CO_2C_2H_5$	-Cl	-H	White powder (Ethyl acetate)	216.5-219.0	Hydrochloride
409	-H	-H	$-CO_2H$	-Cl	-H	White powder (Ethyl acetate)	259.0 (dec)	Hydrochloride
410	-H	$-OCH_3$	-CHO	-H	-H	White powder (Ethyl acetate 2-propanol)	118.0-119.5	—
411	-H	-H	$-CO_2H$	$-CF_3$	-H	White powder (Water)	240.0 (dec)	Hydrochloride
412	-H	-H	-CN	$-CH_3$	-H	White powder (Ethyl acetate)	230.0-237.0	Hydrochloride
413	$-NO_2$	-H	$-CO_2C_2H_5$	-H	-H	Light yellow powder (Ethyl acetate/isopropyl ether)	113.0-114.0	—
414	-H	-H	-CHO	-H	-H	White powder (Ethyl acetate)	102.5-105.5	—
415	-H	-H	$-CO_2H$	-H	$-NO_2$	White powder (Hydrochloric acid/acetic acid)	259.0 (dec)	Dihydrochloride
416	-H	-H	$-CH=CHCO_2H$	-H	-H	White powder (Hydrochloric acid/water)	265.0 (dec)	Hydrochloride
417	-H	-H	$-CO_2C_2H_5$	-H	$-CF_3$	White powder (Ethyl acetate)	211.5-221.0	Hydrochloride

[Table 62]



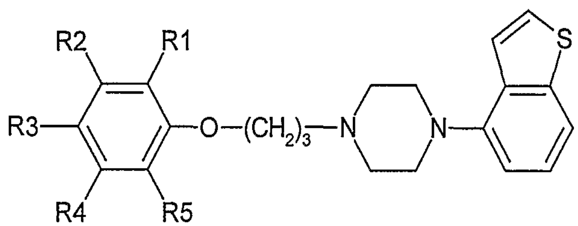
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
418	-H	-H	$-CO_2H$	-H	$-CF_3$	White powder (Ethyl acetate)	269.0 (dec)	Hydrochloride
419	-H	$-CH_2CO_2C_2H_5$	-H	-H	-H	White powder (Ethyl acetate)	206.0-208.0	Hydrochloride
420	-H	-H	$-CH=CHCONH_2$	-H	-H	White powder (Ethyl acetate)	210.5-215.0	—
421	-H	$-CH_2CO_2H$	-H	-H	-H	Light brown powder (Ethyl acetate)	255.0 (dec)	Hydrochloride
422	-H	-H	$-CH=CHCONHCH_3$	-H	-H	White powder (95%-2-propanol)	165.5-169.0	—
423	-H	-H	$-CH=CHCON(CH_3)_2$	-H	-H	White powder (95%-2-propanol)	130.5-131.5	—
424	-H	-H	$-CH=CHCONHC_2H_5$	-H	-H	White powder (95%-2-propanol)	158.0-159.0	—
425	-H	-H	$-CH=CHCONHCH_2CF_3$	-H	-H	White powder (95%-2-propanol)	177.5-180.0	—
426	-H	-H	$-(CH_2)_2CO_2C_2H_5$	-H	-H	White powder (Ethyl acetate)	235.0-237.5	Hydrochloride
427	-F	-H	-H	$-CO_2C_2H_5$	-H	White powder (Ethyl acetate)	218.5-224.0	Hydrochloride

[Table 63]



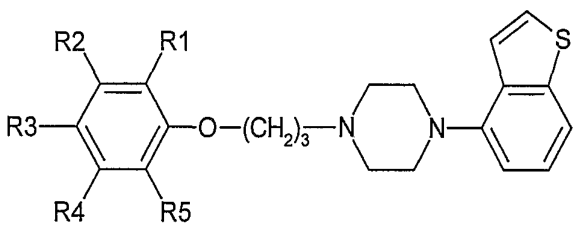
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
428	-H	-H	$-CH_2CH_2CO_2H$	-H	-H	White powder (Hydrochloric acid/acetic acid)	240.0 (dec)	Hydrochloride
429	-F	-H	-H	$-CO_2H$	-H	White powder (Hydrochloric acid/acetic acid)	260.0 (dec)	Hydrochloride
430	-Cl	-H	-H	$-CO_2C_2H_5$	-H	White powder (Ethyl acetate)	241.0-245.0	Hydrochloride
431	-Cl	-H	-H	$-CO_2H$	-H	White powder (Hydrochloric acid/acetic acid)	268.0 (dec)	Hydrochloride
432	$-CH_3$	-H	-H	$-CO_2C_2H_5$	-H	White powder (Ethyl acetate)	238.0-242.0 (dec)	Hydrochloride
433	$-CH_3$	-H	$-CO_2C_2H_5$	-H	$-CH_3$	White powder (isopropyl ether)	106.0-108.0	—
434	$-CH_3$	-H	-H	$-CO_2H$	-H	White powder (Hydrochloric acid/acetic acid)	256.5 (dec)	Hydrochloride
435	$-CH_3$	-H	$-CO_2H$	-H	$-CH_3$	White powder (Water)	252.5 (dec)	Hydrochloride
436	$-OCH_3$	$-OCH_3$	-H	$-CO_2C_2H_5$	-H	White powder (Ethyl acetate)	225.0-234.0	Hydrochloride
437	-H	-H	$-C(CH_3)_2CO_2CH_3$	-H	-H	White powder (Ethyl acetate)	222.0-226.5	Hydrochloride

[Table 64]



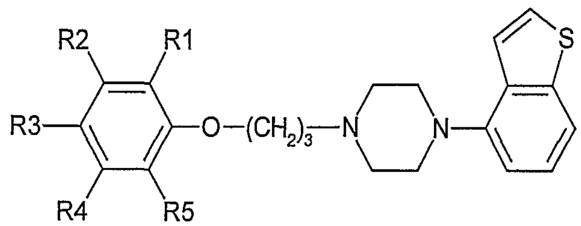
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
438	$-OCH_3$	-H	-H	$-CO_2C_2H_5$	-H	White powder (Ethyl acetate)	208.0–213.5	Hydrochloride
439	-H	-H	$-C(CH_3)_2CO_2H$	-H	-H	White powder (Hydrochloric acid/acetic acid)	257.5 (dec)	Hydrochloride
440	-H	-H	$-CH_2CH_2CONH_2$	-H	-H	Light yellow powder (95%-2-propanol)	167.5–170.0	—
441	-H	-H	$-CH_2CH_2CONHCH_3$	-H	-H	White powder (95%-2-propanol)	128.0–132.0	—
442	$-OCH_3$	-H	-H	$-CO_2H$	-H	White powder (Hydrochloric acid/water)	250.0 (dec)	Hydrochloride
443	-H	-H	$-CH_2CH_2CONHC_2H_5$	-H	-H	White powder (95%-2-propanol)	130.5–132.0	Hydrochloride
444	-H	$-CH_2CONH_2$	-H	-H	-H	White powder (Ethyl acetate/isopropyl ether)	132.5–134.0	Hydrochloride
445	-H	-H	-H	$-CH_2CONHCH_3$	-H	White powder (Ethyl acetate)	173.5–175.0	Hydrochloride
446	$-OCH_3$	$-OCH_3$	-H	$-CO_2H$	-H	White powder (Water)	154.0–155.5	Hydrochloride
447	$-OCH_3$	-H	$-CO_2C_2H_5$	-H	$-OCH_3$	White powder (Ethyl acetate)	239.0–242.0 (dec)	Hydrochloride

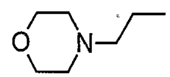
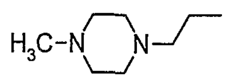
[Table 65]



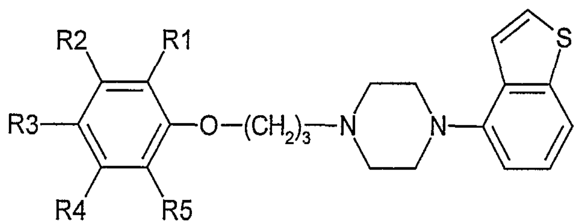
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
448	$-OCH_3$	-H	$-CO_2H$	-H	$-OCH_3$	White powder (Water)	191.0-196.0	—
449	-H	-H	$-CSNHC_2H_5$	-H	-H	Light yellow powder (Ethyl acetate/THF)	193.0-196.5	Dihydrochloride
450	$-OCH_3$	-H	$-COCH_3$	-H	$-CH_3$	White powder (Ethyl acetate)	243.0 (dec)	Hydrochloride
451	$-CH_2CH=CH_2$	-H	$-CO_2H$	-H	$-OCH_3$	White powder (Water)	97.0-102.0	—
452	$-C_3H_7$	-H	$-CO_2H$	-H	$-OCH_3$	White powder (Water)	145.5-150.5	—

[Table 66]

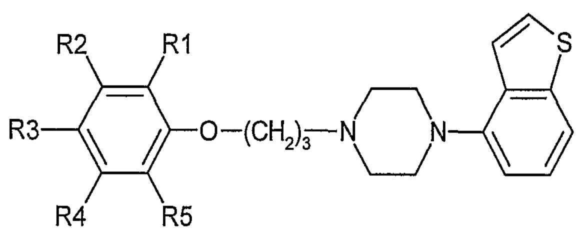


Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
453	-H	-H		-H	-H	White powder (Ethyl acetate/isopropyl ether)	112.5-113.5	-
454	-H	-H		-H	-H	White powder (Ethyl acetate/isopropyl ether)	112.0-113.0	-

[Table 67]

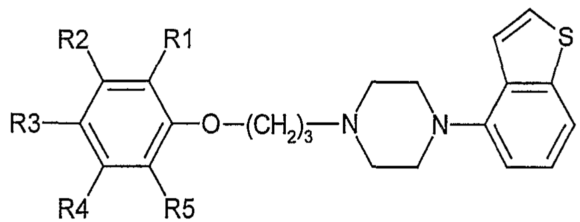


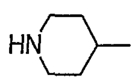
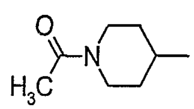
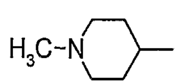
Example	R1	R2	R3	R4	R5	NMR	Salt
455	-H	-H	-F	-H	-H		Hydrochloride
456	-H	-H	-H	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 2.15-2.30 (2H, m), 3.10-3.25 (2H, m), 3.25-3.60 (4H, m), 3.55-3.75 (4H, m), 4.10 (2H, t, J=6.0 Hz), 6.90-7.10 (4H, m), 7.25-7.40 (3H, m), 7.51 (1H, d, J=5.6 Hz), 7.72 (1H, d, J=8.3 Hz), 7.78 (1H, d, J=5.5 Hz), 10.12 (1H, brs).	Hydrochloride



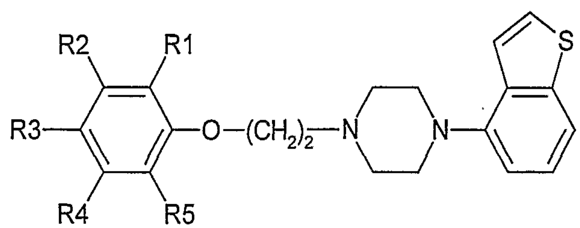
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
457	-H	-H	-H	-H	$-NHCOCH_3$	Colorless needle-form crystal (Ethanol)	243.7 - 244.8	-

[Table 67-1]



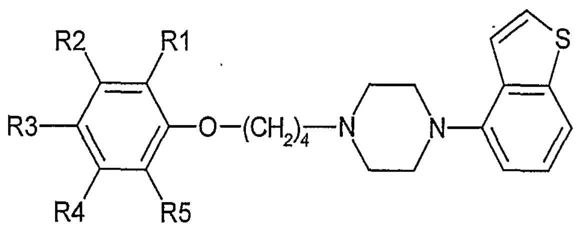
Example	R1	R2	R3	R4	R5	NMR	Salt
458	-H	-H	$-COCH_3$	-H	$-OCH_3$	^{1}H-NMR (DMSO-d_6) δ ppm : 2.20-2.40 (2H, m), 2.53 (3H, s), 3.20-3.70 (10H, m), 3.83 (3H, s), 4.19 (2H, t, J=5.8 Hz), 6.96 (1H, d, J=7.5 Hz), 7.10 (1H, d, J=8.5 Hz), 7.31 (1H, t, J=7.8 Hz), 7.45-7.50 (2H, m), 7.62 (1H, dd, J=2.0, 8.4 Hz), 7.69 (1H, d, J=8.0 Hz), 7.76 (1H, d, J=5.5 Hz), 11.14 (1H, brs).	Hydrochloride
459	$-OCH_3$	-H	-H	-H	$-OCH_3$		Hydrochloride
460	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.95-2.10 (6H, m), 2.60-2.75 (7H, m), 2.96 (2H, t, J=11.3 Hz), 3.21 (4H, brs), 3.55 (2H, d, J=12.4 Hz), 4.06 (2H, t, J=6.2 Hz), 6.80-6.95 (3H, m), 7.17 (2H, d, J=8.5 Hz), 7.25-7.35 (1H, m), 7.40 (1H, d, J=5.5 Hz), 7.43 (1H, d, J=5.6 Hz), 7.57 (1H, d, J=8.1 Hz).	-
461	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.55-1.65 (2H, m), 1.80-1.95 (2H, m), 2.00-2.10 (2H, m), 2.13 (3H, s), 2.55-2.75 (7H, m), 3.10-3.20 (6H, m), 3.93 (1H, d, J=13.7 Hz), 4.05 (2H, t, J=6.4 Hz), 4.78 (1H, d, J=13.3 Hz), 6.85-6.95 (3H, m), 7.11 (2H, d, J=8.6 Hz), 7.25-7.30 (1H, m), 7.39 (1H, d, J=5.6 Hz), 7.42 (1H, d, J=5.5 Hz), 7.55 (1H, d, J=8.1 Hz).	-
462	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.75-1.85 (4H, m), 2.00-2.10 (4H, m), 2.32 (3H, s), 2.35-2.45 (1H, m), 2.63 (2H, t, J=7.4 Hz), 2.73 (4H, brs), 2.96 (2H, d, J=11.5 Hz), 3.20 (4H, brs), 4.04 (2H, t, J=6.3 Hz), 6.85-6.95 (3H, m), 7.14 (2H, d, J=8.6 Hz), 7.25-7.30 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz).	-

[Table 68]



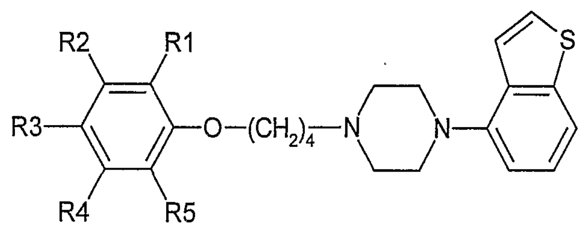
Example	R1	R2	R3	R4	R5	NMR	Salt
463	-H	-H	-F	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 3.10-3.25 (2H, m), 3.40-3.75 (8H, m), 4.40-4.45 (2H, m), 6.98 (1H, d, J=7.7 Hz), 7.00-7.25 (4H, m), 7.33 (1H, dd, J=7.9, 7.8 Hz), 7.50 (1H, d, J=5.6 Hz), 7.71 (1H, d, J=8.0 Hz), 7.78 (1H, d, J=5.5 Hz), 10.37 (1H, brs).	Hydrochloride
464	-H	-H	-H	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 3.10-3.35 (2H, m), 3.40-3.80 (8H, m), 4.48 (2H, t, J=4.8 Hz), 6.95-7.10 (4H, m), 7.25-7.40 (3H, m), 7.51 (1H, d, J=5.5 Hz), 7.71 (1H, d, J=8.1 Hz), 7.77 (1H, d, J=5.5 Hz), 10.80-11.20 (1H, br).	Hydrochloride

[Table 69]



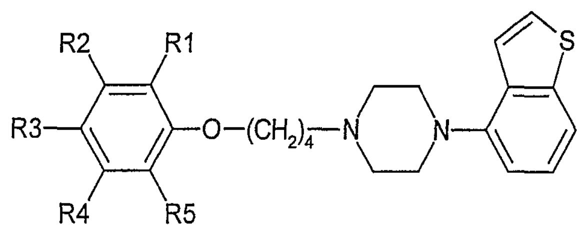
Example	R1	R2	R3	R4	R5	NMR	Salt
465	-H	-H	-H	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 1.70-2.00 (4H, m), 3.10-3.40 (6H, m), 3.50-3.80 (4H, m), 4.03 (2H, t, J=5.9 Hz), 6.90-7.00 (5H, m), 7.25-7.40 (3H, m), 7.50 (1H, d, J=5.6 Hz), 7.71 (1H, d, J=8.0 Hz), 7.77 (1H, d, J=5.5 Hz), 10.59 (1H, brs)	Hydrochloride
466	-H	-H	-F	-H	-H	^{1}H-NMR (DMSO-d_6) δ ppm: 1.75-1.95 (4H, m), 3.10-3.50 (6H, m), 3.50-3.65 (4H, m), 4.00 (2H, t, J=5.9 Hz), 6.90-7.00 (3H, m), 7.00-7.20 (2H, m), 7.32 (1H, dd, J=7.9, 7.8 Hz), 7.50 (1H, d, J=5.5 Hz), 7.71 (1H, d, J=8.0 Hz), 7.77 (1H, d, J=5.5 Hz), 10.40-10.60 (1H, br).	Hydrochloride
467	-H	-H	$-COCH_3$	-H	$-OCH_3$	^{1}H-NMR (DMSO-d_6) δ ppm: 1.80-1.95 (4H, m), 2.52 (3H, s), 3.20-3.35 (6H, m), 3.50-3.65 (4H, m), 3.83 (3H, s), 4.00-4.15 (2H, m), 6.95 (1H, d, J=7.5 Hz), 7.08 (1H, d, J=8.5 Hz), 7.30 (1H, dd, J=7.8, 7.8 Hz), 7.40-7.50 (2H, m), 7.61 (1H, dd, J=1.9, 8.4 Hz), 7.69 (1H, d, J=8.1 Hz), 7.75 (1H, d, J=5.6 Hz), 11.0 (1H, brs).	Hydrochloride

[Table 69-1]



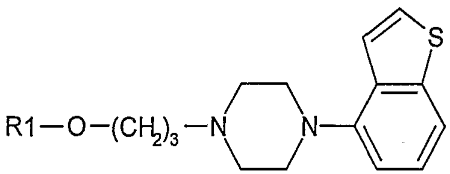
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
468	-H	-H	$-NHCO_2CH_3$	-H	-H	White powder (Ethyl acetate)	241.0 (dec)	Hydrochloride
469	-H	-H	-H	$-NHCO_2CH_3$	-H	White powder (Ethyl acetate)	203.0-209.5	Hydrochloride
470	-H	-H	-CN	-H	-H	White powder (Ethyl acetate)	220.0-223.0 (dec)	Hydrochloride
471	-H	-H	$-CO_2H$	-H	-H	White powder (Hydrochloric acid/acetic acid)	247.5-250.0 (dec)	Hydrochloride
472	-H	-CN	-H	-H	-H	White powder (Ethyl acetate)	196.0-198.5	Hydrochloride
473	-H	-H	-H	$-CO_2H$	-H	White powder (Ethyl acetate)	255.5-258.5	Hydrochloride
474	-CN	-H	-H	-H	-H	White powder (Ethyl acetate)	187.5-188.5	Hydrochloride
475	-H	-H	-H	$-CONHCH_2CF_3$	-H	White powder (Ethyl acetate/2-propanol)	137.0 (dec)	Hydrochloride
476	-H	-H	-H	$-CONHC_2H_5$	-H	Light yellow powder (Ethyl acetate/2-propanol)	130.0-135.0	Hydrochloride
477	-H	-H	-H	-H	$-CO_2H$	White powder (Dichloromethane/water)	192.0-197.0	Hydrochloride
478	-H	$-CONH_2$	-H	-H	-H	Light yellow powder (2-propanol)	148.0-151.0	—

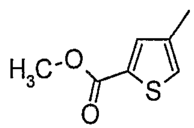
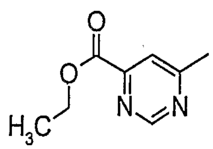
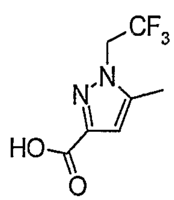
[Table 69-2]



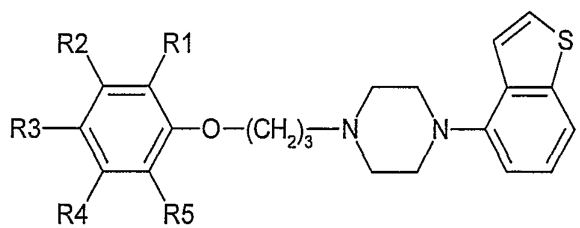
Example	R1	R2	R3	R4	R5	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
479	-H	-H	-H	$-CONHCH_3$	-H	Light yellow powder (Ethyl acetate)	234.0-239.0	Hydrochloride
480	-H	-H	-H	$-CON(CH_3)_2$	-H	Light yellow powder (Ethyl acetate)	135.0-141.5	Hydrochloride
481	-H	-H	-H	-H	$-CONHC_2H_5$	White powder (Ethyl acetate)	209.5-213.0	Hydrochloride

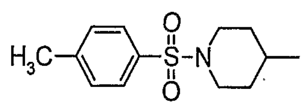
[Table 70]



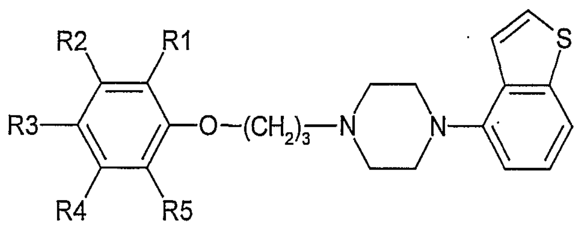
Example	R1	NMR	Salt
482		^{1}H-NMR (CDCl$_3$) δ ppm: 2.00–2.10 (2H, m), 2.63 (2H, t, J=7.3 Hz), 2.70–2.80 (4H, m), 3.15–3.25 (4H, m), 3.89 (3H, s), 4.00–4.10 (2H, m), 6.57 (1H, d, J=1.9 Hz), 6.91 (1H, d, J=7.6 Hz), 7.20–7.35 (2H, m), 7.35–7.50 (3H, m), 7.56 (1H, d, J=8.0 Hz).	—
483		^{1}H-NMR (CDCl$_3$) δ ppm: 1.44(3H, t, 7.0Hz), 2.01–2.12(2H, m), 2.63(2H, t, J=7.5Hz), 2.67–2.81(4H, m), 3.12–3.29(4H, m), 4.44–4.55(4H, m), 6.90(1H, d, J=7.5Hz), 7.27(1H, dd, J=5.5Hz, 7.5Hz), 7.40(2H, dd, J=5.5Hz, 8.0Hz), 7.44(1H, d, J=1.0Hz), 7.55(1H, d, J=8.0Hz), 8.90(1H, d, J=1.0Hz)	—
484		^{1}H-NMR (DMSO-d$_6$) δ ppm : 1.83–2.00 (2H, m), 2.59–2.70 (4H, m), 3.00–3.15(4H, m), 3.17(1H, d, J=4.5Hz), 3.31(1H, d, J=4.5Hz), 4.15 (2H, t, J=6.0 Hz), 4.77(2H, q, J=8.8Hz), 6.90 (1H, d, J=7.3Hz), 7.27 (1H, t, J=7.8Hz), 7.40(1H, d, J=5.5Hz), 7.61(1H, d, J=8.0Hz), 7.69(1H, d, J=5.5Hz).	—

[Table 71]



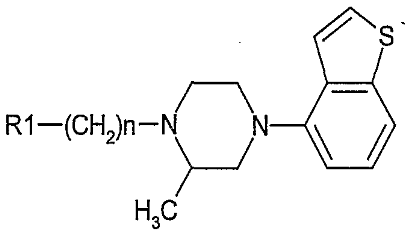
Example	R1	R2	R3	R4	R5	NMR	Salt
485	-H	-H	$-(CH_2)_2N(CH_3)CO_2C(CH_3)_3$	-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.43(9H, s), 1.97–2.07 (2H, m), 2.64(2H, t, J=7.5Hz), 2.69–2.87(6H, m), 2.81(3H, s), 3.15–3.27(4H, m), 3.38 (2H, t, J=7.5Hz), 4.04 (2H, t, J = 6.3Hz), 6.83–6.92 (3H, m), 7.02–7.15(2H, m), 7.28(1H, t, J=7.8Hz), 7.37–7.43 (2H, m), 7.55(1H, d, J=8.0Hz)	—
486	-H	-H		-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.60–2.10 (6H, m), 2.30–2.40 (2H, m), 2.47 (3H, s), 2.60–2.70 (1H, m), 2.74 (4H, br), 2.85–3.00 (2H, m), 3.20 (4H, br), 3.90–4.10 (4H, m), 6.85–6.95 (2H, m), 7.07 (1H, d, J=8.6 Hz), 7.25–7.45 (3H, m), 7.56 (1H, d, J=8.0 Hz), 7.69 (2H, d, J=8.2 Hz).	—
487	-H	-H	-H	-H	$-CO_2H$	^{1}H-NMR (DMSO-d_6) δ ppm : 2.20–2.43 (2H, m), 3.17–3.77 (10H, m), 4.30 (2H, t, J=6.0 Hz), 6.90–7.20 (2H, m), 7.30–7.40 (2H, m), 7.50–7.63 (1H, m), 7.70–7.79 (4H, m), 11.00 (1H, br), 12.71(1H, br).	—
488	$-OCH_3$	-H	$-CO_2CH_3$	-H	$-CH_3$	^{1}H-NMR ($CDCl_3$) δ ppm: 1.95–2.10 (2H, m), 2.31 (3H, s), 2.60–2.80 (6H, m), 3.10–3.30 (4H, m), 3.89 (6H, s), 4.10 (2H, t, J = 6.4Hz), 6.90 (1H, dd, J = 0.5, 7.6Hz), 7.27 (1H, dd, J = 7.8, 7.8Hz), 7.35–7.45 (3H, m), 7.50–7.60 (2H, m).	—
489	$-OCH_3$	-H	$-CO_2H$	-H	$-CH_3$	^{1}H-NMR (DMSO-d_6) δ ppm : 1.90–2.05 (2H, m), 2.26 (3H, s), 2.55–3.30 (10H, m), 3.85 (3H, s), 4.03 (2H, t, J = 6.1Hz), 6.93 (1H, d, J = 7.6Hz), 7.29 (1H, dd, J = 7.8, 7.8Hz), 7.35–7.50 (3H, m), 7.65 (1H, d, J = 8.0Hz), 7.72 (1H, d, J = 5.5Hz), 11.50–13.50 (1H, br).	—

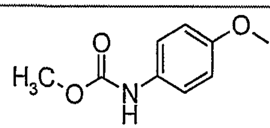
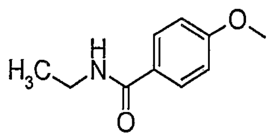
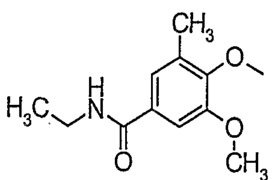
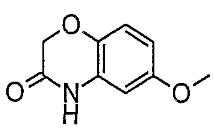
[Table 71-1]



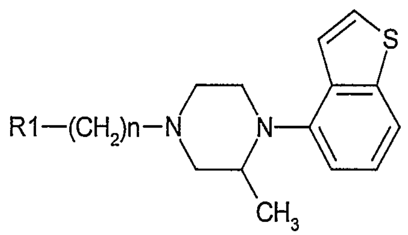
Example	R1	R2	R3	R4	R5	NMR	Salt
490	$-CH_2CH=CH_2$	-H	$-CO_2CH_3$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δ ppm: 1.98-2.09(2H, m), 2.70-2.83(6H, m), 3.13-3.30(4H, m), 3.45(2H, d, J=6.5Hz), 3.89(3H, s), 4.10(2H, t, J=6.4Hz), 5.04-5.11(2H, m), 5.91-6.09(1H, m), 6.90(1H, d, J=7.5Hz), 7.24-7.31(1H, m), 7.38-7.44(2H, m), 7.47-7.57(3H, m).	—
491	$-C_3H_7$	-H	$-CO_2CH_3$	-H	$-OCH_3$	^{1}H-NMR ($CDCl_3$) δ ppm: 0.97(3H, t, J=7.3Hz), 1.52-1.74(2H, m), 1.93-2.13(2H, m), 2.57-2.85(6H, m), 3.07-3.30(4H, m), 3.89(6H, s), 4.09(2H, t, J=6.3Hz), 6.90(1H, d, J=7.5Hz), 7.24-7.31(1H, m), 7.38-7.45(3H, m), 7.52-7.57(2H, m).	—

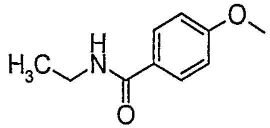
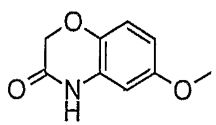
[Table 72]



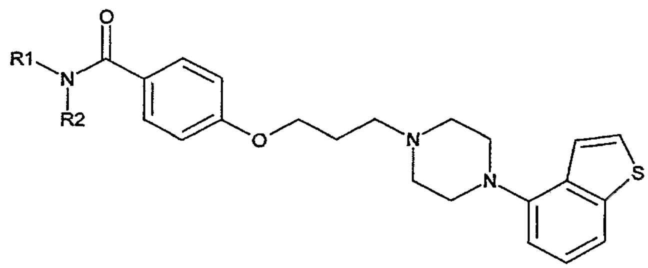
Example	R1	n	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
492		3	White powder (Ethyl acetate)	129.0-138.5	Hydrochloride
493		3	White powder (Ethyl acetate)	130.0-136.0	Hydrochloride
494		3	White powder		Fumarate
495		4	White powder (Acetonitrile)	154-156	Dihydrochloride

[Table 73]



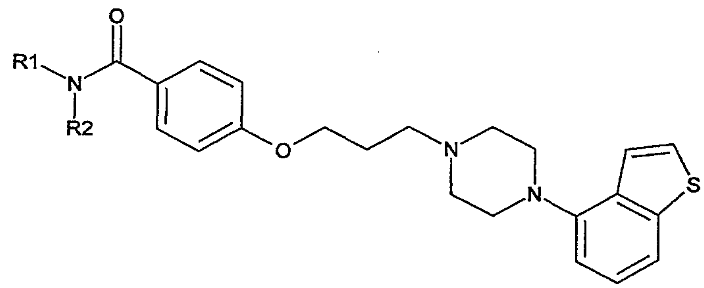
Example	R1	n	Crystal form (Recrystallization solvent)	Melting point(°C)	Salt
496		3	White powder (Ethyl acetate)	151.5-156.5	Hydrochloride
497		4	White powder (Ethanol/ethyl acetate)	220-225	Dihydrochloride

[Table 74]



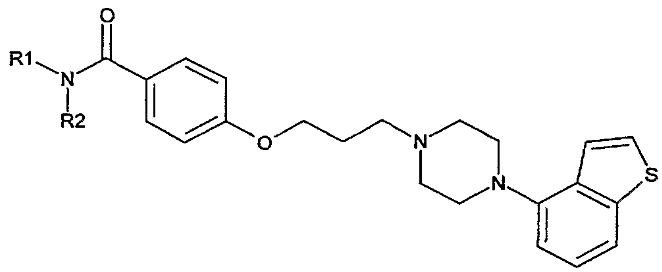
Example	R1	R2	MS(M+1)
498	-H	-cyclo-C_6H_{11}	478
499	$-CH_2CH(CH_3)_2$	$-CH_2CH(CH_3)_2$	508
500	$-CH_2CH_2OH$	$-CH_2CH_2OH$	484
501	$-CH_3$	$-CH_2CH_2N(CH_3)_2$	481
502	$-CH_2CH_2OCH_3$	$-CH_2CH_2OCH_3$	512
503	$-C_3H_7$	$-CH_2$-cyclo-C_3H_5	492
504	$-CH_2CH=CH_2$	-cyclo-C_5H_9	504
505	$-C_2H_5$	$-C_2H_5$	452
506	-H	$-C_4H_9$	452
507	-H	$-C(CH_3)_3$	452
508	-H	-cyclo-C_7H_{13}	492
509	$-C_2H_5$	-cyclo-C_6H_{11}	506
510	$-C_2H_5$	$-CH(CH_3)_2$	466
511	-H	$-CH_2CH(CH_3)_2$	452
512	-H	$-CH_2CH_2OCH_3$	454
513	-H	$-CH_2CH_2OC_2H_5$	468
514	-H	$-(CH_2)_3OC_2H_5$	482
515	-H	-1-CH_3-CYCLOHEXYL	492
516	-H	$-CH_2$-cyclo-C_3H_5	450
517	-H	$-CH_2$-cyclo-C_6H_{11}	492
518	-H	$-CH_2CO_2CH_3$	468
519	-H	$-CH_2CONH_2$	453
520	$-CH_3$	$-CH_2CO_2CH_3$	482
521	-H	$-CH_2CCH$	434
522	$-CH_3$	$-CH(CH_3)_2$	452
523	-H	$-(CH_2)_2CH(CH_3)_2$	466
524	-H	$-CH(CH_3)C(CH_3)_3$	480
525	-H	$-CH_2CH_2N(CH_3)_2$	467
526	$-CH_3$	$-CH_2$-cyclo-C_3H_5	464
527	-H	$-CH_2CF_3$	478
528	$-CH_3$	-cyclo-C_6H_{11}	492
529	$-C_2H_5$	$-CH_2CH_2OH$	468
530	$-CH_2CH_2OH$	-cyclo-C_6H_{11}	522
531	-H	-cyclo-C_5H_9	464
532	-H	-3-PYRIDYL	473
533	-H	-4-PYRIDYL	473
534	$-CH_2CH_2OH$	$-C_6H_5$	516

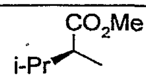
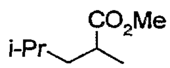
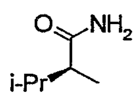
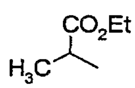
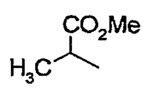
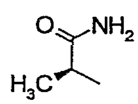
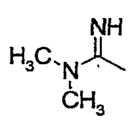
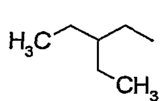
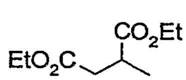
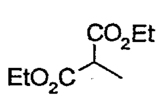
[Table 75]



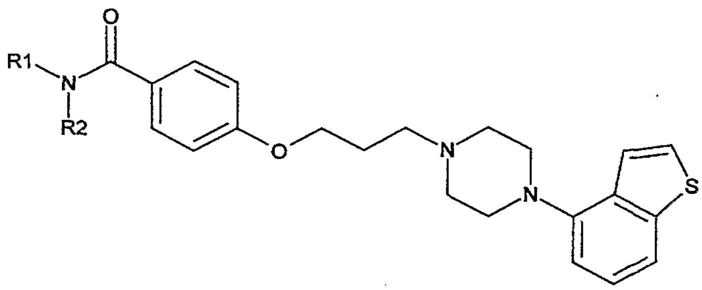
Example	R1	R2	MS (M+1)
535	-H	$-C_6H_5$	435
536	-H	$-CH_2CH_2C(CH_3)_3$	468
537	-H	$-CH(C_2H_5)_2$	449
538	-H	$-CH_2CN$	566
539	-H	$-(CH_2)_3OCH_3$	523
540	-H	$-CH_2CH_2CN$	523
541	$-(CH_2)_3N(CH_3)_2$	$-(CH_2)_3N(CH_3)_2$	481
542	$-CH_3$	$-(CH_2)_3N(C_2H_5)_2$	482
543	$-C_2H_5$	$-(CH_2)_2N(C_2H_5)_2$	523
544	-H	$-(CH_2)_2NHCOCH_3$	481
545	-H	$-(CH_2)_5OH$	495
546	-H	$-(CH_2)_2N(I\text{-}Pr)_2$	524
547	-H	$-(CH_2)_3N(CH_3)_2$	524
548	-H	$-(CH_2)_2N(C_2H_5)_2$	563
549	$-CH_3$	$-(CH_2)_3CO_2C_2H_5$	509
550	-H	$-(CH_2)_4CO_2C_2H_5$	493
551	-cyclo-C_5H_9	$-(CH_2)_2N(C_2H_5)_2$	528
552	$-CH_3$	$-(CH_2)_2N(C_2H_5)_2$	484
553	-H	$-NHCH_2CF_3$	496
554	-H	$-CH_2CF_2CF_3$	482
555	-H	$-CH_2CH(OCH_3)_2$	442
556	-H	$-(CH_2)_3OCH(CH_3)_2$	467
557	-H	$-(CH_2)_2OCH(CH_3)_2$	470
558	-H	$-CH_2CH_2F$	435
559	-H	$-CH_2CONHCH_3$	468
560	-H	$-CH_2CH_2SCH_3$	449

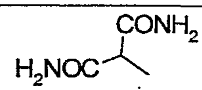
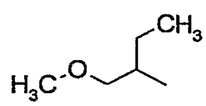
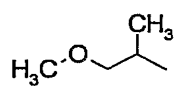
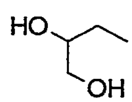
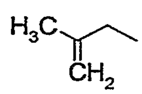
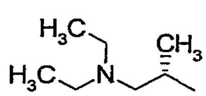
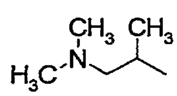
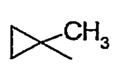
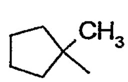
[Table 76]



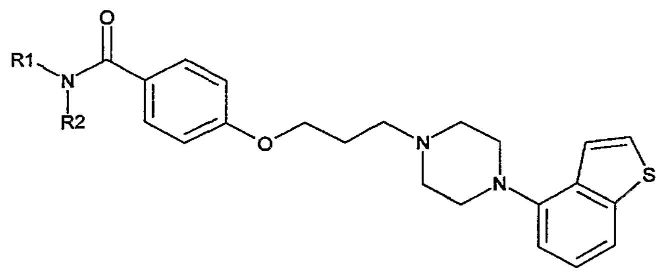
Example	R1	R2	MS (M+1)
561	-H		510
562	-H		524
563	-H		495
564	-H		496
565	-H		482
566	-H		467
567	-H		466
568	-H		480
569	-H		568
570	-H		554

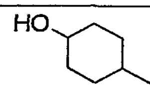
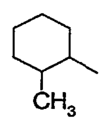
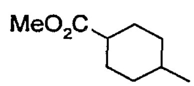
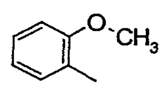
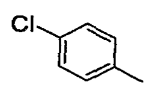
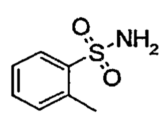
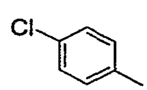
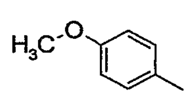
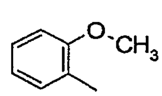
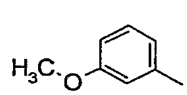
[Table 77]



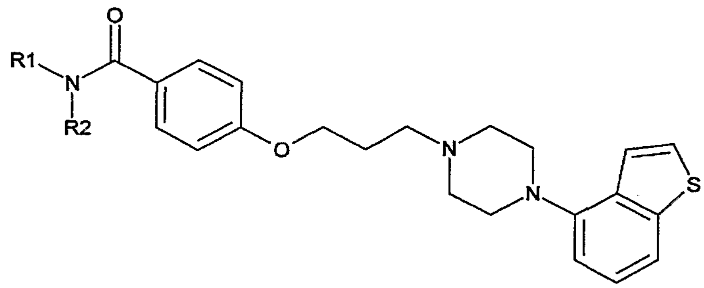
Example	R1	R2	MS (M+1)
571	-H		496
572	-H		482
573	-H		468
574	-H		470
575	-H		450
576	-H		509
577	-H		481
578	-H		450
579	-H		478

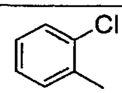
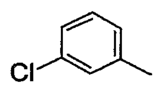
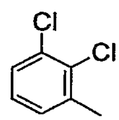
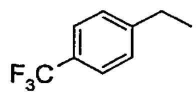
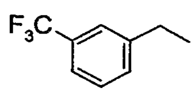
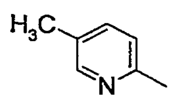
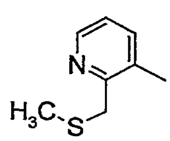
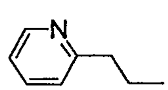
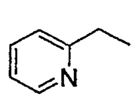
[Table 78]



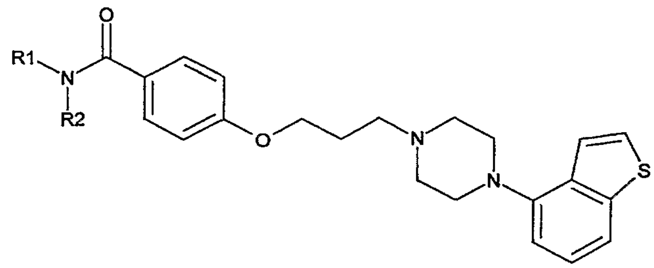
Example	R1	R2	MS (M+1)
580	-H		494
581	-H		492
582	-H		536
583	$-CH_3$		516
584	$-CH_3$		520
585	-H		551
586	-H		506
587	-H		502
588	-H		502
589	-H		502

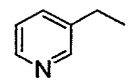
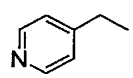
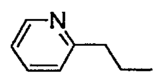
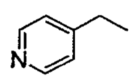
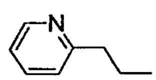
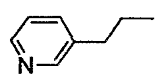
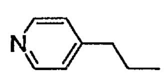
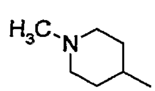
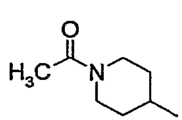
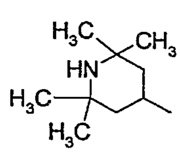
[Table 79]



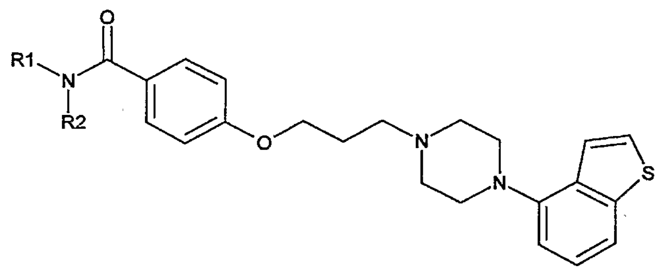
Example	R1	R2	MS (M+1)
590	-H		506
591	-H		506
592	-H		540
593	-H		554
594	-H		554
595	-H		487
596	-H		533
597	$-CH_3$		515
598	-H		487

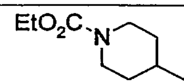
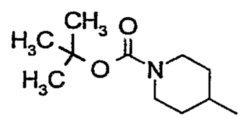
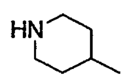
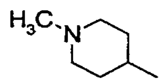
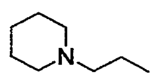
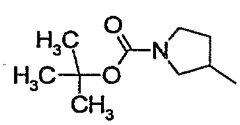
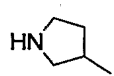
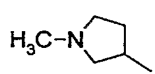
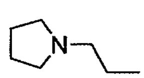
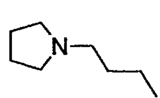
[Table 80]



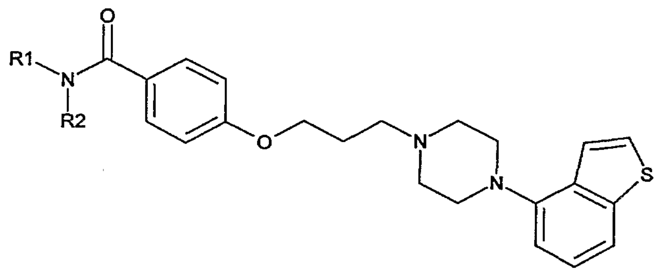
Example	R1	R2	MS (M+1)
599	-H		487
600	-H		487
601	$-C_2H_5$		529
602	$-C_2H_5$		515
603	-H		501
604	-H		501
605	-H		501
606	$-CH_3$		507
607	$-CH_3$		535
608	-H		535

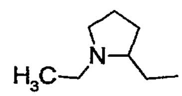
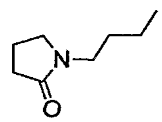
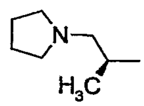
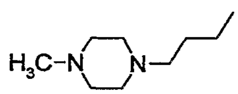
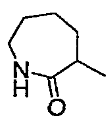
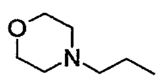
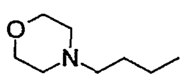
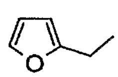
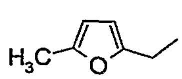
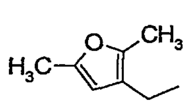
[Table 81]



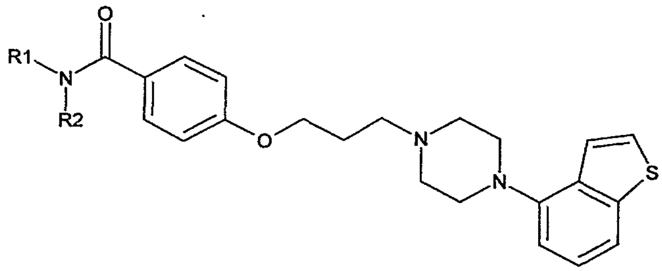
Example	R1	R2	MS (M+1)
609	-H		551
610	-H		579
611	-H		479
612	-H		493
613	-H		507
614	-H		565
615	-H		465
616	-H		479
617	-H		493
618	-H		507

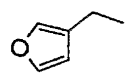
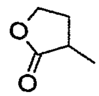
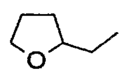
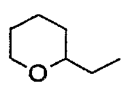
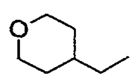
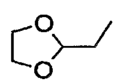
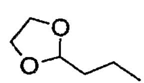
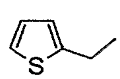
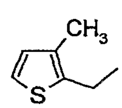
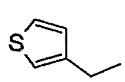
[Table 82]



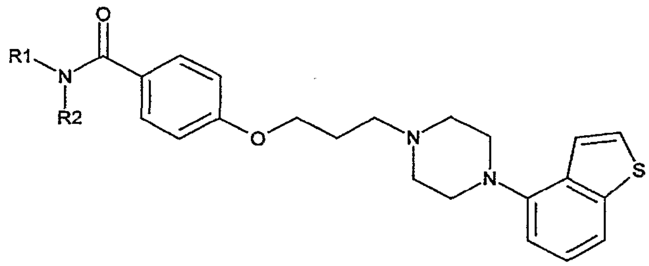
Example	R1	R2	MS (M+1)
619	-H		507
620	-H		521
621	-H		507
622	-H		536
623	-H		507
624	-H		509
625	-H		523
626	-H		476
627	-H		490
628	-H		504

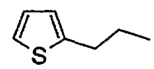
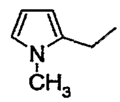
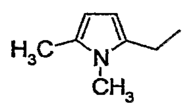
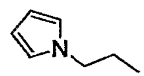
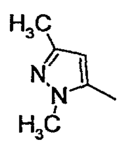
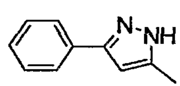
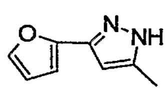
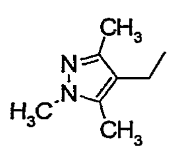
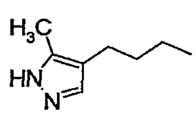
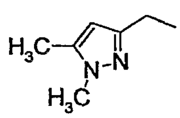
[Table 83]



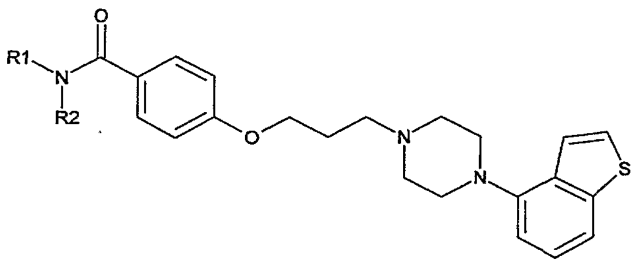
Example	R1	R2	MS (M+1)
629	-H		476
630	-H		480
631	-H		480
632	$-C_2H_5$		522
633	-H		494
634	-H		482
635	-H		496
636	-H		492
637	-H		506
638	-H		492

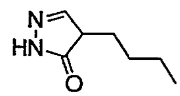
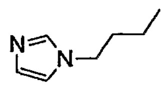
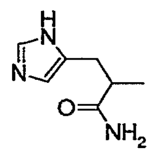
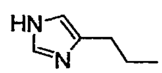
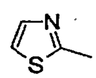
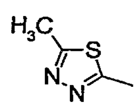
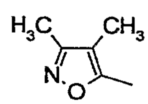
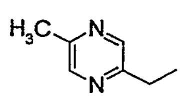
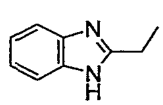
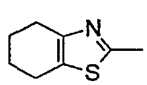
[Table 84]



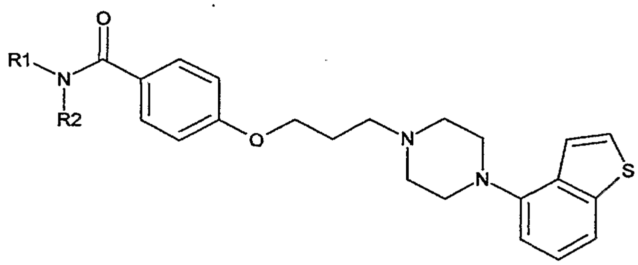
Example	R1	R2	MS (M+1)
639	-H		506
640	-H		489
641	-H		503
642	-H		489
643	-H		490
644	-H		538
645	-H		528
646	-H		518
647	-H		518
648	-H		504

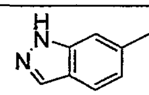
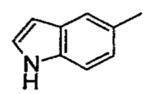
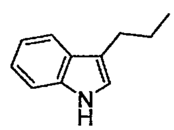
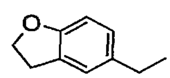
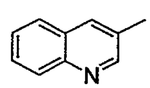
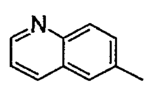
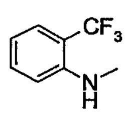
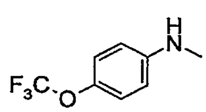
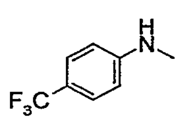
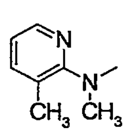
[Table 85]



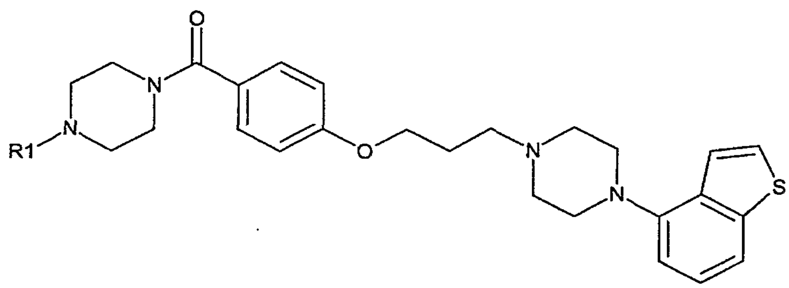
Example	R1	R2	MS (M+1)
649	-H		520
650	-H		504
651	-H		533
652	-H		490
653	-H		479
654	-H		494
655	-H		491
656	-H		502
657	-H		526
658	-H		533

[Table 86]



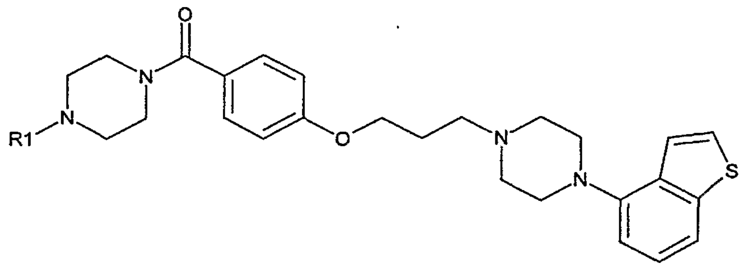
Example	R1	R2	MS (M+1)
659	-H		512
660	-H		511
661	-H		539
662	-H		528
663	-H		523
664	-H		523
665	-H		555
666	-H		571
667	-H		555
668	-H		570

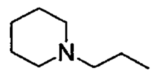
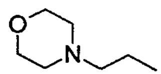
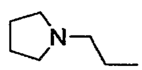
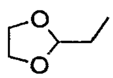
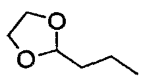
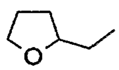
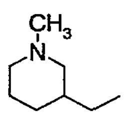
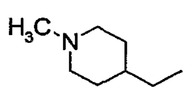
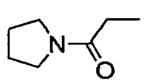
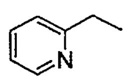
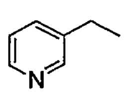
[Table 87]



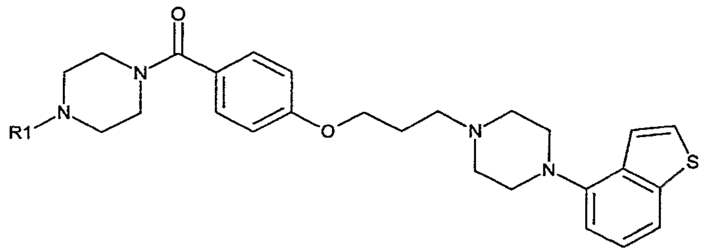
Example	R1	MS (M+1)
669	-H	465
670	$-C_4H_9$	521
671	$-CH(C_2H_5)_2$	535
672	$-CH(CH_3)_2$	507
673	$-C(CH_3)_3$	535
674	$-C_3H_7$	507
675	$-C_2H_5$	493
676	$-C_6H_{13}$	549
677	-cyclo-C_5H_9	533
678	-cyclo-C_7H_{13}	561
679	$-CH_2CH_2OH$	509
680	$-CH_2CH_2OCH_3$	523
681	$-(CH_2)_3OCH_3$	537
682	$-(CH_2)_4OCH_3$	551
683	$-CO_2C_2H_5$	537
684	$-CO_2C(CH_3)_3$	565
685	$-COCH_3$	507
686	$-(CH_2)_3N(CH_3)_2$	550
687	$-CH_2CH_2N(CH_3)_2$	536

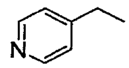
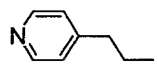
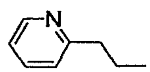
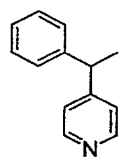
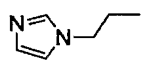
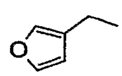
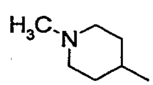
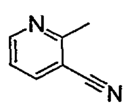
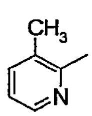
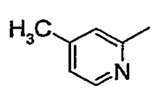
[Table 88]



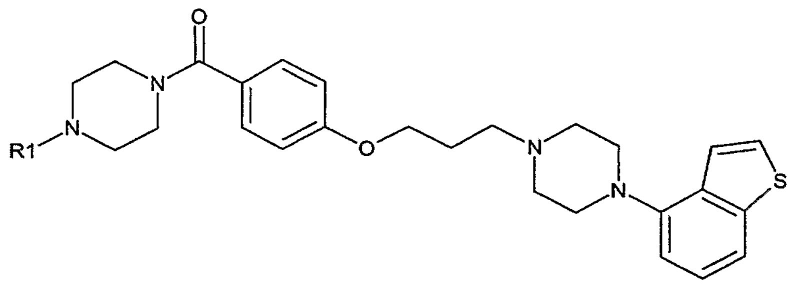
Example	R1	MS (M+1)
688		576
689		578
690		562
691		551
692		565
693		549
694		576
695		576
696		576
697		556
698		556

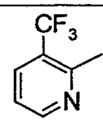
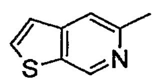
[Table 89]



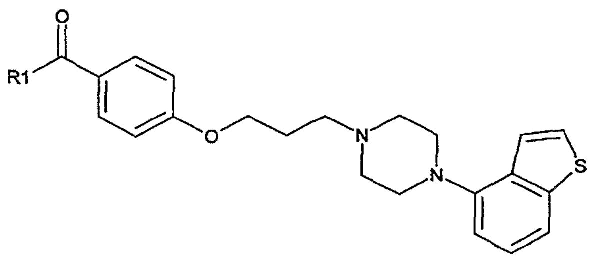
Example	R1	MS (M+1)
699		556
700		570
701		570
702		632
703		559
704		545
705		561
706	-4-PYRIDYL	542
707	-3-PYRIDYL	542
708	-2-PYRIDYL	542
709		567
710		556
711		556

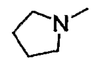
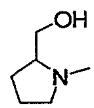
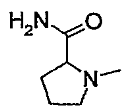
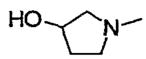
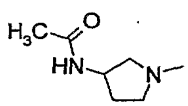
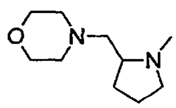
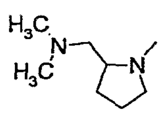
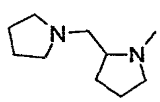
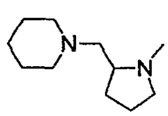
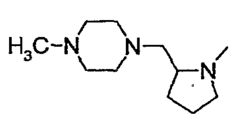
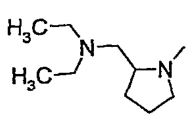
[Table 90]



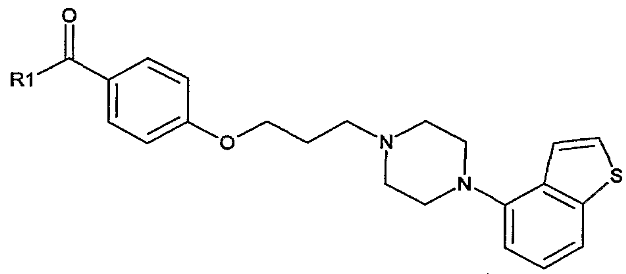
Example	R1	MS (M+1)
712		610
713		598

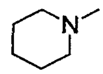
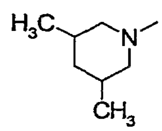
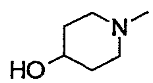
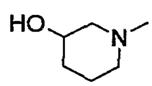
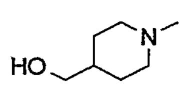
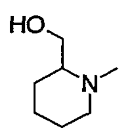
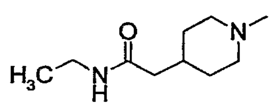
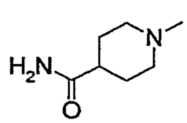
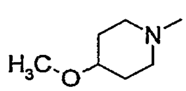
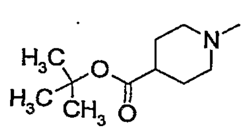
[Table 91]



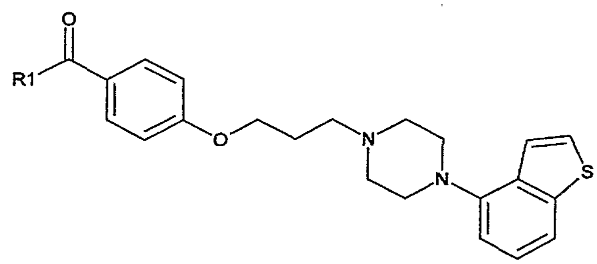
Example	R1	MS (M+1)
714		450
715		480
716		493
717		466
718		507
719		549
720		507
721		533
722		547
723		562
724		535

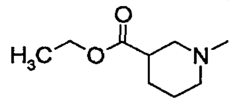
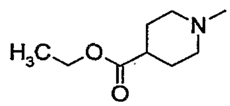
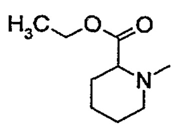
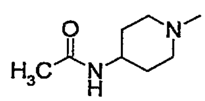
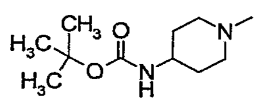
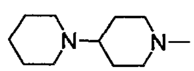
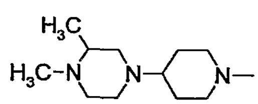
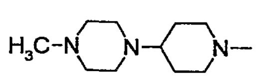
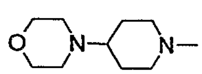
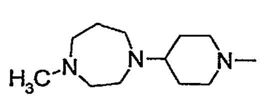
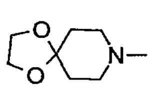
[Table 92]



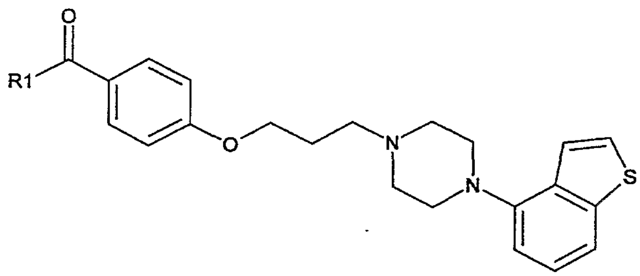
Example	R1	MS (M+1)
725		464
726		492
727		480
728		480
729		494
730		494
731		549
732		507
733		494
734		564

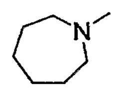
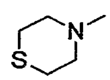
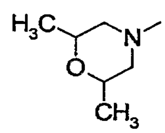
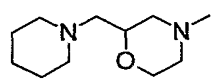
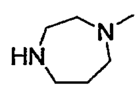
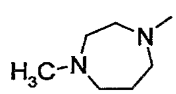
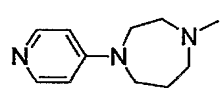
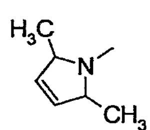
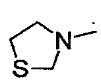
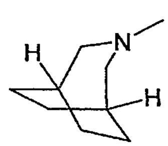
[Table 93]



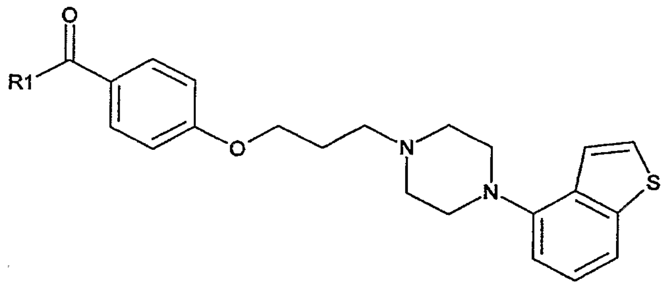
Example	R1	MS (M+1)
735		536
736		536
737		536
738		521
739		579
740		547
741		576
742		562
743		549
744		576
745		522

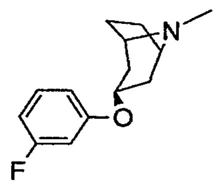
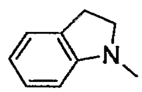
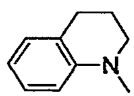
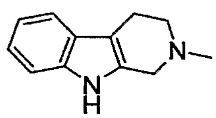
[Table 94]



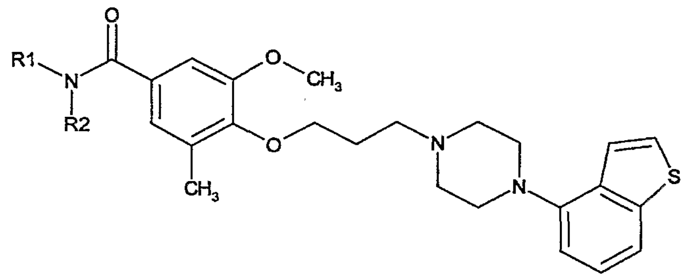
Example	R1	MS (M+1)
746		478
747		482
748		494
749		563
750		479
751		493
752		556
753		476
754		468
755		504

[Table 95]



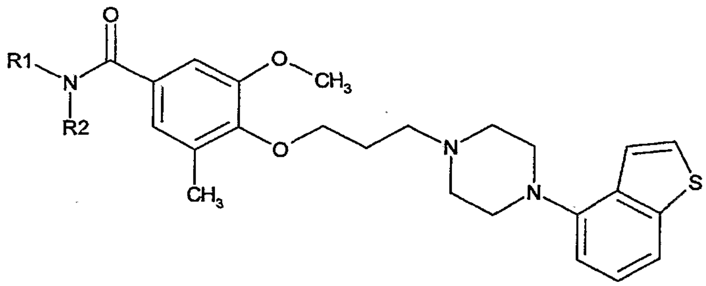
Example	R1	MS (M+1)
756		600
757		498
758		512
759		551

[Table 96]



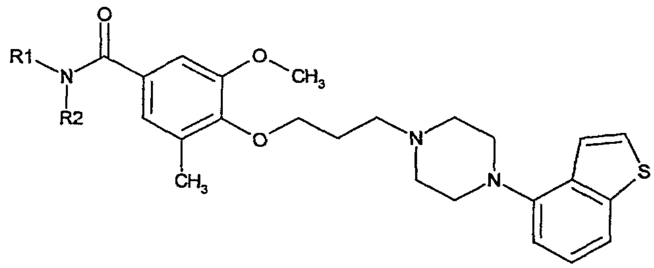
Example	R1	R2	MS (M+1)
760	-H	-cyclo-C_6H_{11}	522
761	-H	$-CH(CH_3)_2$	482
762	-H	$-C_4H_9$	496
763	-H	-cyclo-C_3H_5	480
764	-H	-cyclo-C_7H_{13}	536
765	-H	$-CH_2C_6H_5$	530
766	-H	$-C_3H_7$	482
767	-H	$-CH_2CH(CH_3)_2$	496
768	-H	$-CH_2CH_2OCH_3$	498
769	-H	$-CH_2CH_2OC_2H_5$	512
770	-H	$-(CH_2)_3OC_2H_5$	526
771	-H	-1-CH3-CYCLOHEXYL	536
772	-H	$-(CH_2)_2OC_6H_5$	560
773	-H	-cyclo-C_5H_9	508
774	-H	$-CH_2$-cyclo-C_3H_5	494
775	-H	$-CH_2$-cyclo-C_6H_{11}	536
776	-H	$-CH(CH_3)C_6H_5$	544
777	-H	$-(CH_2)_2C_6H_5$	544
778	-H	$-CH_2CO_2CH_3$	512
779	-H	$-CH_2CONH_2$	497
780	-H	$-CH_2CCH$	478
781	-H	$-(CH_2)_2CH(CH_3)_2$	510
782	-H	$-CH(CH_3)C(CH_3)_3$	524
783	-H	$-CH_2C(CH_3)_3$	510
784	$-CH_3$	-cyclo-C_6H_{11}	536
785	$-C_2H_5$	$-C_2H_5$	496
786	-H	$-C(CH_3)_3$	496
787	$-CH_3$	$-CH_2C_6H_5$	544
788	$-C_2H_5$	$-CH(CH_3)_2$	510
789	$-CH_3$	$-CH_2CO_2CH_3$	526
790	$-CH_3$	$-CH(CH_3)_2$	496
791	$-CH_3$	$-CH_2$-cyclo-C_3H_5	508
792	-H	$-CH_2CF_3$	522
793	-H	$-CH(C_2H_5)_2$	510

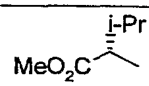
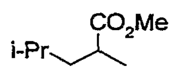
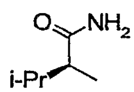
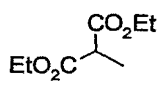
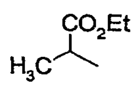
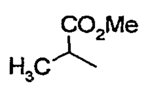
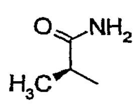
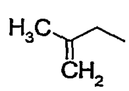
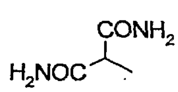
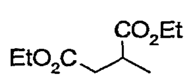
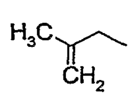
[Table 97]



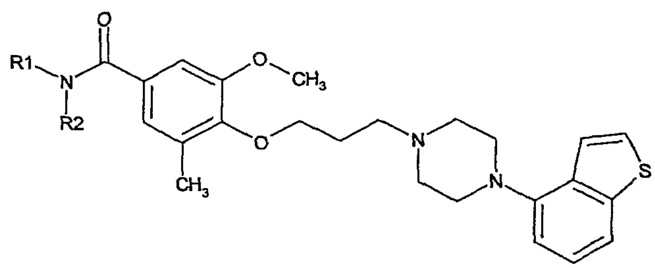
Example	R1	R2	MS (M+1)
794	-H	$-(CH_2)_3OCH_3$	512
795	-H	$-CH_2CH_2OH$	484
796	-H	$-CH_2CN$	479
797	$-C_2H_5$	-2-PYRIDYL	545
798	-H	-3-PYRIDYL	517
799	-H	$-C_6H_5$	516
800	-H	$-(CH_2)_2NHCOCH_3$	525
801	-H	$-CH_2CH(C_2H_5)_2$	524
802	-H	$-CH_2CH(OCH_3)_2$	528
803	-H	$-(CH_2)_3OCH(CH_3)_2$	540
804	-H	$-(CH_2)_2OCH(CH_3)_2$	526
805	-H	$-CH_2CH_2F$	486
806	-H	$-CH_2CONHCH_3$	511
807	-H	$-CH_2CH_2SCH_3$	514
808	-H	$-CH_2CHF_2$	504

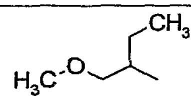
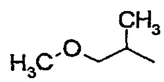
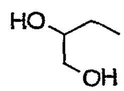
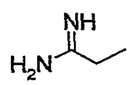
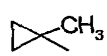
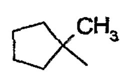
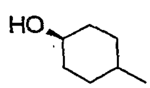
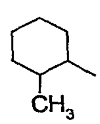
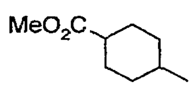
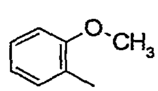
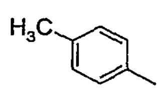
[Table 98]



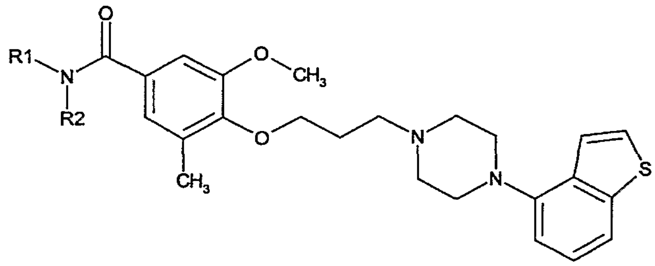
Example	R1	R2	MS (M+1)
809	-H		554
810	-H		568
811	-H		539
812	-H		598
813	-H		540
814	-H		526
815	-H		511
816	-H		494
817	-H		540
818	-H		612
819	$-C_2H_5$		522

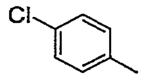
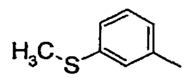
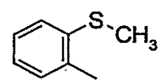
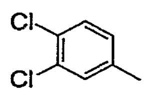
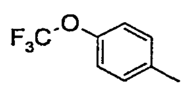
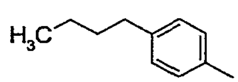
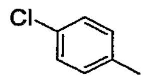
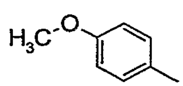
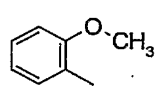
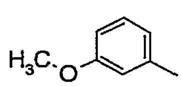
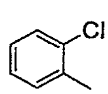
[Table 99]



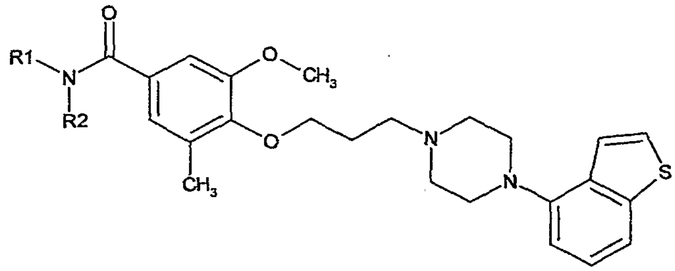
Example	R1	R2	MS (M+1)
820	-H		526
821	-H		512
822	-H		514
823	-H		496
824	-H		494
825	-H		522
826	-H		538
827	-H		536
828	-H		580
829	$-CH_3$		560
830	$-CH_3$		544

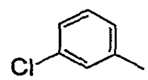
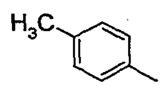
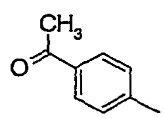
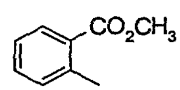
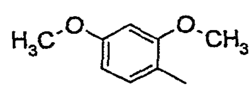
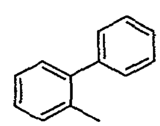
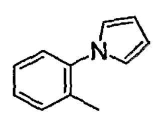
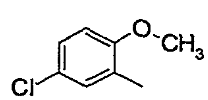
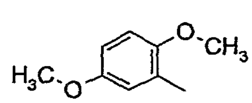
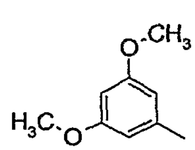
[Table 100]



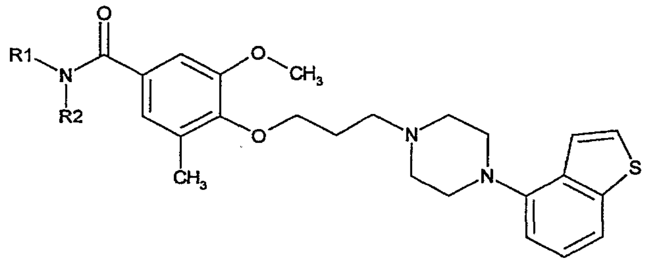
Example	R1	R2	MS (M+1)
831	$-CH_3$		564
832	-H		562
833	-H		562
834	-H		584
835	-H		600
836	-H		572
837	-H		550
838	-H		546
839	-H		546
840	-H		546
841	-H		550

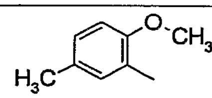
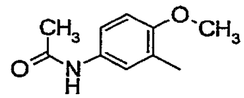
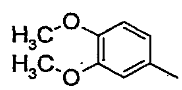
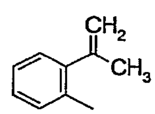
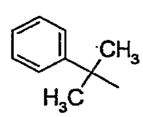
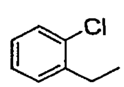
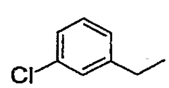
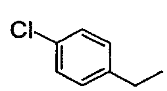
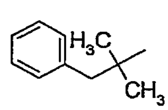
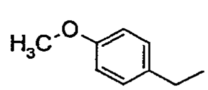
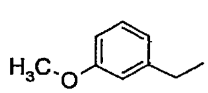
[Table 101]



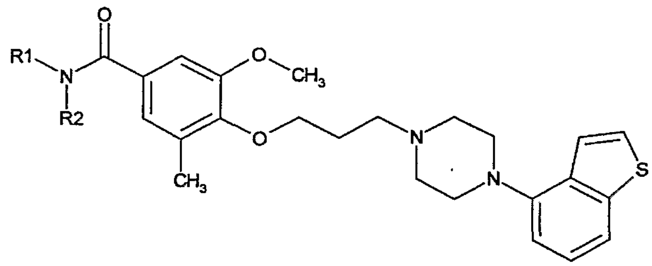
Example	R1	R2	MS (M+1)
842	-H		550
843	-H		530
844	-H		558
845	-H		574
846	-H		576
847	-H		592
848	-H		581
849	-H		580
850	-H		576
851	-H		576

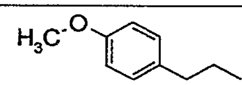
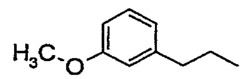
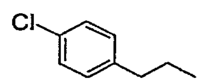
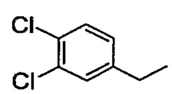
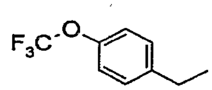
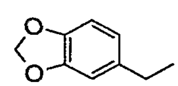
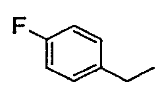
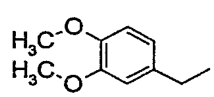
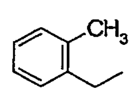
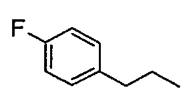
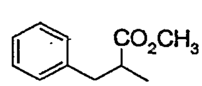
[Table 102]



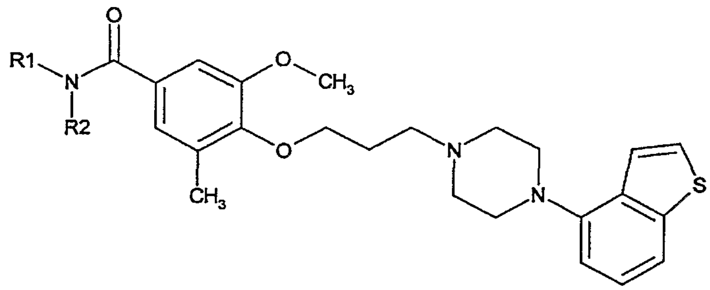
Example	R1	R2	MS (M+1)
852	-H		560
853	-H		603
854	-H		576
855	-H		556
856	-H		558
857	-H		564
858	-H		564
859	-H		564
860	-H		572
861	-H		560
862	-H		560

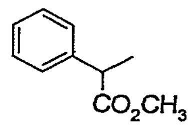
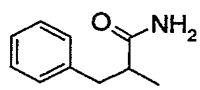
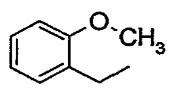
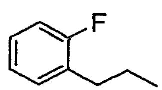
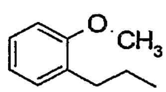
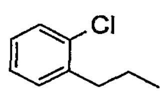
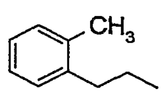
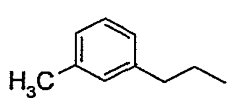
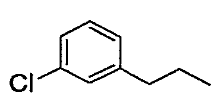
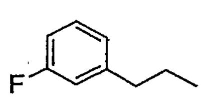
[Table 103]



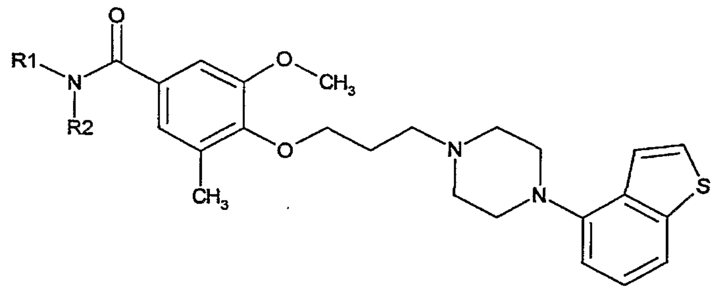
Example	R1	R2	MS (M+1)
863	-H		574
864	-H		574
865	-H		578
866	-H		598
867	-H		614
868	-H		574
869	-H		548
870	-H		590
871	-H		544
872	-H		562
873	-H		602

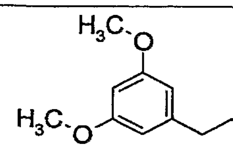
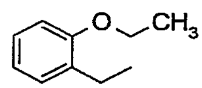
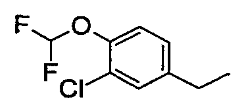
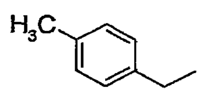
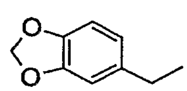
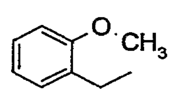
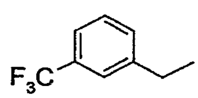
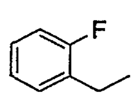
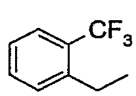
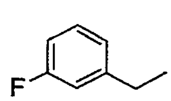
[Table 104]



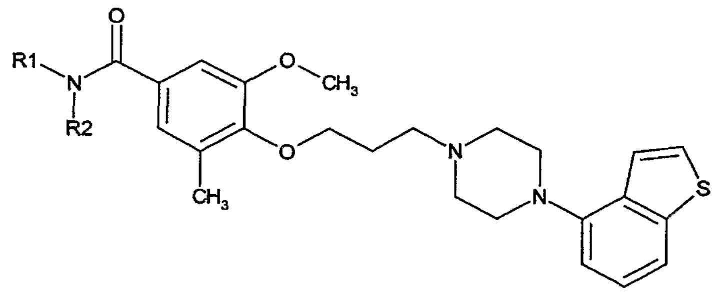
Example	R1	R2	MS (M+1)
874	-H		588
875	-H		587
876	-H		560
877	-H		562
878	-H		574
879	-H		578
880	-H		558
881	-H		558
882	-H		578
883	-H		562

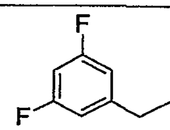
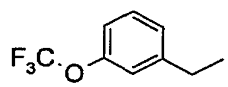
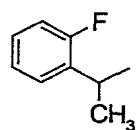
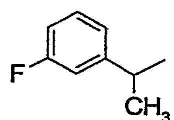
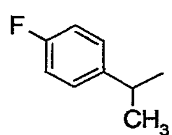
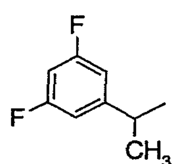
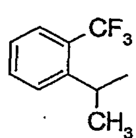
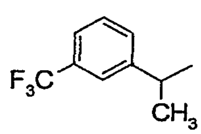
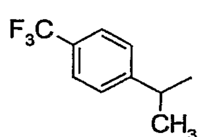
[Table 105]



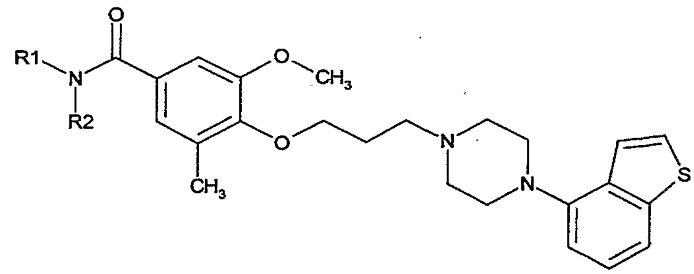
Example	R1	R2	MS (M+1)
884	-H		590
885	-H		574
886	-H		630
887	$-CH_3$		558
888	$-CH_3$		588
889	$-CH_3$		574
890	-H		598
891	-H		548
892	-H		598
893	-H		548

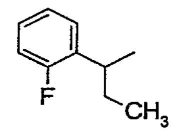
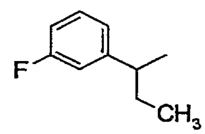
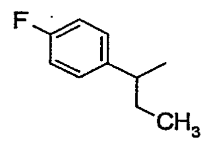
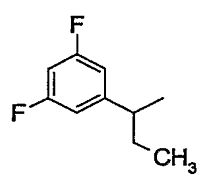
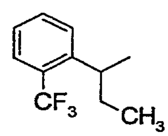
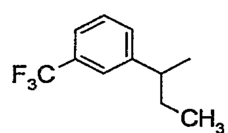
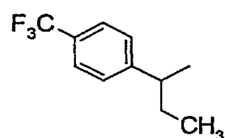
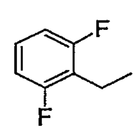
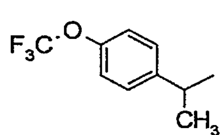
[Table 106]



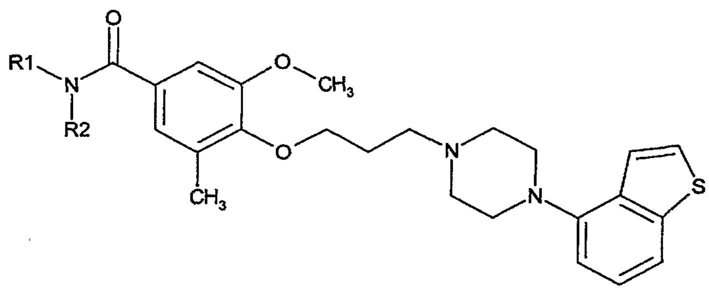
Example	R1	R2	MS (M+1)
894	-H		566
895	-H		614
896	-H		562
897	-H		562
898	-H		562
899	-H		580
900	-H		612
901	-H		612
902	-H		612

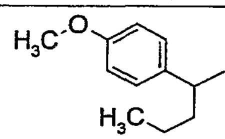
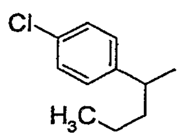
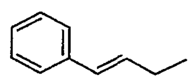
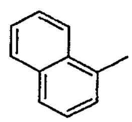
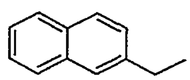
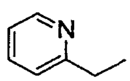
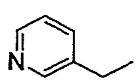
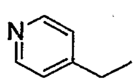
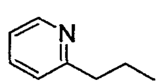
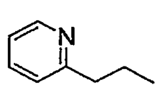
[Table 107]



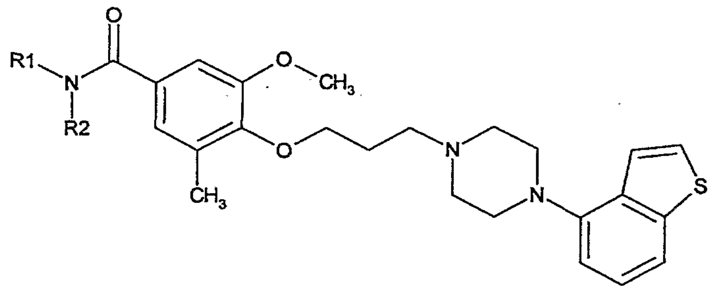
Example	R1	R2	MS (M+1)
903	-H		576
904	-H		576
905	-H		576
906	-H		594
907	-H		626
908	-H		626
909	-H		626
910	-H		566
911	-H		628

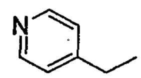
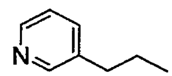
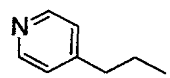
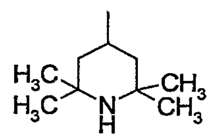
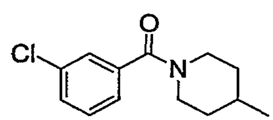
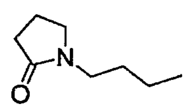
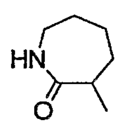
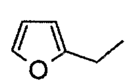
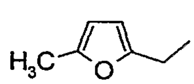
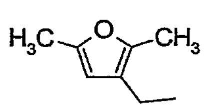
[Table 108]



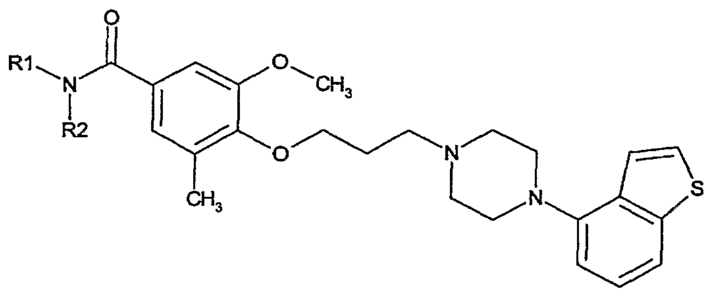
Example	R1	R2	MS (M+1)
912	-H		602
913	-H		606
914	$-C_2H_5$		584
915	-H		566
916	-H		580
917	-H		531
918	-H		531
919	-H		531
920	-H		545
921	$-C_2H_5$		573

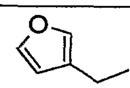
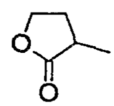
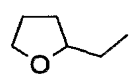
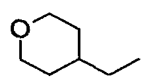
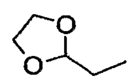
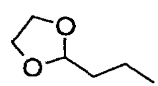
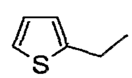
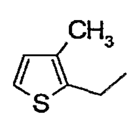
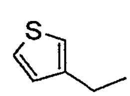
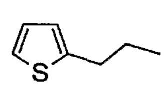
[Table 109]



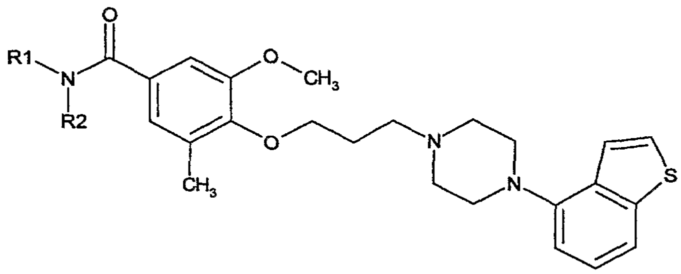
Example	R1	R2	MS (M+1)
922	$-C_2H_5$		559
923	-H		545
924	-H		545
925	-H		579
926	$-CH_3$		675
927	-H		565
928	-H		551
929	-H		520
930	-H		534
931	-H		548

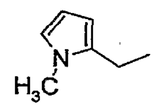
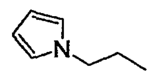
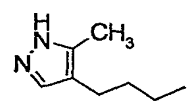
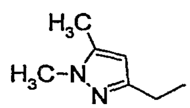
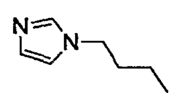
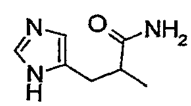
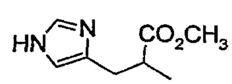
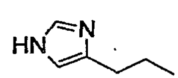
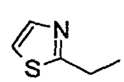
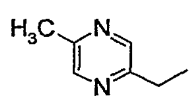
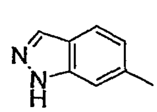
[Table 110]



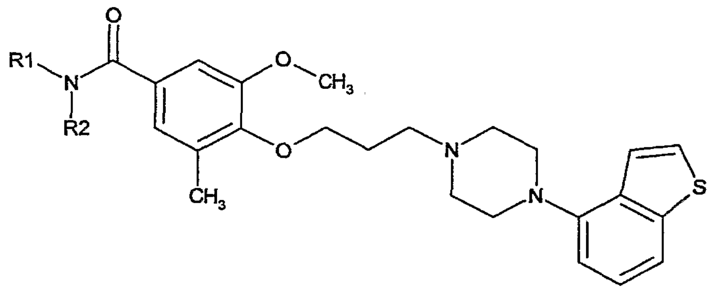
Example	R1	R2	MS (M+1)
932	-H		520
933	-H		524
934	-H		524
935	-H		538
936	-H		526
937	-H		540
938	-H		536
939	-H		550
940	-H		536
941	-H		550

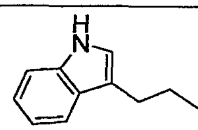
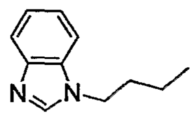
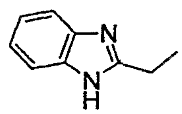
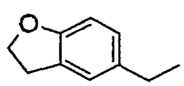
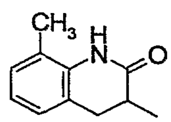
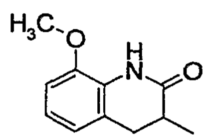
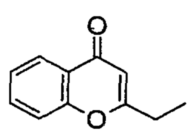
[Table 111]



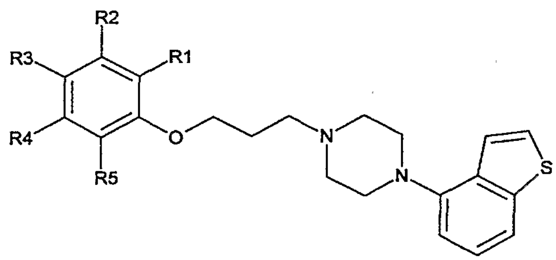
Example	R1	R2	MS (M+1)
942	-H		533
943	-H		533
944	-H		562
945	-H		548
946	-H		548
947	-H		577
948	-H		592
949	-H		534
950	-H		537
951	-H		546
952	-H		556

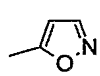
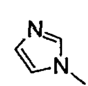
[Table 112]



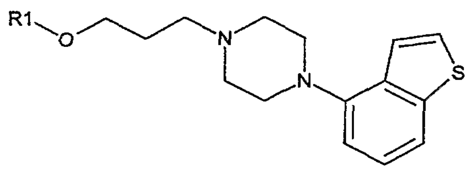
Example	R1	R2	MS (M+1)
953	-H		583
954	-H		598
955	-H		570
956	-H		572
957	-H		599
958	-H		615
959	-H		598

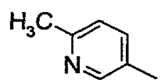
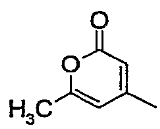
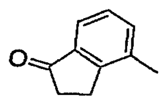
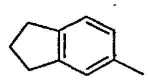
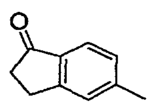
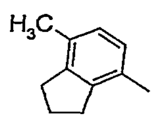
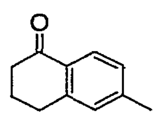
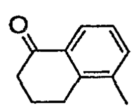
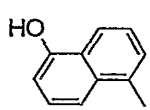
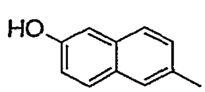
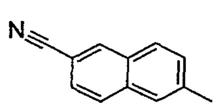
[Table 113]



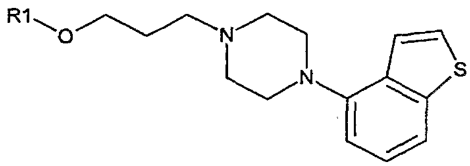
Example	R1	R2	R3	R4	R5	MS (M+1)
960	-H	-H	$-NHCOCH_3$	-H	-H	410
961	-H	$-NHCOCH_3$	-H	-H	-H	410
962	-H	-H	$-OCH_3$	-H	-H	383
963	-H	-H	-Cl	-H	-H	387
964	-H	-H	$-CH_3$	-H	-H	367
965	-H	-H	$-CF_3$	-H	-H	421
966	-H	-H	$-OCF_3$	-H	-H	437
967	-H	-H	$-SCH_3$	-H	-H	399
968	-H	-H	$-C_6H_5$	-H	-H	429
969	-H	-H	$-OCH_2C_6H_5$	-H	-H	459
970	-H	-H	$-NO_2$	-H	-H	398
971	-H	-H	$-COCH_3$	-H	-H	395
972	$-OCH_3$	$-OCH_3$	-H	-H	-H	413
973	$-OCH_3$	-H	-H	-H	$-OCH_3$	413
974	-H	$-OCH_3$	$-OCH_3$	-H	-H	413
975	-H	$-CH_3$	-H	-H	-H	367
976	$-CH_3$	-H	-H	-H	$-CH_3$	381
977	-F	-H	-H	-H	-H	371
978	-H	-F	-H	-H	-H	371
979	-H	-H	-F	-H	-H	371
980	-F	-H	-F	-H	-H	389
981	-H	-F	-H	-H	-F	389
982	-F	-H	-H	-H	-F	389
983	-F	-H	-H	$-CH_3$	-H	385
984	-H	-H	$-CH_2CO_2CH_3$	-H	-H	425
985	$-CH_3$	-H	$-COCH_3$	-H	-H	409
986	-H	$-OC_6H_5$	-H	-H	-H	445
987		-H	-H	-H	-H	420
988	-H	-H		-H	-H	419

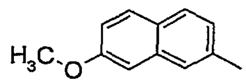
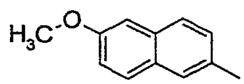
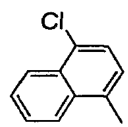
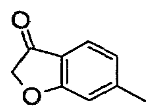
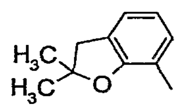
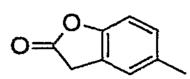
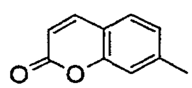
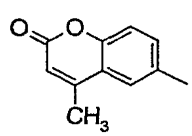
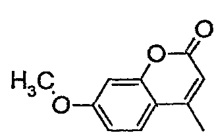
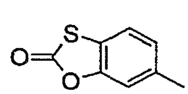
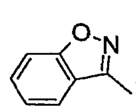
[Table 114]



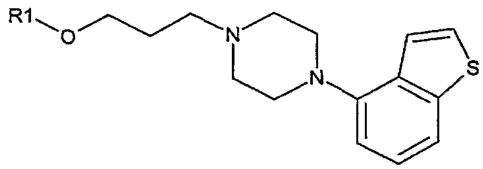
Example	R1	MS (M+1)
989	-3-PYRIDYL	354
990		368
991		385
992		407
993		393
994		407
995		407
996		421
997		421
998		419
999		419
1000		428

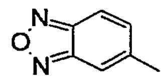
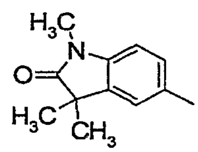
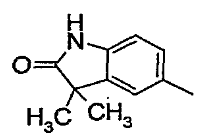
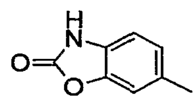
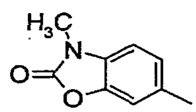
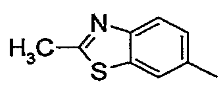
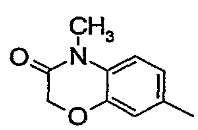
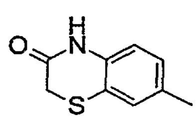
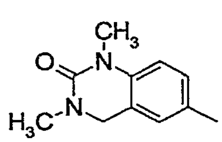
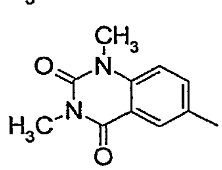
[Table 115]



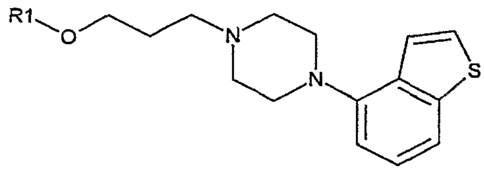
Example	R1	MS (M+1)
1001		433
1002		433
1003		437
1004		409
1005		423
1006		409
1007		421
1008		435
1009		451
1010		427
1011		394

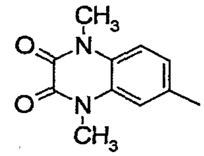
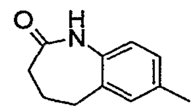
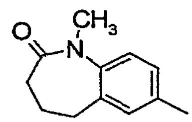
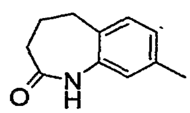
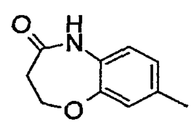
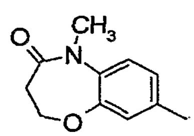
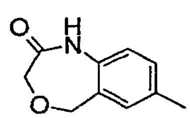
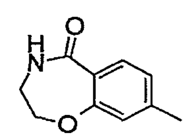
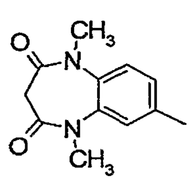
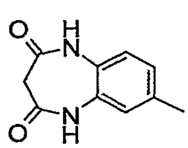
[Table 116]



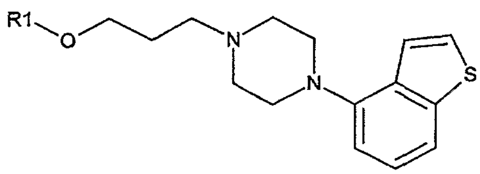
Example	R1	MS (M+1)
1012		395
1013		450
1014		436
1015		410
1016		424
1017		424
1018	-2-BENZTHIAZOLYL	410
1019		438
1020		440
1021		451
1022		465

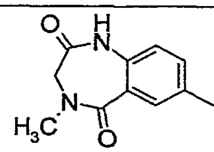
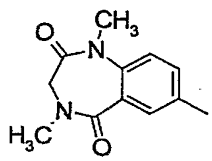
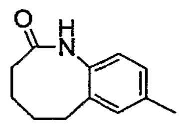
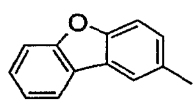
[Table 117]



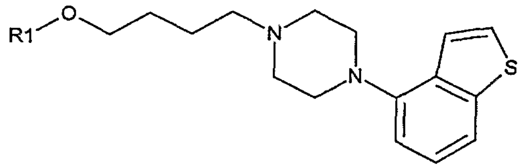
Example	R1	MS (M+1)
1023		465
1024		436
1025		450
1026		436
1027		438
1028		452
1029		438
1030		438
1031		479
1032		451

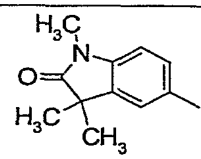
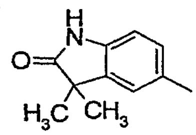
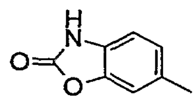
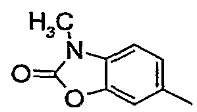
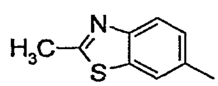
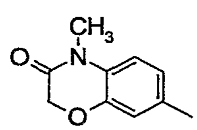
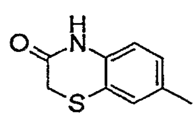
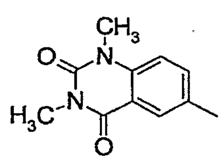
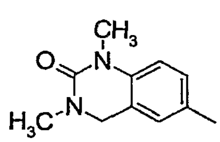
[Table 118]



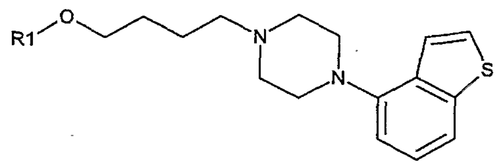
Example	R1	MS (M+1)
1033		465
1034		479
1035		450
1036		443

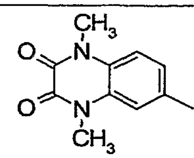
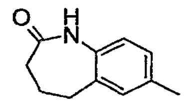
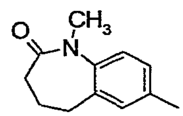
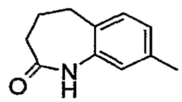
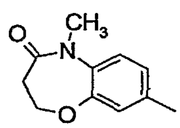
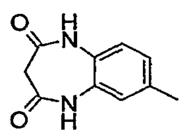
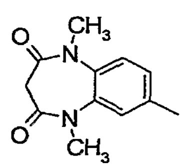
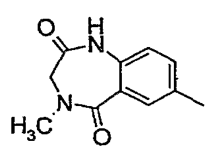
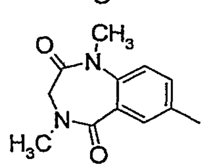
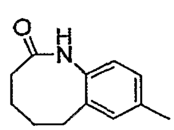
[Table 119]



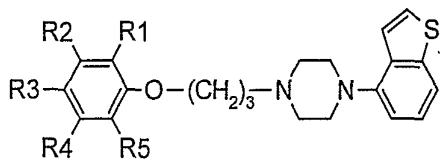
Example	R1	MS (M+1)
1037		464
1038		450
1039		424
1040		438
1041		438
1042		452
1043		454
1044		479
1045		465

[Table 120]



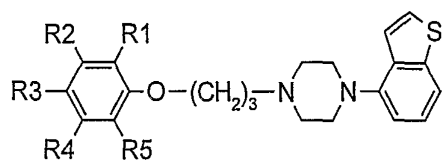
Example	R1	MS (M+1)
1046		479
1047		450
1048		464
1049		450
1050		466
1051		465
1052		493
1053		479
1054		493
1055		464

[Table 121]



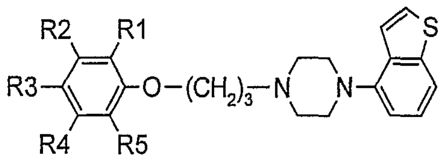
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting point (°C)	salt
1056	$-OCH_3$	-H	$-NHSO_2C_2H_5$	-H	$-CH_3$	White powder (Ethyl acetate)	235.5-237.5	Hydrochloride
1057	$-CH_3$	-H	$-CONHCH_3$	-H	-OH	White powder (Ethyl acetate)	246.5 (dec)	Hydrochloride
1058	$-CH_3$	-H	-Br	-H	$-OCH_3$	White powder (Ethanol/ethyl acetate)	265.0 (dec)	Hydrochloride
1059	$-OCH_3$	-H	$-NHCOCH_2NHCO_2C(CH_3)_3$	-H	$-CH_3$	White powder (Ethyl acetate/ isopropyl ether)	140.5-142.5	—
1060	$-CH_3$	-H	$-NHCOCH_2NH_2$	-H	$-OCH_3$	White powder (Methanol/water)	268.0 (dec)	Dihydrochloride
1061	$-OCH_3$	-H	$-NHCOCH_2NHCOCH_3$	-H	$-CH_3$	White powder (Ethyl acetate/ isopropyl ether)	167.5-170.5	—
1062	$-OCH_3$	-H	$-NHCOCH_2NHCO_2CH_3$	-H	$-CH_3$	White powder (Ethyl acetate/ isopropyl ether)	157.0-159.5	—
1063	$-CH_3$	-H	$-NHCOCH_2NHCHO$	-H	$-OCH_3$	White powder (Dichloromethane/water)	235.5 (dec)	Hydrochloride

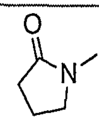
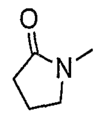
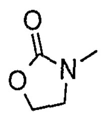
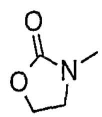
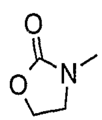
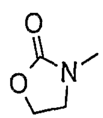
[Table 122]



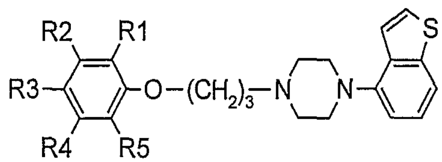
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting point (°C)	salt
1064	$-CH_3$	-H	$-CONHCH_3$	-H	$-O(CH_2)_2N(CH_3)_2$	White powder (Ethyl acetate)	235.5-240.5 (dec)	Dihydrochloride
1065	$-CH_3$	-H	$-CONHCH_3$	-H	$-O(CH_2)_2OCH_3$	White powder (Isopropyl alcohol/ isopropyl ether)	194.0-197.5	Hydrochloride
1066	$-CH_3$	-H	$-CONHCH_3$	-H	$-OCH_2CF_3$	Light yellow powder (Ethyl acetate/ isopropyl ether)	156.0-157.5	Hydrochloride

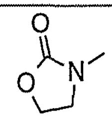
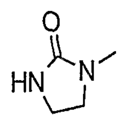
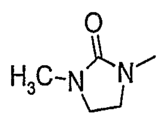
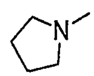
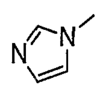
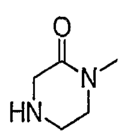
[Table 123]



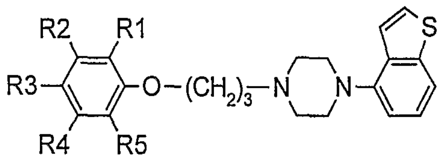
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1067	-H	-H		-H	-H	White powder (Ethyl acetate/ isopropyl ether)	114.0-115.5	—
1068	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethanol/ ethyl acetate)	245.0 (dec)	Hydrochloride
1069	-H	-H		-H	-H	White powder (Ethyl acetate)	217.0-224.5 (dec)	Hydrochloride
1070	$-OCH_3$	-H		-H	-CHO	White powder (Ethanol)	218.0 (dec)	Hydrochloride
1071	$-OCH_3$	-H		-H	$-CH_2OH$	White powder (Ethanol)	224.0-226.5 (dec)	Hydrochloride
1072	$-OCH_3$	-H		-H	$-CH_2OCH_3$	White powder (Ethanol)	224.0-226.0	Hydrochloride

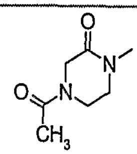
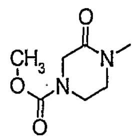
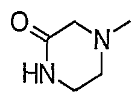
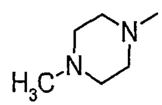
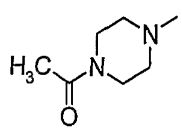
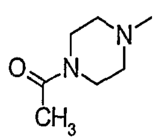
[Table 124]



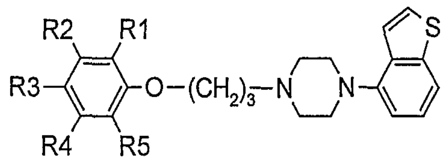
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1073	$-OCH_3$	-H		-H	$-CH_2N(CH_3)_2$	White powder (Ethanol/ether)	151.0-152.0	Difumarate
1074	$-OCH_3$	-H		-H	$-CH_3$	Light yellow powder (Ethanol/water)	264.0 (dec)	Hydrochloride
1075	$-OCH_3$	-H		-H	$-CH_3$	Light yellow powder (Ethyl acetate/ isopropyl ether)	143.5-151.0	—
1076	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	246.5-249.0 (dec)	Hydrochloride
1077	$-OCH_3$	-H		-H	$-CH_3$	Light yellow powder (Ethyl acetate)	234.0-240.0 (dec)	Dihydrochloride
1078	$-OCH_3$	-H		-H	$-CH_3$	White powder (Methanol/water)	286.5 (dec)	Dihydrochloride

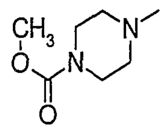
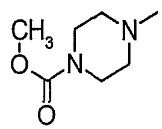
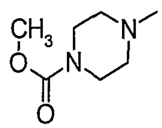
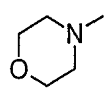
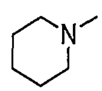
[Table 125]



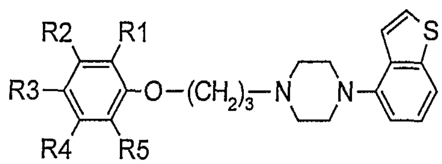
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1079	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethanol/water)	218.0-221.5	Hydrochloride
1080	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethanol/ethyl acetate)	223.0-228.0	Hydrochloride
1081	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate/ isopropyl ether)	139.5-142.0	—
1082	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	270.0 (dec)	Trihydrochloride
1083	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	257.0-261.0 (dec)	Hydrochloride
1084	$-OCH_3$	-H		-H	$-CH_2OH$	White powder (Ethyl acetate)	217.5-221.0	Hydrochloride

[Table 126]



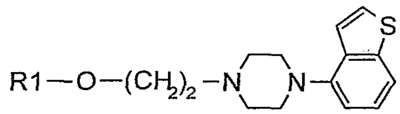
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting point (℃)	Salt
1085	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	250.0 (dec)	Hydrochloride
1086	$-OCH_3$	-H		-H	-CHO	Light yellow powder (Ethyl acetate)	225.0 (dec)	Hydrochloride
1087	$-OCH_3$	-H		-H	$-CH_2OH$	White powder (Ethyl acetate/ isopropyl ether)	128.0-130.0	—
1088	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	246.0 (dec)	Hydrochloride
1089	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	248.0-251.0 (dec)	Dihydrochloride

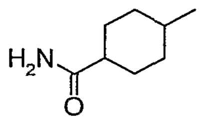
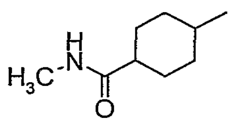
[Table 127]



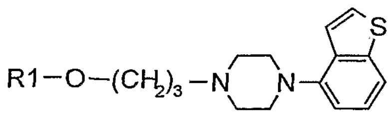
Example	R1	R2	R3	R4	R5	NMR	Salt
1090	$-NH_2$	-H	$-CONHC_2H_5$	-H	-H	^{1}H-NMR ($CDCl_3$) δ ppm: 1.23 (3H, t, J=7.4 Hz), 2.00-2.15 (2H, m), 2.67 (2H, t, J=7.3 Hz), 2.75 (4H, brs), 3.21 (4H, brs), 3.40-3.50 (2H, m), 3.50-4.30 (2H, br), 4.13 (2H, t, J=6.5 Hz), 5.99 (1H, brs), 6.80 (1H, d, J=8.4 Hz), 6.90 (1H, d, J=7.6 Hz), 7.08 (1H, dd, J=2.1, 8.3 Hz), 7.19 (1H, d, J=2.1 Hz), 7.25-7.30 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.0 Hz).	—

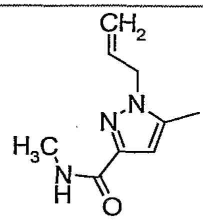
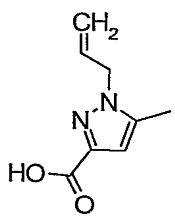
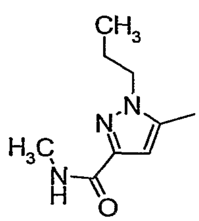
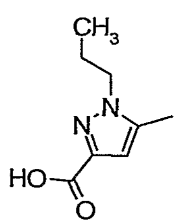
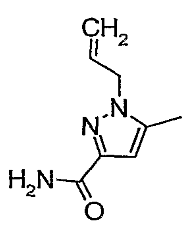
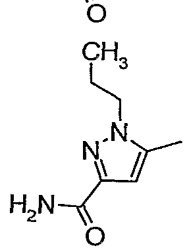
[Table 128]



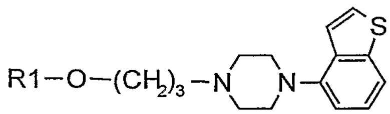
Example	R1	Crystal form (Recrystalization solvent)	Melting point (℃)	Salt
1091		White powder (Ethanol/ ethyl acetate)	166.0-171.0	—
1092		White powder (Ethyl acetate/ isopropyl ether)	138.5-141.0	—

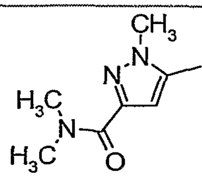
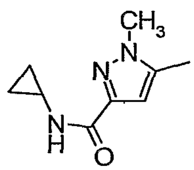
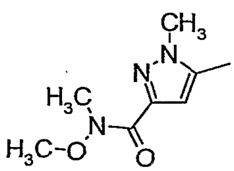
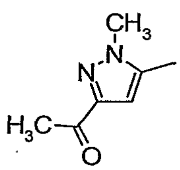
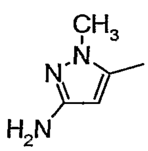
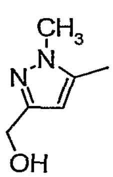
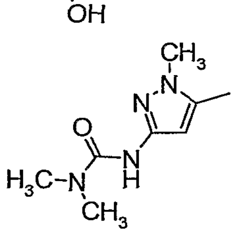
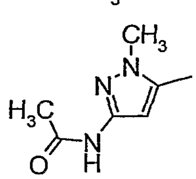
[Table 129]



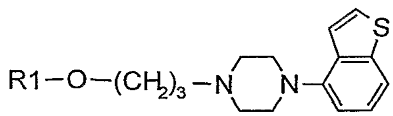
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1093		White powder (Ethyl acetate/ isopropyl ether)	138.5-140.5	—
1094		White powder (Ethanol)	233.5 (dec)	Hydrochloride
1095		White powder (Ethyl acetate/ isopropyl ether)	147.0-148.5	—
1096		White powder (water)	115.0-121.0	—
1097		White powder (Ethyl acetate/ isopropyl ether)	129.0-130.5	—
1098		White powder (Ethyl acetate/ isopropyl ether)	139.0-140.5	—

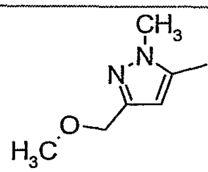
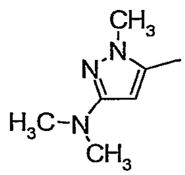
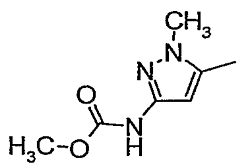
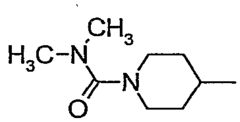
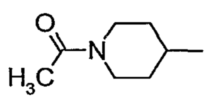
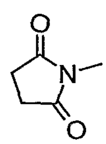
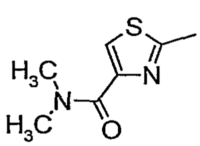
[Table 130]



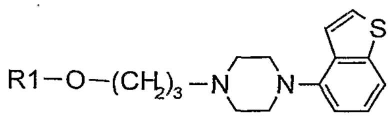
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1099		White powder (Ethyl acetate/ isopropyl ether)	128.5-131.5	—
1100		White powder (Isopropyl alcohol/ ethyl acetate)	227.0 (dec)	Hydrochloride
1101		White powder (Ethanol/ ethyl acetate)	211.0-213.5	Hydrochloride
1102		White powder (Ethanol/water)	245.0 (dec)	Hydrochloride
1103		White powder (Ethyl acetate/ isopropyl ether)	112.0-113.0	—
1104		White powder (Ethyl acetate/ isopropyl ether)	123.5-126.0	—
1105		Light yellow powder (Ethyl acetate)	174.0-176.5	Hydrochloride
1106		White powder (Ethyl acetate/ isopropyl ether)	137.0-139.0	—

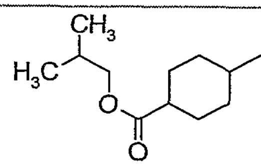
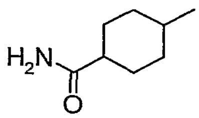
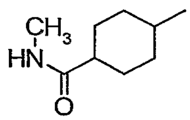
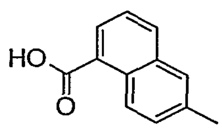
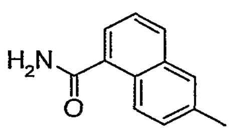
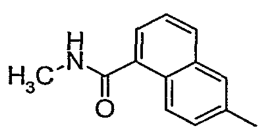
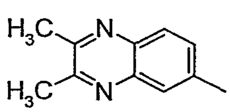
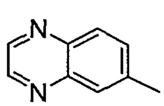
[Table 131]



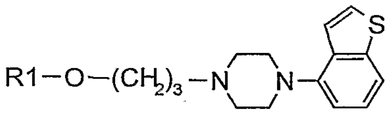
Example	R1	Crystal form (Recrystalization solvent)	Melting point (℃)	Salt
1107		White powder (Ethyl acetate)	194.0-196.0	Hydrochloride
1108		White powder (Ethyl acetate)	173.0-177.0	Dihydrochloride
1109		White powder (Ethyl acetate/ isopropyl ether)	162.5-165.0	—
1110		White powder (Methanol)	202-205	Hydrochloride
1111		White powder (Methanol)	208-210	Hydrochloride
1112		White powder (Ethanol)	255.0-257.0	Hydrochloride
1113		White powder (Methanol)	178-182	Hydrochloride

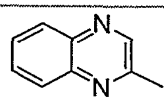
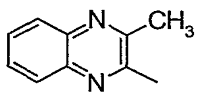
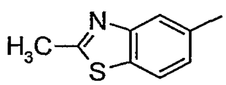
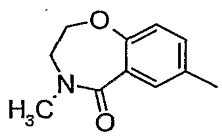
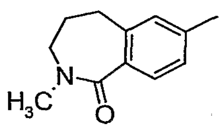
[Table 132]



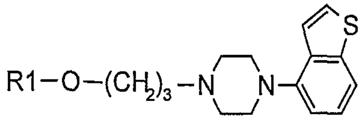
Example	R1	Crystal form (Recrystalization solvent)	Melting point (℃)	Salt
1114		White powder (Ethyl acetate)	199.0-201.5	Hydrochloride
1115		White powder (Ethyl acetate/ isopropyl ether)	107.5-108.5	—
1116		White powder (Ethyl acetate/ isopropyl ether)	110.0-112.0	—
1117		White powder (water)	203.0-210.0	—
1118		White powder (Ethyl acetate/ isopropyl ether)	167.0-169.0	—
1119		White powder (Ethyl acetate)	138.0-140.0	—
1120		White powder (Ethyl acetate/hexane)	115	—
1121		Light brown powder (Ethanol)	134.7	—

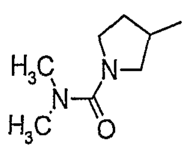
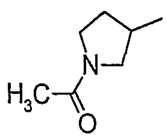
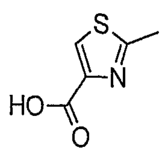
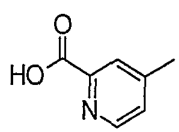
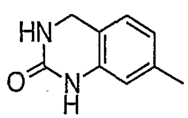
[Table 133]



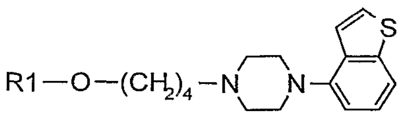
Example	R1	Crystal form (Recrystalization solvent)	Melting point (℃)	Salt
1122		White powder (Ethanol)	131.3	—
1123		White powder (Ethanol)	107.1	—
1124		White powder (Ethyl acetate)	231.3-232.8	Hydrochloride
1125		White powder (Ethyl acetate)	218.9-221.0	Hydrochloride
1126		White powder (Ethyl acetate)	259.0-260.2	Hydrochloride

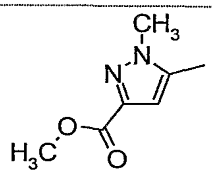
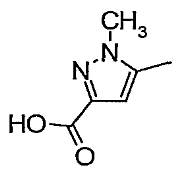
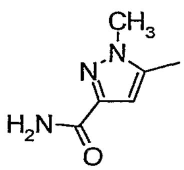
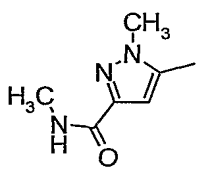
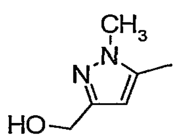
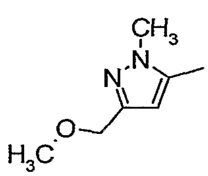
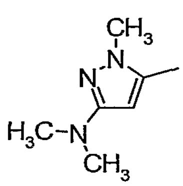
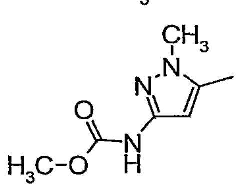
[Table 134]



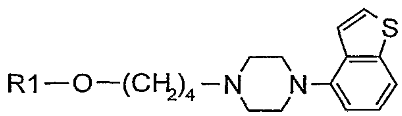
Example	R1	Melting point (°C)	Salt
1127		^{1}H-NMR (DMSO-d_6) δppm:: 1.80-2.10 (4H, m), 2.74 (6H, s), 3.10-3.70 (16H, m), 4.00-4.10 (1H, m), 6.97 (1H, d, J=7.5 Hz), 7.32 (1H, t, J=7.9 Hz), 7.49 (1H, d, J=5.6 Hz), 7.71 (1H, d, J=8.0 Hz), 7.77 (1H, d, J=5.5 Hz), 10.91 (1H, brs).	Hydrochloride
1128		^{1}H-NMR (DMSO-d_6) δppm: 1.80-2.10 (4H, m), 1.93 (3H, s), 3.10-3.60 (16H, m), 3.90-4.10 (1H, m), 6.95 (1H, d, J=7.5 Hz), 7.30 (1H, t, J=7.9 Hz), 7.47 (1H, d, J=5.5 Hz), 7.68 (1H, d, J=8.0 Hz), 7.75 (1H, d, J=5.5 Hz), 11.30 (1H, brs).	Hydrochloride
1129		^{1}H-NMR (DMSO-d_6) δppm: 2.20-2.40 (2H, m), 2.70-3.70 (10H, m), 4.55 (2H, t, J=5.9 Hz), 6.98 (1H, d, J=7.5 Hz), 7.32 (1H, t, J=7.9Hz), 7.50 (1H, d, J=5.5 Hz), 7.71 (1H, d, J=8.0 Hz), 7.77 (1H, d, J=5.5 Hz), 7.89 (1H, s), 10.97 (1H, brs), 12.93 (1H, brs).	Hydrochloride
1130		^{1}H-NMR (DMSO-d_6) δppm: 2.25-2.35 (2H, m), 3.20-4.00 (10H, m), 4.30 (2H, t, J=5.8 Hz), 6.97 (1H, d, J=7.5 Hz), 7.24 (1H, dd, J=5.5, 2.8 Hz), 7.31 (1H, t, J=7.8 Hz), 7.49 (1H, d, J=5.4 Hz), 7.59 (1H, d, J=2.5 Hz), 7.70 (1H, d, J=8.1 Hz), 7.76 (1H, d, J=5.5 Hz), 8.53 (1H, d, J=5.7 Hz), 10.99 (1H, brs).	Hydrochloride
1131		^{1}H-NMR ($CDCl_3$) δppm: 1.89-2.13 (2H, m), 2.52-2.83 (6H, m), 3.03-3.3- (4H, m), 4.01 (2H, t, J = 6.3 Hz), 4.46 (2H, brs), 5.30 (1H, brs), 6.51 (1H, dd, J = 8.3, 2.3 Hz), 6.83-6.96 (2H, m), 7.19-7.45 (3H, m), 7.48 (1H, brs), 7.55 (1H, d, J = 8.0 Hz).	fumarate

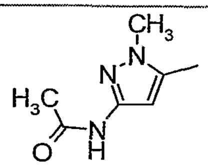
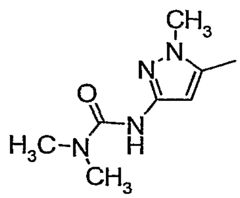
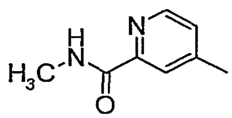
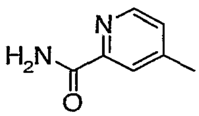
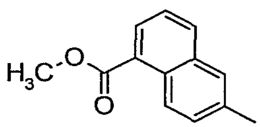
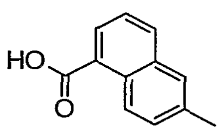
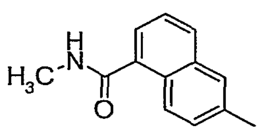
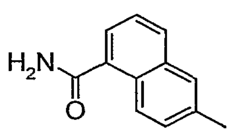
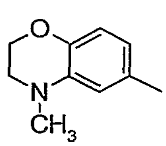
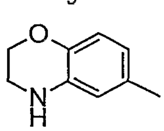
[Table 135]



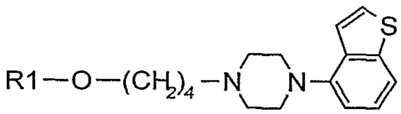
Example	R1	Crystal form (Recrystallization solvent)	Melting point (°C)	Salt
1132		Light brown powder (Ethanol/ethyl acetate)	103.5-106.0	—
1133		Light brown powder (Dichloromethane/water)	140.5-144.0	—
1134		White powder (Ethyl acetate/ isopropyl ether)	143.0-144.5	—
1135		White powder (Ethanol/ethyl acetate)	211.0-213.5	Hydrochloride
1136		White powder (Ethyl acetate)	207.5-209.5	Hydrochloride
1137		White powder (Ethanol)	167.0-168.5	Hydrochloride
1138		White powder (Ethyl acetate)	156.5-158.5	Hydrochloride
1139		White powder (Ethyl acetate/ isopropyl ether)	157.5-161.5	—

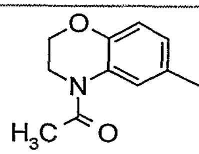
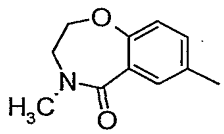
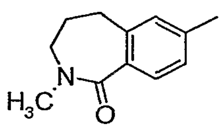
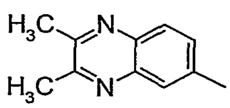
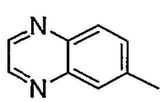
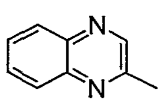
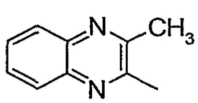
[Table 136]



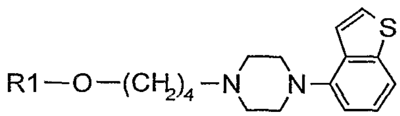
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1140		White powder (Ethyl acetate)	203.5-206.0	Hydrochloride
1141		White powder (Ethyl acetate)	186.0-187.5	Hydrochloride
1142		White powder (Ethyl acetate)	203.0-207.0	Hydrochloride
1143		White powder (Ethyl acetate/ isopropyl ether)	146.5-148.0	—
1144		White powder (Ethyl acetate/ isopropyl ether)	96.5-97.0	—
1145		White powder (acetic acid)	254.0 (dec)	Dihydrochloride
1146		White powder (Ethyl acetate/ isopropyl ether)	124.0-126.5	—
1147		White powder (Ethanol/ethyl acetate)	181.5-183.5	—
1148		White powder (Ethyl acetate)	230.2-231.5	Hydrochloride
1149		White powder (Ethyl acetate)	207.4-209.6	Hydrochloride

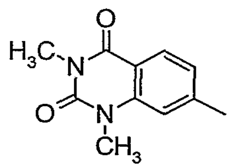
[Table 137]



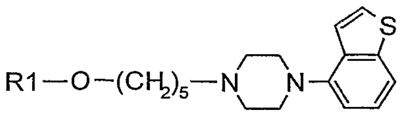
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1150		White powder (Ethyl acetate)	213.8-215.2	Hydrochloride
1151		White powder (Ethyl acetate)	217.0-218.0	Hydrochloride
1152		White powder (Ethyl acetate)	231.6-232.9	Hydrochloride
1153		Light yellow powder (Ethanol)	135.7	—
1154		Light brown powder (Ethanol)	238.1-240.1	Hydrochloride
1155		White powder (Ethanol)	210.4	Hydrochloride
1156		White powder (Ethanol)	94.1	—

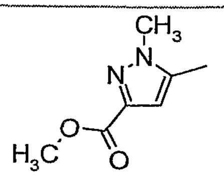
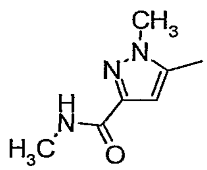
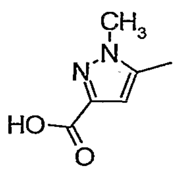
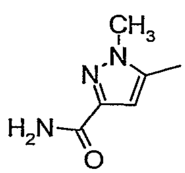
[Table 138]



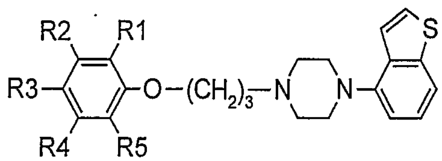
Example	R1	NMR	Salt
1157		^{1}H-NMR ($CDCl_3$) δ ppm: 1.72-1.83 (2H, m), 1.83-1.98 (2H, m), 2.48-2.59 (2H, m), 2.64-2.81 (4H, m), 3.12-3.28 (4H, m), 3.46 (3H, s), 3.58 (3H, s), 4.13 (2H, t, J = 6.3 Hz), 6.62 (1H, d, J = 2.1 Hz), 6.80 (1H, dd, J = 8.8, 2.1 Hz), 6.90 (1H, d, J = 7.6 Hz), 7.20-7.31 (1H, m), 7.35-7.43 (2H, m), 7.55 (1H, d, J = 8.0 Hz), 8.15 (1H, d, J = 8.8 Hz).	—

[Table 139]



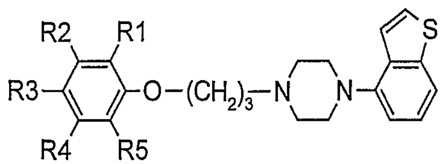
Example	R1	Crystal form (Recrystalization solvent)	Melting point (°C)	Salt
1158		White powder (Ethyl acetate)	200.5-201.5	Hydrochloride
1159		White powder (Ethanol/ethyl acetate)	225.0-230.0	Hydrochloride
1160		White powder (Dichloromethane/water)	156.0-158.5	—
1161		White powder (Ethanol/ethyl acetate)	169.0-171.5	—

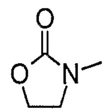
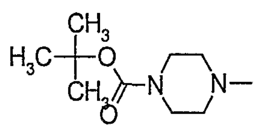
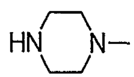
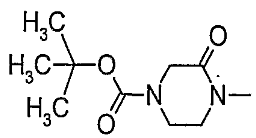
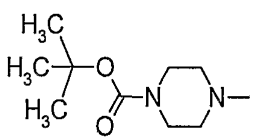
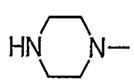
[Table 140]



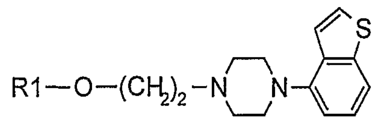
Example	R1	R2	R3	R4	R5	NMR
1162	$-OCH_3$	-H	$-NHCO2C(CH_3)_3$	-H	$-CH_3$	[1]H-NMR ($CDCl_3$) δppm: 1.51 (9H, s), 1.95-2.10 (2H, m), 2.24 (3H, s), 2.66-2.81 (6H, m), 3.14-3.31 (2H, m), 3.84 (3H, s), 3.95 (2H, t, J=6.3Hz), 6.36 (1H, br), 6.60 (1H, d, J=2.5Hz), 6.87-6.92 (1H, m), 7.01 (1H, d, J=2.0Hz), 7.24-7.31 (1H, m), 7.37-7.44 (2H, m), 7.55 (1H, d, J=8.0Hz)
1163	$-OCH_3$	-H	-I	-H	$-CH_3$	[1]H-NMR ($CDCl_3$) δppm: 1.92-2.10 (2H, m), 2.23 (3H, s), 2.57-2.86 (6H, m), 3.11-3.31 (4H, m), 3.82 (3H, s), 3.98 (2H, t, J=6.4Hz), 6.90 (1H, d, J=7.6Hz), 7.03 (1H, d, J=2.0Hz), 7.13 (1H, d, J=1.6Hz), 7.22-7.34 (1H, m), 7.40 (1H, dd, J=5.5Hz, 9.3Hz), 7.55 (1H, d, J=8.0Hz).
1164	$-OCH_3$	-H	$-NHCONH(CH_2)_2Cl$	-H	$-CH_3$	[1]H-NMR ($CDCl_3$) δppm: 1.94-2.13 (2H, m), 2.26 (3H, s), 2.60-2.90 (6H, m), 3.12-3.33 (4H, m), 3.49-3.75 (4H, m), 3.83 (3H, s), 3.97 (2H, t, J=6.4Hz), 5.22 (1H, br), 6.25 (1H, br), 6.59 (1H, d, J=2.3Hz), 6.86 (1H, d, J=2.3Hz), 6.91 (1H, d, J=7.4Hz), 7.21-7.33 (1H, m), 7.41 (1H, dd, J=5.6Hz, 7.6Hz), 7.56 (1H, d, J=8.0Hz).
1165	$-OCH_3$	-H	$-NH(CH_2)_2NH_2$	-H	$-CH_3$	[1]H-NMR ($CDCl_3$) δppm: 1.91-2.08 (2H, m), 2.22 (3H, s), 2.62-2.81 (6H, m), 2.95 (2H, t, J=5.7Hz), 3.08-3.27 (6H, m), 3.80 (3H, s), 3.91 (2H, t, J=6.4Hz), 6.05 (1H, d, J=2.6Hz), 6.10 (1H, d, J=2.6Hz), 6.90 (1H, d, J=7.5Hz), 7.20-7.32 (1H, m), 7.34-7.46 (2H, m), 7.55 (1H, d, J=8.0Hz).
1166	$-OCH_3$	-H	$-NH(CH_2)_2NHCOCH_2Cl$	-H	$-CH_3$	[1]H-NMR ($CDCl_3$) δppm: 1.91-2.11 (2H, m), 2.23 (3H, s), 2.60-2.84 (6H, m), 3.11-3.26 (4H, m), 3.26-3.36 (2H, m), 3.45-3.63 (2H, m), 3.81 (3H, s), 3.91 (2H, t, J=6.4Hz), 4.06 (2H, s), 6.04 (1H, d, J=2.5Hz), 6.10 (1H, d, J=2.5Hz), 6.78-6.96 (2H, m), 7.21-7.33 (1H, m), 7.35-7.47 (2H, m), 7.55 (1H, d, J=8.1Hz).

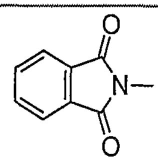
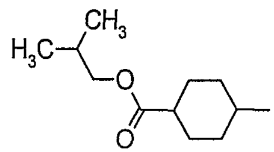
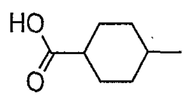
[Table 141]



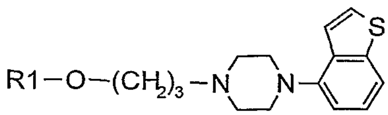
Example	R1	R2	R3	R4	R5	NMR
1167	-OCH$_3$	-H		-H	-CH$_2$Cl	^{1}H-NMR (CDCl$_3$) δppm: 2.00-2.17 (2H, m), 2.63-2.83 (6H, m), 3.14-3.28 (2H, m), 3.89 (3H, s), 3.98-4.17 (4H, m), 4.40-4.54 (2H, m), 4.69 (2H, m), 6.77 (1H, d, J=2.5Hz), 6.91 (1H, d, J=2.5Hz), 7.21-7.32 (1H, m), 7.35-7.46 (2H, m), 7.55 (1H, d, J=9.3Hz)
1168	-OCH$_3$	-H		-H	-CH$_3$	^{1}H-NMR (CDCl$_3$) δppm: 1.48 (9H, s), 1.93-2.12 (2H, m), 2.26 (3H, s), 2.60-2.86 (6H, m), 2.95-3.12 (4H, m), 3.14-3.31 (4H, m), 3.50-3.67 (4H, m), 3.83 (3H, s), 3.94 (2H, t, J=6.3Hz), 6.33 (1H, d, J=2.5Hz), 6.38 (1H, d, J=2.5Hz), 6.90 (1H, d, J=7.5Hz), 7.19-7.33 (1H, m), 7.41 (2H, dd, J=5.5Hz, 9.3Hz), 7.55 (1H, d, J=8.0Hz).
1169	-OCH$_3$	-H		-H	-CH$_3$	^{1}H-NMR (CDCl$_3$) δppm: 1.92-2.09 (2H, m), 2.26 (3H, s), 2.61-2.81 (6H, m), 2.98-3.12 (8H, m), 3.14-3.25 (4H, m), 3.83 (3H, s), 3.94 (2H, t, J=6.4Hz), 6.33 (1H, d, J=2.5Hz), 6.38 (1H, d, J=2.5Hz), 6.90 (1H, d, J=7.0Hz), 7.20-7.33 (1H, m), 7.34-7.45 (2H, m), 7.55 (1H, d, J=8.0Hz).
1170	-OCH$_3$	-H		-H	-CH$_3$	^{1}H-NMR (CDCl$_3$) δppm: 1.50 (9H, s), 1.95-2.11 (2H, m), 2.27 (3H, s), 2.59-2.82 (6H, m), 3.12-3.27 (4H, m), 3.63-3.81 (4H, m), 3.83 (3H, s), 4.01 (2H, t, J=6.4Hz), 4.24 (2H, s), 6.61-6.71 (2H, m), 6.90 (1H, d, J=7.6Hz), 7.21-7.33 (1H, m), 7.41 (2H, dd, J=5.5Hz, 9.8Hz), 7.55 (1H, d, J=8.1Hz).
1171	-OCH$_3$	-H		-H	-CHO	^{1}H-NMR (CDCl$_3$) δppm: 1.49 (9H, s), 1.96-2.12 (2H, m), 2.60-2.82 (6H, m), 3.04-3.16 (4H, m), 3.16-3.28 (4H, m), 3.52-3.64 (4H, m), 3.89 (3H, s), 4.14 (2H, t, J=6.3Hz), 6.78 (1H, d, J=2.8Hz), 6.86-6.96 (2H, m), 7.20-7.33 (1H, m), 7.35-7.46 (2H, m), 7.55 (1H, d, J=8.0Hz), 10.44 (1H, s).
1172	-OCH$_3$	-H		-H	-CHO	^{1}H-NMR (CDCl$_3$) δppm: 1.97-2.13 (2H, m), 2.59-2.83 (6H, m), 2.96-3.09 (4H, m), 3.09-3.17 (4H, m), 3.17-3.28 (4H, m), 3.89 (3H, s), 4.13 (2H, t, J=6.5Hz), 6.79 (1H, d, J=2.7Hz), 6.86-6.96 (2H, m), 7.20-7.34 (1H, m), 7.36-7.45 (2H, m), 7.55 (1H, d, J=8.1Hz), 10.44 (1H, s).

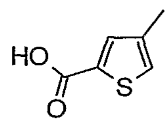
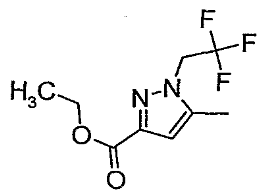
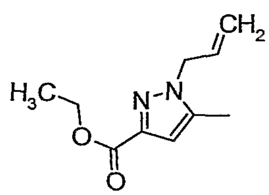
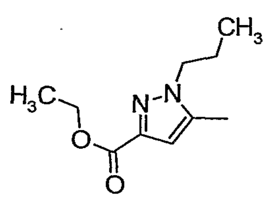
[Table 142]



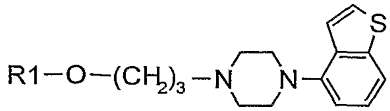
Example	R1	NMR
1173		^{1}H-NMR (CDCl$_3$) δppm: 2.70-2.87(4H, m), 2.95(2H, t, J=5.1Hz), 2.99-3.14(4H, m), 4.42(2H, t, J=5.1Hz), 6.78(1H, dd, J=0.6Hz, 7.6Hz), 7.18-7.30(1H, m), 7.38 (2H, s), 7.54(1H, d, J=8.0Hz), 7.69-7.80(2H, m), 7.80-7.89(2H, m).
1174		^{1}H-NMR (CDCl$_3$) δppm: 0.93(6H, d, J=6.7Hz), 1.41-1.75(5H, m), 1.75-2.02 (4H, m), 2.23-2.48(1H, m), 2.65-2.87(6H, m), 3.06-3.25(4H, m), 3.42-3.54(1H, m), 3.62(2H, t, J=6.2Hz), 3.85(2H, d, J=6.5Hz), 6.89(1H, d, J=7.6Hz), 7.20-7.34(1H, m), 7.34-7.46 (2H, m), 7.54(1H, d, J=8.0Hz).
1175		^{1}H-NMR (CDCl$_3$) δppm: 1.41-1.75(4H, m), 1.75-2.01 (4H, m), 2.18-2.44(1H, m), 2.72-3.04(6H, m), 3.14-3.31(4H, m), 3.44-3.54(1H, m), 3.64(2H, t, J=6.0Hz), 6.88(1H, d, J=7.6Hz), 7.20-7.31(1H, m), 7.31-7.44 (2H, m), 7.55(1H, d, J=8.0Hz).

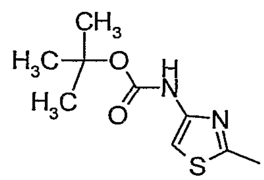
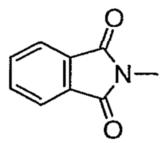
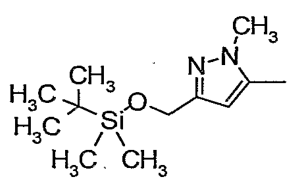
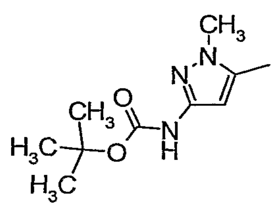
[Table 143]



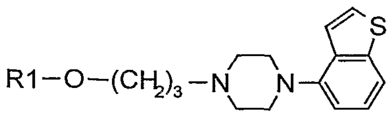
Example	R1	NMR
1176		^{1}H-NMR (DMSO-d_6) δppm: 1.85-1.95 (2H, m), 2.57 (2H, t, J=7.1 Hz), 2.60-2.75 (4H, m), 3.05-3.15 (4H, m), 4.03 (2H, t, J=6.3 Hz), 6.85-6.95 (2H, m), 7.20-7.31 (2H, m), 7.35-7.41 (1H, m), 7.60 (1H, d, J=8.1 Hz), 7.68 (1H, d, J=5.5 Hz).
1177		^{1}H-NMR (CDCl$_3$) δppm: 1.39 (3H, t, J=7.0Hz), 2.00-2.11 (2H, m), 2.60 (2H, t, J=7.0Hz), 2.63-2.80 (4H, m), 3.09-3.25 (4H, m), 4.24 (2H, t, J=6.3Hz), 4.40 (2H, q, J=7.0 Hz), 4.64 (2H, q, J=8.3Hz), 6.12 (1H, s), 6.90 (1H, dd, J=0.5Hz, 7.5Hz), 7.25-7.31 (1H, m), 7.38-7.43 (2H, m), 7.56 (1H, d, J=8.1 Hz).
1178		^{1}H-NMR (CDCl$_3$) δppm: 1.39 (3H, t, J=7.0Hz), 2.00-2.06 (2H, m), 2.60 (2H, t, J=7.5Hz), 2.67-2.83 (4H, m), 3.13-3.28 (4H, m), 4.18 (2H, t, J=6.3Hz), 4.39 (2H, q, J=7.0 Hz), 4.61 (2H, m), 5.08-5.23 (2H, m), 5.87-6.09 (1H, m), 6.11 (1H, s), 6.75 (1H, dd, J=0.6Hz, 7.5Hz), 7.25-7.37 (1H, m), 7.40-7.43 (2H, m), 7.65 (1H, d, J=8.0 Hz).
1179		^{1}H-NMR (CDCl$_3$) δppm: 0.91 (3H, t, J=7.5Hz), 1.38 (3H, t, J= 7.0Hz), 1.72-1.93 (2H, m), 1.98-2.13 (2H, m), 2.61 (2H, t, J=7.3Hz), 2.67-2.83 (4H, m), 3.09-3.28 (4H, m), 4.01 (2H, t, J=7.0Hz), 4.18 (2H, t, J=6.3Hz), 4.39 (2H, q, J=7.0 Hz), 6.08 (1H, s), 6.90 (1H, dd, J=0.7Hz, 7.5Hz), 7.25-7.30 (1H, m), 7.37-7.43 (2H, m), 7.56 (1H, d, J=8.0 Hz).

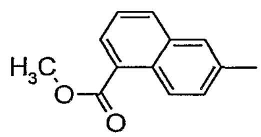
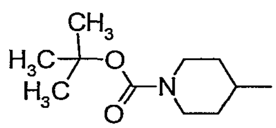
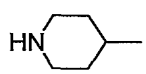
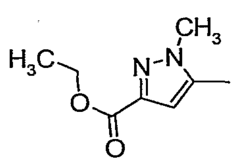
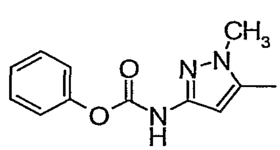
[Table 144]



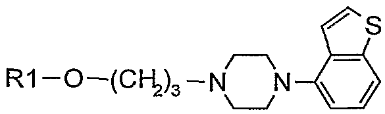
Example	R1	NMR
1180		^{1}H-NMR (CDCl$_3$) δ ppm: 1.51(9H, s), 1.97-2.12 (2H, m), 2.52-2.67(2H, m), 2.67-2.80(4H, m), 3.07-3.29(4H, m), 4.38(2H, t, J=6.3Hz), 6.52(1H, br), 6.90(1H, d, J=7.6Hz), 7.03(1H, br), 7.21-7.33(1H, m), 7.40(2H, dd, J=5.6Hz, 7.3Hz), 7.55(1H, d, J=8.0Hz).
1181		^{1}H-NMR (CDCl$_3$) δ ppm: 1.95-2.13 (2H, m), 2.65-2.83(6H, m), 3.09-3.27(4H, m), 4.33(2H, t, J=6.4Hz), 6.89(1H, d, J=7.6Hz), 7.20-7.32(1H, m), 7.40 (1H, dd, J=5.6Hz, 9.0Hz), 7.54(1H, d, J=8.0Hz), 7.71-7.80(2H, m), 7.80-7.90(2H, m).
1182		^{1}H-NMR (CDCl$_3$) δ ppm: 0.10(6H, s), 0.92(9H, s), 1.93-2.13 (2H, m), 2.62 (2H, t, J=7.5Hz), 2.70-2.83 (4H, m), 3.09-3.28 (4H, m), 3.59(3H, s), 4.13 (2H, t, J=6.3Hz), 4.60 (2H, s), 5.54 (1H, s), 6.90 (1H, dd, J=0.7Hz, 7.5Hz), 7.20-7.33 (1H, m), 7.35-7.48 (2H, m), 7.55 (1H, d, J=8.0 Hz).
1183		^{1}H-NMR (CDCl$_3$) δ ppm: 1.50 (9H, s), 1.94-2.12 (2H, m), 2.60 (2H, t, J=7.0Hz), 2.66-2.80 (4H, m), 3.10-3.27 (4H, m), 3.52(3H, s), 4.15 (2H, t, J=6.4Hz), 5.85 (1H, s), 6.81-6.97 (2H, m), 7.20-7.33 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.0 Hz).

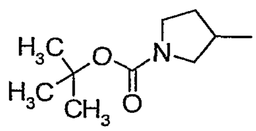
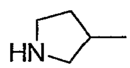
[Table 145]



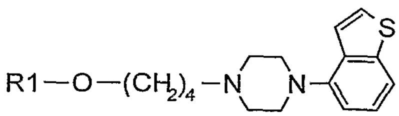
Example	R1	NMR
1184		^{1}H-NMR ($CDCl_3$) δppm: 2.01-2.20 (2H, m), 2.62-2.87 (6H, m), 3.10-3.30 (4H, m), 3.99 (3H, s), 4.20 (2H, t, J=6.3Hz), 6.91 (1H, dd, J=0.7Hz, 7.6Hz), 7.20 (1H, d, J=2.6Hz), 7.22-7.34 (2H, m), 7.35-7.50 (3H, m), 7.55 (1H, d, J=8.1 Hz), 7.90 (1H, d, J=8.1Hz), 8.03 (1H, dd, J=1.2Hz, 7.3Hz), 8.83 (1H, d, J=9.4Hz).
1185		^{1}H-NMR ($CDCl_3$) δppm: 1.46 (9H, s), 1.45-1.60 (2H, m), 1.75-1.90 (4H, m), 2.50-2.60 (2H, m), 2.65-2.80 (4H, m), 3.05-3.25 (6H, m), 3.40-3.50 (1H, m), 3.53 (2H, t, J=6.4 Hz), 3.70-3.80 (2H, m), 6.89 (1H, dd, J=7.6, 0.7 Hz), 7.20-7.30 (1H, m), 7.35-7.45 (2H, m), 7.54 (1H, d, J=8.0 Hz), 8.02 (1H, s).
1186		^{1}H-NMR ($CDCl_3$) δppm: 1.30-1.60 (2H, m), 1.75-2.00 (4H, m), 2.50-2.75 (4H, m), 3.05-3.25 (6H, m), 3.30-3.40 (1H, m), 3.55 (2H, t, J=6.5 Hz), 6.90 (1H, d, J=7.6 Hz), 7.20-7.30 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.1 Hz).
1187		^{1}H-NMR ($CDCl_3$) δppm: 1.38 (3H, t, J=7.1 Hz), 2.00-2.10 (2H, m), 2.60 (2H, t, J=7.1 Hz), 2.65-2.75 (4H, m), 3.15-3.25 (4H, m), 3.72 (3H, s), 4.17 (2H, t, J=6.4 Hz), 4.38 (2H, q, J=7.1 Hz), 6.08 (1H, s), 6.89 (1H, d, J=7.6 Hz), 7.20-7.30 (1H, m), 7.35-7.45 (2H, m), 7.54 (1H, d, J=8.1 Hz).
1188		^{1}H-NMR ($CDCl_3$) δppm: 1.94-2.10 (2H, m), 2.60 (2H, t, J=7.1Hz), 2.65-2.78 (4H, m), 3.10-3.25 (4H, m), 3.57 (3H, s), 4.15 (2H, t, J=6.3Hz), 5.93 (1H, s), 6.89 (1H, d, J=7.5Hz), 7.12-7.32 (3H, m), 7.33-7.45 (4H, m), 7.55 (1H, d, J=8.0 Hz), 7.93 (1H, br).

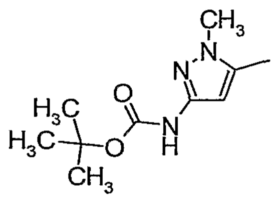
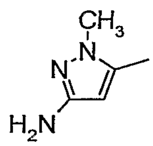
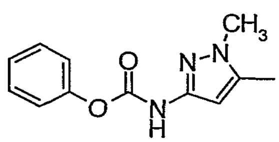
[Table 146]



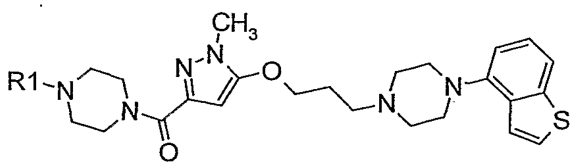
Example	R1	NMR
1189		^{1}H-NMR ($CDCl_3$) δ ppm: 1.75-2.00 (4H, m), 2.50-2.60 (2H, m), 2.70-2.75 (4H, m), 3.15-3.25 (4H, m), 3.35-3.80 (6H, m), 4.00-4.05 (1H, m), 6.91 (1H, dd, J=7.6, 0.5 Hz), 7.25-7.35 (1H, m), 7.35-7.45 (2H, m), 7.56 (1H, d, J=8.0 Hz).
1190		^{1}H-NMR ($CDCl_3$) δ ppm: 1.75-1.95 (4H, m), 2.51 (2H, t, J=7.1 Hz), 2.50-2.75 (8H, m), 3.10-3.20 (4H, m), 3.46 (2H, t, J=6.3 Hz), 4.00-4.10 (1H, m), 6.88 (1H, d, J=7.1 Hz), 7.20-7.30 (1H, m), 7.30-7.45 (2H, m), 7.53 (1H, d, J=8.0 Hz).

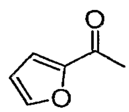
[Table 147]



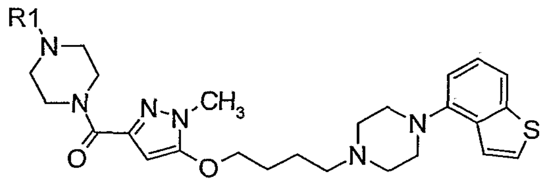
Example	R1	NMR
1191		^{1}H-NMR ($CDCl_3$) δ ppm:: 1.50 (9H, s), 1.59-1.77 (2H, m), 1.77-1.93 (2H, m), 2.50 (2H, t, J=7.3Hz), 2.61-2.80 (4H, m), 3.11-3.27 (4H, m), 3.54 (3H, s), 4.09 (2H, t, J=6.3Hz), 5.85 (1H, s), 6.90 (1H, d, J=7.5Hz), 7.23-7.32 (1H, m), 7.36-7.45 (2H, m), 7.55 (1H, d, J=8.0 Hz), 7.80 (1H, br).
1192		^{1}H-NMR ($CDCl_3$) δ ppm:: 1.64-1.93 (4H, m), 2.51 (2H, t, J=7.3Hz), 2.61-2.79 (4H, m), 3.11-3.29 (4H, m), 3.46 (3H, s), 3.49 (2H, br), 4.02 (2H, t, J=6.2Hz), 4.94 (1H, s), 6.90 (1H, dd, J=0.7hz, 7.6Hz), 7.22-7.33 (1H, m), 7.35-7.46 (2H, m), 7.55 (1H, d, J=8.0 Hz).
1193		^{1}H-NMR ($CDCl_3$) δ ppm:: 1.64-1.78 (2H, m), 1.78-1.94 (2H, m), 2.50 (2H, t, J=7.3Hz), 2.61-2.81 (4H, m), 3.10-3.28 (4H, m), 3.57 (3H, s), 4.09 (2H, t, J=6.3Hz), 5.92 (1H, s), 6.77-6.98 (4H, m), 7.11-7.32 (2H, m), 7.32-7.47 (4H, m), 7.55 (1H, d, J=8.0 Hz), 8.47 (1H, br).

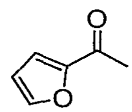
[Table 148]



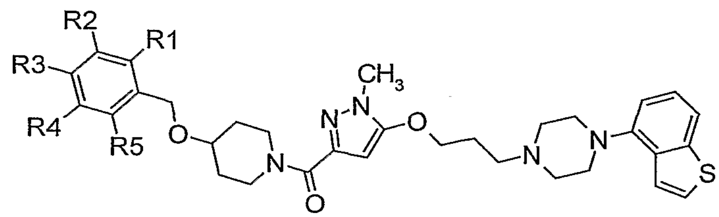
Example	R1	MS(M+1)
1194	$-CO_2CH_2C_6H_5$	603
1195	$-CO_2C_2H_5$	541
1196	$-COCH_3$	511
1197	$-CO_2C(CH_3)_3$	569
1198	$-COC_6H_5$	573
1199	$-COC_3H_7$	539
1200		563

[Table 149]



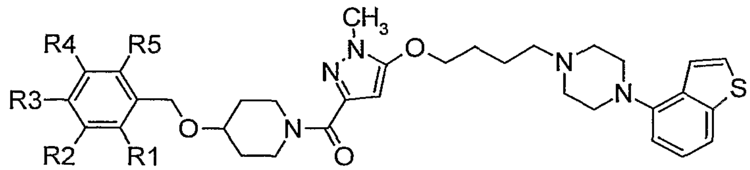
Example	R1	MS(M+1)
1201	$-CO_2CH_2C_6H_5$	617
1202	$-CO_2C_2H_5$	555
1203	$-COCH_3$	525
1204	$-CO_2C(CH_3)_3$	583
1205	$-COC_6H_5$	587
1206	$-COC_3H_7$	553
1207		577

[Table 150]



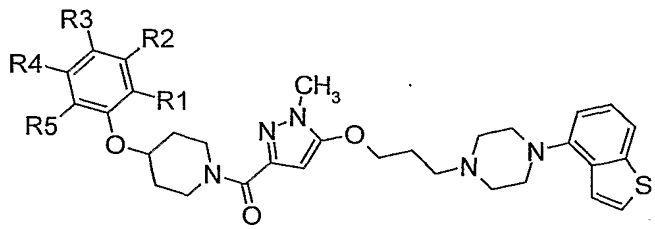
Example	R1	R2	R3	R4	R5	MS(M+1)
1208	-H	-H	-H	-Cl	-H	608
1209	-H	-H	-H	-H	-F	592
1210	-H	-H	-H	-H	-Cl	608
1211	-H	-H	-Cl	-Cl	-H	642
1212	-H	-H	-H	$-OCH_3$	-H	604
1213	-H	$-OCH_3$	-H	$-OCH_3$	-H	634
1214	-H	-H	$-CH_3$	-H	-H	588
1215	-H	-H	-H	$-CH_3$	-H	588
1216	-H	-H	-H	-H	$-CH_3$	588
1217	-H	-H	-F	-H	-H	592
1218	-H	-H	-H	-F	-H	592
1219	-H	-H	$-OCF_3$	-H	-H	658
1220	-H	-H	-H	$-OCF_3$	-H	658
1221	-H	-H	-H	-H	$-OCF_3$	658
1222	-H	-H	$-OCH_3$	-Cl	-H	638
1223	-H	-H	-H	-Br	-H	652
1224	-H	-H	$-OCH_3$	-H	-H	604
1225	-H	-H	-H	-H	-H	574

[Table 151]



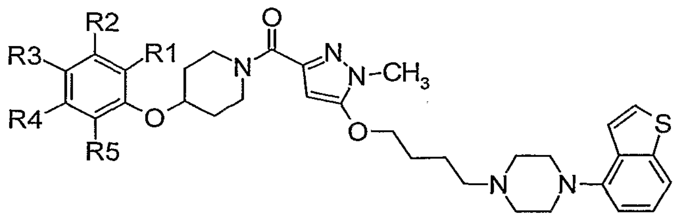
Example	R1	R2	R3	R4	R5	MS(M+1)
1226	–H	–H	–H	–Cl	–H	622
1227	–H	–H	–H	–H	–F	606
1228	–H	–H	–H	–H	–Cl	622
1229	–H	–H	–Cl	–Cl	–H	656
1230	–H	–H	–H	$-OCH_3$	–H	618
1231	–H	$-OCH_3$	–H	$-OCH_3$	–H	648
1232	–H	–H	$-CH_3$	–H	–H	602
1233	–H	–H	–H	$-CH_3$	–H	602
1234	–H	–H	–H	–H	$-CH_3$	602
1235	–H	–H	–F	–H	–H	606
1236	–H	–H	–H	–F	–H	606
1237	–H	–H	$-OCF_3$	–H	–H	672
1238	–H	–H	–H	$-OCF_3$	–H	672
1239	–H	–H	–H	–H	$-OCF_3$	672
1240	–H	–H	$-OCH_3$	–Cl	–H	652
1241	–H	–H	–H	–Br	–H	666
1242	–H	–H	$-OCH_3$	–H	–H	618
1243	–H	–H	–H	–H	–H	588

[Table 152]



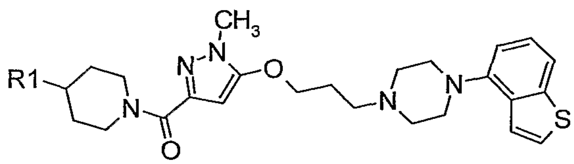
Example	R1	R2	R3	R4	R5	MS(M+1)
1244	–H	–H	–CN	–H	–H	585
1245	–H	–H	–H	–H	$-OCH_3$	590
1246	–H	–H	–H	$-OCH_3$	–H	590
1247	–H	–H	$-OCH_3$	–H	–H	590
1248	–H	–H	–H	–H	–H	560
1249	–H	–H	–H	–H	–Cl	594
1250	–H	–H	–H	–Cl	–H	594
1251	–H	–H	–Cl	–H	–H	594
1252	–H	–H	–H	–H	$-CH_3$	574
1253	–H	–H	$-CH_3$	–H	–H	574
1254	–H	–H	–F	–H	–H	578
1255	–H	–H	$-CF_3$	–H	–H	628

[Table 153]



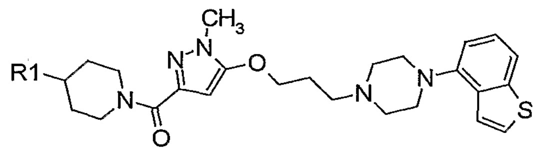
Example	R1	R2	R3	R4	R5	MS(M+1)
1256	−H	−H	−CN	−H	−H	599
1257	−H	−H	−H	−H	$-OCH_3$	604
1258	−H	−H	−H	$-OCH_3$	−H	604
1259	−H	−H	$-OCH_3$	−H	−H	604
1260	−H	−H	−H	−H	−H	574
1261	−H	−H	−H	−H	−Cl	608
1262	−H	−H	−H	−Cl	−H	608
1263	−H	−H	−Cl	−H	−H	608
1264	−H	−H	−H	−H	$-CH_3$	588
1265	−H	−H	$-CH_3$	−H	−H	588
1266	−H	−H	−F	−H	−H	592
1267	−H	−H	$-CF_3$	−H	−H	642

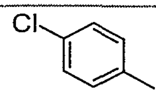
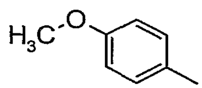
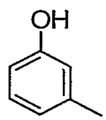
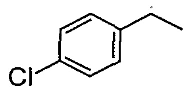
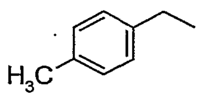
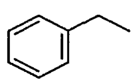
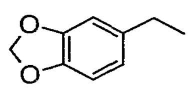
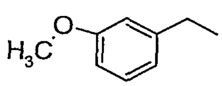
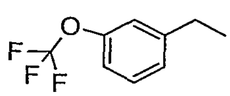
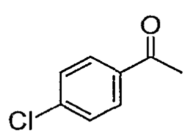
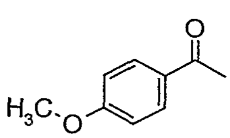
[Table 154]



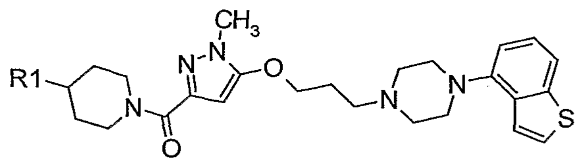
Example	R1	MS(M+1)
1268	$-OCH_3$	498
1269	$-CH_2CONHC_2H_5$	553
1270	$-OH$	484
1271	$-CO_2C_2H_5$	540
1272	$-CONH_2$	511
1273	$-CH_2OH$	498
1274	$-N(CH_3)CO_2C(CH_3)_3$	597
1275	$-NHCO_2C(CH_3)_3$	583
1276	$-CO_2C(CH_3)_3$	568
1277	$-NHCOCH_3$	525
1278	$-N(CH_3)COCH_3$	539
1279	$-COOH$	512
1280	$-N(CH_3)CO(CH_2)_2CH_3$	567
1281	$-NHCO(CH_2)_2CH_3$	553

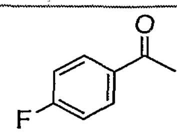
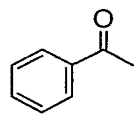
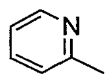
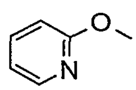
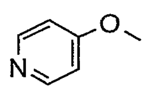
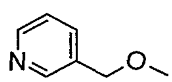
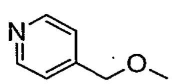
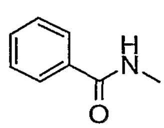
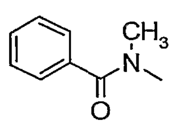
[Table 155]



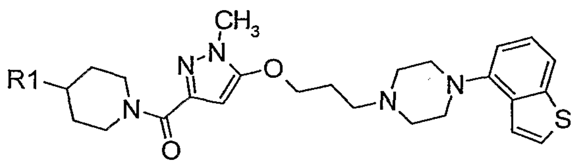
Example	R1	MS(M+1)
1282		578
1283		574
1284		560
1285		592
1286		572
1287		558
1288		602
1289		588
1290		642
1291		606
1292		602

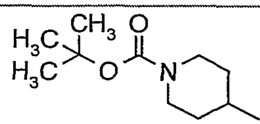
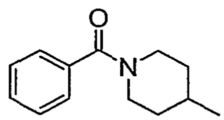
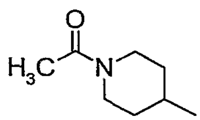
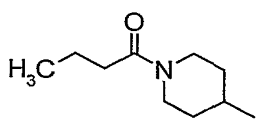
[Table 156]



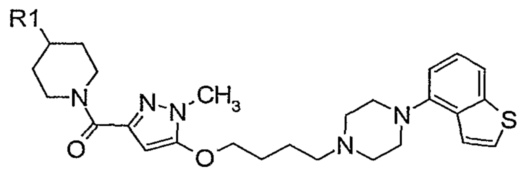
Example	R1	MS(M+1)
1293		590
1294		572
1295		545
1296		561
1297		561
1298		575
1299		575
1300		587
1301		601

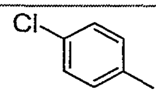
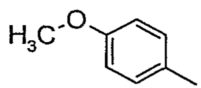
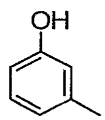
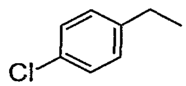
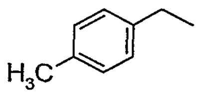
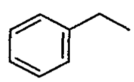
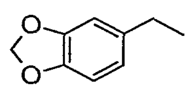
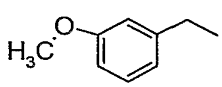
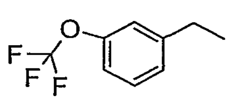
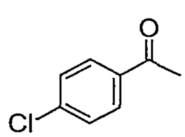
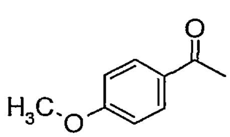
[Table 157]



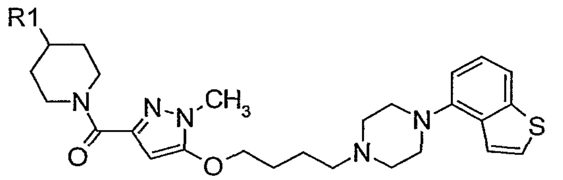
Example	R1	MS(M+1)
1302		651
1303		655
1304		593
1305		621

[Table 158]



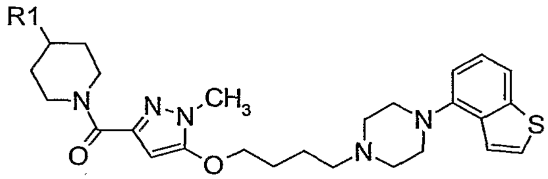
Example	R1	MS(M+1)
1306		592
1307		588
1308		574
1309		606
1310		586
1311		572
1312		616
1313		602
1314		656
1315		620
1316		616

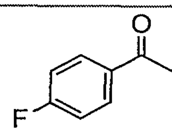
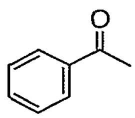
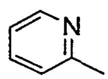
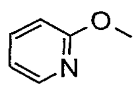
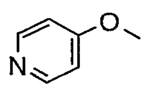
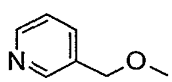
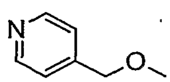
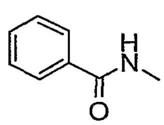
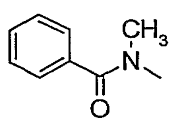
[Table 159]



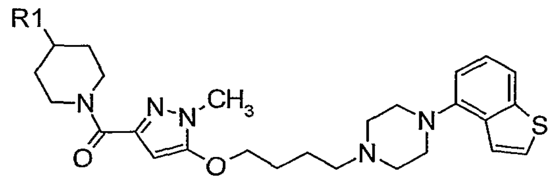
Example	R1	MS(M+1)
1317	$-OCH_3$	512
1318	$-CH_2CONHC_2H_5$	567
1319	$-OH$	498
1320	$-CO_2C_2H_5$	554
1321	$-CONH_2$	525
1322	$-CH_2OH$	512
1323	$-N(CH_3)CO_2C(CH_3)_3$	611
1324	$-NHCO_2C(CH_3)_3$	597
1325	$-CO_2C(CH_3)_3$	582
1326	$-NHCOCH_3$	539
1327	$-N(CH_3)COCH_3$	553
1328	$-N(CH_3)CO(CH_2)_2CH_3$	581
1329	$-NHCO(CH_2)_2CH_3$	567
1330	$-COOH$	526

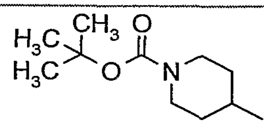
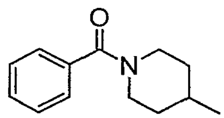
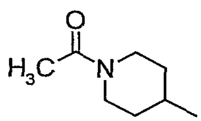
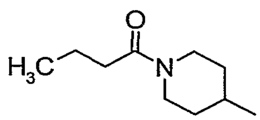
[Table 160]



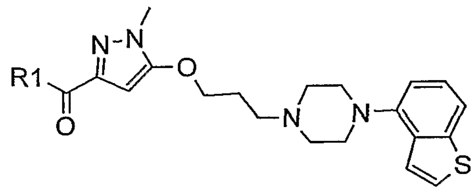
Example	R1	MS(M+1)
1331		604
1332		586
1333		559
1334		575
1335		575
1336		589
1337		589
1338		601
1339		615

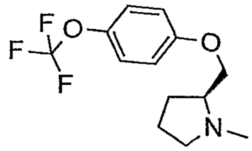
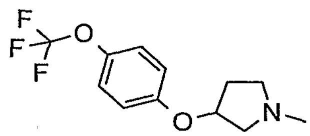
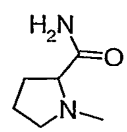
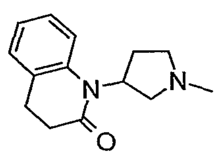
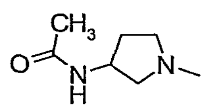
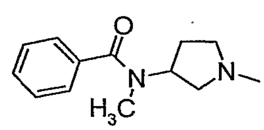
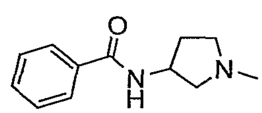
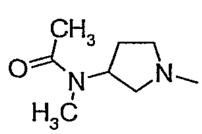
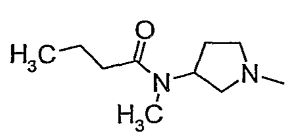
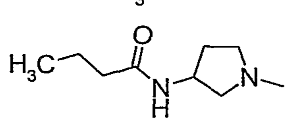
[Table 161]



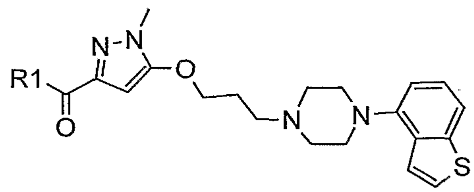
Example	R1	MS(M+1)
1340		665
1341		669
1342		607
1343		635

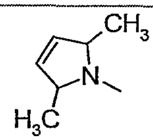
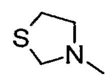
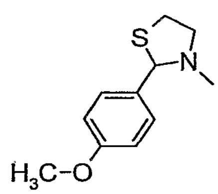
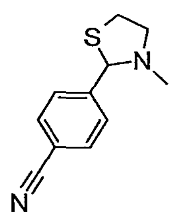
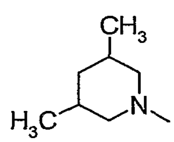
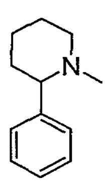
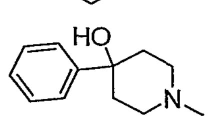
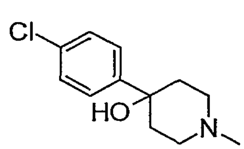
[Table 162]



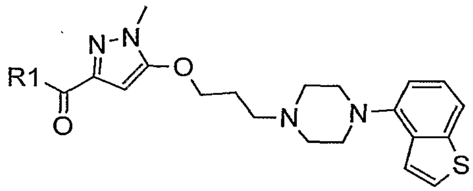
Example	R1	MS(M+1)
1344		644
1345		630
1346		497
1347		599
1348		511
1349		587
1350		573
1351		525
1352		553
1353		539

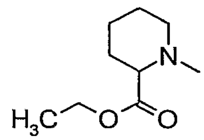
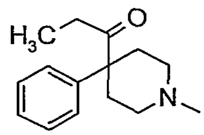
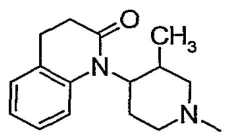
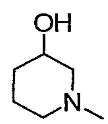
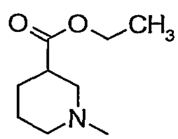
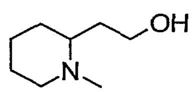
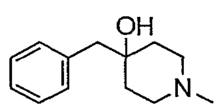
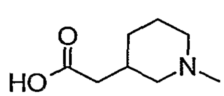
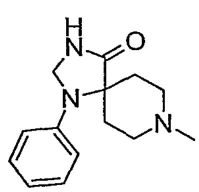
[Table 163]



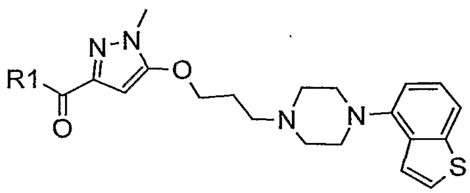
Example	R1	MS(M+1)
1354		480
1355		472
1356		578
1357		573
1358		496
1359		544
1360		560
1361		594

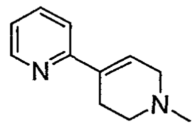
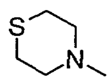
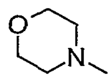
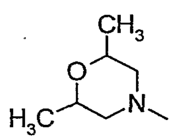
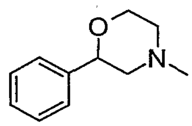
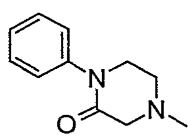
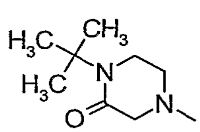
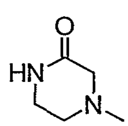
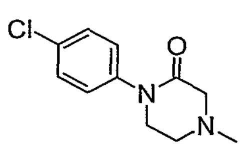
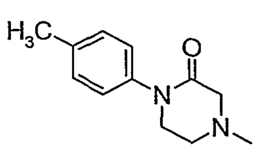
[Table 164]



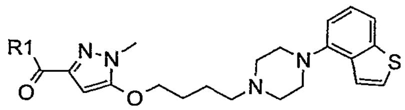
Example	R1	MS(M+1)
1362		540
1363		600
1364		627
1365		484
1366		540
1367		512
1368		574
1369		526
1370		614

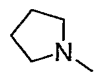
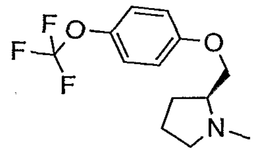
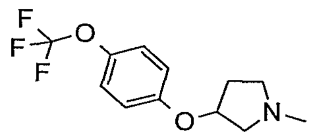
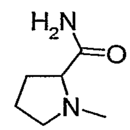
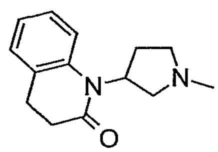
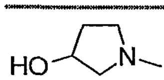
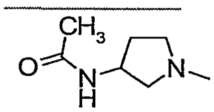
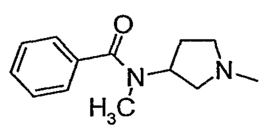
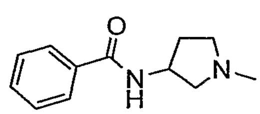
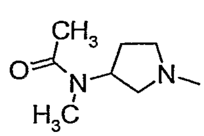
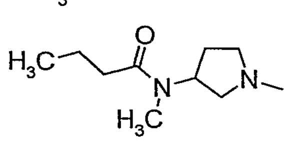
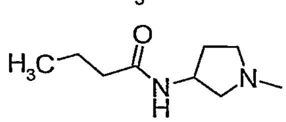
[Table 165]



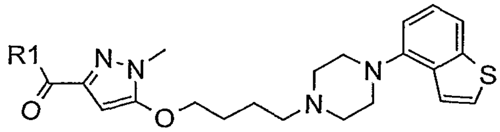
Example	R1	MS(M+1)
1371		543
1372		486
1373		470
1374		498
1375		546
1376		559
1377		539
1378		483
1379		593
1380		573

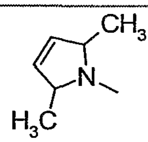
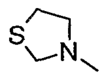
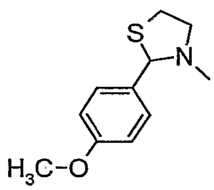
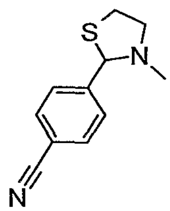
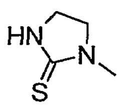
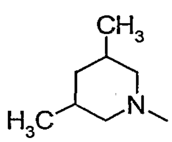
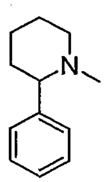
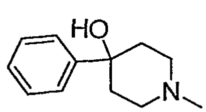
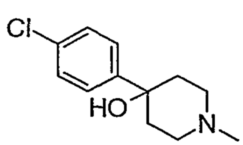
[Table 166]



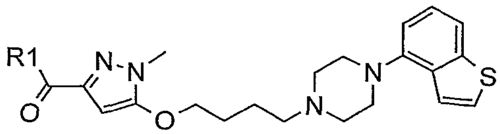
Example	R1	MS(M+1)
1381		468
1382		658
1383		644
1384		511
1385		613
1386		484
1387		525
1388		601
1389		587
1390		539
1391		567
1392		553

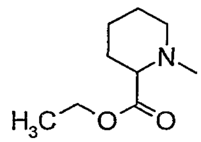
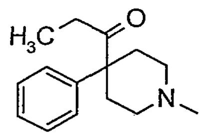
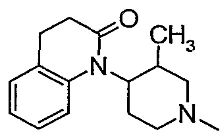
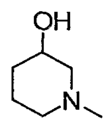
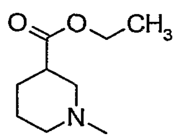
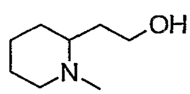
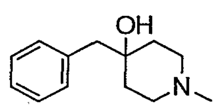
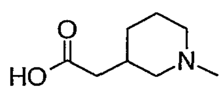
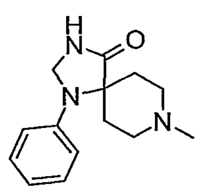
[Table 167]



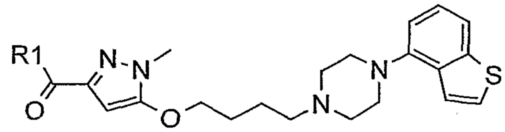
Example	R1	MS(M+1)
1393		494
1394		486
1395		592
1396		587
1397		499
1398		510
1399		558
1400		574
1401		608

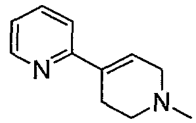
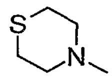
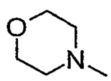
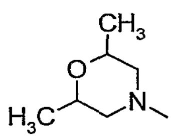
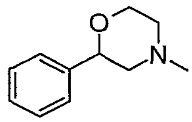
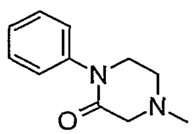
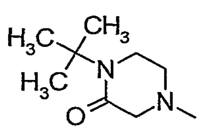
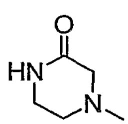
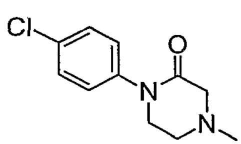
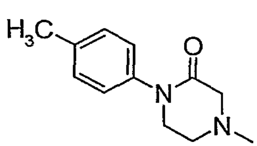
[Table 168]



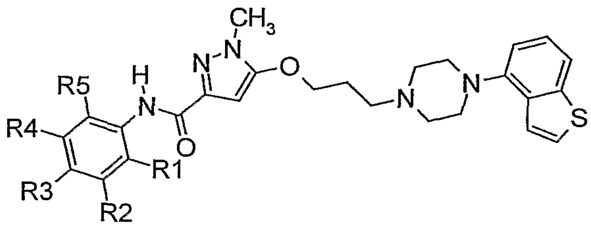
Example	R1	MS(M+1)
1402		554
1403		614
1404		641
1405		498
1406		554
1407		526
1408		588
1409		540
1410		628

[Table 169]



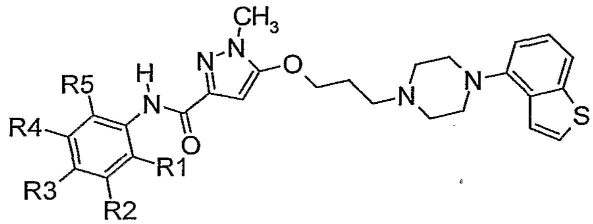
Example	R1	MS(M+1)
1411		557
1412		500
1413		484
1414		512
1415		560
1416		573
1417		553
1418		497
1419		607
1420		587

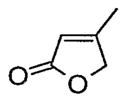
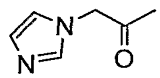
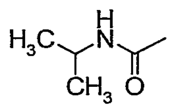
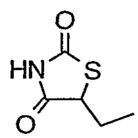
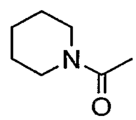
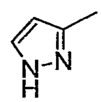
[Table 170]



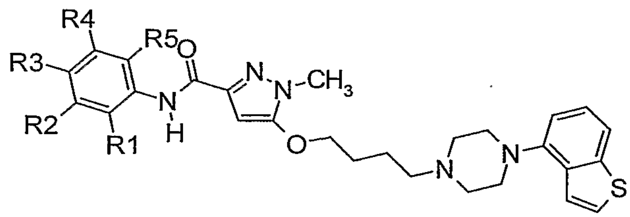
Example	R1	R2	R3	R4	R5	MS(M+1)
1421	−H	−H	$-OCF_3$	−H	−H	560
1422	−H	−H	−H	−H	$-SO_2NH_2$	555
1423	−H	−H	$-OCH_3$	−H	−H	506
1424	−H	−H	−H	$-OCH_3$	−H	506
1425	−H	−H	$-COCH_3$	−H	−H	518
1426	−H	−H	−H	−H	$-CO_2CH_3$	534
1427	−H	−H	$-OCH_3$	−H	$-OCH_3$	536
1428	$-OCH_3$	−H	−H	$-OCH_3$	−H	536
1429	−H	$-OCH_3$	−H	$-OCH_3$	−H	536
1430	$-OCH_3$	−H	−H	$-NHCOCH_3$	−H	563
1431	−H	−H	$-OCH_3$	$-OCH_3$	−H	536
1432	−H	−H	$-N(CH_3)_2$	−H	−H	519
1433	−H	−H	−H	$-COCH_3$	−H	518
1434	−H	−H	−H	$-NHCOCH_3$	−H	533
1435	−H	−H	$-NHCOCH_3$	−H	−H	533
1436	−H	−CN	−H	−H	−H	501
1437	$-OCH_3$	−H	−H	$-CO_2CH_3$	−H	564
1438	−H	−H	$-OC_6H_5$	−H	−H	568
1439	−H	$-CO_2CH_3$	−H	$-CO_2CH_3$	−H	592
1440	−H	−H	−OH	−Cl	−H	526
1441	−Cl	−H	−H	$-NHCOCH_3$	−H	567
1442	−H	−CN	−H	−H	−Cl	535
1443	−Cl	−H	−H	$-CONH_2$	−H	553
1444	−H	−H	$-NO_2$	−H	−H	521
1445	−H	−H	−CN	−H	−H	501

[Table 171]



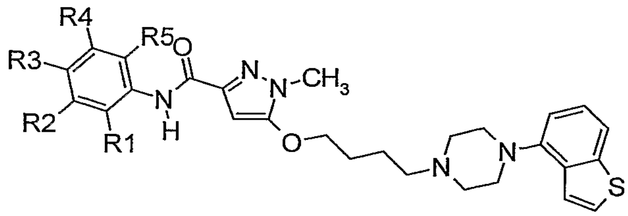
Example	R1	R2	R3	R4	R5	MS(M+1)
1446	-H	-H		-H	-H	558
1447	-H	-H		-H	-H	584
1448	-H	-H		-H	-H	561
1449	-H	-H		-H	-H	605
1450	-H	-H	-H		-H	587
1451	-H	-H	-H		-H	542

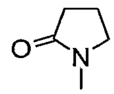
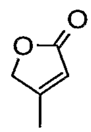
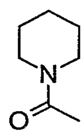
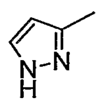
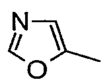
[Table 172]



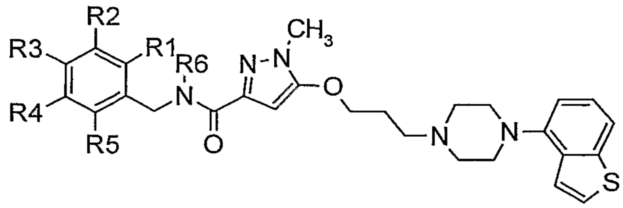
Example	R1	R2	R3	R4	R5	MS(M+1)
1452	−H	−H	$-OCF_3$	−H	−H	574
1453	−H	−H	$-OCH_3$	−H	−H	520
1454	−H	$-OCH_3$	−H	−H	−H	520
1455	−H	−H	$-COCH_3$	−H	−H	532
1456	$-CO_2CH_3$	−H	−H	−H	−H	548
1457	$-OCH_3$	−H	$-OCH_3$	−H	−H	550
1458	−H	$-OCH_3$	−H	−H	$-OCH_3$	550
1459	−H	$-OCH_3$	−H	$-OCH_3$	−H	550
1460	−H	$-NHCOCH_3$	−H	−H	$-OCH_3$	577
1461	−H	$-OCH_3$	$-OCH_3$	−H	−H	550
1462	−H	−H	$-N(CH_3)_2$	−H	−H	533
1463	−H	$-COCH_3$	−H	−H	−H	532
1464	−H	$-NHCOCH_3$	−H	−H	−H	547
1465	−H	−H	$-NHCOCH_3$	−H	−H	547
1466	−H	$-CO_2CH_3$	−H	−H	$-OCH_3$	578
1467	−H	−H	$-OC_6H_5$	−H	−H	582
1468	−H	$-CO_2CH_3$	−H	$-CO_2CH_3$	−H	606
1469	$-OCH_3$	$-OCH_3$	−H	−H	−H	550
1470	−H	−Cl	−OH	−H	−H	540
1471	−H	$-OCH_2C_6H_5$	−H	−H	−H	596
1472	−H	−H	$-NHSO_2CH_3$	−H	−H	583
1473	−H	−H	$-CONHC_6H_5$	−H	−H	609
1474	−H	−H	$-CONHCH_3$	−H	−H	547
1475	−H	−H	$-NHC_6H_5$	−H	−H	581
1476	−H	−H	$-CH_2CH_2OH$	−H	−H	534
1477	−H	−H	−CCH	−H	−H	514
1478	−H	−H	$-COC_3H_7$	−H	−H	560
1479	$-NHCOCH_3$	−H	−H	−H	−H	547
1480	−H	$-CONHCH_3$	−H	−H	−H	547

[Table 173]



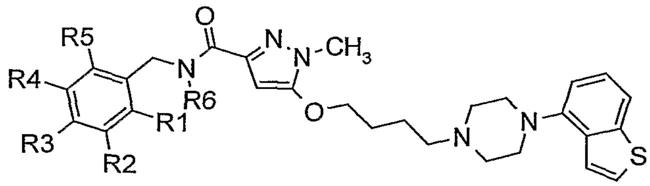
Example	R1	R2	R3	R4	R5	MS(M+1)
1481	−H	−H		−H	−H	573
1482	−H	−H		−H	−H	572
1483	−H		−H	−H	−H	601
1484	−H		−H	−H	−H	556
1485	−H		−H	−H	−H	557

[Table 174]



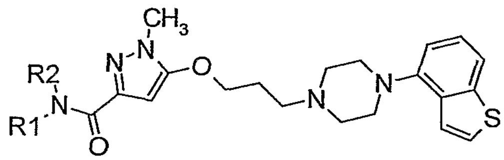
Example	R1	R2	R3	R4	R5	R6	MS(M+1)
1486	−H	−H	−H	−H	−H	−H	490
1487	−Cl	−H	−H	−H	−H	−H	524
1488	−H	−Cl	−H	−H	−H	−H	524
1489	−H	−H	−Cl	−H	−H	−H	524
1490	−H	−H	−H	−H	−H	$-CH_2CONHCH_3$	561
1491	−H	−H	$-OC_2H_5$	−H	−H	$-CH_3$	548
1492	−H	$-OCH_3$	$-OCH_3$	−H	−H	$-CH_3$	564
1493	−H	−H	$-OC_2H_5$	−H	−H	$-C_2H_5$	562
1494	−H	−H	$-OCH_3$	−H	−H	−H	520
1495	−H	$-OCH_3$	−H	−H	−H	−H	520
1496	−H	−H	$-OCF_3$	−H	−H	$-CH_3$	588
1497	−H	−H	$-OCF_3$	−H	−H	−H	574
1498	−H	$-OCH_3$	$-OCH_3$	−H	−H	−H	550
1499	−H	$-OCH_3$	$-OCH_3$	−H	−H	$-C_2H_5$	578
1500	$-OCH_3$	−H	−H	−H	−H	−H	520
1501	−H	$-OCH_3$	−H	$-OCH_3$	−H	−H	550
1502	−H	$-OC_4H_9$	−H	$-OC_4H_9$	−H	−H	634
1503	$-OC_2H_5$	−H	−H	−H	−H	−H	534
1504	−H	−H	−H	−H	−H	$-(CH_2)_3OH$	548
1505	−H	−Cl	$-OCHF_2$	−H	−H	−H	590
1506	−H	$-OCF_3$	−H	−H	−H	−H	574
1507	−H	−H	$-OCH_3$	−H	−H	$-CH_3$	534

[Table 175]



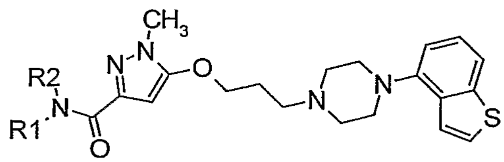
Example	R1	R2	R3	R4	R5	R6	MS(M+1)
1508	−H	−H	−H	−H	−H	−H	504
1509	−Cl	−H	−H	−H	−H	−H	538
1510	−H	−Cl	−H	−H	−H	−H	538
1511	−H	−H	−Cl	−H	−H	−H	538
1512	−H	−H	−H	−H	−H	$-CH_2CONHCH_3$	575
1513	−H	−H	$-OC_2H_5$	−H	−H	$-CH_3$	562
1514	−H	$-OCH_3$	$-OCH_3$	−H	−H	$-CH_3$	578
1515	−H	−H	$-OC_2H_5$	−H	−H	$-C_2H_5$	576
1516	−H	−H	$-OCH_3$	−H	−H	−H	534
1517	−H	$-OCH_3$	−H	−H	−H	−H	534
1518	−H	−H	$-OCF_3$	−H	−H	$-CH_3$	602
1519	−H	−H	$-OCF_3$	−H	−H	−H	588
1520	−H	$-OCH_3$	$-OCH_3$	−H	−H	−H	564
1521	−H	$-OCH_3$	$-OCH_3$	−H	−H	$-C_2H_5$	592
1522	$-OCH_3$	−H	−H	−H	−H	−H	534
1523	−H	$-OCH_3$	−H	$-OCH_3$	−H	−H	564
1524	−H	$-OC_4H_9$	−H	$-OC_4H_9$	−H	−H	648
1525	$-OC_2H_5$	−H	−H	−H	−H	−H	548
1526	−H	−H	−H	−H	−H	$-(CH_2)_3OH$	562
1527	−H	−Cl	$-OCHF_2$	−H	−H	−H	604
1528	−H	$-OCF_3$	−H	−H	−H	−H	588
1529	−H	−H	$-OCH_3$	−H	−H	$-CH_3$	548

[Table 176]



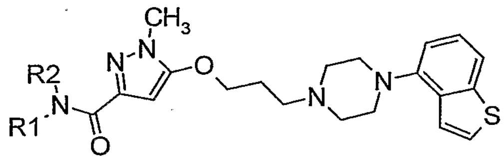
Example	R1	R2	MS(M+1)
1530	$-cyclo-C_6H_{11}$	$-CH_3$	496
1531	$-cyclo-C_6H_{11}$	$-H$	482
1532	$-CH_2CH(CH_3)_2$	$-CH_2CH(CH_3)_2$	512
1533	$-CH_2CH_2OH$	$-CH_2CH_2OH$	488
1534	$-CH_2CH_2OH$	$-C_2H_5$	472
1535	$-cyclo-C_6H_{11}$	$-CH_2CH_2OH$	526
1536	$-CH_2CH_2OCH_3$	$-CH_2CH_2OCH_3$	516
1537	$-C_2H_5$	$-C_2H_5$	456
1538	$-C_4H_9$	$-H$	456
1539	$-C(CH_3)_3$	$-H$	456
1540	$-cyclo-C_3H_5$	$-H$	440
1541	$-CH_3$	$-H$	414
1542	$-C_2H_5$	$-H$	428
1543	$-CH_2CH(CH_3)_2$	$-H$	456
1544	$-CH_2CH_2OCH_3$	$-H$	458
1545	$-CH_2CH_2OC_2H_5$	$-H$	472
1546	$-(CH_2)_3OC_2H_5$	$-H$	486
1547	$-(CH_2)_2OC_6H_5$	$-H$	520
1548	$-CH_2-cyclo-C_3H_5$	$-H$	454
1549	$-(CH_2)_2NHCOCH_3$	$-H$	485
1550	$-(CH_2)_5OH$	$-H$	486
1551	$-(CH_2)_2C_6H_5$	$-H$	504
1552	$-CH_2CO_2CH_3$	$-H$	472
1553	$-CH_2CONH_2$	$-H$	457
1554	$-CH(CO_2C_2H_5)_2$	$-H$	558
1555	$-CH(CH_3)CO_2C_2H_5$	$-H$	500
1556	$-CH_2CO_2CH_3$	$-CH_3$	486
1557	$-CH_2CCH$	$-H$	438
1558	$-(CH_2)_2CH(CH_3)_2$	$-H$	470
1559	$-(CH_2)_3CO_2C_2H_5$	$-CH_3$	528
1560	$-(CH_2)_4CO_2C_2H_5$	$-H$	528
1561	$-CH(CONH_2)_2$	$-H$	500
1562	$-CH_2CF_3$	$-H$	482
1563	$-NHCH_2CF_3$	$-H$	497
1564	$-CH_3$	$-CH_3$	428
1565	$-(CH_2)_3OCH(CH_3)_2$	$-H$	500

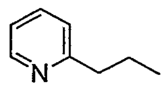
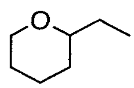
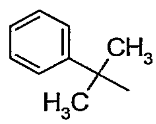
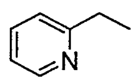
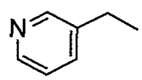
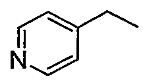
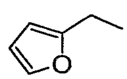
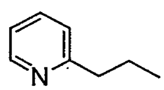
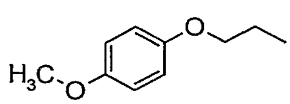
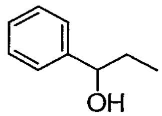
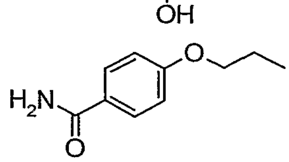
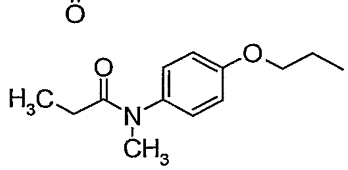
[Table 177]



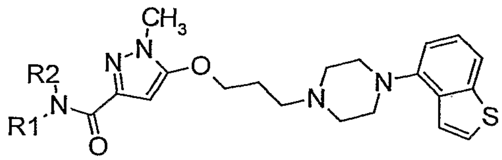
Example	R1	R2	MS(M+1)
1566	$-CH_2CN$	$-H$	439
1567	$-(CH_2)_2OCH(CH_3)_2$	$-H$	486
1568	$-CH(C_2H_5)CH_2OCH_3$	$-H$	486
1569	$-CH(CH_3)CH_2OCH_3$	$-H$	472
1570	$-CH_2CH_2F$	$-H$	446
1571	$-CH_2CH(OH)CH_2OH$	$-H$	474
1572	$-CH_2CONHCH_3$	$-H$	471
1573	$-(CH_2)_2SCH_3$	$-H$	474
1574	$-CH_2CH_2OH$	$-H$	444
1575	$-C_6H_{13}$	$-H$	484
1576	$-CH_2CON(CH_3)_2$	$-CH_3$	499
1577	$-(CH_2)_2N(CH_3)COCH_3$	$-H$	499
1578	$-(CH_2)_2N(CH_3)CO(CH_2)_2CH_3$	$-H$	527

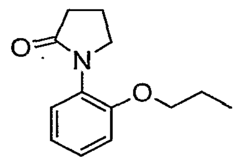
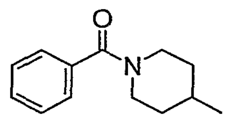
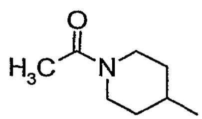
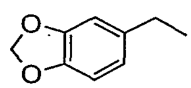
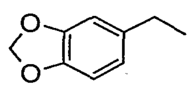
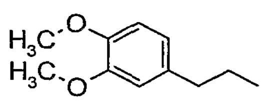
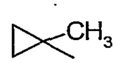
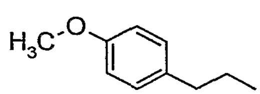
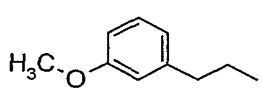
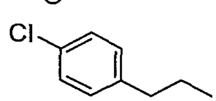
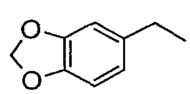
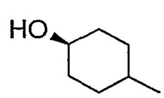
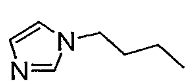
[Table 178]



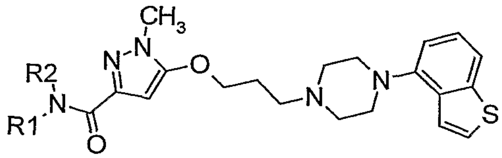
Example	R1	R2	MS(M+1)
1579		$-CH_3$	519
1580		$-C_2H_5$	526
1581		$-H$	518
1582		$-H$	491
1583		$-H$	491
1584		$-H$	491
1585		$-H$	480
1586		$-C_2H_5$	533
1587		$-C_2H_5$	578
1588		$-CH_3$	534
1589		$-C_2H_5$	591
1590		$-C_2H_5$	633

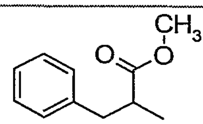
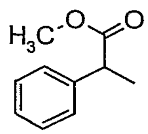
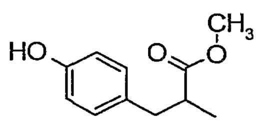
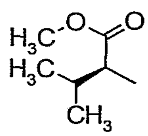
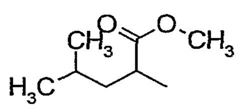
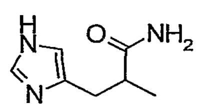
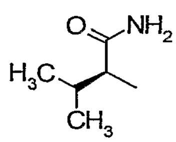
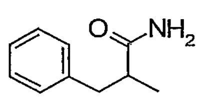
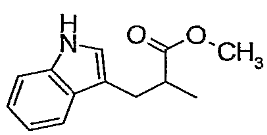
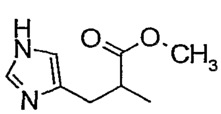
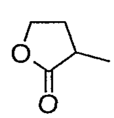
[Table 179]



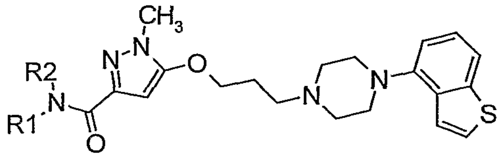
Example	R1	R2	MS(M+1)
1591		$-C_2H_5$	631
1592		$-CH_3$	601
1593		$-CH_3$	539
1594		$-CH_3$	548
1595		$-C_2H_5$	562
1596		$-C_2H_5$	592
1597		-H	454
1598		-H	534
1599		-H	534
1600		-H	538
1601		-H	534
1602		-H	498
1603		-H	508

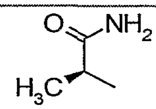
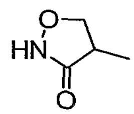
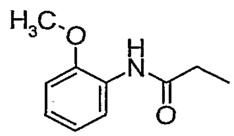
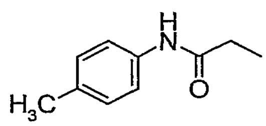
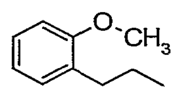
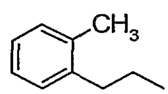
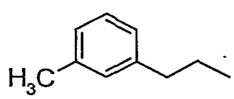
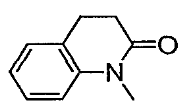
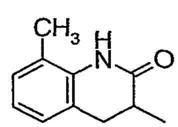
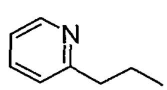
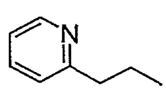
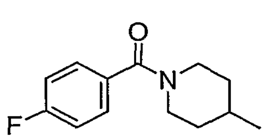
[Table 180]



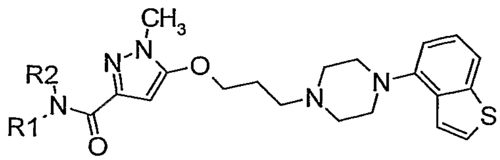
Example	R1	R2	MS(M+1)
1604		−H	562
1605		−H	548
1606		−H	578
1607		−H	514
1608		−H	528
1609		−H	537
1610		−H	499
1611		−H	547
1612		−H	601
1613		−H	552
1614		−H	484

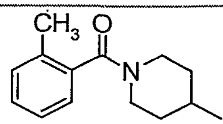
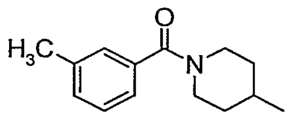
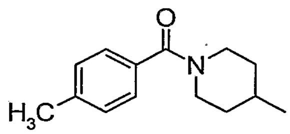
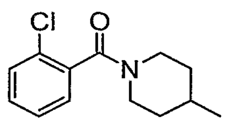
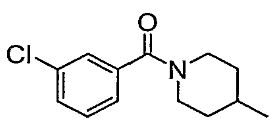
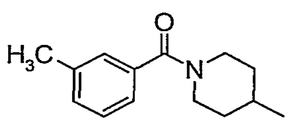
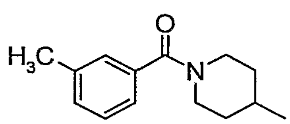
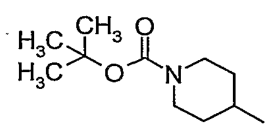
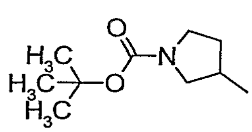
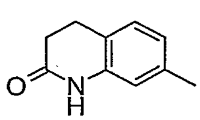
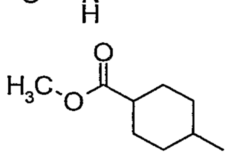
[Table 181]



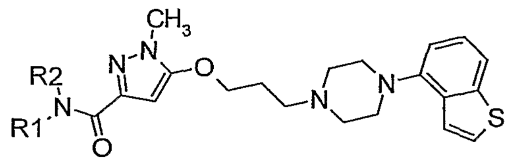
Example	R1	R2	MS(M+1)
1615		-H	471
1616		-H	485
1617		$-CH_3$	577
1618		$-CH_3$	561
1619		-H	534
1620		-H	518
1621		-H	518
1622		-H	545
1623		-H	559
1624		-H	505
1625		$-CH(CH_3)_2$	547
1626		$-CH_3$	619

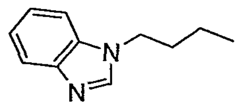
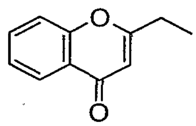
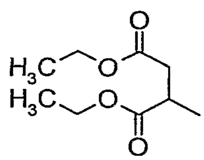
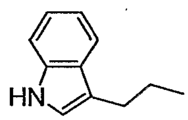
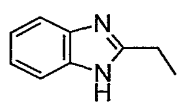
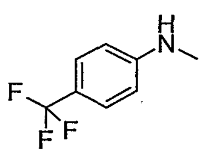
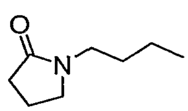
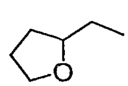
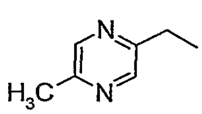
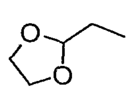
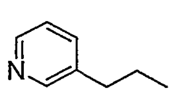
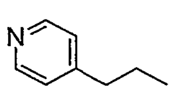
[Table 182]



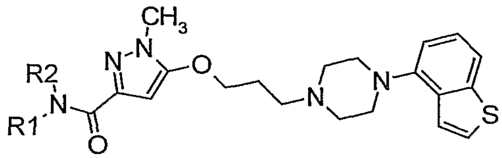
Example	R1	R2	MS(M+1)
1627		$-CH_3$	615
1628		$-CH_3$	615
1629		$-CH_3$	615
1630		$-CH_3$	635
1631		$-CH_3$	635
1632		$-C_4H_9$	657
1633		$-CH(CH_3)_2$	643
1634		$-H$	583
1635		$-H$	569
1636		$-C_2H_5$	573
1637		$-H$	540

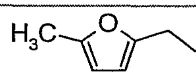
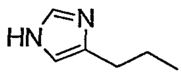
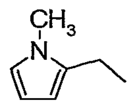
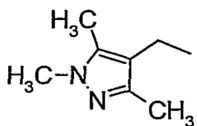
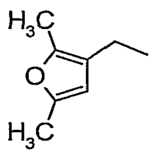
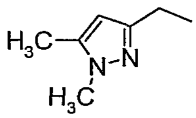
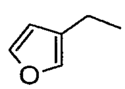
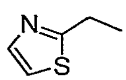
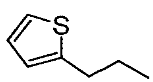
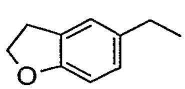
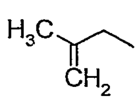
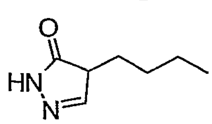
[Table 183]



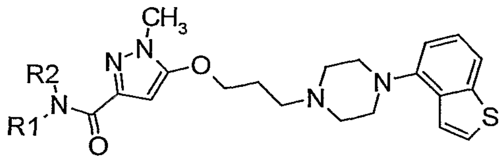
Example	R1	R2	MS(M+1)	MW
1638		−H	558	557.72
1639		−H	558	557.68
1640		−H	572	571.70
1641		−H	543	542.71
1642		−H	530	529.67
1643		−H	559	558.63
1644		−H	525	524.69
1645		−H	484	483.64
1646		−H	506	505.65
1647		−H	486	485.61
1648		−H	505	504.66
1649		−H	505	504.66

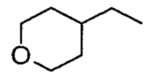
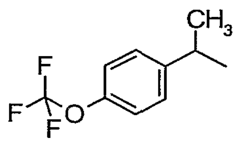
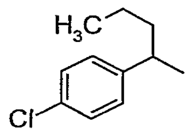
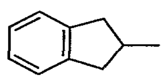
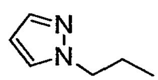
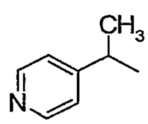
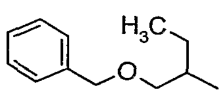
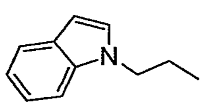
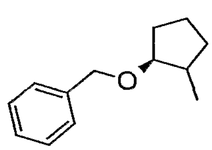
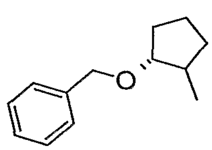
[Table 184]



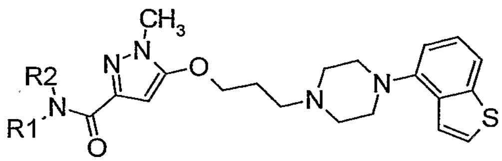
Example	R1	R2	MS(M+1)
1650		-H	494
1651		-H	494
1652		-H	493
1653		-H	522
1654		-H	508
1655		-H	508
1656		-H	480
1657		-H	497
1658		-H	510
1659		-H	532
1660		-H	454
1661		-H	524

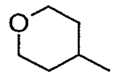
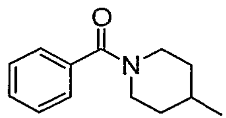
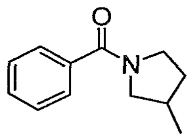
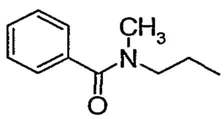
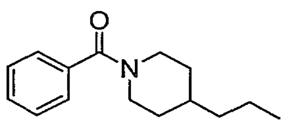
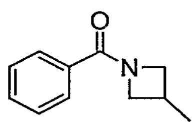
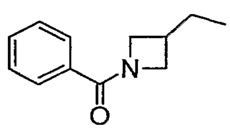
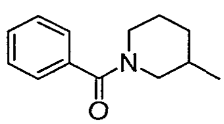
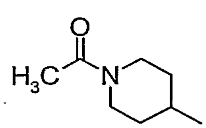
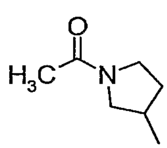
[Table 185]



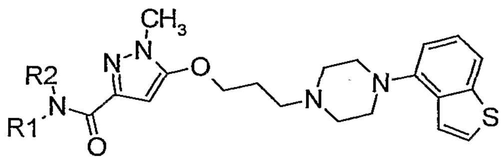
Example	R1	R2	MS(M+1)
1662		–H	498
1663		–H	588
1664		$-CH_3$	580
1665		–H	516
1666		–H	494
1667		–H	505
1668		–H	562
1669		–H	543
1670		–H	574
1671		–H	574

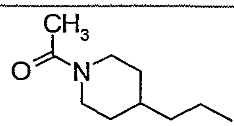
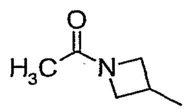
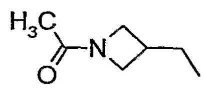
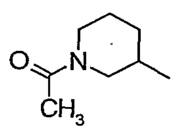
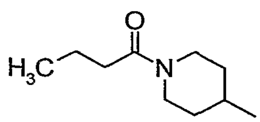
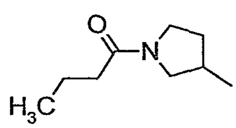
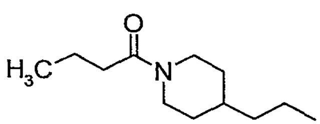
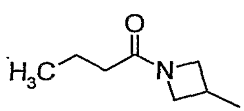
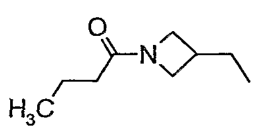
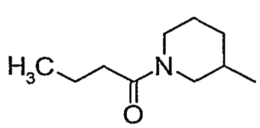
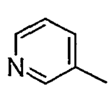
[Table 186]



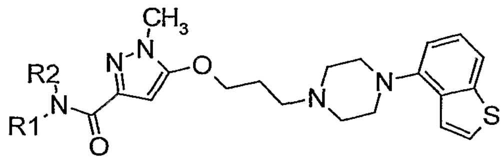
Example	R1	R2	MS(M+1)
1672		-H	484
1673		-H	587
1674		-H	573
1675		-H	561
1676		-H	615
1677		-H	559
1678		-H	573
1679		-H	587
1680		-H	525
1681		-H	511

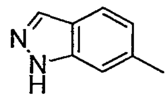
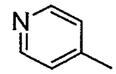
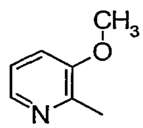
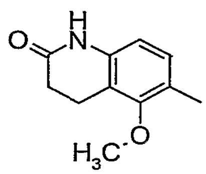
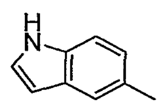
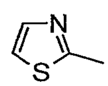
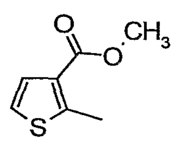
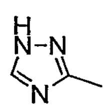
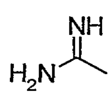
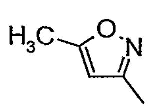
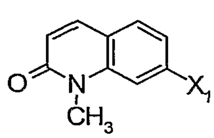
[Table 187]



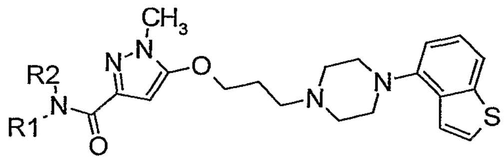
Example	R1	R2	MS(M+1)
1682		–H	553
1683		–H	497
1684		–H	511
1685		–H	525
1686		–H	553
1687		–H	539
1688		–H	581
1689		–H	525
1690		–H	539
1691		–H	553
1692		–H	477

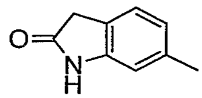
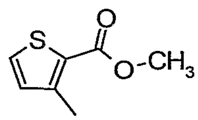
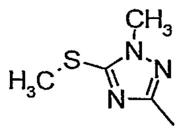
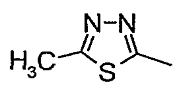
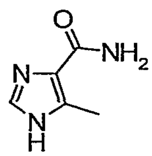
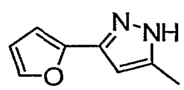
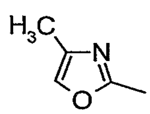
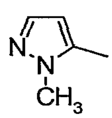
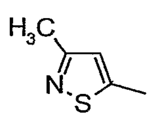
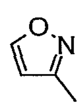
[Table 188]



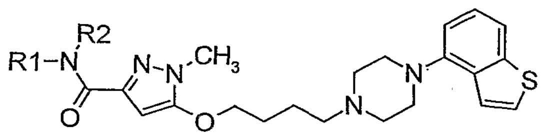
Example	R1	R2	MS(M+1)
1693		-H	516
1694		-H	477
1695		-H	507
1696		-H	575
1697		-H	515
1698		-H	483
1699		-H	540
1700		-H	467
1701		-H	443
1702		-H	481
1703		-H	557

[Table 189]



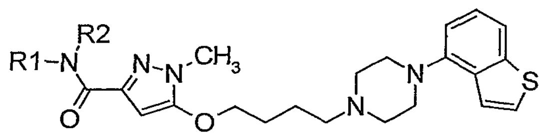
Example	R1	R2	MS(M+1)
1704		–H	531
1705		–H	540
1706		–H	527
1707		–H	498
1708		–H	509
1709		–H	532
1710		–H	481
1711		–H	480
1712		–H	497
1713		–H	467

[Table 190]



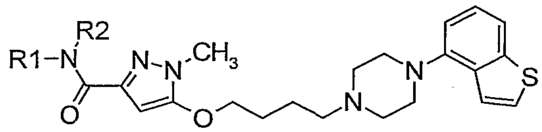
Example	R1	R2	MS(M+1)
1714	$-CH_3$	$-cyclo-C_6H_{11}$	510
1715	$-H$	$-cyclo-C_6H_{11}$	496
1716	$-H$	$-CH(CH_3)_2$	456
1717	$-CH_2CH(CH_3)_2$	$-CH_2CH(CH_3)_2$	526
1718	$-CH_2CH_2OH$	$-CH_2CH_2OH$	502
1719	$-C_2H_5$	$-CH_2CH_2OH$	486
1720	$-CH_2CH_2OH$	$-cyclo-C_6H_{11}$	540
1721	$-CH_2CH_2OCH_3$	$-CH_2CH_2OCH_3$	530
1722	$-C_2H_5$	$-C_2H_5$	470
1723	$-H$	$-C_4H_9$	470
1724	$-H$	$-C(CH_3)_3$	470
1725	$-H$	$-cyclo-C_3H_5$	454
1726	$-H$	$-CH_3$	428
1727	$-H$	$-C_2H_5$	442
1728	$-H$	$-C_3H_7$	456
1729	$-H$	$-CH_2CH(CH_3)_2$	470
1730	$-H$	$-CH_2CH_2OCH_3$	472
1731	$-H$	$-CH_2CH_2OC_2H_5$	486
1732	$-H$	$-(CH_2)_3OC_2H_5$	500
1733	$-H$	$-(CH_2)_2OC_6H_5$	534
1734	$-H$	$-CH_2-cyclo-C_3H_5$	468
1735	$-H$	$-(CH_2)_2NHCOCH_3$	499
1736	$-H$	$-(CH_2)_5OH$	500
1737	$-H$	$-(CH_2)_2C_6H_5$	518
1738	$-H$	$-CH_2CO_2CH_3$	486
1739	$-H$	$-CH_2CONH_2$	471
1740	$-H$	$-CH(CO_2C_2H_5)_2$	572
1741	$-H$	$-CH(CH_3)CO_2C_2H_5$	514
1742	$-CH_3$	$-CH_2CO_2CH_3$	500
1743	$-H$	$-CH_2CCH$	452
1744	$-H$	$-(CH_2)_2CH(CH_3)_2$	484
1745	$-CH_3$	$-(CH_2)_3CO_2C_2H_5$	542
1746	$-H$	$-(CH_2)_4CO_2C_2H_5$	542
1747	$-H$	$-CH(CONH_2)_2$	514
1748	$-H$	$-CH_2CF_3$	496
1749	$-H$	$-NHCH_2CF_3$	511

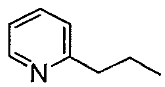
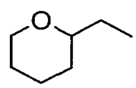
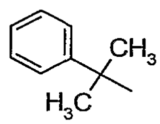
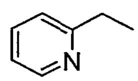
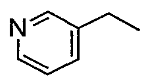
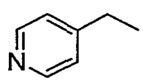
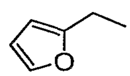
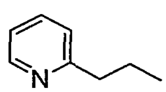
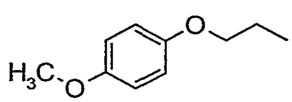
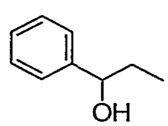
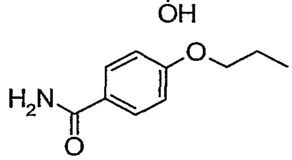
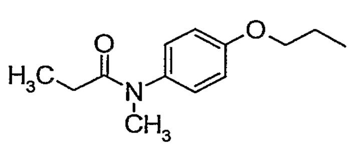
[Table 191]



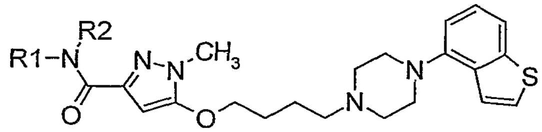
Example	R1	R2	MS(M+1)
1750	$-CH_3$	$-CH_3$	442
1751	-H	$-CH_2CH(OCH_3)_2$	502
1752	-H	$-(CH_2)_3OCH(CH_3)_2$	514
1753	-H	$-CH_2CN$	453
1754	-H	$-(CH_2)_3OCH_3$	486
1755	-H	$-(CH_2)_2OCH(CH_3)_2$	500
1756	-H	$-CH(C_2H_5)CH_2OCH_3$	500
1757	-H	$-CH(CH_3)CH_2OCH_3$	486
1758	-H	$-CH_2CH_2F$	460
1759	-H	$-CH_2CH(OH)CH_2OH$	488
1760	-H	$-CH_2CONHCH_3$	485
1761	-H	$-(CH_2)_2SCH_3$	488
1762	-H	$-CH_2CH_2OH$	458
1763	-H	$-C_6H_{13}$	498
1764	$-CH_3$	$-CH_2CON(CH_3)_2$	513
1765	-H	$-(CH_2)_2N(CH_3)COCH_3$	513
1766	-H	$-(CH_2)_2N(CH_3)CO(CH_2)_2CH_3$	541

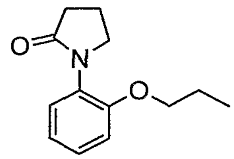
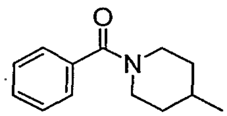
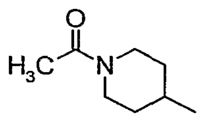
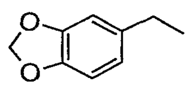
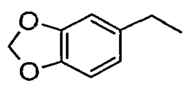
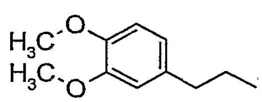
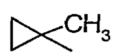
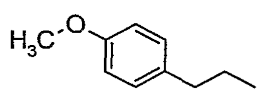
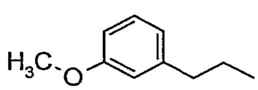
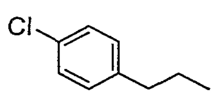
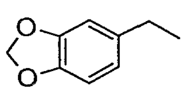
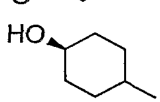
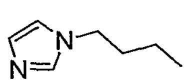
[Table 192]



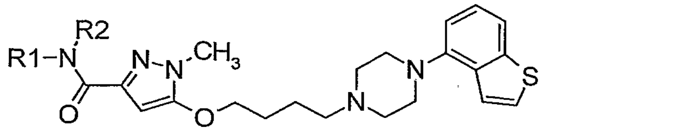
Example	R1	R2	MS(M+1)
1767		$-CH_3$	533
1768		$-C_2H_5$	540
1769		$-H$	532
1770		$-H$	505
1771		$-H$	505
1772		$-H$	505
1773		$-H$	494
1774		$-C_2H_5$	547
1775		$-C_2H_5$	592
1776		$-CH_3$	548
1777		$-C_2H_5$	605
1778		$-C_2H_5$	647

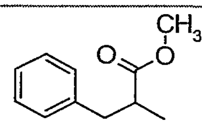
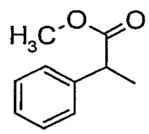
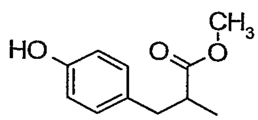
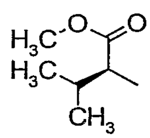
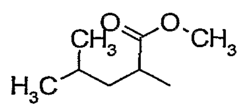
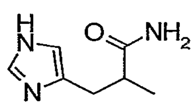
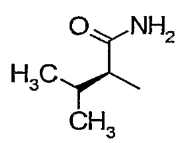
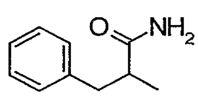
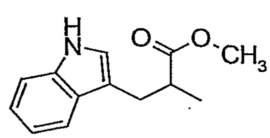
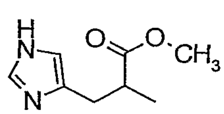
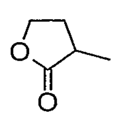
[Table 193]



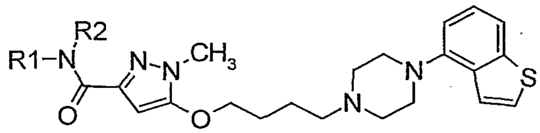
Example	R1	R2	MS(M+1)
1779		$-C_2H_5$	645
1780		$-CH_3$	615
1781		$-CH_3$	553
1782		$-CH_3$	562
1783		$-C_2H_5$	576
1784		$-C_2H_5$	606
1785		$-H$	468
1786		$-H$	548
1787		$-H$	548
1788		$-H$	552
1789		$-H$	548
1790		$-H$	512
1791		$-H$	522

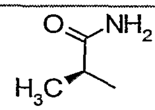
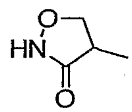
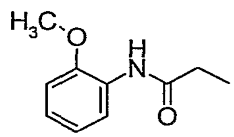
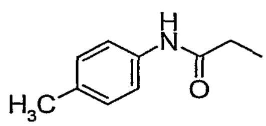
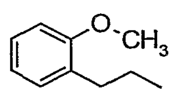
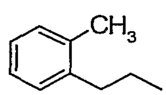
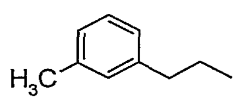
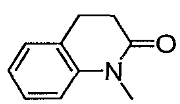
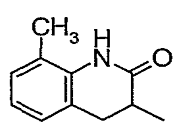
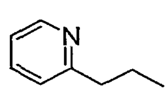
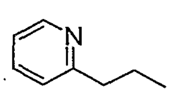
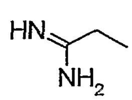
[Table 194]



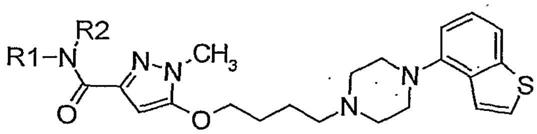
Example	R1	R2	MS(M+1)
1792		−H	576
1793		−H	562
1794		−H	592
1795		−H	528
1796		−H	542
1797		−H	551
1798		−H	513
1799		−H	561
1800		−H	615
1801		−H	566
1802		−H	498

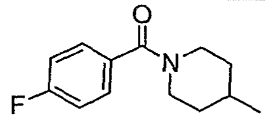
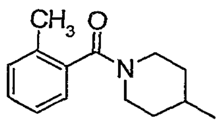
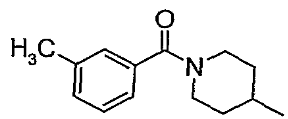
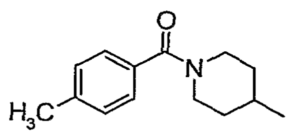
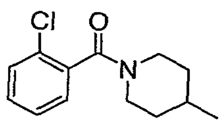
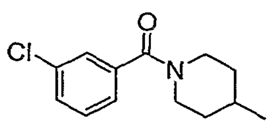
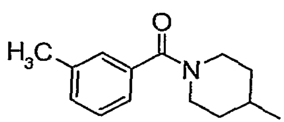
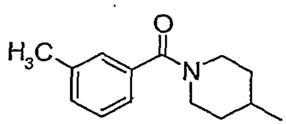
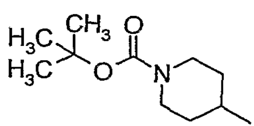
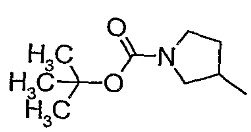
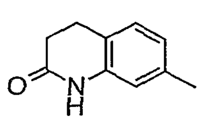
[Table 195]



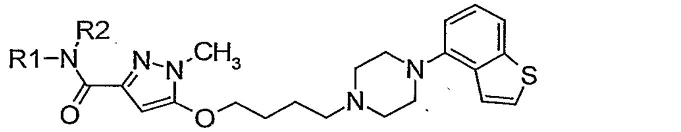
Example	R1	R2	MS(M+1)
1803		−H	485
1804		−H	499
1805		$-CH_3$	591
1806		$-CH_3$	575
1807		−H	548
1808		−H	532
1809		−H	532
1810		−H	559
1811		−H	573
1812		−H	519
1813		$-CH(CH_3)_2$	561
1814		−H	470

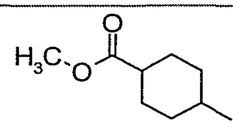
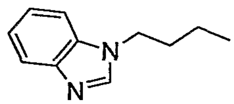
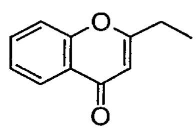
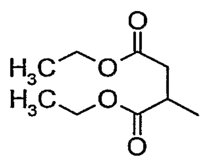
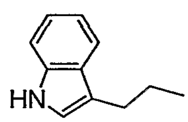
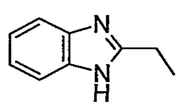
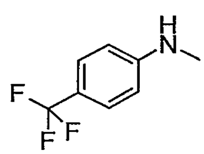
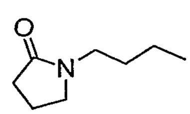
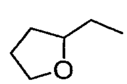
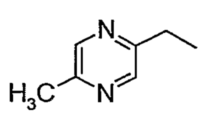
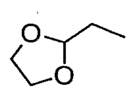
[Table 196]



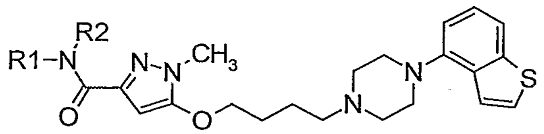
Example	R1	R2	MS(M+1)
1815		$-CH_3$	633
1816		$-CH_3$	629
1817		$-CH_3$	629
1818		$-CH_3$	629
1819		$-CH_3$	649
1820		$-C_4H_9$	649
1821		$-C_4H_9$	671
1822		$-CH(CH_3)_2$	657
1823		$-H$	597
1824		$-H$	583
1825		$-C_2H_5$	587

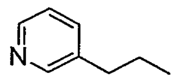
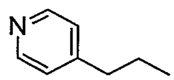
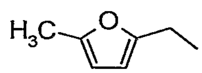
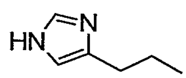
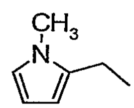
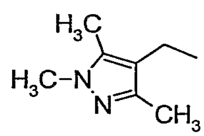
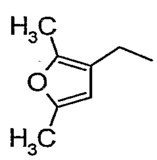
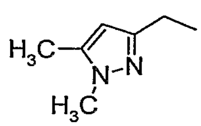
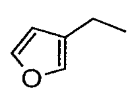
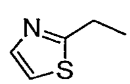
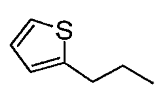
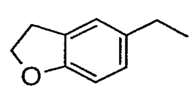
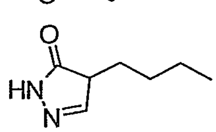
[Table 197]



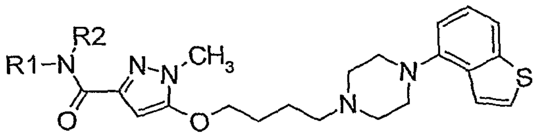
Example	R1	R2	MS(M+1)
1826		-H	554
1827		-H	572
1828		-H	572
1829		-H	586
1830		-H	557
1831		-H	544
1832		-H	573
1833		-H	539
1834		-H	498
1835		-H	520
1836		-H	500

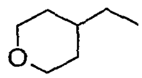
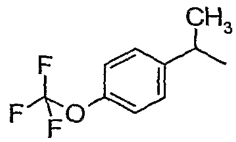
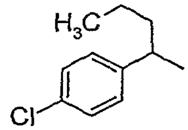
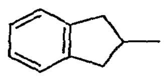
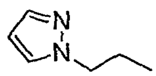
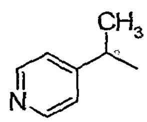
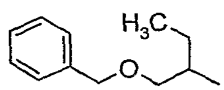
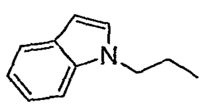
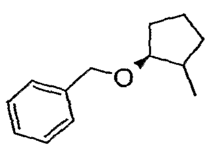
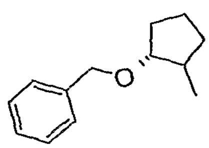
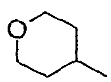
[Table 198]



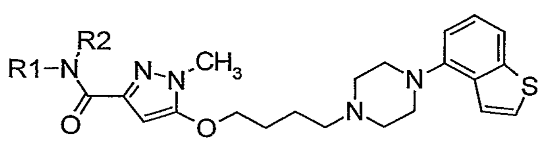
Example	R1	R2	MS(M+1)
1837		–H	519
1838		–H	519
1839		–H	508
1840		–H	508
1841		–H	507
1842		–H	536
1843		–H	522
1844		–H	522
1845		–H	494
1846		–H	511
1847		–H	524
1848		–H	546
1849		–H	538

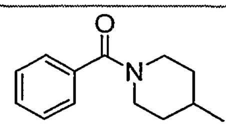
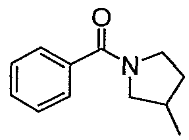
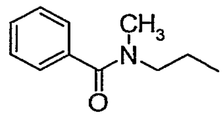
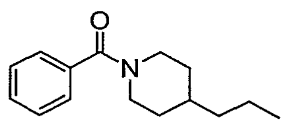
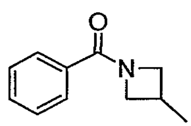
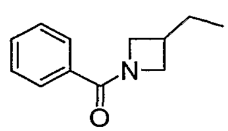
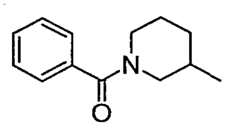
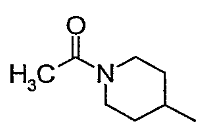
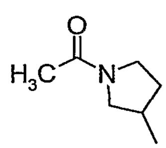
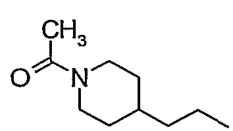
[Table 199]



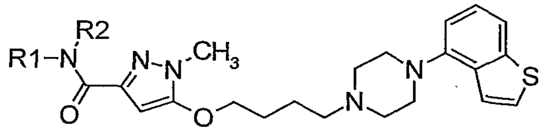
Example	R1	R2	MS(M+1)
1850		-H	512
1851		-H	602
1852		$-CH_3$	594
1853		-H	530
1854		-H	508
1855		-H	519
1856		-H	576
1857		-H	557
1858		-H	588
1859		-H	588
1860		-H	498

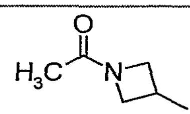
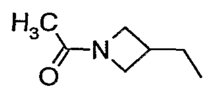
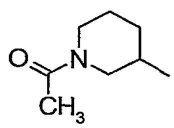
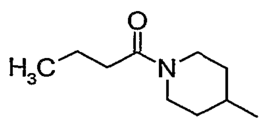
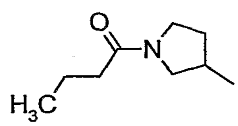
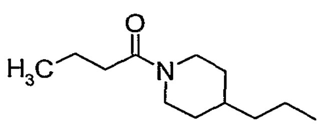
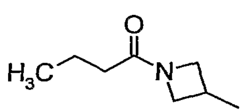
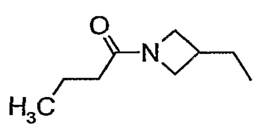
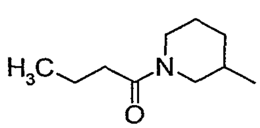
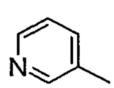
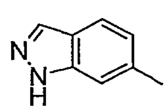
[Table 200]



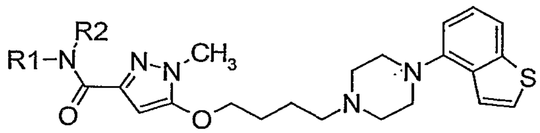
Example	R1	R2	MS(M+1)
1861		-H	601
1862		-H	587
1863		-H	575
1864		-H	629
1865		-H	573
1866		-H	587
1867		-H	601
1868		-H	539
1869		-H	525
1870		-H	567

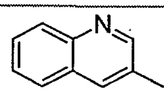
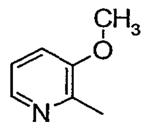
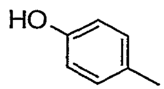
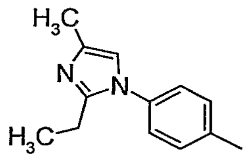
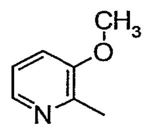
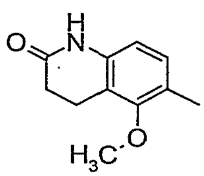
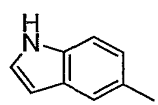
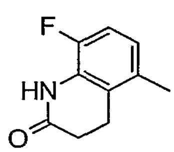
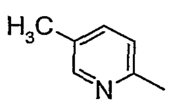
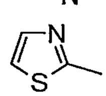
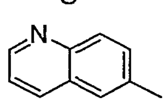
[Table 201]



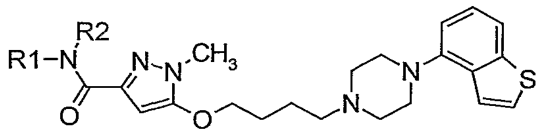
Example	R1	R2	MS(M+1)
1871		-H	511
1872		-H	525
1873		-H	539
1874		-H	567
1875		-H	553
1876		-H	595
1877		-H	539
1878		-H	553
1879		-H	567
1880		-H	491
1881		-H	530

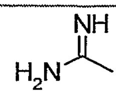
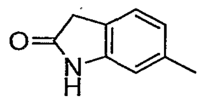
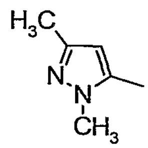
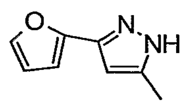
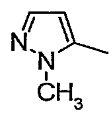
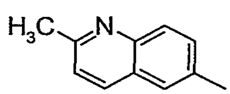
[Table 202]



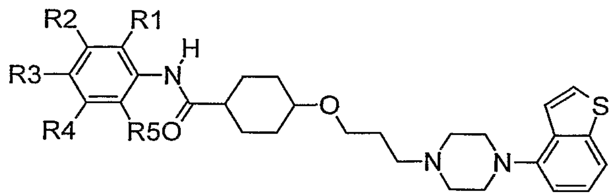
Example	R1	R2	MS(M+1)
1882		-H	541
1883		-H	505
1884		$-CH_3$	520
1885		$-CH_3$	612
1886		-H	521
1887		-H	589
1888		-H	529
1889		-H	577
1890		-H	505
1891		-H	497
1892		-H	541

[Table 203]



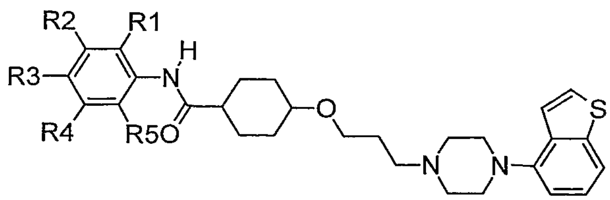
Example	R1	R2	MS(M+1)
1893		-H	456
1894		-H	545
1895		-H	508
1896		-H	546
1897		-H	494
1898		-H	555

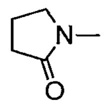
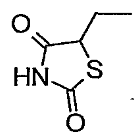
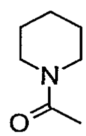
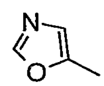
[Table 204]



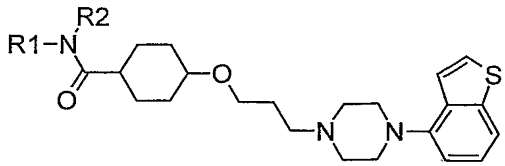
Example	R1	R2	R3	R4	R5	MS(M+1)
1899	−H	−H	$-OCF_3$	−H	−H	562
1900	−H	−H	$-OCH_3$	−H	−H	508
1901	−H	$-OCH_3$	−H	−H	−H	508
1902	$-OCH_3$	−H	$-OCH_3$	−H	−H	538
1903	−H	$-OCH_3$	−H	−H	$-OCH_3$	538
1904	−H	$-OCH_3$	−H	$-OCH_3$	−H	538
1905	−H	$-NHCOCH_3$	−H	−H	$-OCH_3$	565
1906	−H	$-OCH_3$	$-OCH_3$	−H	−H	538
1907	−H	−H	$-N(CH_3)_2$	−H	−H	521
1908	−H	$-COCH_3$	−H	−H	−H	520
1909	−H	$-NHCOCH_3$	−H	−H	−H	535
1910	−H	−H	$-NHCOCH_3$	−H	−H	535
1911	−H	−H	−H	−CN	−H	503
1912	−H	$-CO_2CH_3$	−H	−H	$-OCH_3$	566
1913	−H	−H	$-OC_6H_5$	−H	−H	570
1914	−H	$-CO_2CH_3$	−H	$-CO_2CH_3$	−H	594
1915	$-OCH_3$	$-OCH_3$	−H	−H	−H	538
1916	−H	−Cl	−OH	−H	−H	528
1917	$-CO_2C_2H_5$	−H	−H	−H	−Cl	584
1918	−H	−H	−CN	−H	−H	503
1919	−H	$-OCH_2C_6H_5$	−H	−H	−H	584
1920	−H	−H	$-NHSO_2CH_3$	−H	−H	571
1921	−H	−H	$-CONHC_6H_5$	−H	−H	597
1922	−H	−H	$-CONHCH_3$	−H	−H	535
1923	−H	−H	$-NHC_6H_5$	−H	−H	569
1924	−H	−H	$-CH_2CH_2OH$	−H	−H	522
1925	−H	−H	$-C\equiv CH$	−H	−H	502
1926	$-NHCOCH_3$	−H	−H	−H	−H	535
1927	−H	$-CONHCH_3$	−H	−H	−H	535

[Table 205]



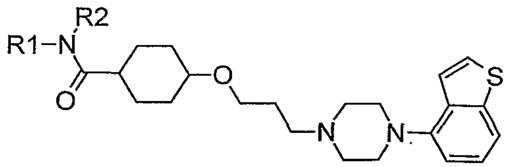
Example	R1	R2	R3	R4	R5	MS(M+1)
1928	-H	-H		-H	-H	561
1929	-H	-H		-H	-H	607
1930	-H		-H	-H	-H	589
1931	-H		-H	-H	-H	545

[Table 206]



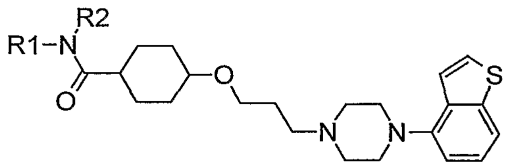
Example	R1	R2	MS(M+1)
1932	$-CH_3$	$-cyclo-C_6H_{11}$	498
1933	$-cyclo-C_6H_{11}$	$-H$	484
1934	$-C_4H_9$	$-C_4H_9$	514
1935	$-CH_2CH(CH_3)_2$	$-CH_2CH(CH_3)_2$	514
1936	$-CH_2CH_2OH$	$-CH_2CH_2OH$	490
1937	$-C_2H_5$	$-CH_2CH_2OH$	474
1938	$-CH_2CH_2OH$	$-cyclo-C_6H_{11}$	528
1939	$-CH_2CH_2OCH_3$	$-CH_2CH_2OCH_3$	518
1940	$-C_3H_7$	$-CH_2-cyclo-C_3H_5$	498
1941	$-cyclo-C_5H_9$	$-CH_2CH=CH_2$	510
1942	$-C_2H_5$	$-C_2H_5$	458
1943	$-C_4H_9$	$-H$	458
1944	$-C(CH_3)_3$	$-H$	458
1945	$-cyclo-C_3H_5$	$-H$	442
1946	$-C_2H_5$	$-H$	430
1947	$-CH_2CH_2OCH_3$	$-H$	460
1948	$-C_4H_9$	$-C_2H_5$	486
1949	$-CH_2CH_2OC_2H_5$	$-H$	474
1950	$-(CH_2)_3OC_2H_5$	$-H$	488
1951	$-cyclo-C_5H_9$	$-H$	470
1952	$-CH_2-cyclo-C_3H_5$	$-H$	456
1953	$-CH_2-cyclo-C_6H_{11}$	$-H$	498
1954	$-(CH_2)_2NHCOCH_3$	$-H$	487
1955	$-(CH_2)_5OH$	$-H$	488
1956	$-CH_2CONH_2$	$-H$	459
1957	$-CH_2C\equiv CH$	$-H$	440
1958	$-CH_3$	$-CH(CH_3)_2$	458
1959	$-(CH_2)_2CH(CH_3)_2$	$-H$	472
1960	$-CH(CH_3)C(CH_3)_3$	$-H$	486
1961	$-CH_2C(CH_3)_3$	$-H$	472
1962	$-CH_2CH(C_2H_5)_2$	$-H$	486
1963	$-CH(CONH_2)_2$	$-H$	502
1964	$-CH_2-cyclo-C_3H_5$	$-CH_3$	470
1965	$-CH(CONH_2)_2$	$-H$	499

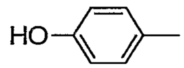
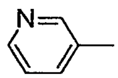
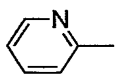
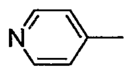
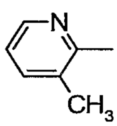
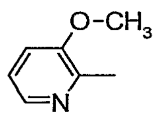
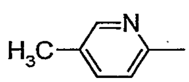
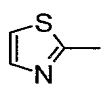
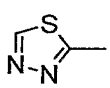
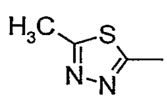
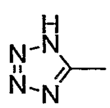
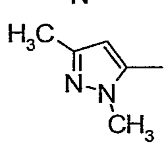
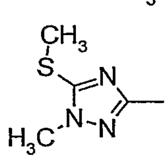
[Table 207]



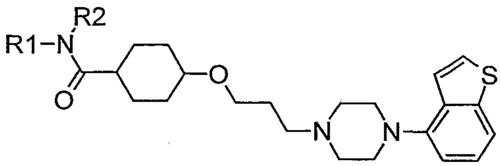
Example	R1	R2	MS(M+1)
1966	$-CH_3$	$-CH_3$	430
1967	$-(CH_2)_3OCH(CH_3)_2$	$-H$	502
1968	$-CH_2CH_2C(CH_3)_3$	$-H$	486
1969	$-CH(C_2H_5)_2$	$-H$	472
1970	$-CH_2CN$	$-H$	441
1971	$-(CH_2)_3OCH_3$	$-H$	474
1972	$-(CH_2)_2OCH(CH_3)_2$	$-H$	488
1973	$-CH(C_2H_5)CH_2OCH_3$	$-H$	488
1974	$-CH(CH_3)CH_2OCH_3$	$-H$	474
1975	$-CH_2CH_2F$	$-H$	448
1976	$-CH_2CH(OH)CH_2OH$	$-H$	476
1977	$-CH_2CONHCH_3$	$-H$	473
1978	$-(CH_2)_2SCH_3$	$-H$	476
1979	$-CH_2CH_2OH$	$-H$	446
1980	$-CH_2CHF_2$	$-H$	466
1981	$-C_6H_{13}$	$-H$	486
1982	$-CH_2CH_2NHCONH_2$	$-H$	488

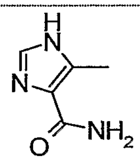
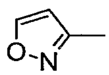
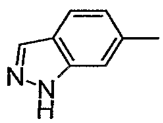
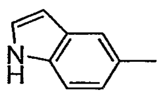
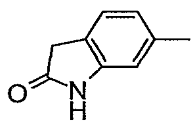
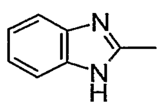
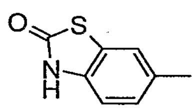
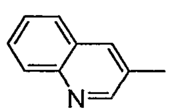
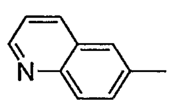
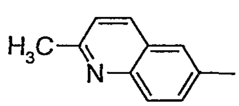
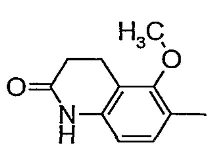
[Table 208]



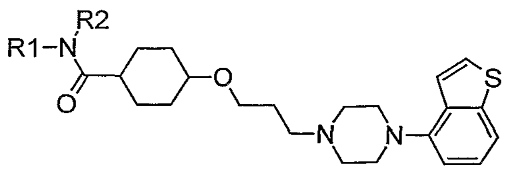
Example	R1	R2	MS(M+1)
1983		$-CH_3$	508
1984		–H	479
1985		–H	479
1986		–H	479
1987		–H	493
1988		–H	509
1989		–H	493
1990		–H	485
1991		–H	486
1992		–H	500
1993		–H	470
1994		–H	496
1995		–H	529

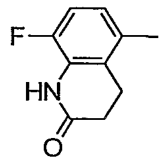
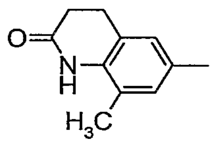
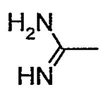
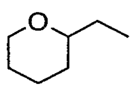
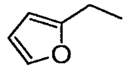
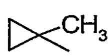
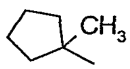
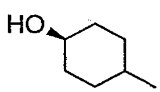
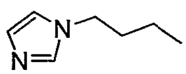
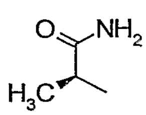
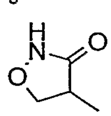
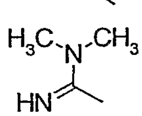
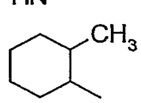
[Table 209]



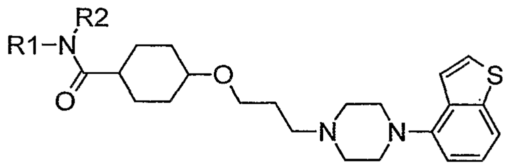
Example	R1	R2	MS(M+1)
1996		–H	511
1997		–H	469
1998		–H	518
1999		–H	517
2000		–H	533
2001		–H	518
2002		–H	551
2003		–H	529
2004		–H	529
2005		–H	543
2006		–H	577

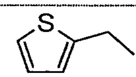
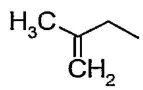
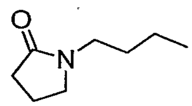
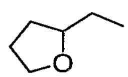
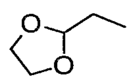
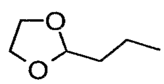
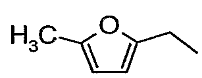
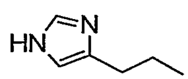
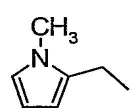
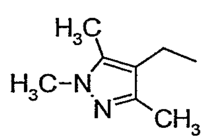
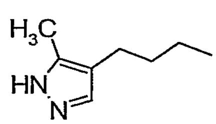
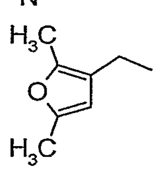
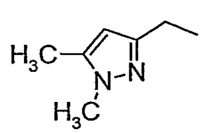
[Table 210]



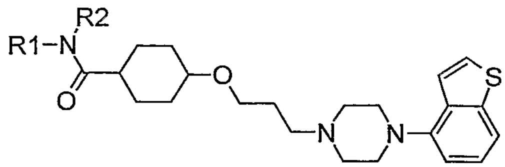
Example	R1	R2	MS(M+1)
2007		−H	565
2008		−H	561
2009		−H	444
2010		$-C_2H_5$	528
2011		−H	482
2012		−H	456
2013		−H	484
2014		−H	500
2015		−H	510
2016		−H	473
2017		−H	487
2018		−H	472
2019		−H	498

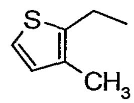
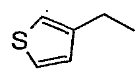
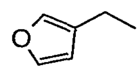
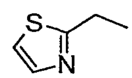
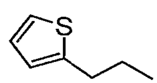
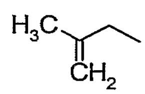
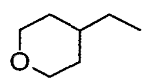
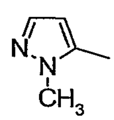
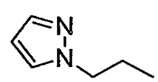
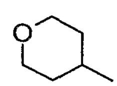
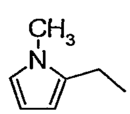
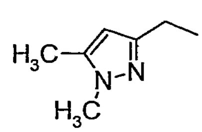
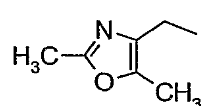
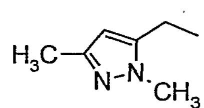
[Table 211]



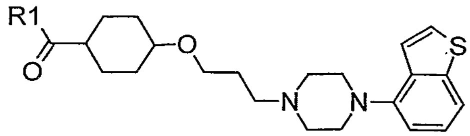
Example	R1	R2	MS(M+1)
2020		–H	498
2021		$-C_2H_5$	484
2022		–H	527
2023		–H	486
2024		–H	488
2025		–H	502
2026		–H	496
2027		–H	496
2028		–H	495
2029		–H	524
2030		–H	524
2031		–H	510
2032		–H	510

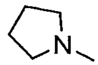
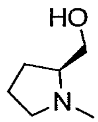
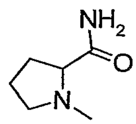
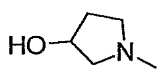
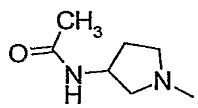
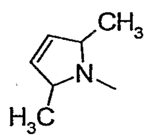
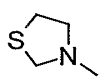
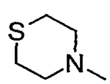
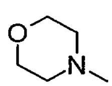
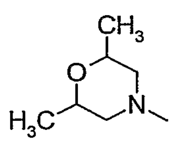
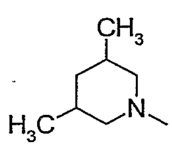
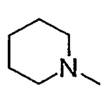
[Table 212]



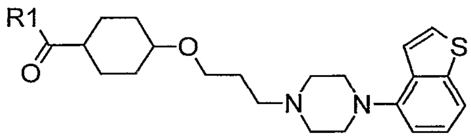
Example	R1	R2	MS(M+1)
2033		−H	512
2034		−H	498
2035		−H	482
2036		−H	499
2037		−H	512
2038		−H	456
2039		−H	500
2040		−H	482
2041		−H	496
2042		−H	486
2043		$-CH_3$	510
2044		$-CH_3$	524
2045		$-CH_3$	525
2046		−H	510

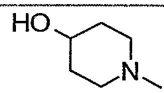
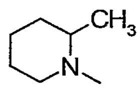
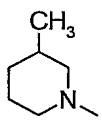
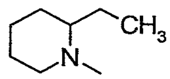
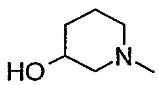
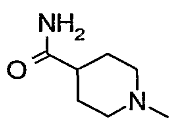
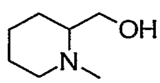
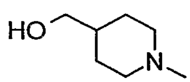
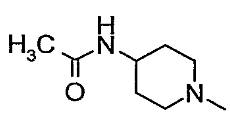
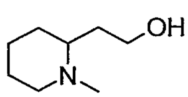
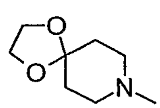
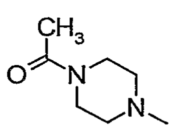
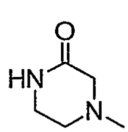
[Table 213]



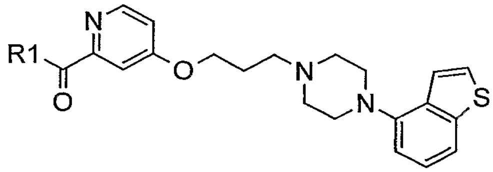
Example	R1	MS(M+1)
2047		456
2048		486
2049		499
2050		472
2051		513
2052		482
2053		474
2054		488
2055		472
2056		500
2057		498
2058		470

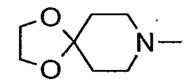
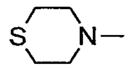
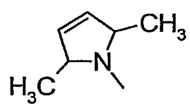
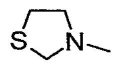
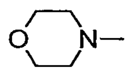
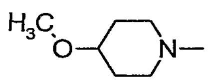
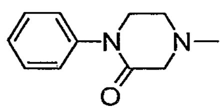
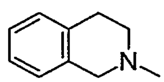
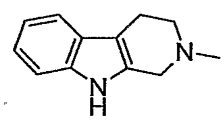
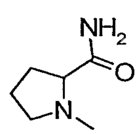
[Table 214]



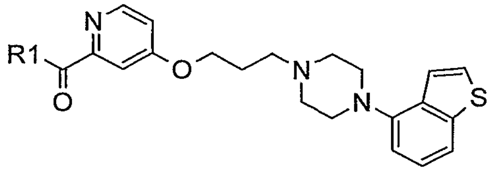
Example	R1	MS(M+1)
2059		486
2060		484
2061		484
2062		498
2063		486
2064		513
2065		500
2066		500
2067		527
2068		514
2069		528
2070		513
2071		485

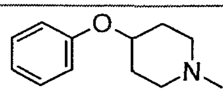
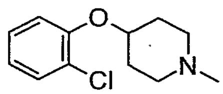
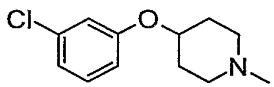
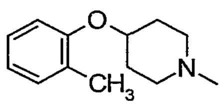
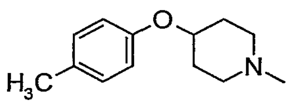
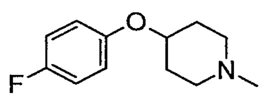
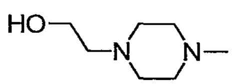
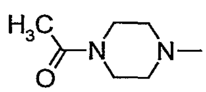
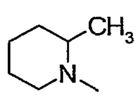
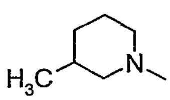
[Table 215]



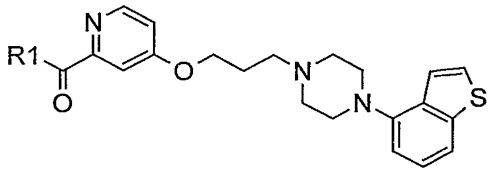
Example	R1	MS(M+1)
2072		523
2073		483
2074		477
2075		469
2076		467
2077		495
2078		556
2079		513
2080		552
2081		494

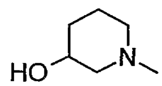
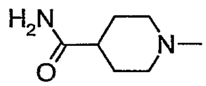
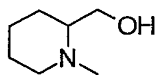
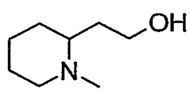
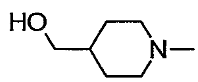
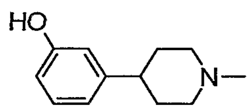
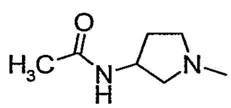
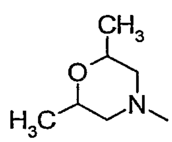
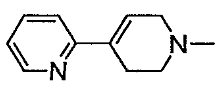
[Table 216]



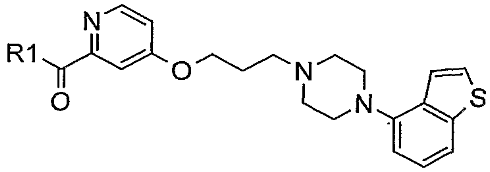
Example	R1	MS(M+1)
2082		557
2083		591
2084		591
2085		571
2086		571
2087		575
2088		510
2089		508
2090		479
2091		479

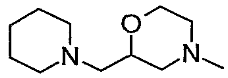
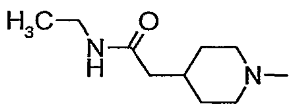
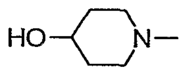
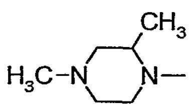
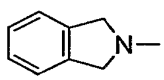
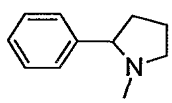
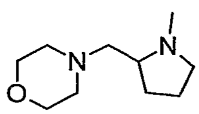
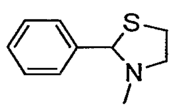
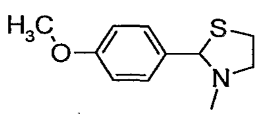
[Table 217]



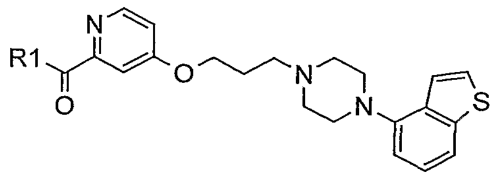
Example	R1	MS(M+1)
2092		481
2093		508
2094		495
2095		509
2096		495
2097		557
2098		508
2099		495
2100		540

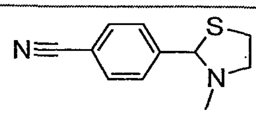
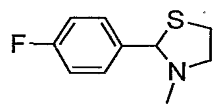
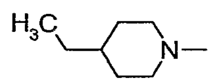
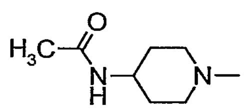
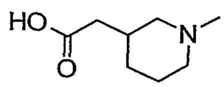
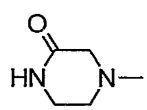
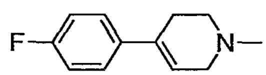
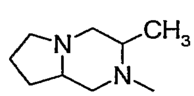
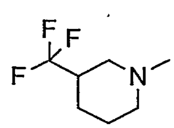
[Table 218]



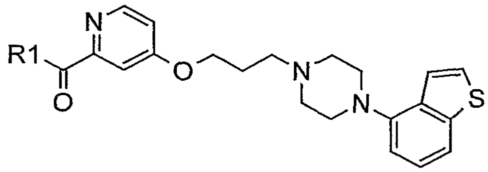
Example	R1	MS(M+1)
2101		564
2102		550
2103		481
2104		494
2105		499
2106		527
2107		550
2108		545
2109		575

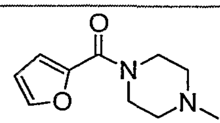
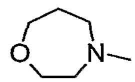
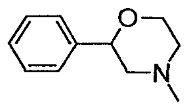
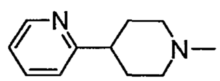
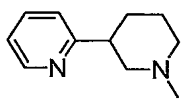
[Table 219]



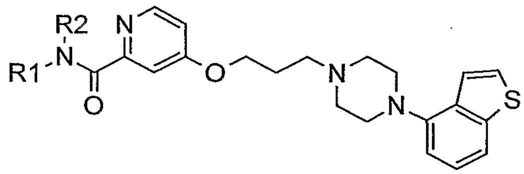
Example	R1	MS(M+1)
2110		570
2111		563
2112		493
2113		522
2114		523
2115		480
2116		557
2117		520
2118		533

[Table 220]



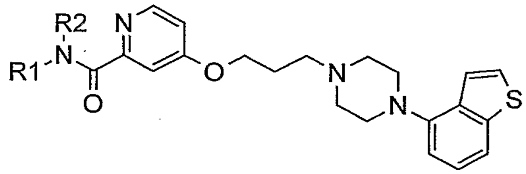
Example	R1	MS(M+1)
2119		560
2120		481
2121		543
2122		542
2123		542

[Table 221]



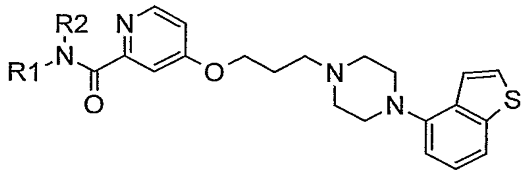
Example	R1	R2	MS(M+1)
2124	$-CH_3$	$-cyclo-C_6H_{11}$	493
2125	$-H$	$-cyclo-C_6H_{11}$	479
2126	$-CH_2CH_2OH$	$-CH_2CH_2OH$	485
2127	$-CH_3$	$-CH_2CH_2N(CH_3)_2$	482
2128	$-H$	$-C_4H_9$	453
2129	$-H$	$-cyclo-C_3H_5$	437
2130	$-H$	$-CH_2C_6H_5$	487
2131	$-CH_3$	$-CH_2C_6H_5$	501
2132	$-C_2H_5$	$-CH(CH_3)_2$	467
2133	$-H$	$-CH_3$	411
2134	$-H$	$-C_2H_5$	425
2135	$-H$	$-C_3H_7$	439
2136	$-H$	$-CH_2CH(CH_3)_2$	453
2137	$-H$	$-CH_2CH_2OCH_3$	455
2138	$-H$	$-CH_2CH_2OC_2H_5$	469
2139	$-H$	$-(CH_2)_2OC_6H_5$	517
2140	$-H$	$-cyclo-C_5H_9$	465
2141	$-H$	$-CH_2-cyclo-C_6H_{11}$	493
2142	$-H$	$-CH(CH_3)C_6H_5$	501
2143	$-H$	$-CH_2CONH_2$	454

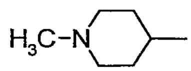
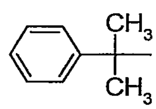
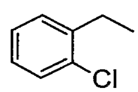
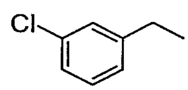
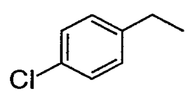
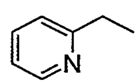
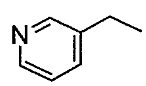
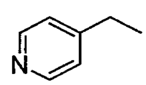
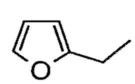
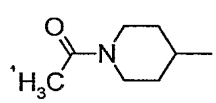
[Table 222]



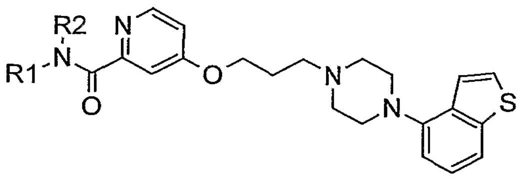
Example	R1	R2	MS(M+1)
2144	$-H$	$-CH(CH_3)_2$	439
2145	$-C_2H_5$	$-C_2H_5$	453
2146	$-H$	$-(CH_2)_5OH$	483
2147	$-H$	$-CH_2CCH$	435
2148	$-CH_3$	$-CH(CH_3)_2$	453
2149	$-H$	$-CH_2C(CH_3)_3$	467
2150	$-H$	$-CH_2CH_2N(CH_3)_2$	468
2151	$-H$	$-CH(CONH_2)_2$	497
2152	$-CH_3$	$-CH_2-cyclo-C_3H_5$	465
2153	$-CH_3$	$-(CH_2)_2N(C_2H_5)_2$	510
2154	$-H$	$-CH_2CF_3$	479
2155	$-H$	$-NHCH_2CF_3$	494
2156	$-CH_3$	$-CH_3$	425
2157	$-H$	$-CH_2CH(OCH_3)_2$	485
2158	$-H$	$-(CH_2)_3OCH(CH_3)_2$	497
2159	$-H$	$-CH(C_2H_5)_2$	467
2160	$-H$	$-CH_2CN$	436
2161	$-H$	$-(CH_2)_2OCH(CH_3)_2$	483
2162	$-H$	$-CH(C_2H_5)CH_2OCH_3$	483
2163	$-H$	$-CH_2CH_2F$	443
2164	$-H$	$-CH_2CONHCH_3$	468
2165	$-H$	$-(CH_2)_2SCH_3$	471
2166	$-H$	$-CH_2CHF_2$	461
2167	$-CH_3$	$-(CH_2)_2O(CH_2)_2NHCH_3$	512

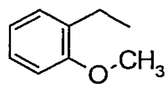
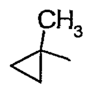
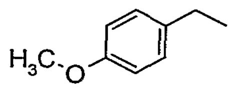
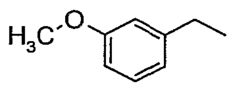
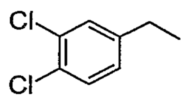
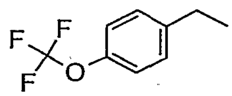
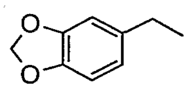
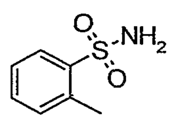
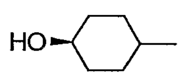
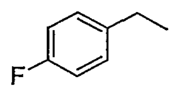
[Table 223]



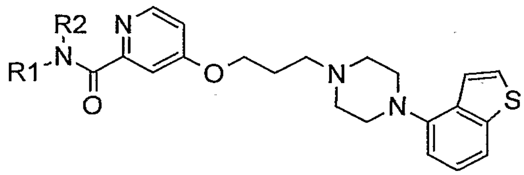
Example	R1	R2	MS(M+1)
2168	$-CH_3$		508
2169	$-H$		515
2170	$-H$		521
2171	$-H$		521
2172	$-H$		521
2173	$-H$		488
2174	$-H$		488
2175	$-H$		488
2176	$-H$		477
2177	$-CH_3$		536

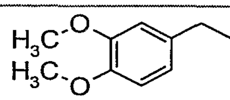
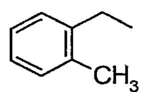
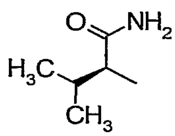
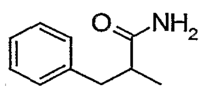
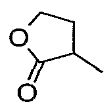
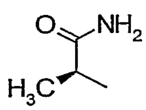
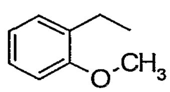
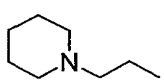
[Table 224]



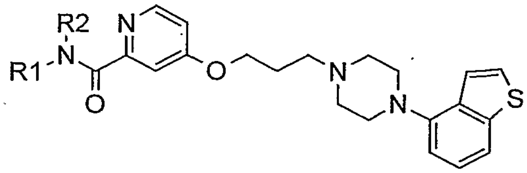
Example	R1	R2	MS(M+1)
2178	$-CH_3$		531
2179	–H		451
2180	–H		517
2181	–H		517
2182	–H		555
2183	–H		571
2184	–H		531
2185	–H		552
2186	–H		495
2187	–H		505

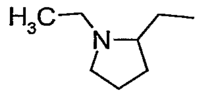
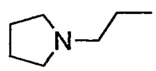
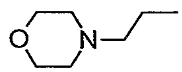
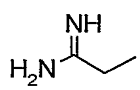
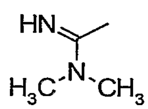
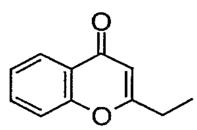
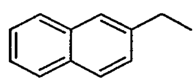
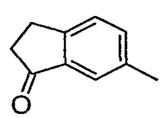
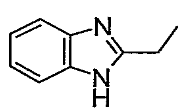
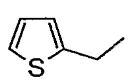
[Table 225]



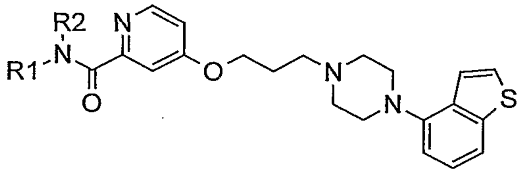
Example	R1	R2	MS(M+1)
2188	-H		547
2189	-H		501
2190	-H		505
2191	-H		496
2192	-H		544
2193	-H		481
2194	-H		468
2195	-H		517
2196	-H		508

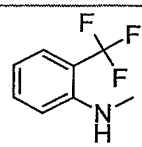
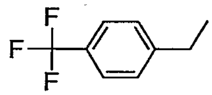
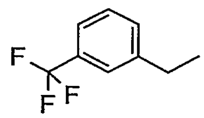
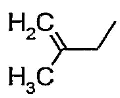
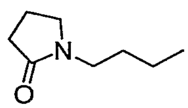
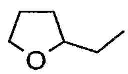
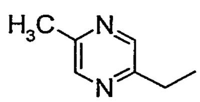
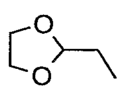
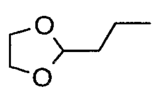
[Table 226]



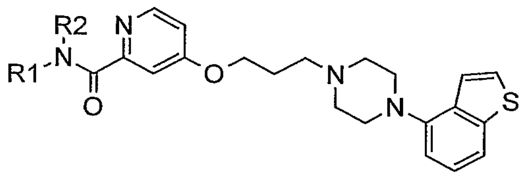
Example	R1	R2	MS(M+1)
2197	-H		508
2198	-H		494
2199	-H		510
2200	-H		453
2201	-H		467
2202	-H		555
2203	-H		537
2204	-H		527
2205	-H		527
2206	-H		493

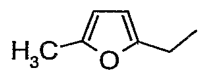
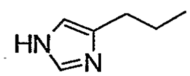
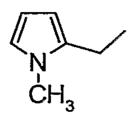
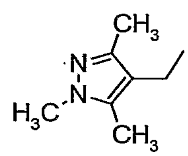
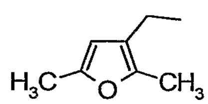
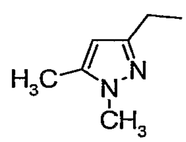
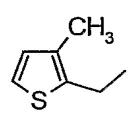
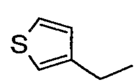
[Table 227]



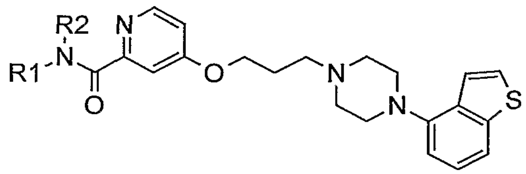
Example	R1	R2	MS(M+1)
2207	–H		556
2208	–H		555
2209	–H		555
2210	$-C_2H_5$		479
2211	–H		522
2212	–H		481
2213	–H		503
2214	–H		483
2215	–H		497

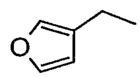
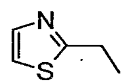
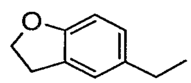
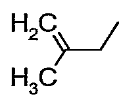
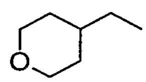
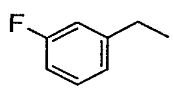
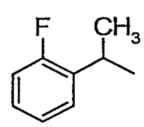
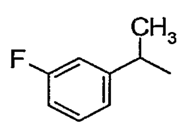
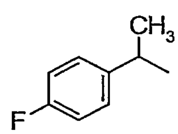
[Table 228]



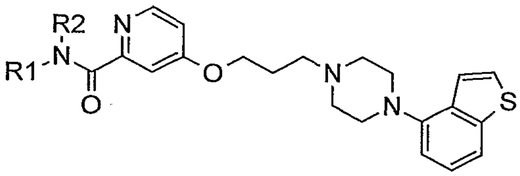
Example	R1	R2	MS(M+1)
2216	−H		491
2217	−H		491
2218	−H		490
2219	−H		519
2220	−H		505
2221	−H		505
2222	−H		507
2223	−H		493

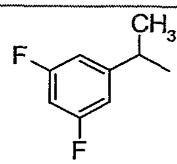
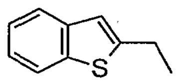
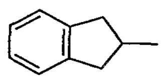
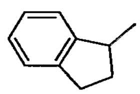
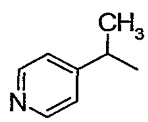
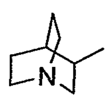
[Table 229]



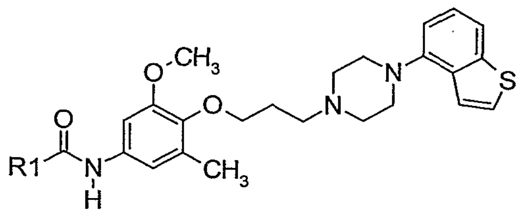
Example	R1	R2	MS(M+1)
2224	−H		477
2225	−H		494
2226	−H		529
2227	−H		451
2228	−H		495
2229	−H		505
2230	−H		519
2231	−H		519
2232	−H		519

[Table 230]



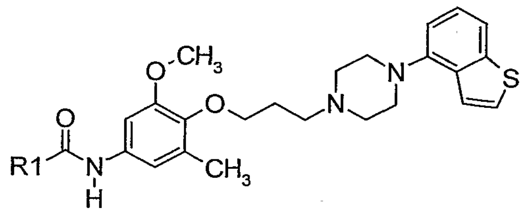
Example	R1	R2	MS(M+1)
2233	-H		537
2234	-H		543
2235	-H		513
2236	-H		513
2237	-H		502
2238	-H		506

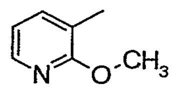
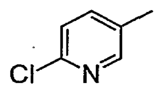
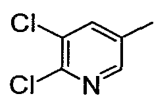
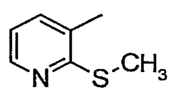
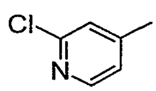
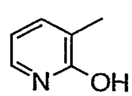
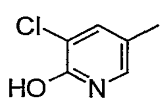
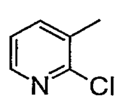
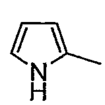
[Table 231]



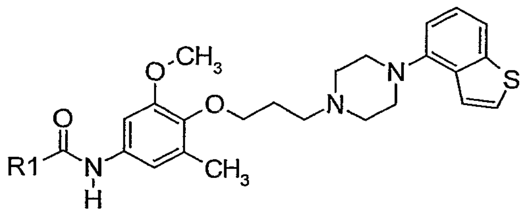
Example	R1	MS(M+1)
2239	−2−PYRIDYL	517
2240	−3−PYRIDYL	517
2241	−4−PYRIDYL	517
2242	−2−FURYL	506
2243	−2−THIENYL	522
2244	−3−FURYL	506
2245	−3−THIENYL	522
2246	$-CH_3$	454
2247	$-C_2H_5$	468
2248	$-C_3H_7$	482
2249	$-CH(CH_3)_2$	482
2250	−cyclo−C_3H_5	480
2251	−cyclo−C_5H_9	508
2252	−cyclo−C_6H_{11}	522
2253	$-CH_2$−cyclo−C_3H_5	494
2254	$-CH_2$−cyclo−C_6H_{11}	536
2255	$-CH_2OCH_3$	484
2256	$-CH_2N(CH_3)_2$	497
2257	$-(CH_2)_3N(CH_3)_2$	525
2258	$-(CH_2)_2N(C_2H_5)_2$	539
2259	$-CH_2NHCHO$	497
2260	$-CH_2N(CH_2CH_2OH)_2$	557
2261	$-CH_2N(CH_3)CO_2C(CH_3)_3$	583
2262	$-(CH_2)_3NHCO_2C(CH_3)_3$	597
2263	$-CH_2NHCH_3$	483
2264	$-(CH_2)_3NH_2$	497
2265	$-CH_2NHCOCH_3$	511

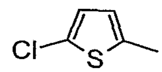
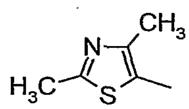
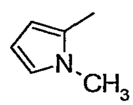
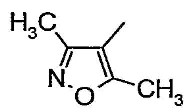
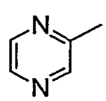
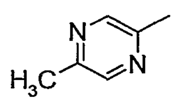
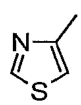
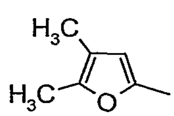
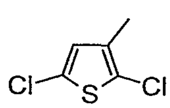
[Table 232]



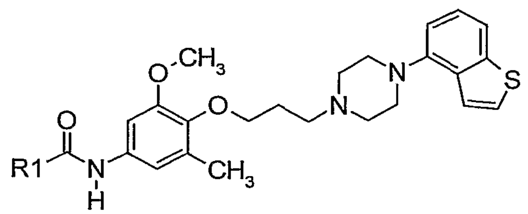
Example	R1	MS(M+1)
2266		547
2267		551
2268		585
2269		563
2270		551
2271		533
2272		567
2273		551
2274		505

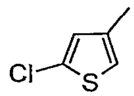
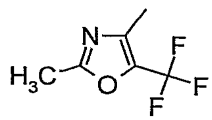
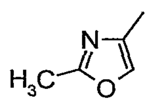
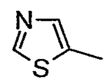
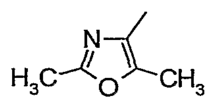
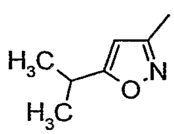
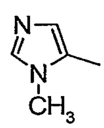
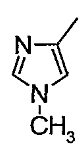
[Table 233]



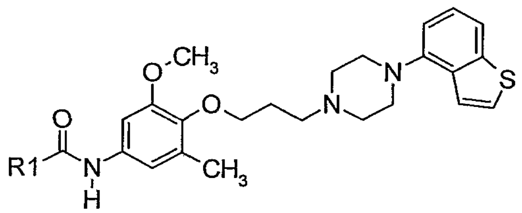
Example	R1	MS(M+1)
2275		556
2276		551
2277		519
2278		535
2279		518
2280		532
2281		523
2282		534
2283		590

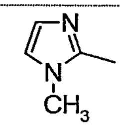
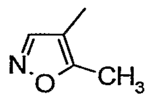
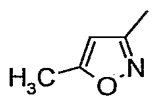
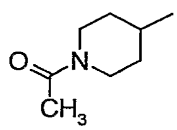
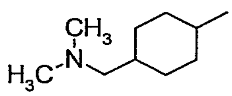
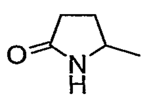
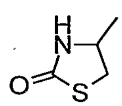
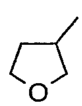
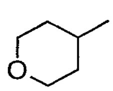
[Table 234]



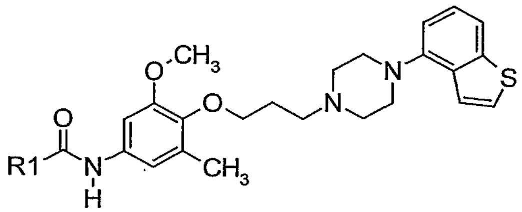
Example	R1	MS(M+1)
2284		556
2285		589
2286		521
2287		523
2288		535
2289		549
2290		520
2291		520

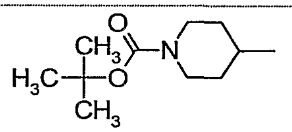
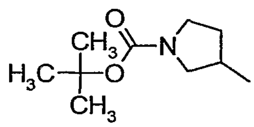
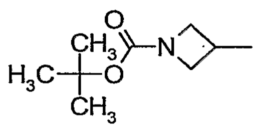
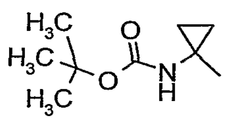
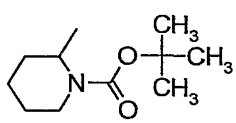
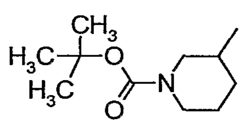
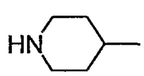
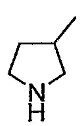
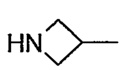
[Table 235]



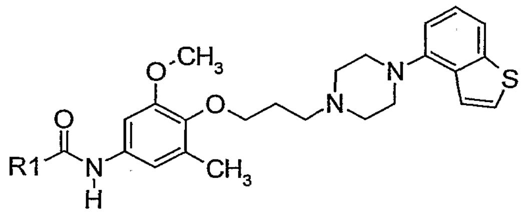
Example	R1	MS(M+1)
2292		520
2293		521
2294		521
2295		565
2296		579
2297		523
2298		541
2299		510
2300		524

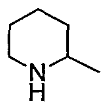
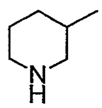
[Table 236]



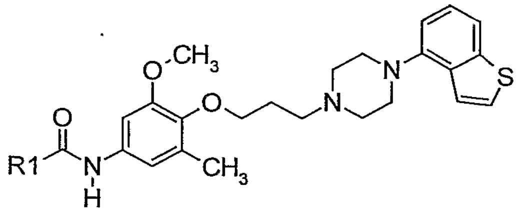
Example	R1	MS(M+1)
2301		623
2302		609
2303		595
2304		595
2305		623
2306		623
2307		523
2308		509
2309		495

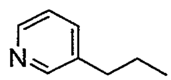
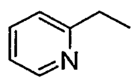
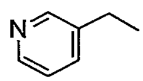
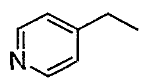
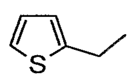
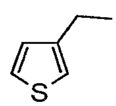
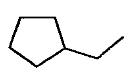
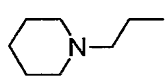
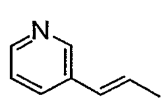
[Table 237]



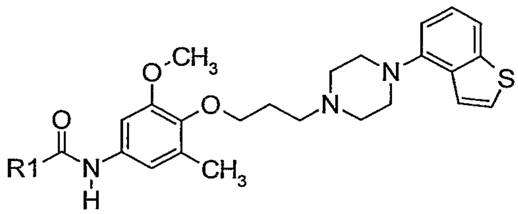
Example	R1	MS(M+1)
2310		495
2311		523
2312		523

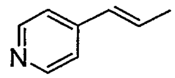
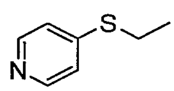
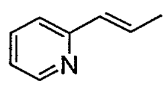
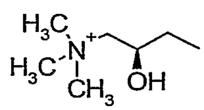
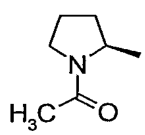
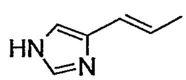
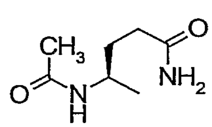
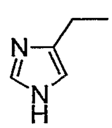
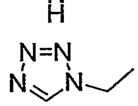
[Table 238]



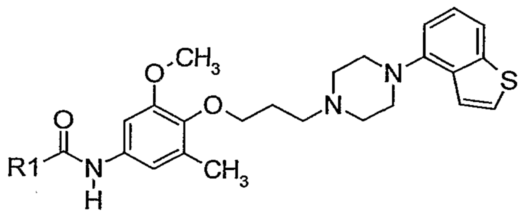
Example	R1	MS(M+1)
2313		545
2314		531
2315		531
2316		531
2317		536
2318		536
2319		522
2320		551
2321		543

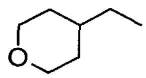
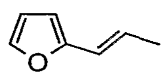
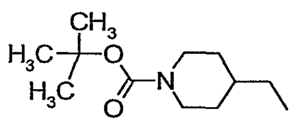
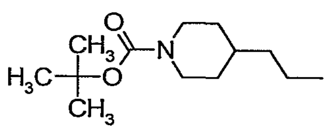
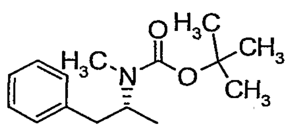
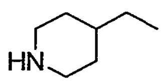
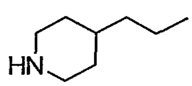
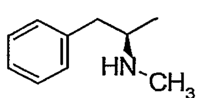
[Table 239]



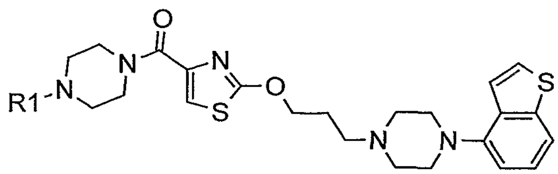
Example	R1	MS(M+1)
2322		543
2323		563
2324		543
2325		556
2326		551
2327		532
2328		582
2329		520
2330		522

[Table 240]



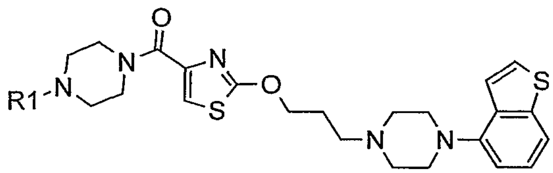
Example	R1	MS(M+1)
2331		538
2332		532
2333		637
2334		651
2335		673
2336		537
2337		551
2338		573

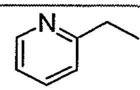
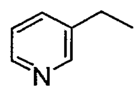
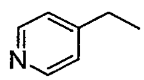
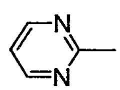
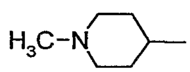
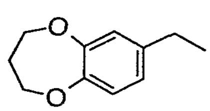
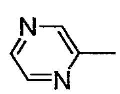
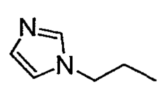
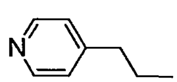
[Table 241]



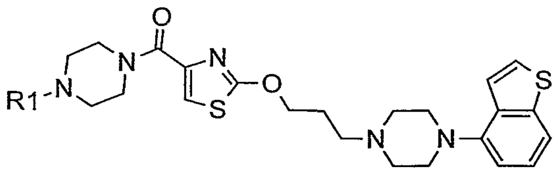
Example	R1	MS(M+1)
2339	−2−PYRIDYL	549
2340	$-C_4H_9$	528
2341	$-CH(CH_3)_2$	514
2342	$-CH_2CH_2N(CH_3)_2$	543
2343	−4−PYRIDYL	549
2344	$-C_6H_5$	548
2345	$-C_3H_7$	514
2346	$-CH_3$	486
2347	−3−PYRIDYL	549
2348	$-C_6H_{13}$	556
2349	$-C_2H_5$	500
2350	$-CH_2CH_2OH$	516
2351	$-COCH_3$	514
2352	−cyclo−C_6H_{11}	554
2353	$-SO_2C_2H_5$	564

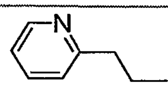
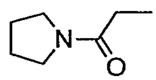
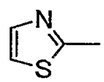
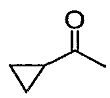
[Table 242]



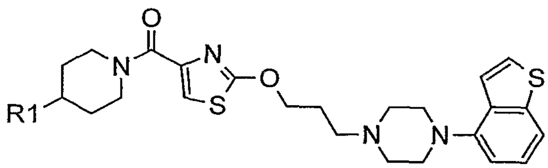
Example	R1	MS(M+1)
2354		563
2355		563
2356		563
2357		550
2358		569
2359		634
2360		550
2361		566
2362		577

[Table 243]



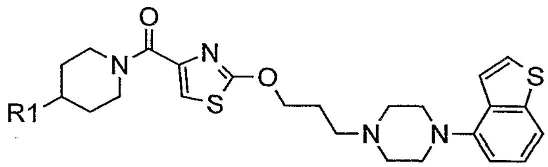
Example	R1	MS(M+1)
2363		577
2364		583
2365		555
2366		540

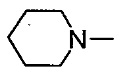
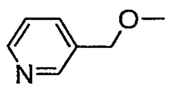
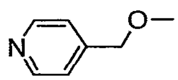
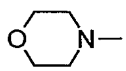
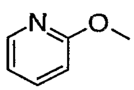
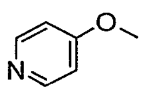
[Table 244]



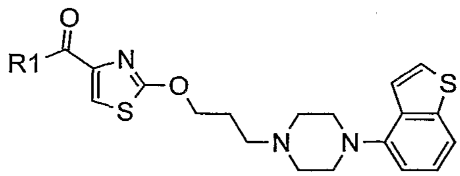
Example	R1	MS(M+1)
2367	$-OCH_3$	501
2368	$-cyclo-C_6H_{11}$	553
2369	$-C_6H_5$	547
2370	$-OCH_2C_6H_5$	577
2371	$-OC_6H_5$	563
2372	$-OH$	487
2373	$-CONH_2$	514
2374	$-CH_2OH$	501
2375	$-C_2H_5$	499
2376	$-NHCOCH_3$	528
2377	$-COC_6H_5$	575
2378	−2−PYRIDYL	548

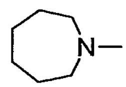
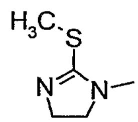
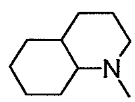
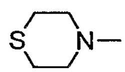
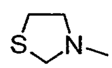
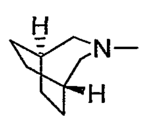
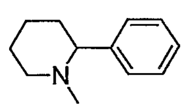
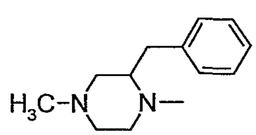
[Table 245]



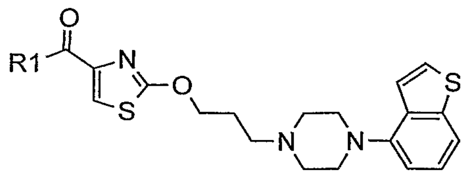
Example	R1	MS(M+1)
2379		554
2380		578
2381		578
2382		556
2383		564
2384		564

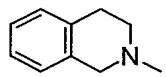
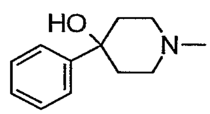
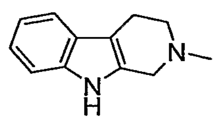
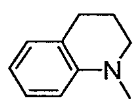
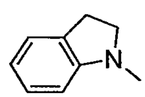
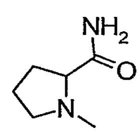
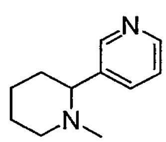
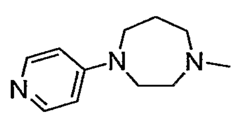
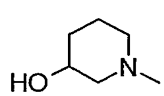
[Table 246]



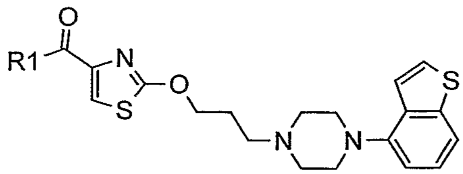
Example	R1	MS(M+1)
2385		485
2386		502
2387		525
2388		489
2389		475
2390		511
2391		539
2392		547
2393		576

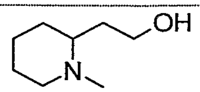
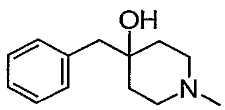
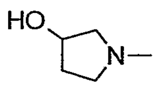
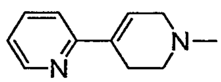
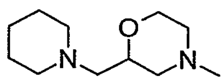
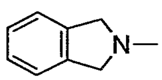
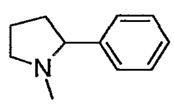
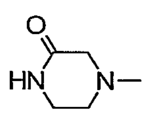
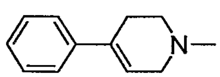
[Table 247]



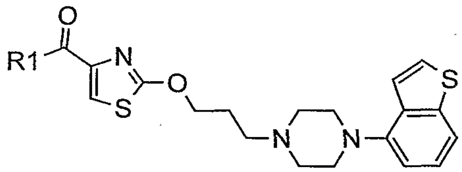
Example	R1	MS(M+1)
2394		519
2395		563
2396		558
2397		519
2398		505
2399		500
2400		548
2401		563
2402		487

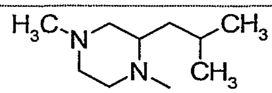
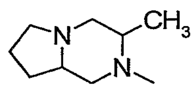
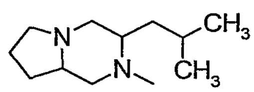
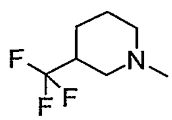
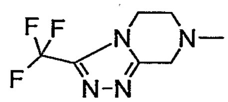
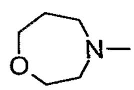
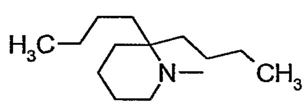
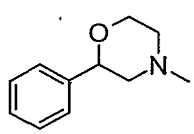
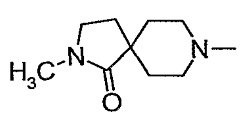
[Table 248]



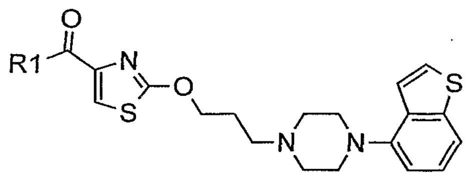
Example	R1	MS(M+1)
2403		515
2404		577
2405		473
2406		546
2407		570
2408		505
2409		533
2410		486
2411		545

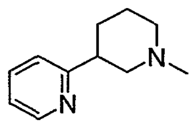
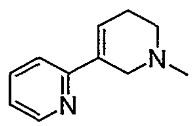
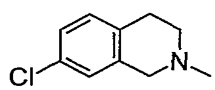
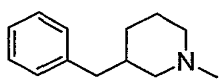
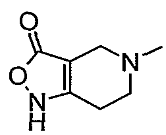
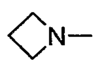
[Table 249]



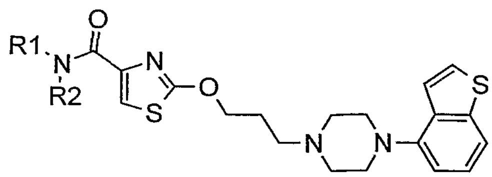
Example	R1	MS(M+1)
2412		542
2413		526
2414		568
2415		539
2416		578
2417		487
2418		583
2419		549
2420		554

[Table 250]



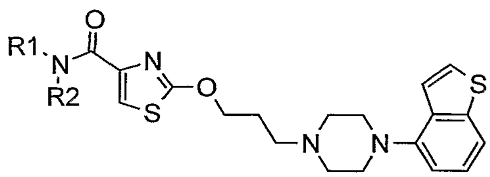
Example	R1	MS(M+1)
2421		548
2422		546
2423		553
2424		561
2425		526
2426		443

[Table 251]



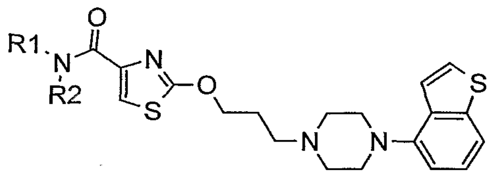
Example	R1	R2	MS(M+1)
2427	$-cyclo-C_6H_{11}$	$-CH_3$	499
2428	$-H$	$-cyclo-C_6H_{11}$	485
2429	$-H$	$-CH(CH_3)_2$	445
2430	$-C_4H_9$	$-C_4H_9$	515
2431	$-CH_2CH(CH_3)_2$	$-CH_2CH(CH_3)_2$	515
2432	$-CH_2CH_2OH$	$-CH_2CH_2OH$	491
2433	$-CH_2CH_2OH$	$-C_2H_5$	475
2434	$-C_6H_{13}$	$-C_6H_{13}$	571
2435	$-CH_2CH_2N(CH_3)_2$	$-CH_3$	488
2436	$-cyclo-C_6H_{11}$	$-CH_2CH_2OH$	529
2437	$-CH_2CH_2OCH_3$	$-CH_2CH_2OCH_3$	519
2438	$-(CH_2)_3N(CH_3)_2$	$-(CH_2)_3N(CH_3)_2$	573
2439	$-(CH_2)_3N(C_2H_5)_2$	$-CH_3$	530
2440	$-CH_2CH=CH_2$	$-cyclo-C_5H_9$	511
2441	$-C_2H_5$	$-C_2H_5$	459
2442	$-H$	$-C(CH_3)_3$	459
2443	$-H$	$-cyclo-C_3H_5$	443
2444	$-H$	$-cyclo-C_7H_{13}$	499
2445	$-H$	$-CH_2C_6H_5$	493
2446	$-C_3H_7$	$-(CH_2)_3C_6H_5$	563
2447	$-CH_2CONHCH_3$	$-CH_2C_6H_5$	564
2448	$-CH_2C_6H_5$	$-cyclo-C_6H_{11}$	575
2449	$-(CH_2)_2C_6H_5$	$-CH_3$	521
2450	$-CH_2C_6H_5$	$-CH_3$	507
2451	$-CH_2CH_2N(CH_3)_2$	$-CH_2C_6H_5$	564
2452	$-CH_2C_6H_5$	$-C_5H_{11}$	563

[Table 252]



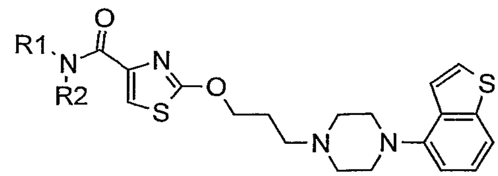
Example	R1	R2	MS(M+1)
2453	$-CH_2C_6H_5$	$-CH_2C_6H_5$	583
2454	$-CH_2C_6H_5$	$-C_2H_5$	521
2455	$-CH_2C_6H_5$	$-CH(CH_3)_2$	535
2456	$-CH_2CH_2CN$	$-CH_2C_6H_5$	546
2457	$-(CH_2)_2OC_6H_5$	$-CH_3$	537
2458	−cyclo−C_6H_{11}	$-C_2H_5$	513
2459	$-CH(CH_3)_2$	$-C_2H_5$	473
2460	−H	$-C_2H_5$	431
2461	−H	$-CH_2CH(CH_3)_2$	459
2462	−H	$-CH_2CH_2OCH_3$	461
2463	$-C_2H_5$	$-C_4H_9$	487
2464	−H	$-CH_2CH_2OC_2H_5$	475
2465	−H	$-(CH_2)_3OC_2H_5$	489
2466	$-CH_2C_6H_5$	$-C_6H_5$	569
2467	$-C_6H_5$	$-C_2H_5$	507
2468	$-C_6H_5$	−cyclo−C_6H_{11}	561
2469	$-CH_2CH_2CN$	$-C_6H_5$	532
2470	−2−PYRIDYL	$-C_2H_5$	508
2471	−H	$-C_6H_5$	479
2472	−H	−3−PYRIDYL	480
2473	−H	−2−PYRIDYL	480
2474	−H	−4−PYRIDYL	480
2475	$-C_6H_5$	$-CH_3$	493
2476	−H	$-CH_2$−cyclo−C_6H_{11}	499
2477	−H	$-(CH_2)_3C_6H_5$	521
2478	−H	$-(CH_2)_2NHCOCH_3$	488
2479	−H	$-(CH_2)_5OH$	489

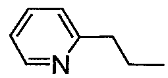
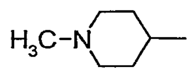
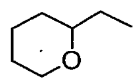
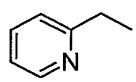
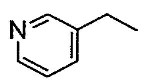
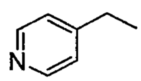
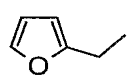
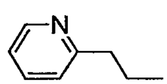
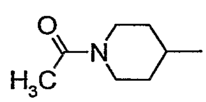
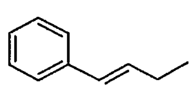
[Table 253]



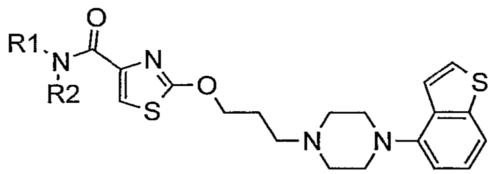
Example	R1	R2	MS(M+1)
2480	$-H$	$-(CH_2)_2C_6H_5$	507
2481	$-H$	$-CH_2CONH_2$	460
2482	$-H$	$-CH_2CCH$	441
2483	$-C_5H_{11}$	$-CH_3$	487
2484	$-H$	$-(CH_2)_2CH(CH_3)_2$	473
2485	$-H$	$-CH_2C(CH_3)_3$	473
2486	$-H$	$-CH_2CH_2N(CH_3)_2$	474
2487	$-CH_2C_6H_5$	$-(CH_2)_3OH$	551
2488	$-CH_3$	$-CH_2$-cyclo-C_3H_5	471
2489	$-H$	$-CH_2CF_3$	485
2490	$-H$	$-NHCH_2CF_3$	500
2491	$-CH_3$	$-CH_3$	431
2492	$-H$	$-(CH_2)_3OCH(CH_3)_2$	503
2493	$-H$	$-CH_2CH_2C(CH_3)_3$	487
2494	$-H$	$-CH_2CN$	442
2495	$-H$	$-(CH_2)_3OCH_3$	475
2496	$-H$	$-(CH_2)_2OCH(CH_3)_2$	489
2497	$-H$	$-CH_2CH_2CN$	456
2498	$-H$	$-CH_2CONHCH_3$	474
2499	$-H$	$-(CH_2)SCH_3$	477
2500	$-H$	$-CH_2CHF_2$	467
2501	$-H$	$-CH_2CH_2OH$	447
2502	$-H$	$-C_6H_{13}$	487
2503	$-CH_2CON(CH_3)_2$	$-CH_3$	502
2504	$-CH_2C_6H_5$	$-CH_2CH_2OCH_3$	551
2505	$-H$	$-(CH_2)_2NHCONH_2$	489

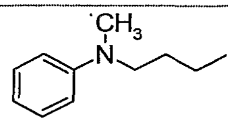
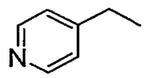
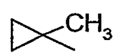
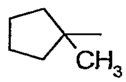
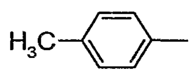
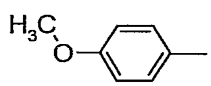
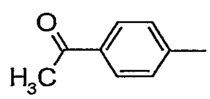
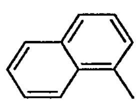
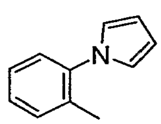
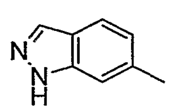
[Table 254]



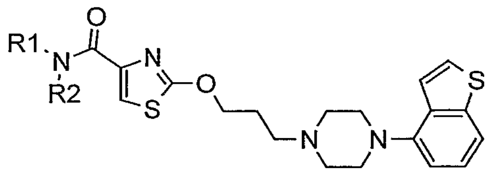
Example	R1	R2	MS(M+1)
2506		$-CH_3$	522
2507		$-CH_3$	514
2508		$-C_2H_5$	529
2509		−H	494
2510		−H	494
2511		−H	494
2512		−H	483
2513		$-C_2H_5$	536
2514		$-CH_3$	542
2515		$-C_2H_5$	547

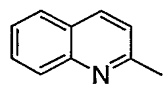
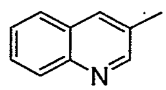
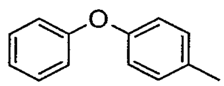
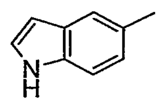
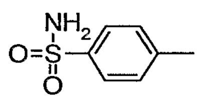
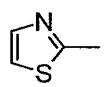
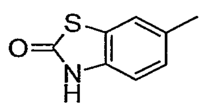
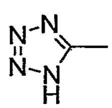
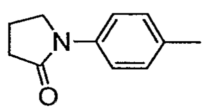
[Table 255]



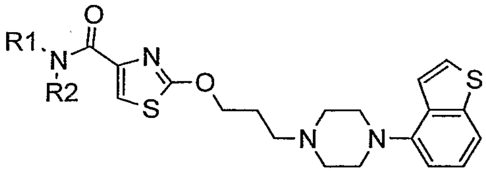
Example	R1	R2	MS(M+1)
2516		$-CH_3$	564
2517		$-C_2H_5$	522
2518		$-H$	457
2519		$-H$	485
2520		$-CH_3$	507
2521		$-H$	509
2522		$-H$	521
2523		$-H$	529
2524		$-H$	544
2525		$-H$	519

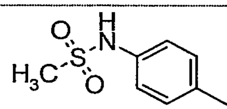
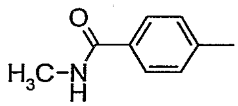
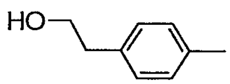
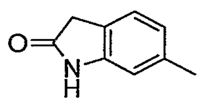
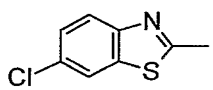
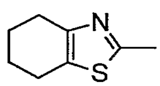
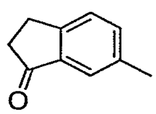
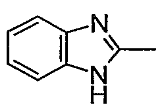
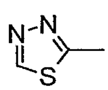
[Table 256]



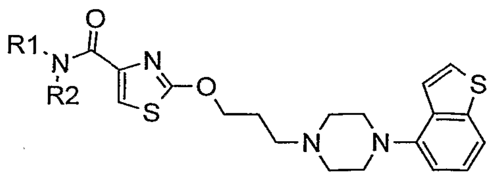
Example	R1	R2	MS(M+1)
2526		-H	530
2527		-H	530
2528		-H	571
2529		-H	518
2530		-H	558
2531		-H	486
2532		-H	552
2533		-H	471
2534		-H	562

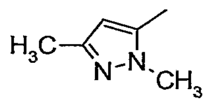
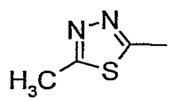
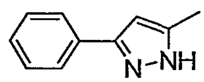
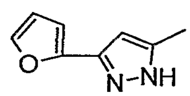
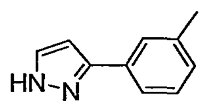
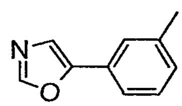
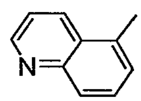
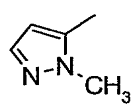
[Table 257]



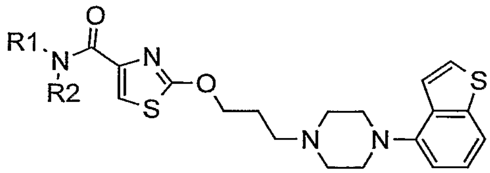
Example	R1	R2	MS(M+1)
2535		-H	572
2536		-H	536
2537		-H	523
2538		-H	534
2539		-H	570
2540		-H	540
2541		-H	533
2542		-H	519
2543		-H	487

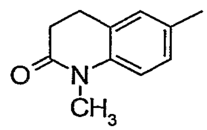
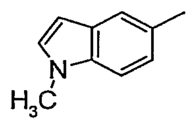
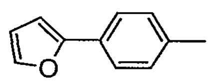
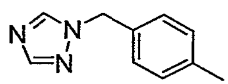
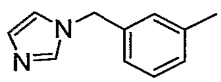
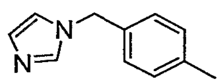
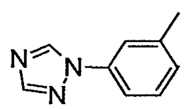
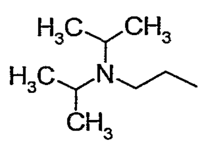
[Table 258]



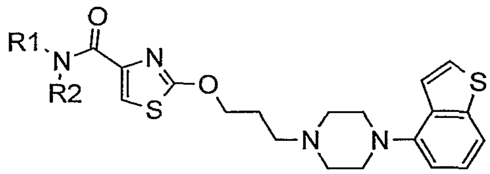
Example	R1	R2	MS(M+1)
2544		-H	497
2545		-H	501
2546		-H	545
2547		-H	535
2548		-H	545
2549		-H	546
2550		-H	530
2551		-H	483

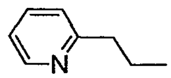
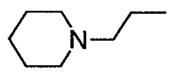
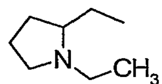
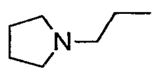
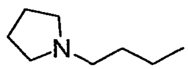
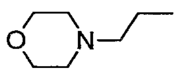
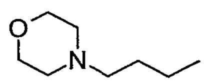
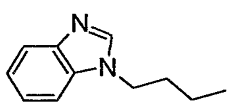
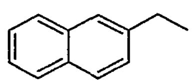
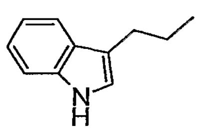
[Table 259]



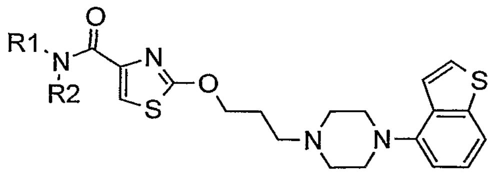
Example	R1	R2	MS(M+1)
2552		-H	562
2553		-H	532
2554		-H	545
2555		-H	560
2556		-H	559
2557		-H	559
2558		-H	546
2559		-H	511
2560		-H	530

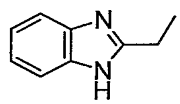
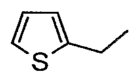
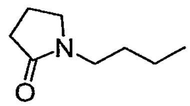
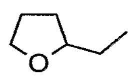
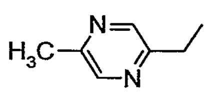
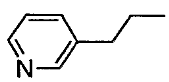
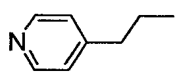
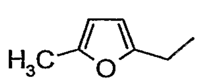
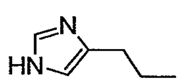
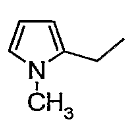
[Table 260]



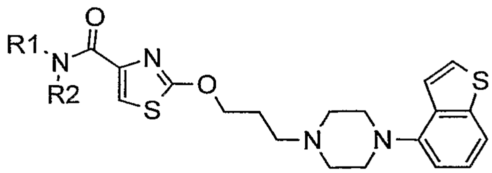
Example	R1	R2	MS(M+1)
2561		-H	508
2562		-H	514
2563		-H	514
2564		-H	500
2565		-H	514
2566		-H	516
2567		-H	530
2568		-H	561
2569		-H	543
2570		-H	546

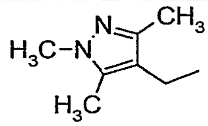
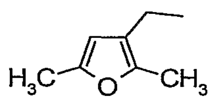
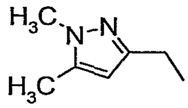
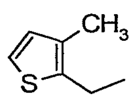
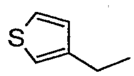
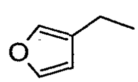
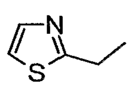
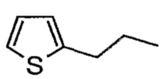
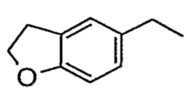
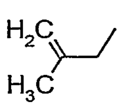
[Table 261]



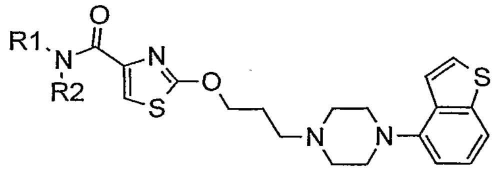
Example	R1	R2	MS(M+1)
2571		-H	533
2572		-H	499
2573		-H	528
2574		-H	487
2575		-H	509
2576		-H	508
2577		-H	508
2578		-H	497
2579		-H	497
2580		-H	496

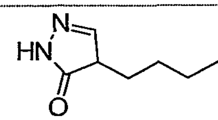
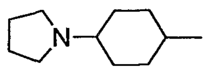
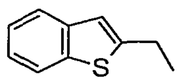
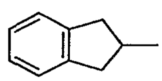
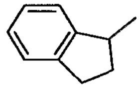
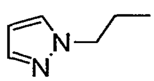
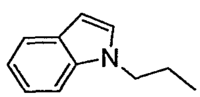
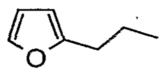
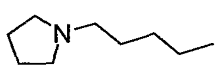
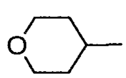
[Table 262]



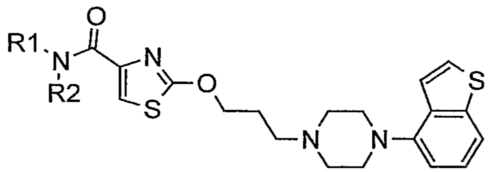
Example	R1	R2	MS(M+1)
2581		–H	525
2582		–H	511
2583		–H	511
2584		–H	513
2585		–H	499
2586		–H	483
2587		–H	500
2588		–H	513
2589		–H	535
2590		–H	457

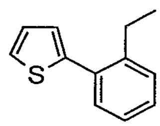
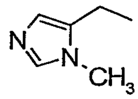
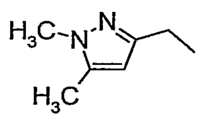
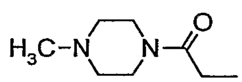
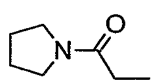
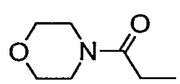
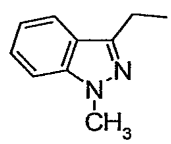
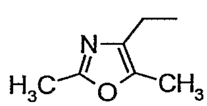
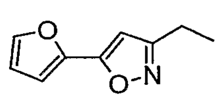
[Table 263]



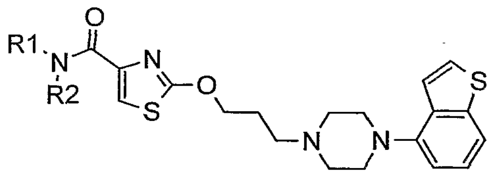
Example	R1	R2	MS(M+1)
2591		-H	527
2592		-H	554
2593		-H	549
2594		-H	519
2595		-H	519
2596		-H	497
2597		-H	546
2598		-H	497
2599		-H	528
2600		-H	487

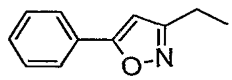
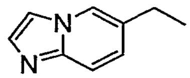
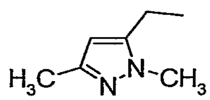
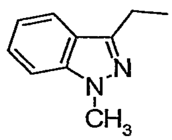
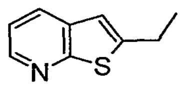
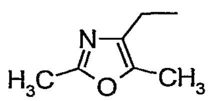
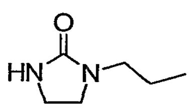
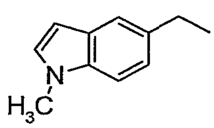
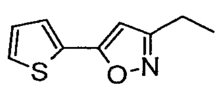
[Table 264]



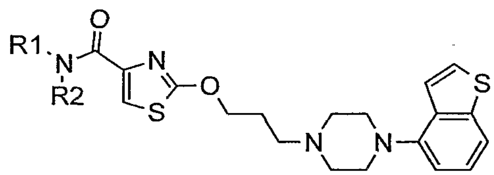
Example	R1	R2	MS(M+1)
2601		-H	575
2602		$-CH_3$	511
2603		$-CH_3$	525
2604		$-CH_3$	557
2605		$-CH_3$	528
2606		$-CH_3$	544
2607		-H	547
2608		$-CH_3$	526
2609		$-CH_3$	564

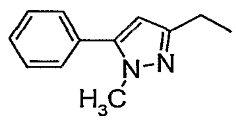
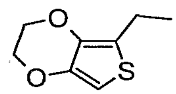
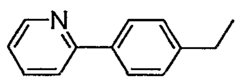
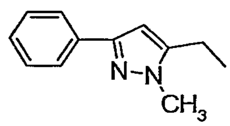
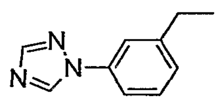
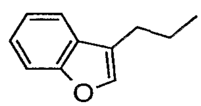
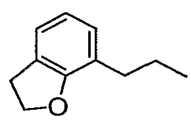
[Table 265]



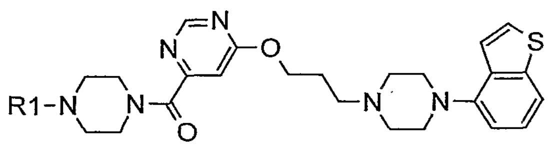
Example	R1	R2	MS(M+1)
2610		$-CH_3$	574
2611		$-CH_3$	547
2612		-H	511
2613		$-CH_3$	561
2614		$-CH_3$	564
2615		-H	512
2616		-H	515
2617		$-CH_3$	560
2618		-H	566

[Table 266]



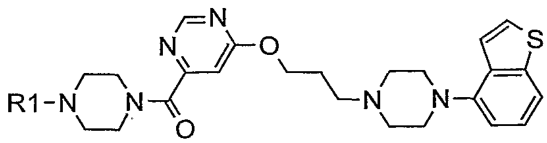
Example	R1	R2	MS(M+1)
2619		-H	573
2620		$-CH_3$	571
2621		$-CH_3$	584
2622		$-CH_3$	587
2623		-H	560
2624		-H	547
2625		-H	549

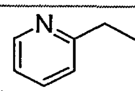
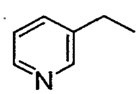
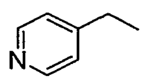
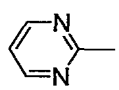
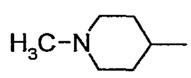
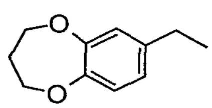
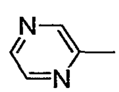
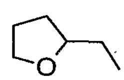
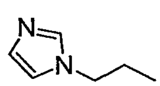
[Table 267]



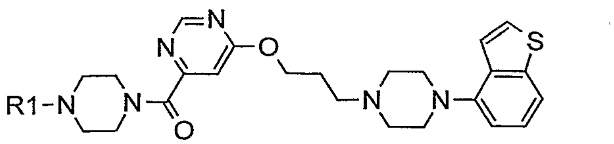
Example	R1	MS(M+1)
2626	−2−PYRIDYL	544
2627	$-C_4H_9$	523
2628	$-CH(CH_3)_2$	509
2629	$-CH_2CH_2N(CH_3)_2$	538
2630	−4−PYRIDYL	544
2631	$-C_6H_5$	543
2632	$-C_3H_7$	509
2633	$-CH_2CH_2OCH_3$	525
2634	$-CH_3$	481
2635	−3−PYRIDYL	544
2636	$-C_6H_{13}$	551
2637	$-C_2H_5$	495
2638	$-CH_2CH_2OH$	511
2639	$-COCH_3$	509
2640	−cyclo−C_6H_{11}	549
2641	$-SO_2C_2H_5$	559

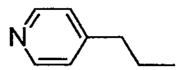
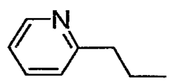
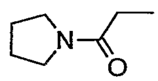
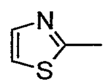
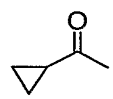
[Table 268]



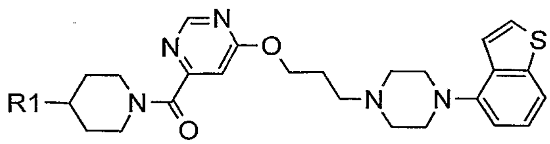
Example	R1	MS(M+1)
2642		558
2643		558
2644		558
2645		545
2646		564
2647		629
2648		545
2649		551
2650		561

[Table 269]



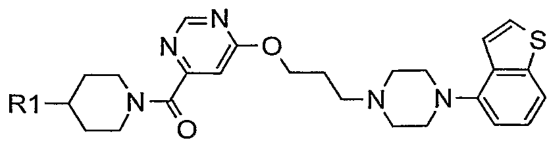
Example	R1	MS(M+1)
2651		572
2652		572
2653		578
2654		550
2655		535

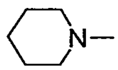
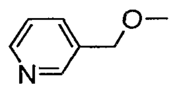
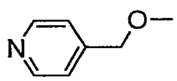
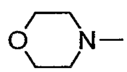
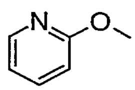
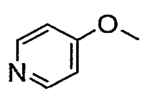
[Table 270]



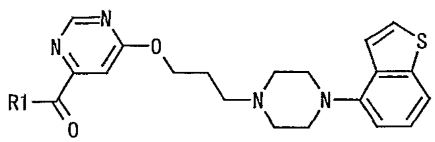
Example	R1	MS(M+1)
2656	$-OCH_3$	496
2657	$-cyclo-C_6H_{11}$	548
2658	$-C_6H_5$	542
2659	$-OCH_2C_6H_5$	572
2660	$-OC_6H_5$	558
2661	$-OH$	482
2662	$-CONH_2$	509
2663	$-C_2H_5$	494
2664	$-NHCOCH_3$	523
2665	$-COC_6H_5$	570
2666	−2−PYRIDYL	543

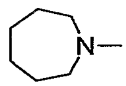
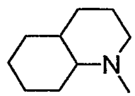
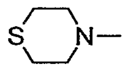
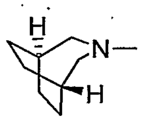
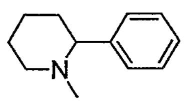
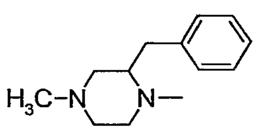
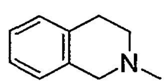
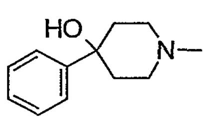
[Table 271]



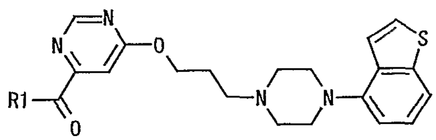
Example	R1	MS(M+1)
2667		549
2668		573
2669		573
2670		551
2671		559
2672		559

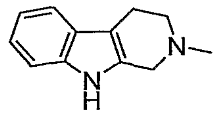
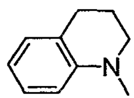
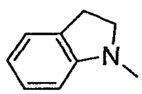
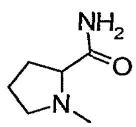
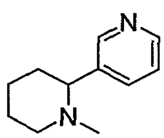
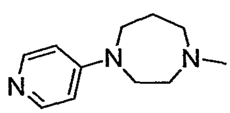
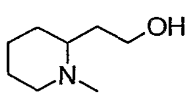
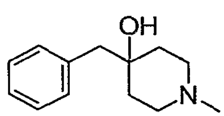
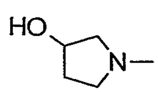
[Table 272]



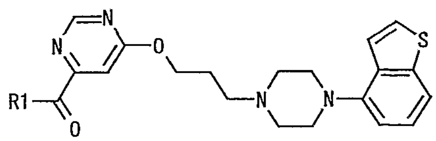
Example	R1	MS(M+1)
2673		480
2674		520
2675		484
2676		470
2677		506
2678		534
2679		542
2680		571
2681		514
2682		558

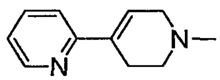
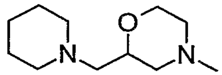
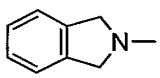
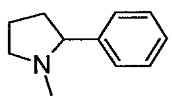
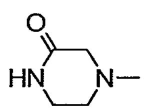
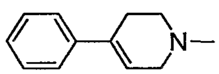
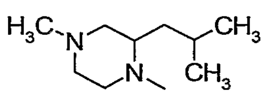
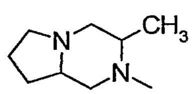
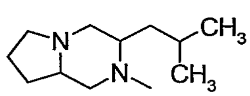
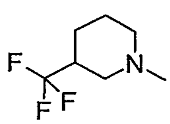
[Table 273]



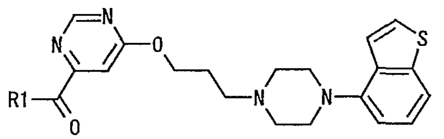
Example	R1	MS(M+1)
2683		553
2684		514
2685		500
2686		495
2687		543
2688		558
2689		510
2690		572
2691		468

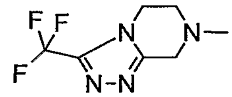
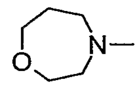
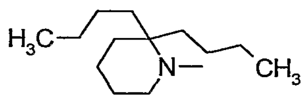
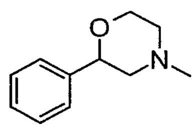
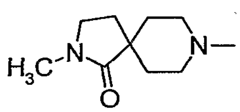
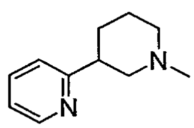
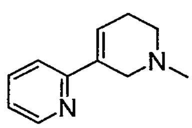
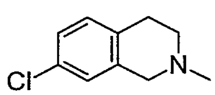
[Table 274]



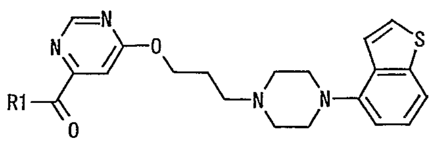
Example	R1	MS(M+1)
2692		541
2693		565
2694		500
2695		528
2696		481
2697		540
2698		537
2699		521
2700		563
2701		534

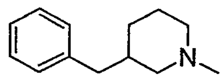
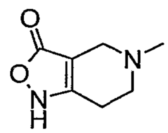
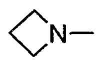
[Table 275]



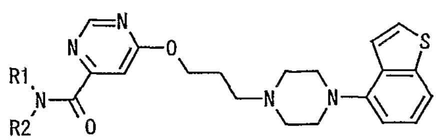
Example	R1	MS(M+1)
2702		573
2703		482
2704		578
2705		544
2706		549
2707		543
2708		541
2709		548

[Table 276]



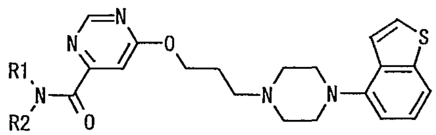
Example	R1	MS(M+1)
2710		556
2711		521
2712		438

[Table 277]



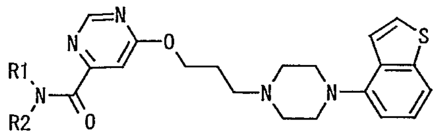
Example	R1	R2	MS(M+1)
2713	$-cyclo-C_6H_{11}$	$-CH_3$	494
2714	$-H$	$-CH(CH_3)_2$	440
2715	$-C_4H_9$	$-C_4H_9$	510
2716	$-CH_2CH(CH_3)_2$	$-CH_2CH(CH_3)_2$	510
2717	$-CH_2CH_2OH$	$-CH_2CH_2OH$	486
2718	$-C_6H_{13}$	$-C_6H_{13}$	566
2719	$-CH_2CH_2N(CH_3)_2$	$-CH_3$	483
2720	$-CH_2CH_2OCH_3$	$-CH_2CH_2OCH_3$	514
2721	$-CH_2CH(CH_3)_2$	$-CH_2CH(CH_3)_2$	568
2722	$-(CH_2)_3N(C_2H_5)_2$	$-CH_3$	525
2723	$-CH_2CH=CH_2$	$-cyclo-C_5H_9$	506
2724	$-H$	$-C_4H_9$	454
2725	$-H$	$-cyclo-C_3H_5$	438
2726	$-H$	$-cyclo-C_7H_{13}$	494
2727	$-H$	$-CH_2C_6H_5$	488
2728	$-C_3H_7$	$-(CH_2)_3C_6H_5$	558
2729	$-CH_2CONHCH_3$	$-CH_2C_6H_5$	559
2730	$-CH_2C_6H_5$	$-cyclo-C_6H_{11}$	570
2731	$-(CH_2)_2C_6H_5$	$-CH_3$	516
2732	$-CH_2C_6H_5$	$-CH_3$	502
2733	$-CH_2CH_2N(CH_3)_2$	$-CH_2C_6H_5$	559
2734	$-CH_2C_6H_5$	$-C_5H_{11}$	558
2735	$-CH_2C_6H_5$	$-CH_2C_6H_5$	578
2736	$-CH_2C_6H_5$	$-C_2H_5$	516
2737	$-CH_2C_6H_5$	$-CH(CH_3)_2$	530
2738	$-CH_2CH_2CN$	$-CH_2C_6H_5$	541
2739	$-(CH_2)_2OC_6H_5$	$-CH_3$	532
2740	$-cyclo-C_6H_{11}$	$-C_2H_5$	508

[Table 178]



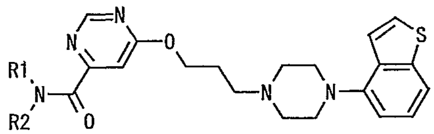
Example	R1	R2	MS(M+1)
2741	$-CH(CH_3)_2$	$-C_2H_5$	468
2742	$-H$	$-C_2H_5$	426
2743	$-H$	$-C_3H_7$	440
2744	$-H$	$-CH_2CH_2OCH_3$	456
2745	$-CH_2$-cyclo-C_6H_{11}	$-C_2H_5$	522
2746	$-C_2H_5$	$-C_4H_9$	482
2747	$-H$	$-1-CH_3$-CYCLOHEXYL	494
2748	$-H$	$-(CH_2)_2OC_6H_5$	518
2749	$-CH_2C_6H_5$	$-C_6H_5$	564
2750	$-C_6H_5$	$-CH_2CH_2OH$	518
2751	$-C_6H_5$	$-C_2H_5$	502
2752	$-CH_2CH_2CN$	$-C_6H_5$	527
2753	−2−PYRIDYL	$-C_2H_5$	503
2754	$-H$	$-C_6H_5$	474
2755	$-H$	−3−PYRIDYL	475
2756	$-H$	−2−PYRIDYL	475
2757	$-H$	−4−PYRIDYL	475
2758	$-C_6H_5$	$-CH_3$	488
2759	$-H$	$-CH_2$-cyclo-C_6H_{11}	494
2760	$-H$	$-(CH_2)_3C_6H_5$	516
2761	$-H$	$-(CH_2)_2C_6H_5$	502
2762	$-H$	$-CH_2CONH_2$	455
2763	$-H$	$-CH_2CCH$	436
2764	$-C_5H_{11}$	$-CH_3$	482
2765	$-CH(CH_3)_2$	$-CH_3$	454
2766	$-H$	$-(CH_2)_2CH(CH_3)_2$	468
2767	$-H$	$-CH_2C(CH_3)_3$	468
2768	$-H$	$-CH_2CH_2N(CH_3)_2$	469

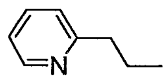
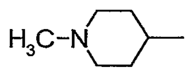
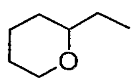
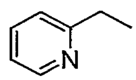
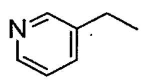
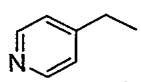
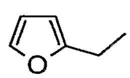
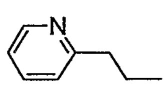
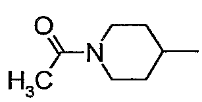
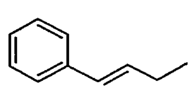
[Table 279]



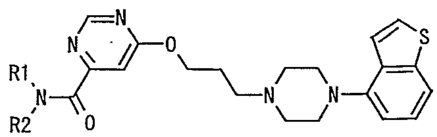
Example	R1	R2	MS(M+1)
2769	$-CH_2C_6H_5$	$-(CH_2)_3OH$	546
2770	$-CH_3$	$-CH_2$-cyclo-C_3H_5	466
2771	-H	$-CH_2CF_3$	480
2772	-H	$-NHCH_2CF_3$	495
2773	$-CH_3$	$-CH_3$	426
2774	-H	$-(CH_2)_3OCH(CH_3)_2$	498
2775	-H	$-CH_2CH_2C(CH_3)_3$	482
2776	-H	$-CH_2CN$	437
2777	-H	$-(CH_2)_3OCH_3$	470
2778	-H	$-(CH_2)_2OCH(CH_3)_2$	484
2779	-H	$-CH_2CH_2CN$	451
2780	-H	$-CH_2CONHCH_3$	469
2781	-H	$-(CH_2)SCH_3$	472
2782	-H	$-CH_2CHF_2$	462
2783	-H	$-C_6H_{13}$	482
2784	$-CH_2CON(CH_3)_2$	$-CH_3$	497
2785	$-CH_2C_6H_5$	$-CH_2CH_2OCH_3$	546
2786	-H	$-(CH_2)_2NHCONH_2$	484

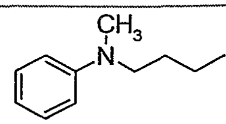
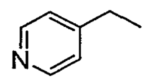
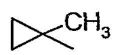
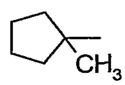
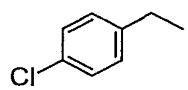
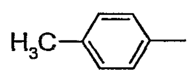
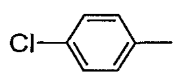
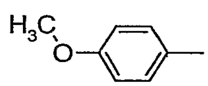
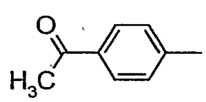
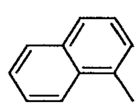
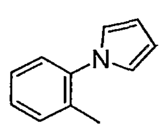
[Table 280]



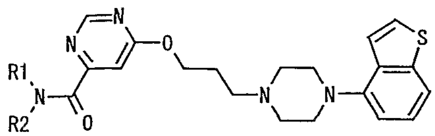
Example	R1	R2	MS(M+1)
2787		$-CH_3$	517
2788		$-CH_3$	509
2789		$-C_2H_5$	524
2790		$-H$	489
2791		$-H$	489
2792		$-H$	489
2793		$-H$	478
2794		$-C_2H_5$	531
2795		$-CH_3$	537
2796		$-C_2H_5$	542

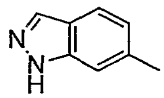
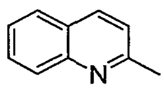
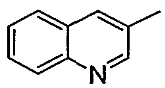
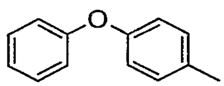
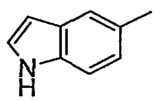
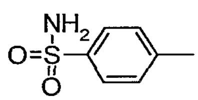
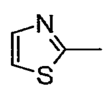
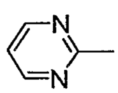
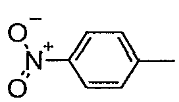
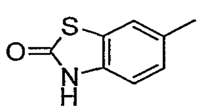
[Table 281]



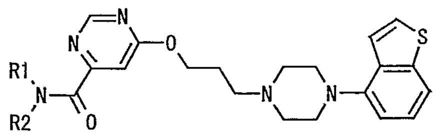
Example	R1	R2	MS(M+1)
2797		$-CH_3$	559
2798		$-C_2H_5$	517
2799		-H	452
2800		-H	480
2801		$-CH_3$	536
2802		$-CH_3$	502
2803		-H	508
2804		-H	504
2805		-H	516
2806		-H	524
2807		-H	539

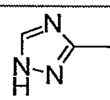
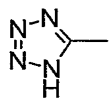
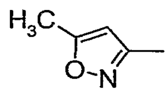
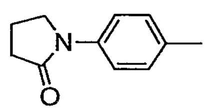
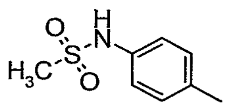
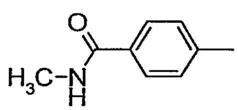
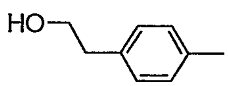
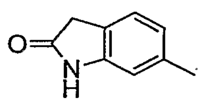
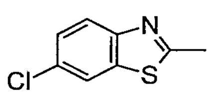
[Table 282]



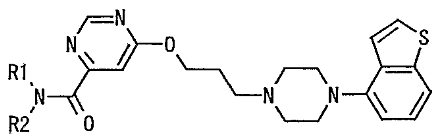
Example	R1	R2	MS(M+1)
2808		-H	514
2809		-H	525
2810		-H	525
2811		-H	566
2812		-H	513
2813		-H	553
2814		-H	481
2815		-H	476
2816		-H	519
2817		-H	547

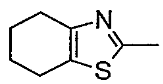
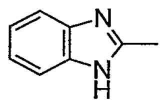
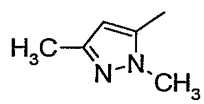
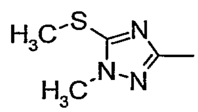
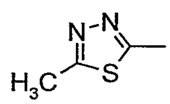
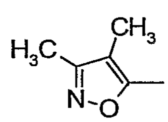
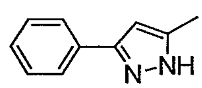
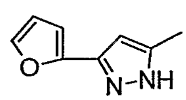
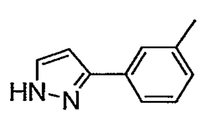
[Table 283]



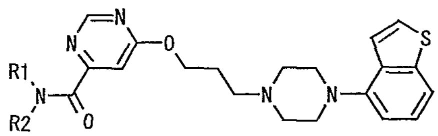
Example	R1	R2	MS(M+1)
2818		-H	465
2819		-H	466
2820		-H	479
2821		-H	557
2822		-H	567
2823		-H	531
2824		-H	518
2825		-H	529
2826		-H	565

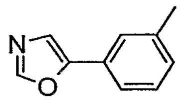
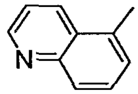
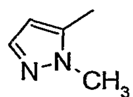
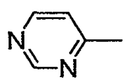
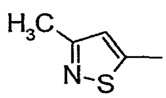
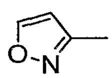
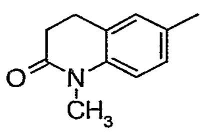
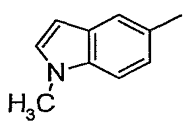
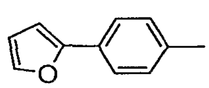
[Table 284]



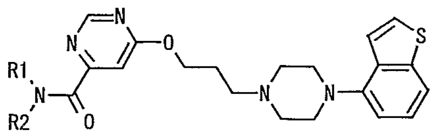
Example	R1	R2	MS(M+1)
2827		-H	535
2828		-H	514
2829		-H	492
2830		-H	525
2831		-H	496
2832		-H	493
2833		-H	540
2834		-H	530
2835		-H	540

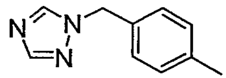
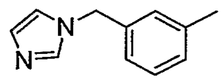
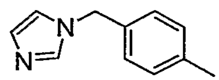
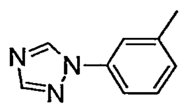
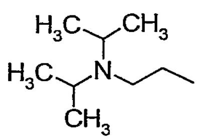
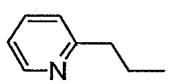
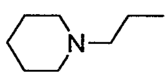
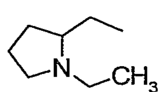
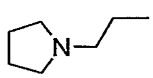
[Table 285]



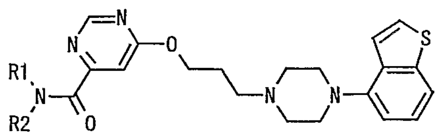
Example	R1	R2	MS(M+1)
2836		–H	541
2837		–H	525
2838		–H	478
2839		–H	476
2840		–H	495
2841		–H	465
2842		–H	557
2843		–H	527
2844		–H	540

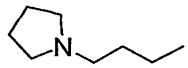
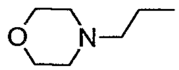
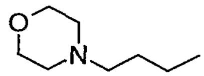
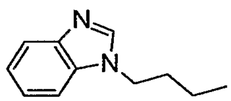
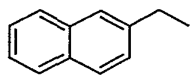
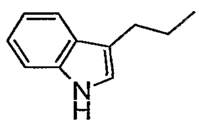
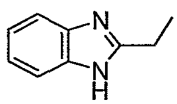
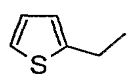
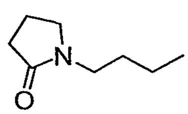
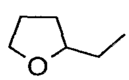
[Table 286]



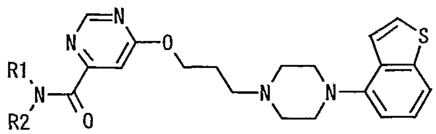
Example	R1	R2	MS(M+1)
2845		-H	555
2846		-H	554
2847		-H	554
2848		-H	541
2849		-H	506
2850		-H	525
2851		-H	503
2852		-H	509
2853		-H	509
2854		-H	495

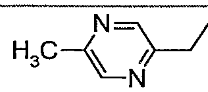
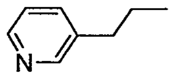
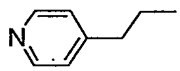
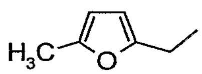
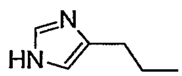
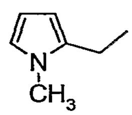
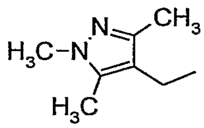
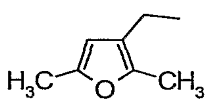
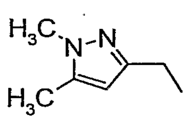
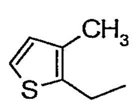
[Table 287]



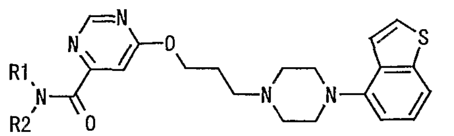
Example	R1	R2	MS(M+1)
2855		-H	509
2856		-H	511
2857		-H	525
2858		-H	556
2859		-H	538
2860		-H	541
2861		-H	528
2862		-H	494
2863		-H	523
2864		-H	482

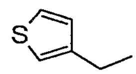
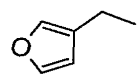
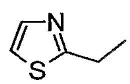
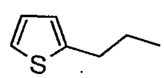
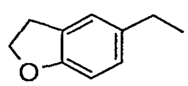
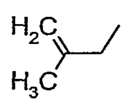
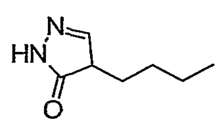
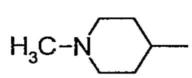
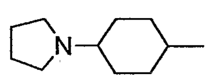
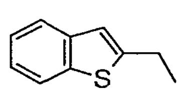
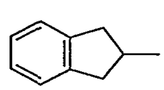
[Table 288]



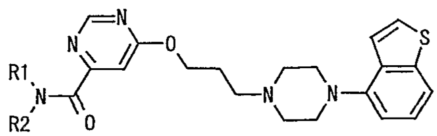
Example	R1	R2	MS(M+1)
2865		-H	504
2866		-H	503
2867		-H	503
2868		-H	492
2869		-H	492
2870		-H	491
2871		-H	520
2872		-H	506
2873		-H	506
2874		-H	508

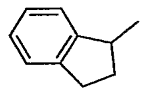
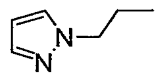
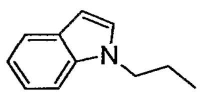
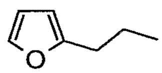
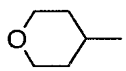
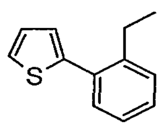
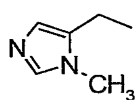
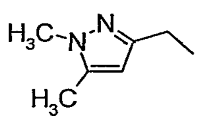
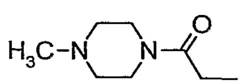
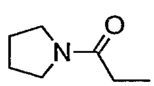
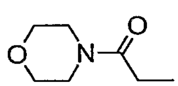
[Table 289]



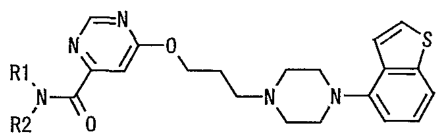
Example	R1	R2	MS(M+1)
2875		-H	494
2876		-H	478
2877		-H	495
2878		-H	508
2879		-H	530
2880		-H	452
2881		-H	522
2882		-H	495
2883		-H	549
2884		-H	544
2885		-H	514

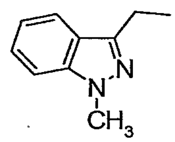
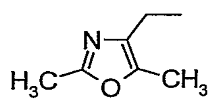
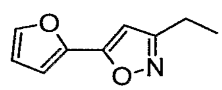
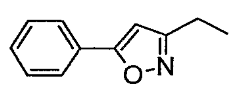
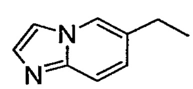
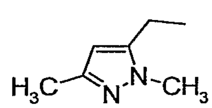
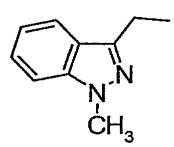
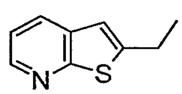
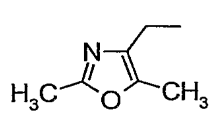
[Table 290]



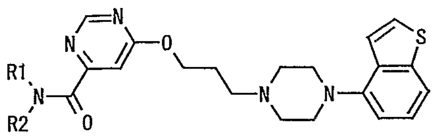
Example	R1	R2	MS(M+1)
2886		−H	514
2887		−H	492
2888		−H	541
2889		−H	492
2890		−H	482
2891		−H	570
2892		$-CH_3$	506
2893		$-CH_3$	520
2894		$-CH_3$	552
2895		$-CH_3$	523
2896		$-CH_3$	539

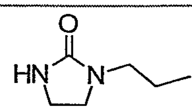
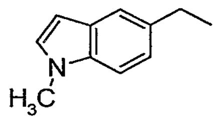
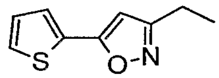
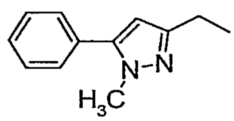
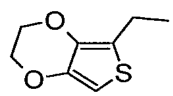
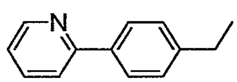
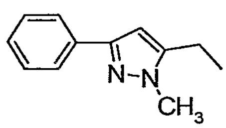
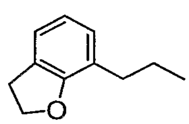
[Table 291]



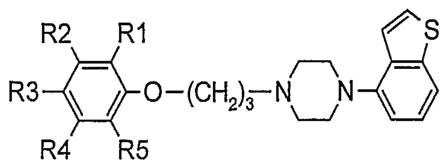
Example	R1	R2	MS(M+1)
2897		−H	542
2898		$-CH_3$	521
2899		$-CH_3$	559
2900		$-CH_3$	569
2901		$-CH_3$	542
2902		−H	506
2903		$-CH_3$	556
2904		$-CH_3$	559
2905		−H	507

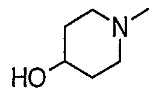
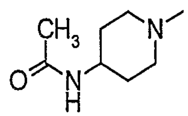
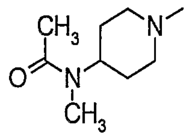
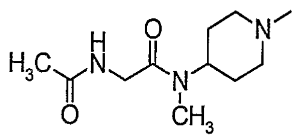
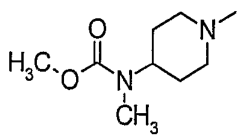
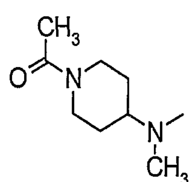
[Table 292]



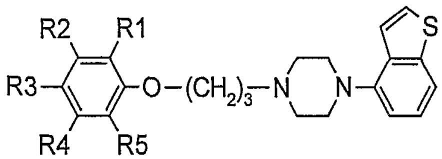
Example	R1	R2	MS(M+1)
2906		-H	510
2907		$-CH_3$	555
2908		-H	561
2909		-H	568
2910		$-CH_3$	566
2911		$-CH_3$	579
2912		$-CH_3$	582
2913		-H	544

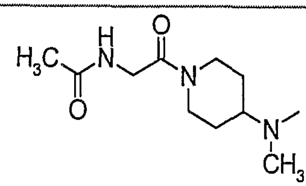
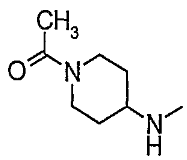
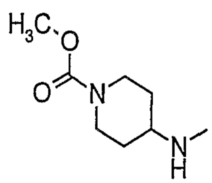
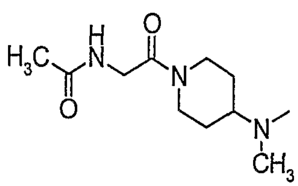
[Table 293]



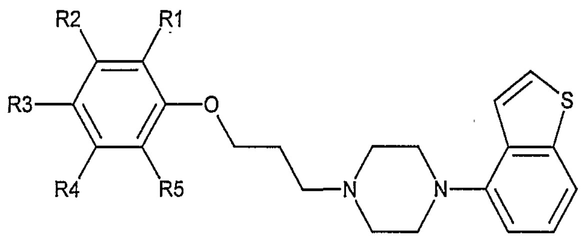
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting Point (°C)	Salt
2914	$-CH_3$	-H		-H	$-OCH_3$	White powder (Ethyl acetate)	230.0 (dec)	Hydrochloride
2915	$-CH_3$	-H		-H	$-OCH_3$			
2916	$-CH_3$	-H		-H	$-OCH_3$	White powder (Ethyl acetate)	235.0 (dec)	Hydrochloride
2917	$-CH_3$	-H		-H	$-OCH_3$	White powder (Ethyl acetate)	227.0 (dec)	Hydrochloride
2918	$-CH_3$	-H		-H	$-OCH_3$	White powder (Ethyl acetate)	240.0 (dec)	Hydrochloride
2919	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	211.0-213.5	Hydrochloride

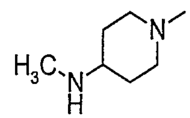
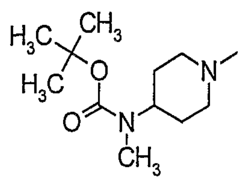
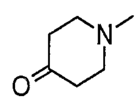
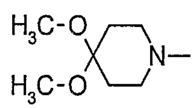
[Table 294]



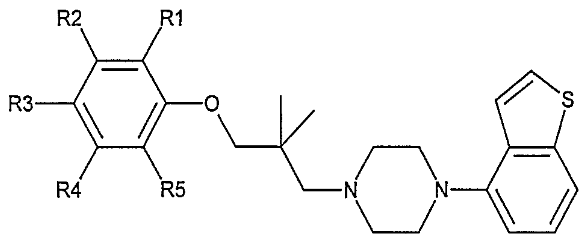
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting Point (°C)	Salt
2920	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	207.5-210.0	Hydrochloride
2921	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethanol/ethyl acetate)	247.0 (dec)	—
2922	$-CH_3$	-H	$-CONHCH_3$	-H	$-OC_3H_7$	White powder (Ethanol)	178.5-179.5	Hydrochloride
2923	$-OCH_3$	-H		-H	$-CH_3$			Hydrochloride
2924	$-OCH_3$	-H		-H	$-CH_3$	White powder (Ethyl acetate)	248.5-257.5	Hydrochloride

[Table 295]



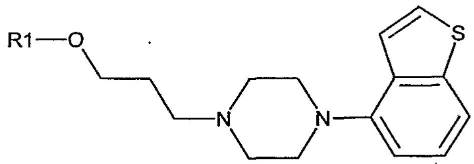
Example	R1	R2	R3	R4	R5	NMR	Salt
2925	$-CH_3$	-H		-H	$-OCH_3$	^{1}H-NMR (CDCl3) δppm : 1.36-1.65(4H, m), 1.88-2.11 (3H, m), 2.25(3H, s), 2.47(3H, s), 2.60-2.82(8H, m), 3.12-3.29(4H, m), 3.47-3.63(2H, m), 3.82(3H, s), 3.93(2H, t, J=6.4Hz), 6.34(1H, d, J=2.7Hz), 6.40(1H, d, J=2.7Hz), 6.90(1H, d, J=7.1Hz), 7.21-7.34(1H, m), 7.40 (2H, dd, J=5.5Hz, 9.9Hz), 7.55(1H, d, J=8.0Hz).	—
2926	$-CH_3$	-H		-H	$-OCH_3$	^{1}H-NMR (CDCl3) δppm : 1.48(9H, s), 1.67-1.92(4H, m), 1.95-2.11 (2H, m), 2.25(3H, s), 2.61-2.87(12H, m), 3.11-3.28(4H, m), 3.54-3.70(2H, m), 3.83(3H, s), 3.94(2H, t, J=6.3Hz), 6.34(1H, d, J=2.6Hz), 6.39(1H, d, J=2.6Hz), 6.90(1H, d, J=6.9Hz), 7.17-7.34(1H, m), 7.35-7.47 (2H, m), 7.55(1H, d, J=8.0Hz).	—
2927	$-CH_3$	-H		-H	$-OCH_3$	^{1}H-NMR (CDCl3) δppm : 1.96-2.11(2H, m), 2.27(3H, s), 2.57(4H, t, J=6.0Hz), 2.64-2.84(6H, m), 3.13-3.27(14H, m), 3.51(4H, t, J=6.0Hz), 3.84(3H, s), 3.96(2H, t, J=6.4Hz), 6.38(1H, d, J=2.7Hz), 6.20(1H, d, J=2.7Hz), 6.90(1H, d, J=7.5Hz), 7.21-7.32(1H, m), 7.40 (2H, dd, J=5.5Hz, 10.0Hz), 7.55(1H, d, J=8.1Hz).	—
2928	$-CH_3$	-H		-H	$-OCH_3$	^{1}H-NMR (CDCl3) δppm : 1.83-1.95 (4H, m), 1.95-2.10(2H, m), 2.25(3H, s), 2.61-2.81(6H, m), 3.07-3.28(14H, m), 3.82(3H, s), 3.93(2H, t, J=6.5Hz), 6.30-6.43(2H, m), 6.90(1H, d, J=7.5Hz), 7.29-7.34(1H, m), 7.41 (2H, dd, J=6.0Hz, 10.0Hz), 7.55(1H, d, J=7.9Hz).	—

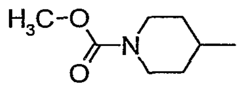
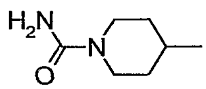
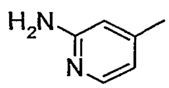
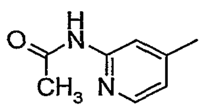
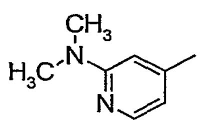
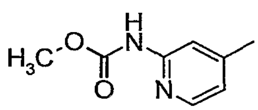
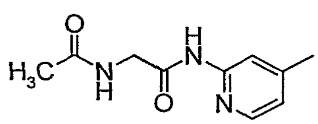
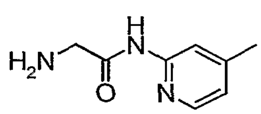
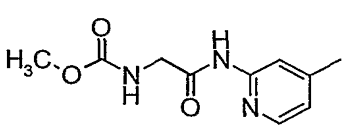
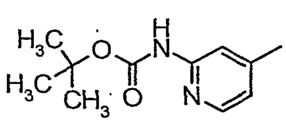
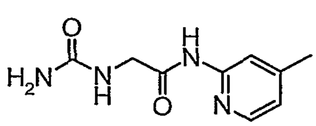
[Table 296]



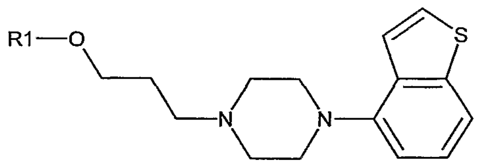
Example	R1	R2	R3	R4	R5	Crystal form (Recrystalization solvent)	Melting Point (°C)	Salt
2929	$-OCH_3$	-H	$-CONH_2$	-H	$-CH_3$	White powder (Ethanol)	189.0-192.5	Hydrochloride
2930	$-OCH_3$	-H	$-CONHCH_3$	-H	$-CH_3$	White powder (Isopropyl alcohol/water)	165.5-167.0	—

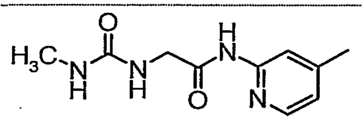
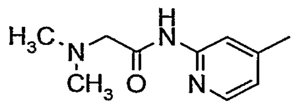
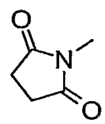
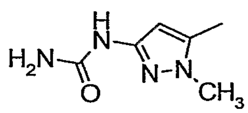
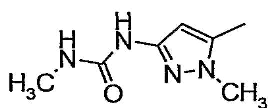
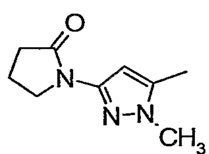
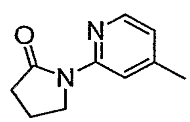
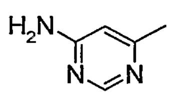
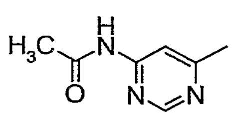
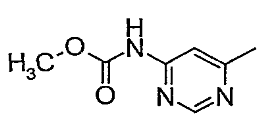
[Table 297]



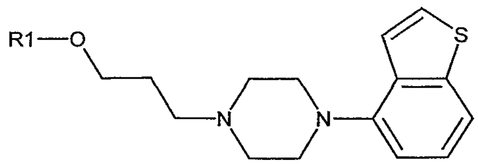
Example	R1	Crystal form (Recrystalization solvent)	Melting Point (℃)	Salt
2931		White powder (Ethyl acetate/ methanol)	214–217	Hydrochloride
2932		White powder (Ethyl acetate/ methanol)	218–222	1/2 fumarate
2933		Colorless needle-form crystal (Ethanol)	195–196	—
2934		White powder (Ethyl acetate)	145–146	—
2935		White powder (Ethanol/ ethyl acetate)	219–221	Dihydrochloride
2936		White powder (Ethyl acetate)	162–164	—
2937		White powder (Ethanol/ether)	208.5–209.5	Dihydrochloride
2938		White powder (n-hexane/ ethyl acetate)	137–139	—
2939		White powder (Ethanol)	137–139	—
2940		White powder (Ethyl acetate)	163–165	—
2941		White powder (Ethyl acetate)	196–199	—

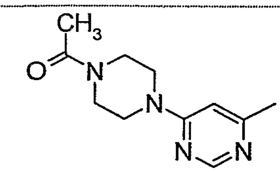
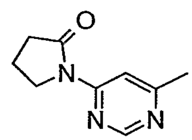
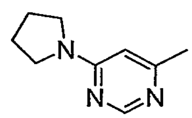
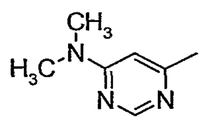
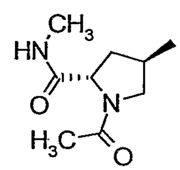
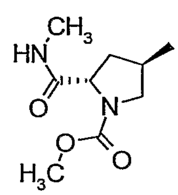
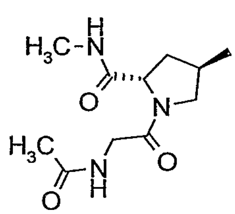
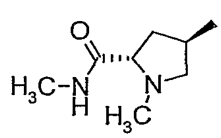
[Table 298]



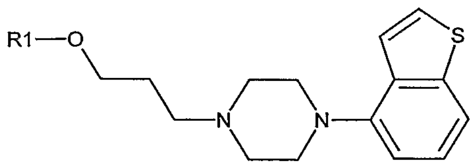
Example	R1	Crystal form (Recrystalization solvent)	Melting Point (℃)	Salt
2942		White powder (Ethyl acetate)	197–199	—
2943		White powder (Ethanol)	232–233	Dihydrochloride
2944		White powder (Ethanol/ether)	255–257	Hydrochloride
2945		White powder (Ethanol)	169.5–172.5	—
2946		White powder (Ethanol)	195.0–196.5	—
2947		White powder (Ethyl acetate/ isopropyl ether)	151.5–153.5	—
2948		White powder (Ethyl acetate)	235.0 (dec)	Hydrochloride
2949				
2950		White powder (Ethyl acetate)	224.0–227.5	Hydrochloride
2951		White powder (Ethyl acetate/ isopropyl ether)	175.0–178.5	—

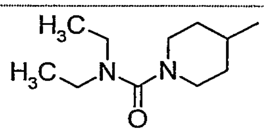
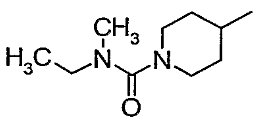
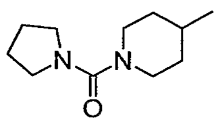
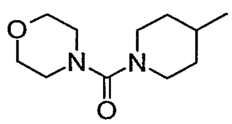
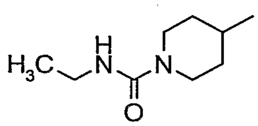
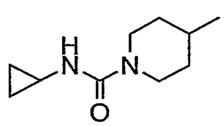
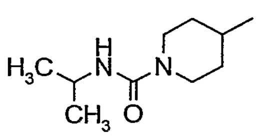
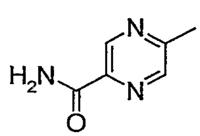
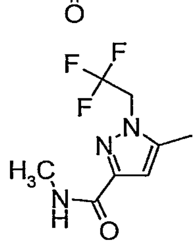
[Table 299]



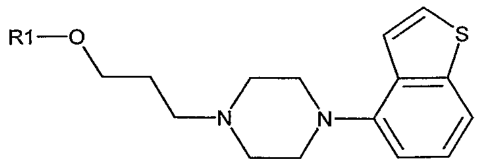
Example	R1	Crystal form (Recrystalization solvent)	Melting Point (°C)	Salt
2952		White powder (Ethyl acetate)	169.0-173.0	Trihydrochloride
2953				
2954		White powder (Ethyl acetate)	210.0-217.0	Dihydrochloride
2955		White powder (Ethyl acetate)	181.0-188.0	Dihydrochloride
2956		White powder (Ethanol/ethyl acetate)	163.5-167.0	Hydrochloride
2957		White powder (Ethyl acetate/ether)	172.5-176.5	Hydrochloride
2958		White powder (Ethyl acetate/ether)	145.0-151.0	Dihydrochloride
2959		White powder (Ethanol/ethyl acetate)	144.0-150.0	Dihydrochloride

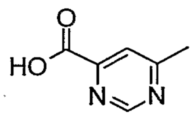
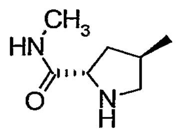
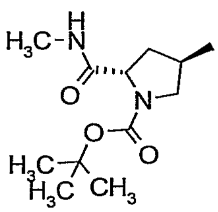
[Table 300]

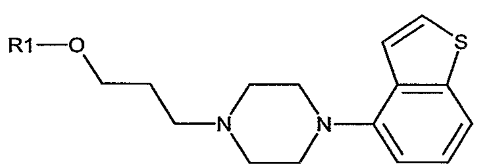


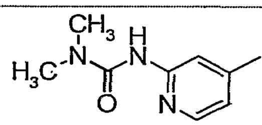
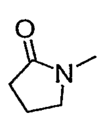
Example	R1	Crystal form (Recrystalization solvent)	Melting Point (°C)	Salt
2960		White powder (Ethyl acetate/ether)	177–182	Dihydrochloride
2961		White powder (Ethyl acetate/ether)	198–201	Hydrochloride
2962		White powder (Ethyl acetate/ether)	195–200	Hydrochloride
2963		White powder (Ethyl acetate/ether)	215–218	Hydrochloride
2964		White powder (Ethyl acetate/ether)	152–157	Hydrochloride
2965		White powder (Ethyl acetate/ether)	158–161	Hydrochloride
2966		White powder (Ethyl acetate/ether)	168–172	Hydrochloride
2967		White powder (Ethyl acetate)	178.5–181.5	—
2968		White powder (Ethyl acetate)	228.0 (dec)	Hydrochloride

[Table 301]

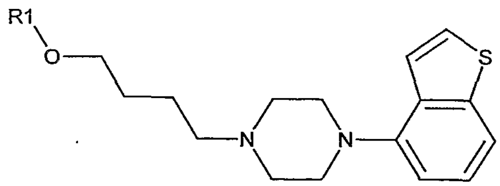


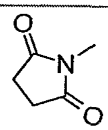
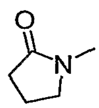
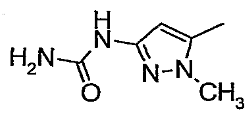
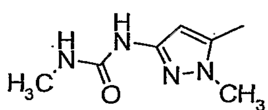
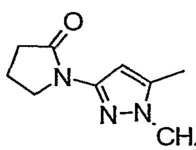
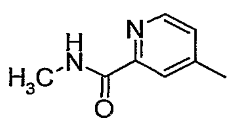
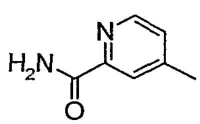
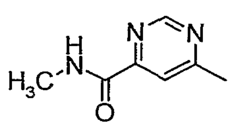
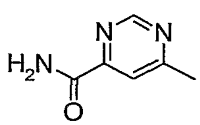
Example	R1	NMR	Salt
2969		^{1}H-NMR (DMSO-d6) δ ppm: 1.95-2.10 (2H, m), 2.85-2.95 (2H, m), 3.00-3.15 (4H, m), 3.15-3.30 (4H, m), 4.41 (2H, t, J=5.8Hz), 6.89 (1H, d, J=5.0 Hz), 7.15 (1H, s), 7.26 (1H, t, J=7.9Hz), 7.43 (1H, d, J=5.5 Hz), 7.63 (1H, d, J=8.0 Hz), 7.71 (1H, d, J=5.5 Hz), 8.73 (1H, s).	—
2970		^{1}H-NMR ($CDCl_3$) δ ppm: 1.72-1.98 (4H, m), 2.30-2.46(1H, m), 2.46-2.58(2H, m), 2.62-2.77 (5H, m), 2.80(3H, d, J=5.1Hz), 3.04-3.29 (5H, m), 3.38-3.55 (2H, m), 3.83-4.04 (2H, m), 6.90 (1H, dd, J=0.5Hz, 7.6Hz), 7.22-7.34 (1H, m), 7.34-7.47 (2H, m), 7.55 (1H, d, J=8.0 Hz), 7.63(1H, br).	
2971		^{1}H-NMR ($CDCl_3$) δ ppm: 1.46 (9H, s), 1.70-1.89 (2H, m), 1.90-2.17(1H, m), 2.44-2.60(2H, m), 2.62-2.75 (4H, m), 2.81(3H, d, J=4.7Hz), 3.09-3.26 (4H, m), 3.39-3.57 (4H, m), 3.93-4.21 (1H, m), 4.21-4.46(1H, m), 6.65-6.95(1H, br), 6.90 (1H, d, J=7.0 Hz), 7.20-7.34 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.0 Hz).	



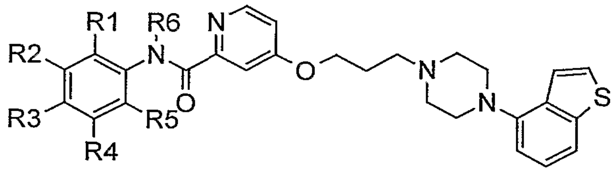
Example	R1	MS(M+1)	Salt
2972		440	Hydrochloride
2973		360	Maleate

[Table 302]



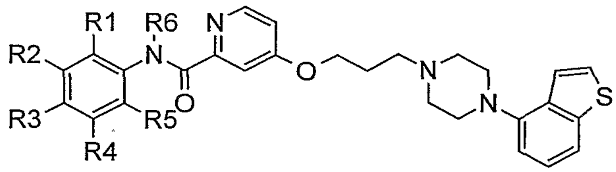
Example	R1	Crystal form (Recrystalization solvent)	Melting Point (℃)	Salt
2974		White powder (Ethyl acetate/ether)	215.5–216.5	Hydrochloride
2975				
2976		White powder (Ethyl acetate/ isopropyl ether)	132.5–135.0	–
2977		White powder (2-proparol water)	180.0–182.0	–
2978		White powder (Ethyl acetate)	216.0–220.2	Hydrochloride
2979		White powder (Ethyl acetate)	203.0–207.0	Hydrochloride
2980		White powder (Ethyl acetate/ isopropyl ether)	146.5–148.0	—
2981		White powder (Ethyl acetate)	197.0–201.0	Hydrochloride
2982		White powder (Ethyl acetate/ isopropyl ether)	133.0–134.5	—

[Table 303]



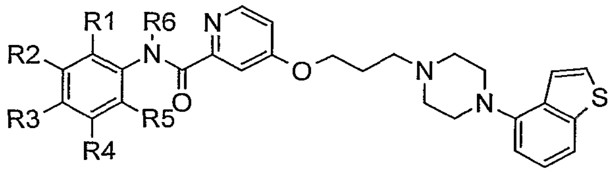
Example	R1	R2	R3	R4	R5	R6	MS(M+1)
2983	$-OCH_3$	-H	-H	-H	-H	$-CH_3$	517
2984	-H	-H	$-CH_3$	-H	-H	$-CH_3$	501
2985	-H	-H	-Cl	-H	-H	$-CH_3$	521
2986	-H	$-SCH_3$	-H	-H	-H	-H	519
2987	$-SCH_3$	-H	-H	-H	-H	-H	519
2988	-H	-Cl	-Cl	-H	-H	-H	541
2989	-H	-H	$-OCF_3$	-H	-H	-H	557
2990	-H	-H	-H	-H	-H	-H	473
2991	-H	-H	-Cl	-H	-H	-H	507
2992	-H	-H	$-OCH_3$	-H	-H	-H	503
2993	$-OCH_3$	-H	-H	-H	-H	-H	503
2994	-H	$-OCH_3$	-H	-H	-H	-H	503
2995	-Cl	-H	-H	-H	-H	-H	507
2996	-H	-Cl	-H	-H	-H	-H	507
2997	-H	-H	$-CH_3$	-H	-H	-H	487
2998	$-OCH_3$	-H	$-OCH_3$	-H	-H	-H	533
2999	$-N(CH_3)_2$	-H	-H	-H	-H	-H	516
3000	-1-PYRRYL	-H	-H	-H	-H	-H	538
3001	-H	-Cl	-H	-H	$-OCH_3$	-H	537
3002	-H	$-OCH_3$	-H	-H	$-OCH_3$	-H	533
3003	-H	$-OCH_3$	-H	$-OCH_3$	-H	-H	533
3004	$-OCH_3$	-H	-H	$-CH_3$	-H	-H	517
3005	-H	$-OCH_3$	$-OCH_3$	-H	-H	-H	533
3006	$-C(CH_3)=CH_2$	-H	-H	-H	-H	-H	513
3007	-H	$-OCF_3$	-H	-H	-H	-H	557
3008	$-CH_3$	-H	-H	-H	-H	-H	487
3009	-H	$-CH_3$	-H	-H	-H	-H	487
3010	-F	-H	-H	-H	-H	-H	491

[Table 304]



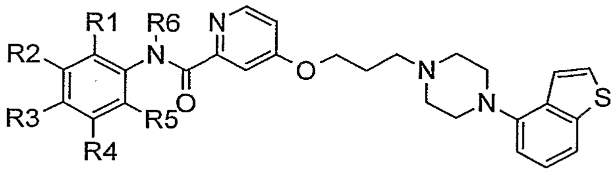
Example	R1	R2	R3	R4	R5	R6	MS(M+1)
3011	-H	-H	-F	-H	-H	-H	491
3012	-H	$-N(CH_3)_2$	-H	-H	-H	-H	516
3013	-H	-H	$-N(CH_3)_2$	-H	-H	-H	516
3014	$-CF_3$	-H	-H	-H	-H	-H	541
3015	-H	$-CF_3$	-H	-H	-H	-H	541
3016	-H	$-NHCOCH_3$	-H	-H	-H	-H	530
3017	-H	-H	$-NHCOCH_3$	-H	-H	-H	530
3018	-H	-H	-H	-H	-CN	-H	498
3019	-H	-H	-H	-CN	-H	-H	498
3020	$-CH_3$	-H	-H	-H	-H	$-CH_3$	501
3021	-H	$-CH_3$	-H	-H	-H	$-CH_3$	501
3022	-H	-Cl	-H	-H	-H	$-CH_3$	521
3023	-H	-H	-OH	-H	-H	$-CH_3$	503
3024	$-CH_3$	$-CH_3$	-H	-H	-H	-H	501
3025	$-CH_3$	-H	$-CH_3$	-H	-H	-H	501
3026	$-CH_3$	-H	-H	-H	$-CH_3$	-H	501
3027	-H	$-CH_3$	$-CH_3$	-H	-H	-H	501
3028	-H	$-CH_3$	-H	$-CH_3$	-H	-H	501
3029	-F	-F	-H	-H	-H	-H	509
3030	-H	-F	-F	-H	-H	-H	509
3031	-H	-F	-H	-F	-H	-H	509
3032	-H	-F	$-OCH_3$	-H	-H	-H	521
3033	-H	$-OCH_3$	$-CH_3$	-H	-H	-H	517
3034	-H	-Cl	$-OCH_3$	-H	-H	-H	537
3035	-H	-Cl	$-CH_3$	-H	-H	-H	521
3036	$-OCH_3$	$-OCH_3$	-H	-H	-H	-H	533
3037	-H	-Cl	-OH	-H	-H	-H	523
3038	-Cl	-H	-H	$-CH_3$	-H	-H	521

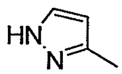
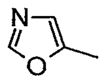
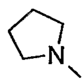
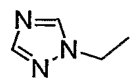
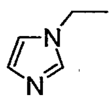
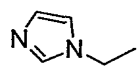
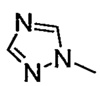
[Table 305]



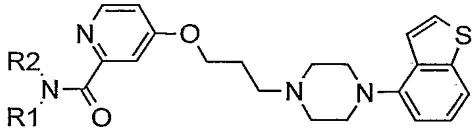
Example	R1	R2	R3	R4	R5	R6	MS(M+1)
3039	−H	$-CONH_2$	−H	−H	−Cl	−H	550
3040	$-CH_3$	−H	−Br	−H	$-CH_3$	−H	579
3041	−H	−H	−CN	−H	−H	−H	498
3042	−H	−H	$-SCH_3$	−H	−H	−H	519
3043	−H	−H	$-CH(CH_3)_2$	−H	−H	−H	515
3044	−H	−H	−2−FURYL	−H	−H	−H	539

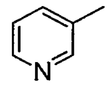
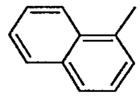
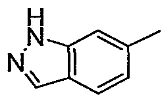
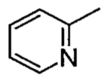
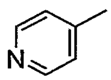
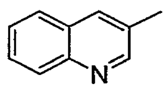
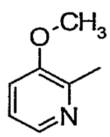
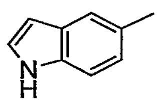
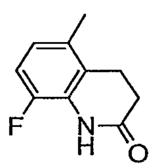
[Table 306]



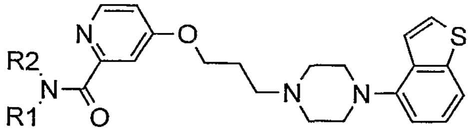
Example	R1	R2	R3	R4	R5	R6	MS(M+1)
3045	-H		-H	-H	-H	-H	539
3046	-H		-H	-H	-H	-H	540
3047	-H		-H	-H	-H	-H	542
3048	-H	-H		-H	-H	-H	554
3049	-H		-H	-H	-H	-H	553
3050	-H	-H		-H	-H	-H	553
3051	-H		-H	-H	-H	-H	540

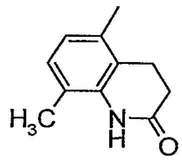
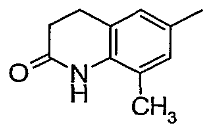
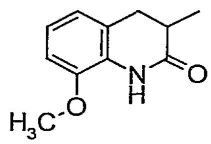
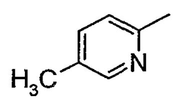
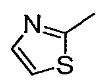
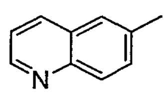
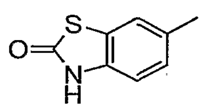
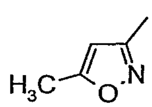
[Table 307]



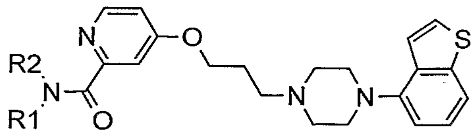
Example	R1	R2	MS(M+1)
3052		-H	474
3053		-H	523
3054		-H	513
3055		-H	474
3056		-H	474
3057		-H	524
3058		-H	504
3059		-H	512
3060		-H	560

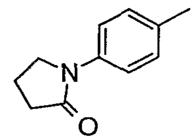
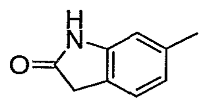
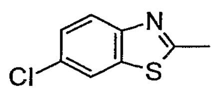
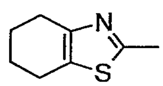
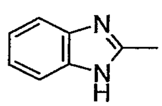
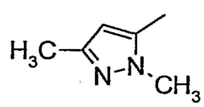
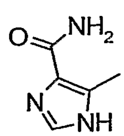
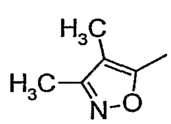
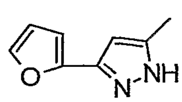
[Table 308]



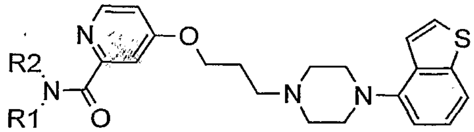
Example	R1	R2	MS(M+1)
3061		-H	556
3062		-H	556
3063		-H	572
3064		-H	488
3065		-H	480
3066		-H	524
3067		-H	546
3068		-H	478

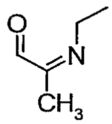
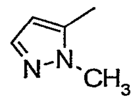
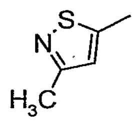
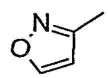
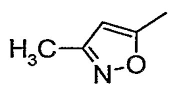
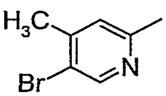
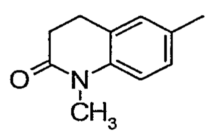
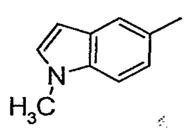
[Table 309]



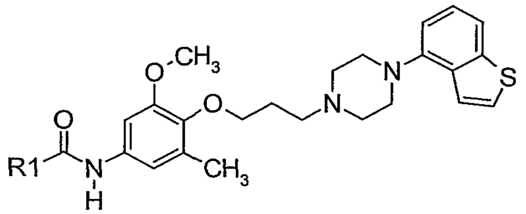
Example	R1	R2	MS(M+1)
3069		−H	556
3070		−H	528
3071		−H	564
3072		−H	534
3073		−H	513
3074		−H	491
3075		−H	506
3076		−H	492
3077		−H	529

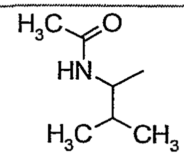
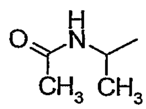
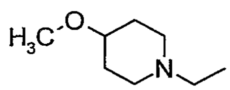
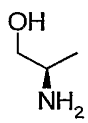
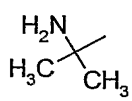
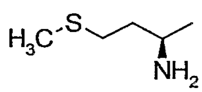
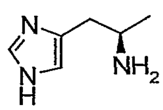
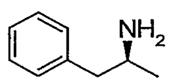
[Table 310]



Example	R1	R2	MS(M+1)
3078		-H	480
3079		-H	477
3080		-H	494
3081		-H	464
3082		-H	478
3083		-H	566
3084		-H	556
3085		-H	526

[Table 311]



Example	R1	MS(M+1)
3086		553
3087		525
3088		567
3089		499
3090		497
3091		543
3092		549
3093		559

Example 3094

Synthesis of 3-amino-4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-N-ethyl-benzamide

5% palladium carbon (0.8 g) was added to an ethanol solution (30 ml) of 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-N-ethyl-3-nitrobenzamide (1.0 g, 2.1 mmol) and the mixture was subjected to catalytic reduction at room temperature under normal pressure. The catalyst was removed by filtration and the filtrate was concentrated under reduced pressure. Water was added to the residue and the solution was extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate and thereafter concentrated under reduced pressure. The residue was purified by silica gel column chromatography (dichloromethane : methanol = 30:1 → 20:1). The purified product was concentrated under reduced pressure to obtain 3-amino-4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-N-ethyl-benzamide (0.78 g, 83% yield) as yellow amorphous solid.

^{1}H-NMR ($CDCl_3$) δppm: 1.23 (3H, t, J=7.4 Hz), 2.00-2.15 (2H, m), 2.67 (2H, t, J=7.3 Hz), 2.75 (4H, brs), 3.21 (4H, brs), 3.40-3.50 (2H, m), 3.50-4.30 (2H, br), 4.13 (2H, t, J=6.5 Hz), 5.99 (1H, brs), 6.80 (1H, d, J=8.4 Hz), 6.90 (1H, d, J=7.6 Hz), 7.08 (1H, dd, J=2.1, 8.3 Hz), 7.19 (1H, d, J=2.1 Hz), 7.25-7.30 (1H, m), 7.35-7.45 (2H, m), 7.55 (1H, d, J=8.0 Hz).

Example 3095

Synthesis of 1-benzo[b]thiophen-4-yl-4-[3-(1-acetylpiperidin-4-yloxy)propyl]piperazine hydrochloride

Triethylamine (0.28 ml, 2.0 mmol) was added to a dichloromethane solution (15 ml) of 1-benzo[b]thiophen-4-yl-4-[3-(piperidin-4-yloxy)-propyl]-piperazine (0.45 g, 1.25 mmol) and the mixture was cooled in an ice bath. To this, acetyl chloride (0.1 ml, 1.4 mmol) was added and the mixture was stirred at room temperature overnight. Water was added to the reaction solution, which was then extracted with dichloromethane. The organic layer was dried over anhydrous sodium sulfate and thereafter concentrated under reduced pressure. The residue was purified by silica gel column chromatography (dichloromethane : methanol = 30:1). The purified product was concentrated under reduced pressure. To the residue, 0.5 N hydrochloride-methanol solution (3 ml) was added. The crystal produced was obtained by filtration and dried to obtain 1-benzo[b]thiophen-4-yl-4-[3-(1-acetylpiperidin-4-yloxy)propyl]piperazine hydrochloride as white powder (0.36 g, 66% yield).

Melting point: 208-210°C

Example 3096

Synthesis of 1-[3-(4-Benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]pyrrolidine-2,5-dione hydrochloride

PS-triphenylphosphine (3 mmol/g, 1.80 g),

ditert-butylazodicarboxylate (1.27 g, 5.4 mmol) and N-hydroxysuccinimide (510 mg, 4.3 mmol) were added to a THF solution (50 ml) of 3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propanol (1.00 g, 3.6 mmol) and the mixture was stirred at room temperature for 4 hours. The resin was removed by filtration and the filtrate was concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 1:2). The purified product was concentrated under reduced pressure to obtain white amorphous solid (762 mg, 47% yield). 157 mg of the white amorphous solid was dissolved in ethanol. To the solution, 1N hydrochloric acid-ethanol solution (0.42 ml) was added and further ether was added. The solution was stand still in a refrigerator. The crystal produced was filtrated and dried to obtain 1-[3-(4-Benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-pyrrolidine-2,5-dione hydrochloride (158 mg) as a white powder.

Melting point: 255.0-257.0°C

Example 3097

Synthesis of 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]naphthalene-1-carboxylic acid amide

Triethylamine (0.24 ml, 1.7 mmol) and isobutyl chloroformate (0.19 ml, 1.4 mmol) were added to an acetonitrile solution (10 ml) of 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)-propoxy]-

naphthalene-1-carboxylic acid (0.52 g, 1.2 mmol) under ice cooling and the mixture was stirred for 20 minutes. To the reaction solution, 28 % ammonia water (0.5 ml) was added and the mixture was stirred at room temperature for 20 minutes. To the reaction solution, ethyl acetate was added and the solution was washed with water. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 2:1 → 0:1). The purified product was concentrated under reduced pressure and the residue was recrystallized from a solvent mixture of ethyl acetate-diisopropylether to obtain 6-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-naphthalene-1-carboxylic acid amide (0.27 g, 53% yield) as white powder.

Melting point 167.0-169.0°C

Example 3098

Synthesis of 1-allyl-5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1H-pyrazole-3-carboxylic acid methylamide

40% methylamine methanol solution (5 ml) was added to a methanol solution (5 ml) of 1-allyl-5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1H-pyrazole-3-carboxylic acid ethyl ester (0.5 g, 1.1 mmol) and the mixture was stirred at room temperature for 3 days. The solution was concentrated under reduced pressure and the residue was purified by basic

silica gel column chromatography (n-hexane : ethyl acetate = 5:1 → 0:1). The purified product was concentrated under reduced pressure and the residue was recrystallized from a solvent mixture of ethyl acetate-diisopropylether to obtain 1-allyl-5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1H-pyrazole-3-carboxylic acid methylamide (0.32 g, 67% yield) as white powder.

Melting point 138.5-140.5°C

Example 3099

Synthesis of 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-cyclohexanecarboxylic acid amide

Ammonia water (28%, 0.5 ml), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride (WSC)(0.36 g, 1.9 mmol) and 4-dimethylaminopyridine (DMAP) (0.05 g, 0.4 mmol) were added to a dichloromethane solution (10 ml) of 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-cyclohexanecarboxylic acid (0.5 g, 1.2 mmol) and the mixture was stirred at room temperature for 19 hours. To the reaction solution, dichloromethane was added and the mixture was washed with water. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 3:1 → 0:1). The purified product was concentrated under reduced

pressure and the residue was recrystallized from a solvent mixture of ethyl acetate-diisopropylether to obtain 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)-propoxy]-cyclohexanecarboxylic acid amide (0.1 g, 22% yield), as white powder.

Melting point 107.5-108.5°C

Example 3100

Synthesis of ethanesulfonic acid {4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methyl-phenyl}amide hydrochloride

A dichloromethane solution (4 ml) of 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenylamine (0.2 g, 0.49 mmol) was cooled on ice. To this, N-ethyldiisopropylamine (0.15 ml, 0.87 mmol) and ethane sulfonylchloride (0.07 ml, 0.73 mmol) were added and the mixture was stirred at room temperature for one hour. Further, N-ethyldiisopropylamine (0.15 ml, 0,87 mmol) and ethane sulfonylchloride (0.07 ml, 0.73 mmol) were added and the mixture was stirred at room temperature for 19 hours. To this, an aqueous 6N-sodium hydroxide solution (0.5 ml) and ethanol (2 ml) were added and the mixture was stirred at room temperature overnight. Dichloromethane was added to the reaction solution, which was then washed with water. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column

chromatography (n-hexane : ethyl acetate = 2:1 → 0:1). The purified product was concentrated under reduced pressure. 4N-hydrochloride/ethyl acetate solution was added to the residue. The crystal generated was filtrated and dried to obtain ethanesulfonic acid {4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methyl-phenyl}amide hydrochloride (222 mg, 85% yield) as white powder.

Melting point: 235.5-237.5°C

Example 3101

Synthesis of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl}-carbamic acid methyl ester

A dichloromethane solution (2 ml) of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl-amine (0.17 g, 0.47 mmol) was cooled on ice. To this, pyridine (0.08 ml, 0.94 mmol) and methyl chloroformate (0.04 ml, 0.52 mmol) were added and the mixture was stirred at room temperature for 17 hours. To the reaction solution, ethyl acetate was added and the reaction mixture was washed with water. The water layer was extracted with ethyl acetate. The organic layers were combined, dried over anhydrous magnesium sulfate, and thereafter, concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 2:1 → 1:1). The purified product was concentrated under reduced pressure and the residue was recrystallized

from a solvent mixture of ethyl acetate-diisopropylether to obtain 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl}carbamic acid methyl ester (0.10 g, 51% yield) as white powder.

Melting point: 162.5-165.0°C.

Example 3102

Synthesis of 3-{5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl}-1,1-dimethyl-urea hydrochloride

A dichloromethane solution (5 ml) of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl-amine (0.27 g, 0.73 mmol) was cooled on ice. To this, triethylamine (0.36 ml, 2.5 mmol), dimethylcarbamoyl chloride (0.20 ml, 2.1 mmol) and pyridine (0.06 ml, 0.73 mmol) were added and the mixture was stirred at room temperature overnight. To the reaction solution, water was added and the reaction solution was extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 3:1 → 0:1). The purified product was concentrated under reduced pressure and the residue was dissolved in ethyl acetate and a 4N-hydrochloride/ethyl acetate solution was added thereto. The crystal produced was filtrated and dried to obtain 3-{5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-

yl)propoxy]-1-methyl-1H-pyrazol-3-yl}-1,1-dimethyl-urea hydrochloride (0.10 g, 30% yield), as light yellow powder.

Melting point: 174.0-176.5°C

Example 3103

Synthesis of 3-{5-[4-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)butoxy]-1-methyl-1H-pyrazol-3-yl}-1,1-dimethyl-urea hydrochloride

An aqueous dimethylamine solution (50%, 0.16 ml, 1.6 mmol) was added to a DMF solution (3 ml) of 5-[4-(4-Benzo[b]thiophen-4-yl-piperazin-1-yl)butoxy]-1-methyl-1H-pyrazol-3-yl carbamic acid phenyl ester (0.26 g, 0.52 mmol) and the mixture was stirred at room temperature for 16 hours. Water was added to the reaction solution, which was then extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 7:3 → 0:1). The purified product was concentrated under reduced pressure and the residue was dissolved in ethyl acetate. A 1N-hydrochloric acid/ethanol solution was added and the crystal produced was filtrated and dried to obtain 3-{5-[4-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)butoxy]-1-methyl-1H-pyrazol-3-yl}-1,1-dimethyl-urea hydrochloride (95 mg, 37% yield) as white powder.

Melting point: 186.0-187.5°C

Example 3104

Synthesis of N-{5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl}-acetamide

Acetic anhydride (1 ml) and triethylamine (0.09 ml, 0.65 mmol) were added to a dichloromethane solution (4 ml) of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl-amine (0.20 g, 0.54 mmol) and the mixture was stirred at room temperature for 6 hours. An aqueous potassium carbonate solution was added to the reaction solution, which was then extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane : ethyl acetate = 2:1 → 0:1). The purified product was concentrated under reduced pressure and the residue was recrystallized from a solvent mixture of ethyl acetate-diisopropylether to obtain N-{5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl}acetamide (0.19 g, 89% yield) as white powder.

Melting point: 137.0-139.0°C

Example 3105

Synthesis of 3-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-hydroxymethyl-5-methoxy-phenyl}oxazolidin-2-one hydrochloride

First, 2-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-(2-oxo-oxazolidin-3-yl)benzaldehyde hydrochloride (1.28 g. 2.4 mmol)) was added to an aqueous potassium hydrochloride solution. The mixture was extracted with dichloromethane. The extracted solution was concentrated under reduced pressure and the residue was dissolved in THF (15 ml). To the solution, sodium borohydride (0.05 g, 1.2 mmol) was added under ice cooling and the mixture was stirred at room temperature for 3 hours. Then, 10% hydrochloric acid was added to the mixture under ice cooling to decompose the reagent excessively present. After an aqueous 6N sodium hydroxide solution was added to the solution to make it an alkaline solution, which was then extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by silica gel column chromatography (dichloromethane : ethyl acetate = 3:7 → dichloromethane : methanol = 100:3). The purified product was concentrated under reduced pressure and the residue was dissolved in ethanol. A 1N hydrochloric acid/ethanol solution was added to this. The crystal produced was recrystallized from ethanol to obtain 3-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)-propoxy]-3-hydroxymethyl-5-methoxy-phenyl}oxazolidin-2-one hydrochloride (0.52 g, 41% yield) as white powder.

Melting point: 224.0-226.5°C (decomposed)

Example 3106

Synthesis of 1-acetyl-4-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}piperazin hydrochloride

1-benzo[b]thiophen-4-yl-4-[3-(4-bromo-2-methoxy-6-methylphenoxy)propyl]piperazine hydrochloride (0.5 g, 0.98 mmol), 1-acetyl piperazine (0.15 g, 1.2 mmol), palladium acetate (11 mg, 0.048 mmol), 2,2'-bis(diphenylphosphino)-1,1'-binaphtyl (BINAP)(63 mg, 0.098 mmol) and sodium t-butoxide (0.23 g, 2.3 mmol) were added to toluene (10 ml) and the mixture was stirred under an argon atmosphere at 90°C for 22 hours. The reaction mixture was cooled to room temperature and filtrated by cerite. The filter cake was washed with ethyl acetate. The filtrate and wash liquid were combined and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane: ethyl acetate = 11:1 → 1:1). The purified product was concentrated under reduced pressure and the residue was dissolved in ethyl acetate. A 1N hydrochloric acid/ethanol solution was added to this and the crystal produced was filtrated and dried to obtain 1-acetyl-4-{4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-methoxy-5-methylphenyl}-piperazin hydrochloride (75 mg, 14% yield) as white powder.

Melting point: 257.0-261.0 °C (decomposed)

Example 3107

Synthesis of 1-benzo[b]thiophen-4-yl-4-[3-(4-imidazol-1-yl-2-methoxy-6-methyl-phenoxy)-propyl]-piperazine dihydrochloride

1-benzo[b]thiophen-4-yl-4-[3-(4-iodo-2-methoxy-6-methyl-phenoxy)-propyl]-piperazine (0.6 g, 0.69 mmol), imidazole (0.07 g, 1.03 mmol), copper iodide (I) (13 mg, 0.069 mmol) , trans-N,N'-dimethyl-1,2-cyclohexanedimaine (0.02 ml, 0.14 mmol) and cesium carbonate (0.47 g, 1.38 mmol) were added to 1,4-dioxane (6 ml) and the mixture was refluxed with heating under an argon atmosphere for 50 hours. After the resultant reaction mixture was cooled to room temperature, water was added to the reaction solution, which was then extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane: ethyl acetate = 5:1 → 1:1). The purified product was concentrated under reduced pressure and the residue was dissolved in ethyl acetate. A 1N-hydrochloric acid/ethanol solution was added to this and the crystal produced was filtrated and dried to obtain 1-benzo[b]thiophen-4-yl-4-[3-(4-imidazol-1-yl-2-methoxy-6-methylphenoxy)propyl]-piperazine dihydrochloride (60 mg, 17% yield) as light yellow powder.

Melting point: 234.0-240.0°C (decomposed).

Example 3108

Synthesis of 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,N-dimethyl-5-(2,2,2-trifluoroethoxy)benzamide hydrochloride

Cesium carbonate (0.34 g, 0.99 mmol) and 1,1,1-trifluoro-2-iodoethane (0.05 ml, 0.47 mmol) were added to a DMF solution (2 ml) of 4-[3-(4-Benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-hydroxy-5,N-dimethylbenzamide (188 mg, 0.39 mmol), and the mixture was stirred at 40°C for 2 hours. Then, 1,1,1-trifluoro-2-iodoethane (0.1 ml, 0.94 mmol) was further added and the mixture was stirred at 40°C for 5 hours. After the reaction mixture was cooled to room temperature, water was added to the reaction solution, which was then extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by basic silica gel column chromatography (n-hexane: ethyl acetate = 3:1 → 0:1). The purified product was concentrated under reduced pressure and the residue was dissolved in isopropyl alcohol. A 1N-hydrochloric acid/ethanol solution was added to this and thereafter concentrated under reduced pressure. The residue was recrystallized from a solvent mixture of isopropyl alcohol/ethyl acetate to obtain 4-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3,N-dimethyl-5-(2,2,2-trifluoro-ethoxy)benzamide hydrochloride

(88 mg, 40% yield) as light yellow powder.

Melting point: 156.0-157.5°C

Example 3109

Synthesis of 1-{5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl}-ethanone hydrochloride

5-[3-(4-Benzo[b]thiophen-4-yl-piperazin-1-yl)-propoxy]-1-methyl-1H-pyrazol-3-carboxylic acid methoxy methylamide hydrochloride (0.61 g, 1.3 mmol) was added to an aqueous sodium hydroxide solution and the solution mixture was extracted with dichloromethane. The extracted solution was concentrated under reduced pressure and the residue was dissolved in THF (12 ml). The solution was cooled to -78°C and 1N-methyllithium ether solution (1.2 ml) was added thereto and the mixture was stirred at the same temperature for 2 hours. To the reaction solution, an aqueous ammonium chloride solution was added and the solution was heated to room temperature. Potassium chloride was added to the solution, which was then extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by silica gel column chromatography (dichloromethane: ethyl acetate = 3:1 → 0:1). The purified product was concentrated under reduced pressure and the residue was dissolved in ethanol. A 1N hydrochloric acid/ethanol solution was added to this

and the crystal produced was recrystallized from water-containing ethanol to obtain 1-{5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-1-methyl-1H-pyrazol-3-yl}ethanone hydrochloride (0.22 g, 40% yield) as white powder.

Melting point: 245.0°C (decomposed)

Example 3110

Synthesis of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-hydroxymethyl-1-methyl-1H pyrazole

A THF solution (8 ml) of 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)propoxy]-3-(tert-butyl-dimethylsilanyloxymethyl)-1-methyl-1H-pyrazole (0.75 g, 1.5 mmol) was cooled on ice and a 1M THF solution of tetrabutyl ammonium fluoride (1.7 ml) was added thereto. The mixture was stirred at room temperature for 16 hours. Ethyl acetate was added to the reaction solution, which was washed with water. The organic layer was dried over anhydrous magnesium sulfate and thereafter concentrated under reduced pressure. The residue was purified by silica gel column chromatography (dichloromethane: methanol = 1:0 → 30:1 →15:1). The purified product was concentrated under reduced pressure and the residue was recrystallized from a solvent mixture of ethyl acetate and diisopropyl ether to obtain 5-[3-(4-benzo[b]thiophen-4-yl-piperazin-1-yl)-propoxy]-3-hydroxymethyl-1-methyl-1H-pyrazole (0.46 g, 79% yield)

as white powder.

Melting temperature: 123.5-126.0°C

Pharmacological Test 1

1) Dopamine D_2 receptor binding assay

The assay was performed according to the method by Kohler et al. (Kohler C, Hall H, Ogren SO and Gawell L, Specific in vitro and in vivo binding of 3H-raclopride. A potent substituted benzamide drug with high affinity for dopamine D-2 receptors in the rat brain. Biochem. Pharmacol., 1985; 34: 2251-2259).

Wistar male rats were decapitated, the brain was retrieved immediately and corpus striatum was taken out. It was homogenized in 50 mM tris(hydroxymethyl)aminomethane (Tris)-hydrochloric acid buffer (pH 7.4) of a volume 50 times of the weight of the tissue using a homogenizer with a high-speed rotating blade, and centrifuged at 4°C, 48,000 × g for 10 minutes. The obtained precipitate was suspended again in the above-described buffer of a volume 50 times of the weight of the tissue and after incubated at 37°C for 10 minutes, centrifuged in the above-described condition. The obtained precipitate was suspended in 50 mM (Tris)-hydrochloric acid buffer (containing 120 mM NaCl, 5 mM KCl, 2 mM $CaCl_2$, 1 mM $MgCl_2$, pH 7.4) of a volume 25 times of the weight of the tissue and preserved by freezing at -85°C till it was used for binding assay as a membrane specimen.

The binding assay was performed using 40 μl of the membrane specimen, 20 μl of $[^3H]$-raclopride (final concentration 1 to 2 nM), 20 μl of a test drug

and 50 mM Tris-hydrochloric acid buffer (containing 120 mM NaCl, 5 mM KCl, 2 mM $CaCl_2$, 1 mM $MgCl_2$, pH 7.4) so that the total amount was 200 µl (final dimethylsulfoxide concentration 1%). The reaction was performed at room temperature for 1 hour and terminated by conducting suction filtration with a cell harvester on a glass fiber filter plate. The filter plate made of glass fiber was washed with 50 mM Tris-hydrochloric acid buffer (pH 7.4), and after dried, a microplate liquid scintillation cocktail was added and the radioactivity was measured with a microplate scintillation counter. Radioactivity in the presence of 10 µM (+)-butaclamol hydrochloride was assumed as nonspecific binding.

IC_{50} value was calculated from concentration-dependent reaction using a non-linear analysis program. Ki value was calculated from IC_{50} value using Cheng-Prussoff formula. The results are shown in the following Table 312.

[Table 312]

Dopamine D2 receptor binding test	
Test compound	Ki (nM)
Compound of Example 3	1.5
Compound of Example 4	1.9
Compound of Example 6	0.7
Compound of Example 7	0.8
Compound of Example 11	0.2
Compound of Example 14	0.3
Compound of Example 15	0.4
Compound of Example 17	0.6
Compound of Example 26	2.6
Compound of Example 27	1.5
Compound of Example 32	2.5
Compound of Example 40	3.1
Compound of Example 48	2.3
Compound of Example 58	2.0
Compound of Example 61	5.0
Compound of Example 62	1.6
Compound of Example 72	3.4
Compound of Example 73	1.3
Compound of Example 76	2.5
Compound of Example 80	1.6
Compound of Example 94	2.4
Compound of Example 95	1.9
Compound of Example 112	1.0
Compound of Example 115	1.6
Compound of Example 121	1.1
Compound of Example 123	0.7
Compound of Example 125	2.0
Compound of Example 127	0.4
Compound of Example 133	0.3
Compound of Example 144	0.4
Compound of Example 146	0.1
Compound of Example 160	0.4
Compound of Example 169	0.9
Compound of Example 170	1.0
Compound of Example 186	1.3
Compound of Example 190	1.2
Compound of Example 232	1.1
Compound of Example 241	0.4
Compound of Example 243	0.2
Compound of Example 252	0.3
Compound of Example 271	1.2

continued ...

[Table 312]

Dopamine D2 receptor binding test	
Test compound	Ki (nM)
Compound of Example 281	0.3
Compound of Example 286	0.2
Compound of Example 301	0.2
Compound of Example 303	1.0
Compound of Example 307	0.3
Compound of Example 313	0.7
Compound of Example 314	0.8
Compound of Example 323	1.5
Compound of Example 340	1.9
Compound of Example 343	0.9
Compound of Example 345	1.6
Compound of Example 354	0.2
Compound of Example 358	0.2
Compound of Example 359	0.2
Compound of Example 363	2.0
Compound of Example 368	0.4
Compound of Example 382	0.5
Compound of Example 394	3.8
Compound of Example 453	0.9
Compound of Example 462	0.4
Compound of Example 546	0.6
Compound of Example 650	1.2
Compound of Example 706	1.0
Compound of Example 802	0.6
Compound of Example 1014	3.3
Compound of Example 1016	2.2
Compound of Example 1026	1.9
Compound of Example 1027	1.9
Compound of Example 1034	2.1
Compound of Example 1059	0.4
Compound of Example 1060	0.1
Compound of Example 1061	0.1
Compound of Example 1071	0.1
Compound of Example 1076	1.2
Compound of Example 1079	0.4
Compound of Example 1080	0.6
Compound of Example 1083	0.3
Compound of Example 1084	0.1
Compound of Example 1086	1.0

continued ....

[Table 312]

Dopamine D2 receptor binding test	
Test compound	Ki (nM)
Compound of Example 1087	0.3
Compound of Example 1089	1.0
Compound of Example 1106	1.0
Compound of Example 1110	1.2
Compound of Example 1113	0.7
Compound of Example 1138	1.4

2) Serotonin 5-HT_{2A} receptor binding assay

The assay was performed according to the method by Leysen JE et al. (Leysen JE, Niemegeers CJE, Van Nueten JM and Laduron PM. [3H] Ketanserin (R 41 468), a selective 3H-ligand for serotonin 2 receptor binding sites. Mol. Pharmacol., 1982, 21: 301-314).

Wistar male rats were decapitated, the brain was retrieved immediately and frontal cortex was taken out. It was homogenized in 0.25 M sucrose of a volume 10 times of the weight of the tissue using a Teflon glass homogenizer, and centrifuged at 4°C, 1,000 × g for 10 minutes. The obtained supernatant was transferred to another centrifuge tube and suspended in 0.25 M sucrose of a volume 5 times of the weight of the tissue and the precipitate was centrifuged in the above-described condition. The obtained supernatant was combined with the supernatant obtained above and adjusted to a volume 40 times of the weight of the tissue with 50 mM Tris-hydrochloric acid buffer (pH 7.4), and centrifuged at 4°C, 35,000 × g for 10 minutes. The obtained precipitate was suspended again in the

above-described buffer of a volume 40 times of the weight of the tissue and centrifuged in the above-described condition. The obtained precipitate was suspended in the above-described buffer of a volume 20 times of the weight of the tissue and preserved by freezing at -85°C till it was used for binding assay as a membrane specimen.

The binding assay was performed using 40 μl of the membrane specimen, 20 μl of [^{3}H]-Ketanserin (final concentration 1 to 3 nM), 20 μl of a test drug and 50 mM Tris-hydrochloric acid buffer (pH 7.4) so that the total amount was 200 μl (final dimethylsulfoxide concentration 1%). The reaction was performed at 37°C for 20 minutes and terminated by conducting suction filtration with a cell harvester on a glass fiber filter plate.

The filter plate made of glass fiber was washed with 50 mM Tris-hydrochloric acid buffer (pH 7.4), and after dried, a microplate liquid scintillation cocktail was added and the radioactivity was measured with a microplate scintillation counter. Radioactivity in the presence of 10 μM spiperone was assumed as nonspecific binding.

IC_{50} value was calculated from concentration-dependent reaction using a non-linear analysis program. Ki value was calculated from IC_{50} value using Cheng-Prussoff formula. The results are shown in the following Table 313

[Table 313]

Serotonion 5-HT_{2A} receptor binding test	
Test compound	Ki (nM)
Compound of Example 3	6.0
Compound of Example 4	7.7
Compound of Example 6	3.3
Compound of Example 7	2.9
Compound of Example 11	4.4
Compound of Example 14	2.4
Compound of Example 15	5.9
Compound of Example 17	3.4
Compound of Example 26	0.8
Compound of Example 27	1.0
Compound of Example 32	1.4
Compound of Example 40	0.6
Compound of Example 48	3.8
Compound of Example 58	4.9
Compound of Example 61	4.9
Compound of Example 62	4.7
Compound of Example 72	3.4
Compound of Example 73	5.6
Compound of Example 76	1.7
Compound of Example 80	3.3
Compound of Example 94	2.0
Compound of Example 95	2.3
Compound of Example 112	0.7
Compound of Example 115	3.7
Compound of Example 121	1.5
Compound of Example 123	1.4
Compound of Example 125	3.9
Compound of Example 127	2.4
Compound of Example 133	4.7
Compound of Example 144	1.4
Compound of Example 146	2.4
Compound of Example 160	0.6
Compound of Example 169	2.6
Compound of Example 170	3.3
Compound of Example 186	2.0
Compound of Example 190	0.6
Compound of Example 232	2.7
Compound of Example 241	0.7
Compound of Example 243	0.5
Compound of Example 252	0.3
Compound of Example 271	0.6

continued ....

[Table 313]

Serotonion 5-HT_{2A} receptor binding test	
Test compound	Ki (nM)
Compound of Example 281	0.6
Compound of Example 286	0.8
Compound of Example 301	0.4
Compound of Example 303	2.5
Compound of Example 307	0.7
Compound of Example 313	1.1
Compound of Example 314	0.8
Compound of Example 323	0.7
Compound of Example 340	4.8
Compound of Example 343	0.5
Compound of Example 345	1.9
Compound of Example 354	0.6
Compound of Example 358	1.1
Compound of Example 359	1.1
Compound of Example 363	1.1
Compound of Example 368	0.7
Compound of Example 382	0.6
Compound of Example 394	4.7
Compound of Example 453	1.2
Compound of Example 462	1.7
Compound of Example 546	0.7
Compound of Example 650	0.6
Compound of Example 706	0.9
Compound of Example 802	1.4
Compound of Example 1014	4.2
Compound of Example 1016	2.3
Compound of Example 1026	3.5
Compound of Example 1027	2.0
Compound of Example 1034	3.1
Compound of Example 1059	3.8
Compound of Example 1060	1.2
Compound of Example 1061	1.2
Compound of Example 1071	1.3
Compound of Example 1076	12.4
Compound of Example 1079	2.8
Compound of Example 1080	3.4
Compound of Example 1083	1.5
Compound of Example 1084	1.4
Compound of Example 1086	5.8
Compound of Example 1087	2.6
Compound of Example 1089	13.9

continued ....

[Table 313]

Serotonion 5-HT_{2A} receptor binding test	
Test compound	Ki (nM)
Compound of Example 1106	7.1
Compound of Example 1110	4.9
Compound of Example 1113	5.0
Compound of Example 1138	19.7

3) Adrenalin α1 receptor binding assay

The assay was performed according to the method by Groβ G et al. (Groβ G, Hanft G and Kolassa N. Urapidil and some analogues with hypotensive properties show high affinities for 5-hydroxytryptamine (5-HT) binding sites of the 5-HT1A subtype and for α1-adrenoceptor binding sites. Naunyn-Schmiedeberg's Arch Pharmacol., 1987, 336: 597-601).

Wistar male rats were decapitated, the brain was retrieved immediately and cerebral cortex was taken out. It was homogenized in 50 mM Tris-hydrochloric acid buffer (100 mM NaCl, containing 2 mM dihydrogen disodium ethylene diamine tetraacetate, pH 7.4) of a volume 20 times of the weight of the tissue using a homogenizer with a high-speed rotating blade, and centrifuged at 4°C, 80,000 × g for 20 minutes. The obtained precipitate was suspended in the above-described buffer of a volume 20 times of the weight of the tissue and after incubated at 37°C for 10 minutes, centrifuged in the above-described condition. The obtained precipitate was suspended again in the above-described buffer of a volume 20 times of the weight of

the tissue and centrifuged in the above-described condition. The obtained precipitate was suspended in 50 mM (Tris)-hydrochloric acid buffer (containing 1 mM dihydrogen disodium ethylene diamine tetraacetate, pH 7.4) of a volume 20 times of the weight of the tissue and preserved by freezing at -85°C till it was used for binding assay as a membrane specimen.

The binding assay was performed using 40 μl of the membrane specimen, 20 μl of [^{3}H]-prazosin (final concentration 0.2 to 0.5 nM), 20 μl of a test drug and 50 mM Tris-hydrochloric acid buffer (containing 1 mM EDTA, pH 7.4) so that the total amount was 200 μl (final dimethylsulfoxide concentration 1%). The reaction was performed at 30°C for 45 minutes and terminated by conducting suction filtration with a cell harvester on a glass fiber filter plate.

The filter plate made of glass fiber was washed with 50 mM Tris-hydrochloric acid buffer (pH 7.4), and after dried, a microplate liquid scintillation cocktail was added and the radioactivity was measured with a microplate scintillation counter. Radioactivity in the presence of 10 μM phentolamine hydrochloride was assumed as nonspecific binding.

IC_{50} value was calculated from concentration-dependent reaction using a non-linear analysis program. Ki value was calculated from IC_{50} value using Cheng-Prussoff formula.

Pharmacological Test 2

Partial agonistic activity on dopamine D_2 receptor using D_2 receptor expression cells

Partial agonistic activity on dopamine D_2 receptor was evaluated by quantitatively determining cyclic AMP production inhibitory effect of a test compound in dopamine D_2 receptor expression cells in which adenosine 3',5'-cyclic monophosphate (cyclic AMP) production was induced by forskolin stimulation.

Human recombinant dopamine D_2 receptor expressing Chinese hamster ovary/DHFR(-) cells were cultured in a culture medium (Iscove's Modified Dulbecco's Medium (IMDM culture medium), 10% fetal bovine serum, 50 I.U./ml penicillin, 50 μg/ml streptomycin, 200 μg/ml geneticin, 0.1 mM sodium hypoxanthine, 16 μM thymidine) at 37°C and 5% carbon dioxide condition. Cells were seeded at 10^4 cells/well on a 96-well microtiter plate coated with poly-L-lysine and grown under the same condition for 2 days. Each well was washed with 100 μl of a culture medium (IMDM culture medium, 0.1 mM sodium hypoxanthine, 16 μM thymidine). The culture medium was replaced with 50 μl of culture medium (IMDM culture medium, 0.1% sodium ascorbate, 0.1 mM sodium hypoxanthine, 16 μM thymidine) having dissolved therein 3 μM of a test compound. After allowed to incubate at 37°C, 5% carbon dioxide condition for 20 minutes, the culture medium was replaced with 100 μl of forskolin stimulative culture

medium (IMDM culture medium, 0.1% sodium ascorbate, 0.1 mM sodium hypoxanthine, 16 μM thymidine, 10 μM forskolin, 500 μM 3-isobutyl-1-methylxanthine) having 3 μM of the test compound dissolved therein and allowed to incubate at 37°C, 5% carbon dioxide condition for 10 minutes. After the culture medium was removed, 200 μl of Lysis 1B aqueous solution (Amersham Bioscience, reagent attached to cyclic AMP biotrack enzyme immunoassay system) was dispensed and shaken for 10 minutes. The aqueous solution of each well was used as a sample for measurement. Samples for measurement quadruply diluted were subjected to measurement of the quantity of cyclic AMP using the above-described enzyme immunoassay system. Inhibition ratio of the respective test compound was calculated assuming that the quantity of cyclic AMP of the well to which no test compound was added was 100%. In this empiric test system, dopamine which was used as a control drug suppressed the quantity of cyclic AMP to about 10% as the maximum activity.

It was confirmed that test compounds had partial agonistic activity for dopamine D_2 receptor in the above-described test.

Since the test compounds has partial agonistic activity for dopamine D_2 receptor, they can stabilize dopamine neurotransmission to a normal condition in a schizophrenia patient and as a result, exhibit, for example, positive and negative condition

improving effect, cognitive impairment improving effect and the other symptom improving effects without causing side effects.

Pharmacological Test 3

Inhibitory effect on apomorphine-induced stereotyped behavior in rats

Wistar rats (male, six-seven weeks old, Japan SLC, Inc.) were used as test animals. A test compound was suspended in 5% gum arabic/(physiological saline or water) using an agate mortar and was diluted with the same solvent if necessary.

Test animals were fasted overnight from the day before. Apomorphine (0.7 mg/kg) was subcutaneously administered (1 ml/kg) 1 hour after each test compound was orally administered (5 ml/kg). Stereotyped behavior was observed for 1 minute respectively 20, 30 and 40 minutes after apomorphine injection.

The stereotyped behavior of each animal was quantified according to the following condition and score made at three points were summed up and the anti-apomorphine effect was evaluated. Six test animals were used for each group.

0: The appearance of the animals is the same as saline treated rats;

1: Discontinuous sniffing, constant exploratory activity;

2: Continuous sniffing, periodic exploratory

activity;

3: Continuous sniffing, discontinuous biting, gnawing or licking. Very brief periods of locomotor activity;

4: Continuous biting, gnawing or licking; no exploratory activity.

Non-clinical statistical analysis system was used for all statistical processing. When the significance probability value was lower than 0.05, it was judged that a significant difference existed. The difference of the score between the solvent administration group and each test compound administration group was analyzed using Wilcoxon rank-sum test or Steel test. In addition, linear regression analysis was used for calculating 50% effective dose (95 % confidence interval).

Since the test compounds showed inhibitory effect for apomorphine-induced stereotyped behavior, it was confirmed that the test compounds have D_2 receptor antagonistic effect.

Pharmacological Test 4

Inhibitory effect on (±)D-2,5-dimethoxy-4-iodoamphetamine (DOI) induced head twitch in rats

Wistar rats (male, six-seven weeks old, Japan SLC, Inc.) were used as test animals. A test compound was suspended in 5% gum arabic/(physiological saline or water) using an agate mortar and was diluted with the

same solvent if necessary.

Test animals were fasted overnight from the day before. DOI (5.0 mg/kg) was subcutaneously administered (1 ml/kg) 1 hour after each test compound was orally administered (5 ml/kg). The number of head twitches was counted for 10 minutes immediately after DOI injection. Six test animals were used for each group.

Non-clinical statistical analysis was used for all statistical processing. When the significance probability value was lower than 0.05, it was judged that a significant difference existed. The difference of the number of head twitches between the solvent administration group and each test compound administration group was analyzed using t-test or Dunnett's test. In addition, linear regression analysis was used for calculating 50% effective dose (95 % confidence interval).

Since the test compounds showed inhibitory effect for DOI-induced head twitch, it was confirmed that the test compounds have serotonin $5HT_{2A}$ receptor antagonistic effect.

Pharmacological Test 5

Catalepsy inducing effect in rats

Wistar rats (male, six-seven weeks old, Japan SLC, Inc.) were used as test animals. A test compound was suspended in 5% gum arabic/(physiological saline or

water) using an agate mortar and was diluted with the same solvent if necessary.

Test animals were fasted overnight from the day before observation on catalepsy and ptosis was performed 1, 2, 4, 6 and 8 hours after each test compound was orally administered (5 ml/kg). Six test animals were used for each group.

One forepaw of a rat was placed on an edge of a steel small box (width: 6.5 cm, depth: 4.0 cm, height: 7.2 cm) (an unnatural pose) and when the rat maintained the pose for more than 30 seconds, it was judged that the case was catalepsy positive. This observation was performed three times at each point, and if there was at least one positive case, it was judged that catalepsy occurred in the individual.

As a result, catalepsy induction effect of a test compound was dissociated from inhibitory effect on apomorphine-induced stereotyped behavior, therefore it was suggested that apprehension for extrapyramidal side effect in clinic would be low.

Pharmacological Test 6

Measurement of serotonin (5-HT) uptake inhibitory activity of a test compound by rat brain synaptosome

Wistar male rats were decapitated, the brain was retrieved and frontal cortex was dissected out, and it was homogenized in 0.32 M sucrose solution of a

weight 20 times of the weight of the tissue using a Potter type homogenizer. The homogenate was centrifuged at 4°C, 1,000 × g for 10 minutes, the obtained supernatant was further centrifuged at 4°C, 20,000 × g for 20 minutes, and the pellet was suspended in an incubation buffer (20 mM Hepes buffer (pH 7.4) containing 10 mM glucose, 145 mM sodium chloride, 4.5 mM potassium chloride, 1.2 mM magnesium chloride, 1.5 mM calcium chloride), which was used as crude synaptosome fraction.

5-HT uptake reaction was performed in a volume of 200 µl using a 96-well round bottom plate and pargyline (final concentration 10 µM) and sodium ascorbate (final concentration 0.2 mg/ml) were contained in the incubation buffer upon reaction and used.

Incubation buffer (total counting), non-labeled 5-HT(final concentration 10µM, non-specific counting) and the diluted test compound (final concentration 300nM) were added to each well. One-tenth quantity of the final volume of the synaptosome fraction was added and after preincubated at 37°C for 10 minutes, tritium labeled 5-HT solution (final concentration 8 nM) was added and uptake reaction was started at 37°C. The uptake time was 10 minutes and the reaction was terminated by vacuum filtration through a 96-well fiber glass filter paper plate, and after the filter paper was washed with cold normal saline, it was

dried enough and Microscint0 (Perkin-Elmer) was added to the filter and remaining radioactivity on the filter was measured.

Serotonin uptake inhibitory activity (%) was calculated from the radioactivity of total counting as 100%, of non-specific counting as 0%, and of counting obtained with test compound.

% of inhibition of 5-HT(%)=

100-[(Count obtained with test compound-Nonspecific count(0% Uptake))/(Total count(100% Uptake)-Nonspecific count(0% Uptake))]×100

The results are shown in the next Table 314.

[Table 314]

Test compound	Serotonin uptake inhibitory ratio (%) (300 nM)
Compound of Example 11	95.2
Compound of Example 15	95.3
Compound of Example 802	96.6
Compound of Example 1071	94.4
Compound of Example 1076	87.8
Compound of Example 1089	85.0
Compound of Example 1083	96.3
Compound of Example 1106	69.9
Compound of Example 1079	82.3
Compound of Example 1080	95.6
Compound of Example 1138	67.2
Compound of Example 1059	97.2
Compound of Example 1060	97.5
Compound of Example 1061	97.5
Compound of Example 1110	38.5
Compound of Example 1086	98.6
Compound of Example 1087	97.1
Compound of Example 1113	59.3

Preparation Examples

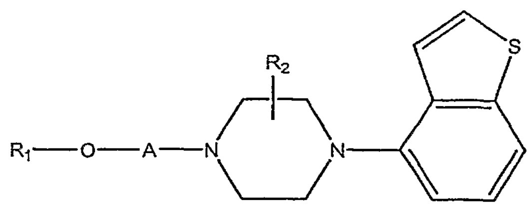
100 g of a compound of the present invention, 40 g of Avicel (trade name, product of Asahi Chemical Industry Co., Ltd.), 30 g of corn starch and 2 g of magnesium stearate was mixed and polished and tableted with a pestle for glycocalyx R10 mm.

The obtained tablet was coated with a film using a film coating agent made up of 10 g of TC-5 (trade name, product of Shin-Etsu Chemical Co., Ltd., hydroxypropyl methylcellulose), 3 g of polyethylene glycol 6000, 40 g of castor oil and an appropriate amount of ethanol to produce a film coated tablet of the above composition.

CLAIMS

1. A heterocyclic compound or a salt thereof represented by the formula (1):

[Formula 1]



(1)

where R^2 represents a hydrogen atom or a lower alkyl group;

A represents a lower alkylene group or a lower alkenylene group; and

R^1 represents a cyclo C3-C8 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (IV) below:

(I) a cyclo C3-C8 alkyl group;

(II) an aromatic group selected from a phenyl group, a naphthyl group, a dihydroindenyl group and a tetrahydronaphthyl group;

(III) a saturated or unsaturated heteromonocyclic group having 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom; and

(IV) a benzene fused heterocyclic group that has 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, an oxygen atom and a sulfur atom and that is selected from the group

consisting of (1) a tetrahydroquinoxalinyl group, (2) a tetrahydroquinazolinyl group, (3) a dihydroquinazolinyl group, (4) an indolinyl group, (5) an indolyl group, (6) an isoindolinyl group, (7) a benzimidazolyl group, (8) a dihydrobenzimidazolyl group, (9) a tetrahydrobenzazepinyl group, (10) a tetrahydrobenzodiazepinyl group, (11) a hexahydrobenzazocinyl group, (12) a dihydrobenzoxazinyl group, (13) a dihydrobenzoxazolyl group, (14) a benzisoxazolyl group, (15) a benzoxadiazolyl group, (16) a tetrahydrobenzoxazepinyl group, (17) a dihydrobenzothiazinyl group, (18) a benzothiazolyl group, (19) a benzoxathiolyl group, (20) a chromenyl group, (21) a dihydrobenzofuryl group, (22) a carbazolyl group, (23) a dibenzofuryl group and (24) a quinoxalinyl group

wherein at least one group selected from the group consisting of the groups (1) to (66) below may be present as a substituent on the cyclo C3-C8 alkyl group, the aromatic group and the heterocyclic group represented by R^1:

(1) a lower alkyl group,

(2) a lower alkenyl group,

(3) a halogen substituted lower alkyl group,

(4) a lower alkoxy group,

(5) an aryloxy group,

(6) a lower alkylthio group,

(7) a halogen substituted lower alkoxy group,

(8) a hydroxy group,

(9) a protected hydroxy group,

(10) a hydroxy lower alkyl group,

(11) a protected hydroxy lower alkyl group,

(12) a halogen atom,

(13) a cyano group,

(14) an aryl group,

(15) a nitro group,

(16) an amino group,

(17) an amino group having a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkylsulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxy carbonylamino lower alkanoyl group as a substituent,

(18) a lower alkanoyl group,

(19) an arylsulfonyl group that may have a lower alkyl group(s) on the aryl group,

(20) a carboxy group,

(21) a lower alkoxycarbonyl group,

(22) a carboxy lower alkyl group,

(23) a lower alkoxycarbonyl lower alkyl group,

(24) a lower alkanoylamino lower alkanoyl group,

(25) a carboxy lower alkenyl group,

(26) a lower alkoxycarbonyl lower alkenyl group,

(27) a carbamoyl lower alkenyl group that may have a group(s) selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group as a substituent,

(28) a carbamoyl group that may have a group(s) selected from the group consisting of the groups (i) to (lxxviii) below as a substituent:

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iii) a hydroxy lower alkyl group,

(iv) a lower alkoxy lower alkyl group,

(v) an aryloxy lower alkyl group,

(vi) a halogen substituted lower alkyl group,

(vii) an amino lower alkyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, an aroyl group and a carbamoyl group,

(viii) a cyclo C3-C8 alkyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a hydroxy group, a lower alkoxycarbonyl group and a phenyl lower alkoxy group as a substituent,

(ix) a cyclo C3-C8 alkyl substituted lower alkyl group,

(x) a lower alkenyl group,

(xi) a carbamoyl lower alkyl group that may

have a group(s) selected from the group consisting of a lower alkyl group, phenyl group that may have a lower alkyl group(s) and a phenyl group(s) that may have a lower alkoxy group(s) as a substituent,

(xii) a lower alkoxycarbonyl lower alkyl group,

(xiii) a furyl lower alkyl group (that may have a lower alkyl group(s) as a substituent) on the furyl group,

(xiv) a tetrahydrofuryl lower alkyl group,

(xv) a 1,3-dioxolanyl lower alkyl group,

(xvi) a tetrahydropyranyl lower alkyl group,

(xvii) a pyrrolyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the pyrrolyl group),

(xviii) a lower alkyl group substituted with a dihydropyrazolyl group that may have an oxo group(s),

(xix) a pyrazolyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the pyrazolyl group),

(xx) an imidazolyl lower alkyl group,

(xxi) a pyridyl lower alkyl group,

(xxii) a pyrazinyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the pyrazinyl group),

(xxiii) a pyrrolidinyl lower alkyl group (that may have a group(s) selected from the group consisting of an oxo group(s) and a lower alkyl group

as a substituent on the pyrrolidinyl group),

(xxiv) a piperidyl lower alkyl group (that may have a group(s) selected from the group consisting of a benzoyl group and a lower alkanoyl group as a substituent on the piperidyl group),

(xxv) a piperazinyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the piperazinyl group),

(xxvi) a morpholinyl lower alkyl group,

(xxvii) a thienyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the thienyl group),

(xxviii) a thiazolyl lower alkyl group,

(xxix) a dihydrobenzofuryl lower alkyl group,

(xxx) a benzopyranyl lower alkyl group (that may have an oxo group(s) as a substituent on the benzopyranyl group),

(xxxi) a benzimidazolyl lower alkyl group,

(xxxii) an indolyl lower alkyl group that may have a lower alkoxycarbonyl group(s) on the lower alkyl group),

(xxxiii) an imidazolyl lower alkyl group that has a substituent(s) selected from the group consisting of a carbamoyl group and a lower alkoxycarbonyl group on the lower alkyl group,

(xxxiv) a pyridyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkoxy group and a lower alkylthio

lower alkyl group as a substituent,

(xxxv) a pyrrolidinyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and an aroyl group as a substituent,

(xxxvi) a piperidyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and an aroyl group that may have a group(s) selected from the group consisting of a lower alkyl group and a halogen atom as a substituent,

(xxxvii) a tetrahydrofuryl group that may have an oxo group(s),

(xxxviii) a hexahydroazepinyl group that may have an oxo group(s),

(xxxix) a pyrazolyl group that may have a group(s) selected from the group consisting of a lower alkyl group, an aryl group and a furyl group as a substituent,

(xl) a thiazolyl group,

(xli) a thiadiazolyl group that may have a lower alkyl group(s),

(xlii) an isoxazolyl group that may have a lower alkyl group(s),

(xliii) an indazolyl group,

(xliv) an indolyl group,

(xlv) a tetrahydrobenzothiazolyl group,

(xlvi) a tetrahydroquinolyl group that may

have a group(s) selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen atom and an oxo group as a substituent,

(xlvii) a quinolyl group that may have a lower alkyl group(s),

(xlviii) a benzodioxolyl lower alkyl group,

(xlix) an aryl group that may have a group(s) as a substituent, selected from the group consisting of

a halogen atom; a lower alkyl group; a lower alkoxy group; a halogen substituted lower alkyl group; a halogen substituted lower alkoxy group; a lower alkenyl group; an amino group that may have a group selected from the group consisting of a lower alkanoyl group, a lower alkyl sulfonyl group, a lower alkyl group and an aryl group; a sulfamoyl group; a lower alkylthio group; a lower alkanoyl group; a lower alkoxycarbonyl group; a pyrrolyl group; a lower alkynyl group; a cyano group; a nitro group; an aryloxy group; an aryl lower alkoxy group; a hydroxy group; a hydroxy lower alkyl group; a carbamoyl group that may have a group(s) selected from the group consisting of a lower alkyl group and an aryl group; a pyrazolyl group; a pyrrolidinyl group that may have an oxo group(s); an oxazolyl group; an imidazolyl group that may have a lower alkyl group(s); a dihydrofuryl group that may have an oxo group(s); a thiazolidinyl lower alkyl group that may have an oxo group(s); an imidazolyl lower alkanoyl group and a piperidinylcarbonyl group,

(l) a cyano lower alkyl group,

(li) a dihydroquinolyl group that may have a group(s) selected from the group consisting of a lower alkyl group and an oxo group,

(lii) a halogen substituted lower alkylamino group,

(liii) a lower alkylthio lower alkyl group,

(liv) an amidino group that may have a lower alkyl group(s),

(lv) an amidino lower alkyl group,

(lvi) a lower alkenyloxy lower alkyl group,

(lvii) an arylamino group that may have a substituent(s) selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen substituted lower alkyl group and a halogen substituted lower alkoxy group, on the aryl group,

(lviii) an aryl lower alkenyl group,

(lix) a pyridylamino group that may have a lower alkyl group(s),

(lx) an aryl lower alkyl group (that may have on the aryl group and/or the lower alkyl group a group(s) selected from the group consisting of a halogen atom, a lower alkyl group, a halogen substituted lower alkyl group, a halogen substituted lower alkoxy group, a lower alkoxy group, a carbamoyl group and a lower alkoxycarbonyl group as a substituent),

(lxi) a lower alkynyl group,

(lxii) an aryloxy lower alkyl group (that may have as a substituent on the aryl group a group(s) selected from the group consisting of a lower alkoxy group; a carbamoyl group that may have a group(s) selected from the group consisting of a lower alkoxy group and a lower alkyl group; and a pyrrolidinyl group that may have an oxo group(s)),

(lxiii) an isoxazolidinyl group that may have an oxo group(s),

(lxiv) a dihydroindenyl group,

(lxv) an aryl lower alkoxy lower alkyl group,

(lxvi) a tetrahydropyranyl group,

(lxvii) an azetidinyl group that may have a group(s) selected from the group consisting of a lower alkanoyl group and an aroyl group,

(lxviii) an azetidinyl lower alkyl group that may have a group(s) selected from the group consisting of a lower alkanoyl group and aroyl group,

(lxix) a tetrazolyl group,

(lxx) an indolinyl group that may have an oxo group(s),

(lxxi) a triazolyl group that may have a group(s) selected from the group consisting of a lower alkyl group and a lower alkylthio group,

(lxxii) an imidazolyl group that may have a carbamoyl group(s),

(lxxiii) an oxazolyl group that may have a lower alkyl group(s),

(lxxiv) an isothiazolyl group that may have a lower alkyl group(s),

(lxxv) a benzimidazolyl group,

(lxxvi) a dihydrobenzothiazolyl group that may have an oxo group(s),

(lxxvii) a thienyl group that may have a lower alkoxycarbonyl group(s), and

(lxxviii) an oxazolyl lower alkyl group that may have a lower alkyl group(s)

(29) an amino lower alkyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, an aryl group, an aryl lower alkyl group, an aroyl group and an amino substituted alkyl group (that may have a lower alkyl group(s) as a substituent on the amino group) on the amino group,

(30) a lower alkyl group substituted with a carbamoyl group that may have a group(s) selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(31) a thiocarbamoyl group that may have a lower alkyl group(s),

(32) a sulfamoyl group,

(33) an oxazolidinyl group that may have an oxo group(s),

(34) an imidazolidinyl group that may have a substituent(s) selected from the group consisting of an

oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have an oxo group(s),

(36) an imidazolyl group,

(37) a triazolyl group,

(38) an isoxazolyl group,

(39) a piperidyl group that may have a substituent(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, an arylsulfonyl group, an oxo group, a hydroxy group, and an amino group that may have a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and lower alkanoylamino lower alkanoyl group,

(40) a piperidylcarbonyl group that may have a substituent(s) selected from the group consisting of a lower alkyl group, a hydroxy group, a hydroxy lower alkyl group, a lower alkanoyl group, a carboxy lower alkyl group, a lower alkyl carbamoyl lower alkyl group, a carbamoyl group, a lower alkoxy group, a carboxy group, a lower alkoxycarbonyl group, an amino group (on which 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and an aroyl group may be present), a piperidyl group (on which a group(s) selected from the group consisting of a lower alkanoyl group, a lower alkoxycarbonyl group and an aroyl group may be present), piperazinyl group (on which a lower

alkyl group(s) may be present as a substituent), a 1,4-dioxa-8-azaspiro[4.5]decyl group, a morpholinyl group, a hexahydro-1,4-diazepinyl group (on which a lower alkyl group(s) may be present as a substituent), a pyridyl group, a pyridyloxy group, a pyridyl lower alkoxy group, a tetrahydroquinolyl group (on which an oxo group(s) may be present), a benzodioxolyl group, an aryl lower alkoxy group (that may have a group(s) selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkoxy group on the aryl group), an aryl group (on which a group(s) selected from the group consisting of a halogen atom, a lower alkoxy group, hydroxy group may be present), an aryloxy group (that may have on the aryl group a group(s) selected from the group consisting of a cyano group, a halogen atom, lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), an aryl lower alkyl group (that may have on the aryl group a group(s) selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), and an aroyl group (that may have on the aryl group a group(s) selected from the group consisting of a halogen atom and a lower alkoxy group),

(41) a pyrrolidinylcarbonyl group that may have a group as a substituent, selected from the group consisting of a hydroxy lower alkyl group, a carbamoyl

group, a hydroxy group, an amino group (that may have on the amino group a group(s) selected from the group consisting of a lower alkyl group, a lower alkanoyl group and an aroyl group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a piperidyl lower alkyl group, a piperazinyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the piperazinyl group), an amino lower alkyl group (that may have a lower alkyl group(s) as a substituent on the amino group), an aryloxy group (that may have a halogen substituted lower alkoxy group(s) on the aryl group), an aryloxy lower alkyl group (that may have a halogen substituted lower alkoxy group(s) on the aryl group) and a tetrahydroquinolyl group (on which an oxo group(s) may be present),

(42) a piperazinylcarbonyl group that may have a group(s) as a substituent, selected from the group consisting of a lower alkyl group, a cyclo C3-C8 alkyl group, a lower alkanoyl group, a hydroxy lower alkyl group, a lower alkoxy lower alkyl group, a lower alkoxycarbonyl group, an amino lower alkyl group (that may have a lower alkyl group(s) as a substituent on the amino group), a piperidyl lower alkyl group (that may have a lower alkyl group(s) as a substituent on the piperidyl group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a 1,3-dioxolanyl lower alkyl group, a tetrahydrofuryl lower alkyl group, a pyridyl lower alkyl group (that may have a phenyl

group(s) as a substituent on the lower alkyl group), a imidazolyl lower alkyl group, a furyl lower alkyl group, a pyrrolidinylcarbonyl lower alkyl group, a piperidyl group that may have a lower alkyl group(s) as a substituent, pyridyl group (that may have on the pyridyl group a group(s) selected from the group consisting of a lower alkyl group, a cyano group and a halogen substituted lower alkyl group as a substituent), a thieno[2,3-b]pyridyl group, an aryl group (on which a group(s) selected from the group consisting of a halogen atom and a lower alkyl group may be present), an aroyl group, a furyl carbonyl group, an aryl lower alkoxycarbonyl group and an oxo group,

(43) a hexahydroazepinylcarbonyl group,

(44) a hexahydro-1,4-diazepinylcarbonyl group that may have a substituent(s) selected from the group consisting of a lower alkyl group and a pyridyl group,

(45) a dihydropyrrolylcarbonyl group that may have a lower alkyl group(s),

(46) a thiomorpholinylcarbonyl group,

(47) a morpholinylcarbonyl group that may have a group(s) selected from the group consisting of a lower alkyl group, a piperidyl lower alkyl group and an aryl group,

(48) a thiazolidinyl carbonyl group that may have an aryl group(s) that may have a group(s) selected from the group consisting of a lower alkoxy group and a

cyano group,

(49) an azabicyclo[3.2.2]nonylcarbonyl group,

(50) an 8-azabicyclo[3.2.1]octylcarbonyl group that may have a halogen substituted or unsubstituted aryloxy group(s),

(51) an indolinylcarbonyl group,

(52) a tetrahydroquinolylcarbonyl group,

(53) a tetrahydropyrido[3.4-b]indolylcarbonyl group,

(54) a morpholinyl lower alkyl group,

(55) a piperazinyl lower alkyl group that may have a lower alkyl group(s) on the piperazinyl group,

(56) a morpholinylcarbonyl lower alkyl group,

(57) a piperazinylcarbonyl lower alkyl group that may have a lower alkyl group(s) on the piperazinyl group,

(58) an oxo group,

(59) an amino lower alkoxy group (that may have a lower alkyl group(s) on the amino group),

(60) a lower alkoxy lower alkoxy group,

(61) a piperazinyl group that may have a group(s) selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxycarbonyl group,

(62) a morpholinyl group,

(63) a 1,3,8-triazaspiro[4.5]decanylcarbonyl group that may have a group(s) selected from the group consisting of an oxo group and an aryl group,

(64) a tetrahydropyridylcarbonyl group that may have a pyridyl group(s),

(65) an imidazolidinylcarbonyl group that may have a thioxo group(s), and

(66) a 1,4-dioxa-8-azaspiro[4.5]decanyl group.

2. The compound according to claim 1, wherein

R^1 represents a cyclo C5-C6 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (IV) below:

(I) a cyclo C5-C6 alkyl group;

(II) an aromatic group selected from a phenyl group, naphthyl group, dihydroindenyl group and tetrahydronaphthyl group;

(III) a saturated or unsaturated heteromonocyclic group that has 1 to 2 hetero atoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom, and that is selected from the group consisting of a pyrrolidinyl group, piperidyl group, pyrazolyl group, pyridyl group, pyrimidinyl group, pyrazinyl group, isoxazolyl group, thiazolyl group, pyranyl group, and thienyl group; and

(IV) a benzene fused heterocyclic group that has 1 to 4 hetero atoms selected from the group consisting of a nitrogen atom, oxygen atom and sulfur atom and that is selected from the group consisting of (1) a tetrahydroquinoxalinyl group, (2) a tetrahydroquinazolinyl group, (3) a dihydroquinazolinyl

group, (4) an indolinyl group, (5) an indolyl group, (6) an isoindolinyl group, (7) a benzimidazolinyl group, (8) a dihydrobenzimidazolyl group, (9) a tetrahydrobenzazepinyl group, (10) a tetrahydrobenzodiazepinyl group, (11) a hexahydrobenzazocinyl group, (12) a dihydrobenzoxazinyl group, (13) a dihydrobenzoxazolyl group, (14) a benzisoxazolyl group, (15) a benzoxadiazolyl group, (16) a tetrahydrobenzoxazepinyl group, (17) a dihydrobenzothiazinyl group, (18) a benzothiazolyl group, (19) a benzoxathiolyl group, (20) a chromenyl group, (21) a dihydrobenzofuryl group, (22) a carbazolyl group, (23) a dibenzofuryl group and (24) a quinoxalinyl group,

wherein, on the aromatic group and the heterocyclic group represented by R^1, 1 to 5 groups selected from the group consisting of the groups (1) to (66) below may be present as a substituent(s):

(1) a lower alkyl group,

(2) a lower alkenyl group,

(3) a halogen substituted lower alkyl group,

(4) a lower alkoxy group,

(5) a phenoxy group,

(6) a lower alkylthio group,

(7) a halogen substituted lower alkoxy group,

(8) a hydroxy group,

(9) a phenyl lower alkoxy group,

(10) a hydroxy lower alkyl group,

(11) a lower alkoxy lower alkyl group,

(12) a halogen atom,

(13) a cyano group,

(14) a phenyl group,

(15) a nitro group,

(16) an amino group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkylsulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxycarbonylamino lower alkanoyl group as a substituent(s),

(18) a lower alkanoyl group,

(19) a phenylsulfonyl group that may have a single lower alkyl group on the phenyl group,

(20) a carboxy group,

(21) a lower alkoxycarbonyl group,

(22) a carboxy lower alkyl group,

(23) a lower alkoxycarbonyl lower alkyl group,

(24) a lower alkanoylamino lower alkanoyl group,

(25) a carboxy lower alkenyl group,

(26) a lower alkoxycarbonyl lower alkenyl group,

(27) a carbamoyl lower alkenyl group that may

have 1 to 2 groups selected from the group consisting of a lower alkyl group and a lower alkyl group substituted with 1 to 3 halogen atoms as a substituent(s),

(28) a carbamoyl group that may have 1 to 2 groups selected from the group consisting of the groups (i) to (lxxviii) below as a substituent(s):

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iii) a hydroxy lower alkyl group,

(iv) a lower alkoxy lower alkyl group,

(v) an phenoxy lower alkyl group,

(vi) a halogen substituted lower alkyl group,

(vii) an amino lower alkyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a benzoyl group and a carbamoyl group,

(viii) a cyclo C3-C8 alkyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a hydroxy group, a lower alkoxycarbonyl group and a phenyl lower alkoxy group as a substituent(s),

(ix) a cyclo C3-C8 alkyl substituted lower alkyl group,

(x) a lower alkenyl group,

(xi) a lower alkyl group having 1 to 2 carbamoyl groups that may have 1 to 2 groups as a substituent(s) selected from the group consisting of a

lower alkyl group, a phenyl group that may have a single lower alkyl group and a phenyl group that may have a single lower alkoxy group,

(xii) a lower alkyl group having 1 to 2 lower alkoxy carbonyl groups,

(xiii) a furyl lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the furyl group),

(xiv) a tetrahydrofuryl lower alkyl group,

(xv) a 1,3-dioxolanyl lower alkyl group,

(xvi) a tetrahydropyranyl lower alkyl group,

(xvii) a pyrrolyl lower alkyl group (that may have 1 to 2 lower alkyl groups on the pyrrolyl group as a substituent(s)),

(xviii) a lower alkyl group substituted with a dihydropyrazolyl group that may have a single oxo group,

(xix) a pyrazolyl lower alkyl group (that may have 1 to 3 lower alkyl groups as a substituent(s) on the pyrazolyl group),

(xx) an imidazolyl lower alkyl group,

(xxi) a pyridyl lower alkyl group,

(xxii) a pyrazinyl lower alkyl group (that may have 1 to 3 (preferably 1) lower alkyl groups as a substituent(s) on the pyrazinyl group),

(xxiii) a pyrrolidinyl lower alkyl group (that may have 1 to 2 groups selected from the group consisting of an oxo group and a lower alkyl group as a

substituent(s) on the pyrrolidinyl group),

(xxiv) a piperidyl lower alkyl group (that may have 1 to 3 groups selected from the group consisting of a benzoyl group and a lower alkanoyl group as a substituent(s) on the piperidyl group),

(xxv) a piperazinyl lower alkyl group (that may have 1 to 3 lower alkyl groups as a substituent(s) on the piperazinyl group),

(xxvi) a morpholinyl lower alkyl group,

(xxvii) a thienyl lower alkyl group (that may have 1 to 3 lower alkyl groups as a substituent(s) on the thienyl group),

(xxviii) a thiazolyl lower alkyl group,

(xxix) a dihydrobenzofuryl lower alkyl group,

(xxx) a benzopyranyl lower alkyl group (that may have a single oxo group as a substituent on the benzopyranyl group),

(xxxi) a benzimidazolyl lower alkyl group,

(xxxii) an indolyl lower alkyl group that may have 1 to 3 lower alkoxycarbonyl groups on the lower alkyl group),

(xxxiii) an imidazolyl lower alkyl group that has 1 to 3 substituents selected from the group consisting of a carbamoyl group and a lower alkoxycarbonyl group, on the lower alkyl group,

(xxxiv) a pyridyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a lower alkoxy group and a lower alkylthio

lower alkyl group as a substituent(s),

(xxxv) a pyrrolidinyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and a benzoyl group as a substituent(s),

(xxxvi) a piperidyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group and a benzoyl group (that may have 1 to 3 groups selected from the group consisting of a lower alkyl group and a halogen atom as a substituent(s) on the phenyl group),

(xxxvii) a tetrahydrofuryl group that may have a single oxo group

(xxxviii) a hexahydroazepinyl group that may have a single oxo group,

(xxxix) a pyrazolyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a phenyl group and a furyl group as a substituent(s),

(xl) a thiazolyl group,

(xli) a thiadiazolyl group that may have 1 to 3 lower alkyl groups,

(xlii) an isoxazolyl group that may have 1 to 3 lower alkyl groups,

(xliii) an indazolyl group,

(xliv) an indolyl group,

(xlv) a tetrahydrobenzothiazolyl group,

(xlvi) a tetrahydroquinolyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen atom and an oxo group as a substituent(s),

(xlvii) a quinolyl group that may have 1 to 3 lower alkyl groups,

(xlviii) a benzodioxolyl lower alkyl group,

(xlix) a phenyl group or naphthyl group that may have 1 to 3 groups as a substituent(s), selected from the group consisting of

a halogen atom; a lower alkyl group; a lower alkoxy group; a halogen substituted lower alkyl group; a halogen substituted lower alkoxy group; a lower alkenyl group; an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkanoyl group, a lower alkyl sulfonyl group, a lower alkyl group and an aryl group; a sulfamoyl group; a lower alkylthio group; a lower alkanoyl group; a lower alkoxycarbonyl group; pyrrolyl group; a lower alkynyl group; a cyano group; a nitro group; a phenyloxy group; a phenyl lower alkoxy group; a hydroxy group; a hydroxy lower alkyl group; a carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a phenyl group; a pyrazolyl group; a pyrrolidinyl group that may have a single oxo group; oxazolyl group; an imidazolyl group that may have 1 to 3 lower alkyl groups; a dihydrofuryl group that may

have a single oxo group; thiazolidinyl lower alkyl group that may have two oxo groups; imidazolyl lower alkanoyl group and piperidinylcarbonyl group,

(l) a cyano lower alkyl group,

(li) a dihydroquinolyl group that may have 1 to 3 group(s) selected from the group consisting of a lower alkyl group and an oxo group,

(lii) a halogen substituted lower alkylamino group,

(liii) a lower alkylthio lower alkyl group,

(liv) an amidino group that may have a lower alkyl group,

(lv) an amidino lower alkyl group,

(lvi) a lower alkenyloxy lower alkyl group,

(lvii) a phenylamino group that may have 1 to 3 substituents selected from the group consisting of a lower alkyl group, a lower alkoxy group, a halogen substituted lower alkyl group and a halogen substituted lower alkoxy group on the phenyl group,

(lviii) a phenyl lower alkenyl group,

(lix) a pyridylamino group that may have 1 to 3 lower alkyl groups,

(lx) a phenyl lower alkyl group (that may have as a substituent(s) on the phenyl group and/or the lower alkyl group 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkyl group, a halogen substituted lower alkyl group, a halogen substituted lower alkoxy group, a lower alkoxy

group, carbamoyl group and a lower alkoxycarbonyl group),

(lxi) a lower alkynyl group,

(lxii) a phenyloxy lower alkyl group (that may have 1 to 3 groups selected from the group consisting of a lower alkoxy group, N-lower alkoxy-N-lower alkylcarbamoyl group and oxopyrrolidinyl group as a substituent(s) on the phenyl group),

(lxiii) an isoxazolidinyl group that may have a single oxo group,

(lxiv) a dihydroindenyl group,

(lxv) a phenyl lower alkoxy lower alkyl group,

(lxvi) a tetrahydropyranyl group,

(lxvii) an azetidinyl group that may have 1 to 3 groups selected from the group consisting of a lower alkanoyl group and benzoyl group,

(lxviii) an azetidinyl lower alkyl group that may have 1 to 3 groups selected from the group consisting of a lower alkanoyl group and benzoyl group,

(lxix) a tetrazolyl group,

(lxx) an indolinyl group that may have a single oxo group,

(lxxi) a triazolyl group that may have 1 to 3 groups selected from the group consisting of a lower alkyl group and a lower alkylthio group,

(lxxii) an imidazolyl group that may have 1 to 3 carbamoyl groups,

(lxxiii) an oxazolyl group that may have 1 to 3 lower alkyl groups,

(lxxiv) an isothiazolyl group that may have 1 to 3 lower alkyl groups,

(lxxv) a benzimidazolyl group,

(lxxvi) a dihydrobenzothiazolyl group that may have a single oxo group,

(lxxvii) a thienyl group that may have 1 to 3 lower alkoxycarbonyl groups, and

(lxxviii) an oxazolyl lower alkyl group that may have 1 to 3 lower alkyl groups,

(29) an amino lower alkyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, a phenyl group, a phenyl lower alkyl group, a benzoyl group and an amino substituted alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the amino group), on the amino group,

(30) a lower alkyl group substituted with a single carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(31) a thiocarbamoyl group that may have 1 to 2 lower alkyl groups,

(32) a sulfamoyl group,

(33) an oxazolidinyl group that may have a single oxo group,

(34) an imidazolidinyl group that may have 1 to 2 substituents selected from the group consisting of an oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have a single oxo group,

(36) an imidazolyl group,

(37) a triazolyl group,

(38) an isoxazolyl group,

(39) a piperidyl group that may have 1 to 3 substituents selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkylphenylsulfonyl group, an oxo group, a hydroxy group, and an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkanoylamino lower alkanoyl group,

(40) a piperidylcarbonyl group that may have 1 to 3 substituent(s) selected from the group consisting of a lower alkyl group, a hydroxy group, a hydroxy lower alkyl group, a lower alkanoyl group, a carboxy lower alkyl group, a lower alkyl carbamoyl lower alkyl group, a carbamoyl group, a lower alkoxy group, a carboxy group, a lower alkoxycarbonyl group, an amino group (on which 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a benzoyl group may be present), a piperidyl group (on which 1 to 3 groups selected from the group consisting

of a lower alkanoyl group, a lower alkoxycarbonyl group and a benzoyl group may be present), a piperazinyl group (on which 1 to 3 lower alkyl groups may be present as a substituent(s)), a 1,4-dioxa-8-azaspiro[4.5]decyl group, a morpholinyl group, a hexahydro-1,4-diazepynyl group (on which a single lower alkyl group may be present as a substituent), a pyridyl group, a pyridyloxy group, a pyridyl lower alkoxy group, a tetrahydroquinolyl group (on which a single oxo group may be present), a benzodioxolyl group, a phenyl lower alkoxy group (that may have on the phenyl group 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkoxy group), a phenyl group (on which 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkoxy group and a hydroxy group may be present), phenyloxy group (that may have on the phenyl group 1 to 3 groups selected from the group consisting of a cyano group, a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group), a phenyl lower alkyl group (on the phenyl group, 1 to 3 groups selected from the group consisting of a halogen atom, a lower alkyl group, a lower alkoxy group and a halogen substituted lower alkyl group may be present), and a benzoyl group (that may have 1 to 3 groups selected from the group consisting of a halogen atom and a lower alkoxy group on the phenyl group),

(41) a pyrrolidinylcarbonyl group that may have 1 to 3 groups as a substituent(s) selected from the group consisting of a hydroxy lower alkyl group, carbamoyl group, a hydroxy group, an amino group (that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group and a benzoyl group on the amino group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a piperidyl lower alkyl group, a piperazinyl lower alkyl group (that may have a single lower alkyl group as a substituent on the piperazinyl group), an amino lower alkyl group (that may have 1 to 2 lower alkyl groups may be present as a substituent on the amino group), phenyloxy group (that may have 1 to 3 halogen substituted lower alkoxy groups on the phenyl group), a phenyloxy lower alkyl group (that may have 1 to 3 halogen substituted lower alkoxy groups on the phenyl group) and a tetrahydroquinolyl group (on which an oxo group may be present),

(42) a piperazinylcarbonyl group that may have 1 to 3 groups as a substituent(s) selected from the group consisting of a lower alkyl group, a cyclo C3-C8 alkyl group, a lower alkanoyl group, a hydroxy lower alkyl group, a lower alkoxy lower alkyl group, a lower alkoxycarbonyl group, an amino lower alkyl group (that may have 1 to 2 lower alkyl groups as a substituent(s) on the amino group), a piperidyl lower alkyl group (that may have 1 to 2 lower alkyl groups as

a substituent(s) on the piperidyl group), a morpholinyl lower alkyl group, a pyrrolidinyl lower alkyl group, a 1,3-dioxoranyl lower alkyl group, a tetrahydrofuryl lower alkyl group, a pyridyl lower alkyl group (that may have 1 to 2 phenyl groups as a substituent(s) on the lower alkyl group), an imidazolyl lower alkyl group, a furyl lower alkyl group, a pyrrolidinylcarbonyl lower alkyl group, a piperidyl group that may have 1 to 2 lower alkyl groups as a substituent(s)), a pyridyl group (that may have 1 to 3 groups selected from the group consisting of a lower alkyl group, a cyano group and a halogen substituted lower alkyl group as a substituent(s) on the pyridyl group), a thieno[2,3-b]pyridyl group, a phenyl group (on which 1 to 3 groups selected from the group consisting of a halogen atom and a lower alkyl group may be present), a benzoyl group, a furyl carbonyl group, a phenyl lower alkoxycarbonyl group and an oxo group,

(43) a hexahydroazepinylcarbonyl group,

(44) a hexahydro-1,4-diazepinylcarbonyl group that may have 1 to 3 substituents selected from the group consisting of a lower alkyl group and a pyridyl group,

(45) a dihydropyrrolylcarbonyl group that may have 1 to 3 lower alkyl groups,

(46) a thiomorpholinylcarbonyl group,

(47) a morpholinylcarbonyl group that may

have 1 to 3 groups selected from the group consisting of a lower alkyl group, a piperidyl lower alkyl group and a phenyl group,

(48) a thiazolidinyl cabonyl group that may have 1 to 3 phenyl groups that may have 1 to 3 groups selected from the group consisting of a lower alkoxy group and a cyano group,

(49) an azabicyclo[3.2.2]nonylcarbonyl group,

(50) an 8-azabicyclo[3.2.1]octylcarbonyl group that may have 1 to 3 halogen substituted or unsubstituted phenyloxy groups,

(51) an indolinylcarbonyl group,

(52) a tetrahydroquinolylcarbonyl group,

(53) a tetrahydropyrido[3.4-b]indolylcarbonyl group,

(54) a morpholinyl lower alkyl group,

(55) a piperazinyl lower alkyl group that may have 1 to 3 lower alkyl groups on the piperazinyl group,

(56) a morpholinylcarbonyl lower alkyl group,

(57) a piperazinylcarbonyl lower alkyl group that may have 1 to 3 lower alkyl groups on the piperazinyl group,

(58) an oxo group,

(59) an amino lower alkoxy group (that may have 1 to 2 lower alkyl groups on the amino group),

(60) a lower alkoxy lower alkoxy group,

(61) a piperazinyl group that may have 1 to 3

groups selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxycarbonyl group,

(62) a morpholinyl group,

(63) a 1,3,8-triazaspiro[4.5]decanylcarbonyl group that may have 1 to 3 groups selected from the group consisting of an oxo group and a phenyl group,

(64) a tetrahydropyridylcarbonyl group that may have 1 to 3 pyridyl groups,

(65) an imidazolidinylcarbonyl group that may have a single thioxo group, and

(66) a 1,4-dioxa-8-azaspiro[4.5]decanyl group.

3. The compound according to claim 1 or 2, wherein A is a lower alkylene group.

4. The compound according to claim 3, wherein R^1 represents a cyclo C5-C6 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (III) shown below:

(I) a cyclo C5-C6 alkyl group;

(II) a phenyl group; and

(III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from the group consisting of a pyrrolidinyl group, a piperidyl group, a pyrazolyl group, a pyridyl group, pyrimidinyl group and a thiazolyl group, and

on the cyclo C5-C6 alkyl group, the aromatic group and the heterocyclic group represented by R^1, 1 to

5 groups selected from the group consisting of (1) to (66) defined in claim 2 may be present as a substituent(s).

5. The compound according to claim 4, wherein R^1 represents (I) a cyclo C5-C6 alkyl group, and, on the cyclo C5-C6 alkyl group represented by R^1, 1 to 5 groups selected from the group consisting of (1) to (66) defined in claim 2 may be present as a substituent(s).

6. The compound according to claim 4, wherein R^1 represents (II) a phenyl group, and, on aromatic group represented by R^1, 1 to 5 groups selected from the group consisting of (1) to (66) defined in claim 2 may be present as a substituent(s).

7. The compound according to claim 4, wherein R^1 represents (III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a pyrrolidinyl group, a piperidyl group, pyrazolyl group, a pyridyl group, a pyrimidinyl group and a thiazolyl group, and, on heterocyclic group represented by R^1, 1 to 5 groups selected from the group consisting of (1) to (66) defined in claim 2 may be present as a substituent(s).

8. The compound according to claim 4, wherein R^1 represents a cyclo C5-C6 alkyl group, an aromatic group or a heterocyclic group selected from the group consisting of (I) to (III) shown below:

(I) a cyclo C5-C6 alkyl group;

(II) a phenyl group; and

(III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a pyrrolidinyl group, a piperidyl group, a pyrazolyl group, a pyridyl group, a pyrimidinyl group and a thiazolyl group, and

on the cyclo C5-C6 alkyl group, aromatic group and heterocyclic group represented by R^1, 1 to 5 groups selected from the group consisting of (1), (4), (10), (17), (18), (21), (28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) shown below may be present as a substituent(s):

(1) a lower alkyl group,

(4) a lower alkoxy group,

(10) a hydroxy lower alkyl group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group, a lower alkylsulfonyl group, a carbamoyl group, a lower alkyl carbamoyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxycarbonylamino lower alkanoyl group, as a substituent(s),

(18) a lower alkanoyl group,

(21) a lower alkoxycarbonyl group,

(28) a carbamoyl group that may have 1 to 2 groups selected from the group consisting of the groups (i), (ii), (iv), (xii) and (xxi) below as a substituent(s):

(i) a lower alkyl group,

(ii) a lower alkoxy group,

(iv) a lower alkoxy lower alkyl group,

(xii) a lower alkyl group having 1 to 2 lower alkoxy carbonyl groups,

(xxi) a pyridyl lower alkyl group,

(29) an amino lower alkyl group that may have, on the amino group, 1 to 2 groups selected from the group consisting of a lower alkyl group, a halogen substituted lower alkyl group, a lower alkoxycarbonyl group, a lower alkanoyl group, a phenyl group, a phenyl lower alkyl group, a benzoyl group and an amino substituted lower alkyl group (which may have 1 to 2 lower alkyl groups may be present as a substituent(s) on the amino group),

(30) a lower alkyl group substituted with a single carbamoyl group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group and a halogen substituted lower alkyl group,

(33) an oxazolidinyl group that may have a single oxo group,

(34) an imidazolidinyl group that may have 1 to 2 substituents selected from the group consisting of an oxo group and a lower alkyl group,

(35) a pyrrolidinyl group that may have a single oxo group,

(36) an imidazolyl group,

(39) a piperidyl group that may have 1 to 3

substituents selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkyl phenylsulfonyl group, an oxo group, hydroxy group, and an amino group that may have 1 to 2 groups selected from the group consisting of a lower alkyl group, a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkanoylamino lower alkanoyl group,

(61) a piperazinyl group that may have 1 to 3 groups selected from the group consisting of an oxo group, a lower alkyl group, a lower alkanoyl group and a lower alkoxycarbonyl group, and

(62) a morpholinyl group.

9. The compound according to claim 8, wherein R^1 represents (I) a cyclohexyl group, and, on the cyclo C5-C6 alkyl group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4), (10), (17), (18), (21), (28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) defined in claim 8 may be present as a substituent(s).

10. The compound according to claim 8, wherein R^1 represents (II) a phenyl group, and, on the aromatic group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4), (10), (17), (18) (21), (28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) defined in claim 8 may be present as a substituent(s).

11. The compound according to claim 10, wherein R^1 represents (II) a phenyl group, and, on the aromatic

group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4), (10), (17), (18), (28), (33), (35), (39) and (61) shown below may be present as a substituent(s).

(1) a lower alkyl group,

(4) a lower alkoxy group,

(10) a hydroxy lower alkyl group,

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group, an amino lower alkanoyl group, a lower alkanoylamino lower alkanoyl group and a lower alkoxy carbonylamino lower alkanoyl group, as a substituent(s),

(18) a lower alkanoyl group,

(28) a carbamoyl group having a single lower alkoxy lower alkyl group,

(33) an oxazolidinyl group that may have a single oxo group,

(35) a pyrrolidinyl group that may have a single oxo group,

(39) a piperidyl group, and

(61) a piperazinyl group that may have 1 to 2 groups selected from the group consisting of an oxo group, a lower alkanoyl group and a lower alkoxycarbonyl group.

12. The compound according to claim 11, wherein R^1 is a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a

single amino group having 1 or 2 lower alkyl groups on the amino group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single carbamoyl group having a single lower alkyl group, which has two lower alkoxy groups on the lower alkyl group;

a phenyl group having, on the phenyl group, a single hydroxy lower alkyl group, a single lower alkoxy group and a single oxazolidinyl group having a single oxo group on the oxazolidinyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single pyrrolidinyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single piperidyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single piperazyl group having a single lower alkanoyl group on the piperazyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single piperazyl group having a single lower alkanoyl group and a single oxo group on the piperazyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group

and a single piperazyl group having a single lower alkoxycarbonyl group and a single oxo group on the piperazyl group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single N-[(N-lower alkoxy-carbonylamino)lower alkanoyl]amino group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single N-(amino lower alkanoyl)amino group;

a phenyl group having, on the phenyl group, a single lower alkyl group, a single lower alkoxy group and a single N-[(N-lower alkanoyl amino)lower alkanoyl]amino group;

a phenyl group having, on the phenyl group, a single lower alkoxy group, a single lower alkanoyl group and a single piperazyl group having a single lower alkoxycarbonyl group on the piperazyl group; or

a phenyl group having, on the phenyl group, a single lower alkoxy group, a single hydroxy lower alkyl group and a single piperazyl group having a single lower alkoxycarbonyl group on the piperazyl group.

13. The compound according to claim 8, wherein R^1 represents a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a piperidyl group, pyrazolyl group and thiazolyl group, and, on the heterocyclic group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (4),

(10), (17), (18), (21), (28), (29), (30), (33), (34), (35), (36), (39), (61) and (62) defined in claim 8 may be present as a substituent(s).

14. The compound according to claim 13, wherein R^1 represents (III) a saturated or unsaturated heteromonocyclic group having 1 to 2 nitrogen atoms selected from a piperidyl group, pyrazolyl group and thiazolyl group, and, on the heterocyclic group represented by R^1, 1 to 3 groups selected from the group consisting of (1), (17) and (28) shown below may be present as a substituent(s).

(1) a lower alkyl group;

(17) an amino group having 1 to 2 groups selected from the group consisting of a lower alkyl group and a lower alkanoyl group, as a substituent(s); and

(28) a carbamoyl group that may have 1 to 2 lower alkyl groups.

15. The compound according to claim 14, wherein R^1 represents

a pyrazolyl group having a single lower alkyl group and a single lower alkanoyl amino group;

a pyrazolyl group having a single lower alkyl group and a single N,N-di-lower alkyl amino group;

a piperidyl group having a single N,N-di-lower alkyl carbamoyl group; or

a thiazolyl group having a single N,N-di-lower alkyl carbamoyl group.

16. A pharmaceutical composition comprising a heterocyclic compound of the formula (1) or a salt thereof according to any one of claims 1 to 15, as an active ingredient and a pharmaceutically acceptable carrier.

17. The pharmaceutical composition according to claim 16 for treating or preventing central nervous system disorders.

18. The pharmaceutical composition according to claim 17 for treating or preventing central nervous system disorders selected from the group consisting of schizophrenia; refractory, intractable or chronic schizophrenia; emotional disturbance; psychotic disorder; mood disorder; bipolar I type disorder; bipolar II type disorder; depression; endogenous; depression; major depression; melancholy and refractory depression; dysthymic disorder; cyclothymic disorder; panic attack; panic disorder; agoraphobia; social phobia; obsessive-compulsive disorder; post-traumatic stress disorder; generalized anxiety disorder; acute stress disorder; hysteria; somatization disorder; conversion disorder; pain disorder; hypochondriasis; factitious disorder; dissociative disorder; sexual dysfunction; sexual desire disorder; sexual arousal disorder; erectile dysfunction; anorexia nervosa; bulimia nervosa; sleep disorder; adjustment disorder; alcohol abuse; alcohol intoxication; drug addiction; stimulant intoxication; narcotism; anhedonia;

iatrogenic anhedonia; anhedonia of a psychic or mental cause; anhedonia associated with depression; anhedonia associated with schizophrenia; delirium; cognitive impairment; cognitive impairment associated with Alzheimer's disease, Parkinson's disease and other neurodegenerative diseases; cognitive impairment caused by Alzheimer's disease; Parkinson's disease and associated neurodegenerative diseases; cognitive impairment of schizophrenia; cognitive impairment caused by refractory, intractable or chronic schizophrenia; vomiting; motion sickness; obesity; migraine; pain (ache); mental retardation; autism disorder (autism); Tourette's disorder; tic disorder; attention-deficit/hyperactivity disorder; conduct disorder; and Down's syndrome.

19. A process for producing a pharmaceutical composition comprising mixing a heterocyclic compound of the formula (1) or a salt thereof according to any one of claims 1 to 15 with a pharmaceutically acceptable carrier.

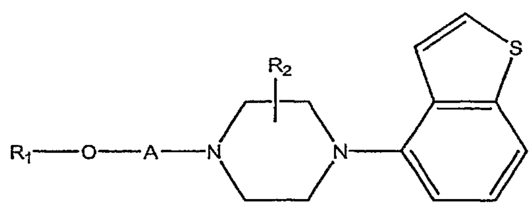
20. Use of a heterocyclic compound of the formula (1) or a salt thereof according to any one of claims 1 to 15 as a drug.

21. Use of a heterocyclic compound of the formula (1) or a salt thereof according to any one of claims 1 to 15 as a dopamine D_2 receptor partial agonist and/or serotonin 5-HT_{2A} receptor antagonist and/or an adrenaline α_1 receptor antagonist and/or a serotonin

uptake inhibitor and/or a serotonin reuptake inhibitor.

22. A method for treating or preventing a central nervous system disorder comprising administering a heterocyclic compound of the formula (1) or a salt thereof according to any one of claims 1 to 15 to human or animal.

23. A process for producing a heterocyclic compound represented by the formula (1):

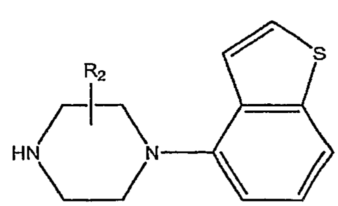


(1)

[wherein R_1, R_2 and A are the same as defined in claim 1] or a salt thereof, characterized by comprising a reaction of a compound represented by the formula:

$$R_1 — O — A — X_1$$

[wherein R_1 and A are the same as defined above, and X_1 represents a halogen atom or a group which causes a substitution reaction the same as in a halogen atom] or a salt thereof with a compound represented by the formula:



[wherein R_2 is the same as defined above] or a salt thereof.